

PATENT COOPERATION TREATY

PCT

NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Commissioner
 US Department of Commerce
 United States Patent and Trademark
 Office, PCT
 2011 South Clark Place Room
 CP2/5C24
 Arlington, VA 22202
 ETATS-UNIS D'AMERIQUE
 in its capacity as elected Office

| | |
|---|---|
| Date of mailing (day/month/year) 28 May 2001 (28.05.01) | |
| International application No. PCT/EP00/09000 | Applicant's or agent's file reference M/40251-PCT |
| International filing date (day/month/year) 14 September 2000 (14.09.00) | Priority date (day/month/year) 15 September 1999 (15.09.99) |
| Applicant GEWEHR, Markus et al | |

1. The designated Office is hereby notified of its election made:

☒ in the demand filed with the International Preliminary Examining Authority on:
 28 March 2001 (28.03.01)

☐ in a notice effecting later election filed with the International Bureau on:

2. The election ☒ was

☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

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| The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35 | Authorized officer Claudio Borton Telephone No.: (41-22) 338.83.38 |
|---|--|

Translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

3

| | | |
|---|---|---|
| Applicant's or agent's file reference M/40251-PCT | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) | |
| International application No. PCT/EP00/09000 | International filing date (<i>day/month/year</i>) 14 September 2000 (14.09.00) | Priority date (<i>day/month/year</i>) 15 September 1999 (15.09.99) |
| International Patent Classification (IPC) or national classification and IPC C07D 249/12 | | |
| Applicant BASF AKTIENGESELLSCHAFT | | |

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|---|--|
| <p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>4</u> sheets, including this cover sheet.</p> <p><input checked="" type="checkbox"/> This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of <u>6</u> sheets.</p> | |
| <p>3. This report contains indications relating to the following items:</p> <p>I <input checked="" type="checkbox"/> Basis of the report</p> <p>II <input type="checkbox"/> Priority</p> <p>III <input type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV <input type="checkbox"/> Lack of unity of invention</p> <p>V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI <input type="checkbox"/> Certain documents cited</p> <p>VII <input type="checkbox"/> Certain defects in the international application</p> <p>VIII <input type="checkbox"/> Certain observations on the international application</p> | |

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| Date of submission of the demand 28 March 2001 (28.03.01) | Date of completion of this report 30 November 2001 (30.11.2001) |
| Name and mailing address of the IPEA/EP | Authorized officer |
| Facsimile No. | Telephone No. |



I. Basis of the report

1. This report has been drawn on the basis of (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to the report since they do not contain amendments.*):

- ☐ the international application as originally filed.
- ☒ the description, pages 1-38, as originally filed,
pages _____, filed with the demand,
pages _____, filed with the letter of _____,
pages _____, filed with the letter of _____.
- ☒ the claims, Nos. _____, as originally filed,
Nos. _____, as amended under Article 19,
Nos. _____, filed with the demand,
Nos. 1-11, filed with the letter of 15 November 2001 (15.11.2001),
Nos. _____, filed with the letter of _____.
- ☐ the drawings, sheets/fig _____, as originally filed,
sheets/fig _____, filed with the demand,
sheets/fig _____, filed with the letter of _____,
sheets/fig _____, filed with the letter of _____.

2. The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/fig _____

3. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

4. Additional observations, if necessary:



V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**1. Statement**

| | | | |
|-------------------------------|--------|------|-----|
| Novelty (N) | Claims | 1-11 | YES |
| | Claims | | NO |
| Inventive step (IS) | Claims | 1-11 | YES |
| | Claims | | NO |
| Industrial applicability (IA) | Claims | 1-11 | YES |
| | Claims | | NO |

2. Citations and explanations

1. The subject matter of the present application is novel over the international search report citations WO-A-98/05652 (DU PONT DE NEMOURS) (1), WO-A-97/00612 (DU PONT DE NEMOURS) (2), WO-A-96/36615 (DU PONT DE NEMOURS) (3), WO-A-95/14009 (DU PONT DE NEMOURS) (4), EP-A-0 672 347 (BASF AG) (5) and EP-A-0 579 124 (BASF AG) (6), the novelty over D1-D4 being established by the $C(R_6)C(R_7)=CR_8R_9$ group and over D5 and D6 by the triazolone group. Claims 1-11 therefore appear to meet the requirements of PCT Article 33(2).

2. The closest prior art encompasses the compounds disclosed in documents D1-D6, which have fungicidal and pesticidal (D1, D2, D6), fungicidal (D3, D4) and pesticidal (D5) properties.

The problem of interest is considered that of providing further oximether compounds which have improved effects over the known compounds and/or a broader active spectrum than the latter (cf. page 1, lines 32-27 of the description).

The applicant has shown the fungicidal effect of some of the compounds as per the application on pages 36-38 of the description and has shown in the



comparative tests submitted with the letter of 14 November 2001 a fungicidal effect that is considerably improved over that shown in D2 and D5, which can be taken as evidence of inventive step, since a person skilled in the art could not have expected from the known prior art that compounds having both an allylic unsaturated oximether side chain on the first phenyl ring and the triazolone group on the second phenyl ring would show this effect.

Claims 1-11 therefore meet the requirements of PCT Article 33(3).

3. There are no objections to Claims 1-11 with respect to PCT Article 33(4).



1

VERTRAG ÜBER DIE INTERNATIONALE ZUSAMMENARBEIT
AUF DEM GEBIET DES PATENTWESENS

PCT

INTERNATIONALER RECHERCHENBERICHT

(Artikel 18 sowie Regeln 43 und 44 PCT)

| | | |
|---|---|---|
| Aktenzeichen des Anmelders oder Anwalts M/40251-PCT | WEITERES VORGEHEN siehe Mitteilung über die Übermittlung des internationalen Recherchenberichts (Formblatt PCT/ISA/220) sowie, soweit zutreffend, nachstehender Punkt 5 | |
| Internationales Aktenzeichen PCT/EP 00/09000 | Internationales Anmeldedatum (Tag/Monat/Jahr) 14/09/2000 | (Frühestes) Prioritätsdatum (Tag/Monat/Jahr) 15/09/1999 |
| Anmelder BASF AKTIENGESELLSCHAFT | | |

Dieser internationale Recherchenbericht wurde von der Internationalen Recherchenbehörde erstellt und wird dem Anmelder gemäß Artikel 18 übermittelt. Eine Kopie wird dem Internationalen Büro übermittelt.

Dieser internationale Recherchenbericht umfaßt insgesamt 4 Blätter.

☒ Darüber hinaus liegt ihm jeweils eine Kopie der in diesem Bericht genannten Unterlagen zum Stand der Technik bei.

1. Grundlage des Berichts

a. Hinsichtlich der **Sprache** ist die internationale Recherche auf der Grundlage der internationalen Anmeldung in der Sprache durchgeführt worden, in der sie eingereicht wurde, sofern unter diesem Punkt nichts anderes angegeben ist.

☐ Die internationale Recherche ist auf der Grundlage einer bei der Behörde eingereichten Übersetzung der internationalen Anmeldung (Regel 23.1 b)) durchgeführt worden.

b. Hinsichtlich der in der internationalen Anmeldung offenbarten **Nucleotid- und/oder Aminosäuresequenz** ist die internationale Recherche auf der Grundlage des Sequenzprotokolls durchgeführt worden, das

☐ in der internationalen Anmeldung in schriftlicher Form enthalten ist.

☐ zusammen mit der internationalen Anmeldung in computerlesbarer Form eingereicht worden ist.

☐ bei der Behörde nachträglich in schriftlicher Form eingereicht worden ist.

☐ bei der Behörde nachträglich in computerlesbarer Form eingereicht worden ist.

☐ Die Erklärung, daß das nachträglich eingereichte schriftliche Sequenzprotokoll nicht über den Offenbarungsgehalt der internationalen Anmeldung im Anmeldezeitpunkt hinausgeht, wurde vorgelegt.

☐ Die Erklärung, daß die in computerlesbarer Form erfaßten Informationen dem schriftlichen Sequenzprotokoll entsprechen, wurde vorgelegt.

2. ☐ Bestimmte Ansprüche haben sich als nicht recherchierbar erwiesen (siehe Feld I).

3. ☐ Mangelnde Einheitlichkeit der Erfindung (siehe Feld II).

4. Hinsichtlich der **Bezeichnung der Erfindung**

☒ wird der vom Anmelder eingereichte Wortlaut genehmigt.

☐ wurde der Wortlaut von der Behörde wie folgt festgesetzt:

5. Hinsichtlich der **Zusammenfassung**

☐ wird der vom Anmelder eingereichte Wortlaut genehmigt.

☒ wurde der Wortlaut nach Regel 38.2b) in der in Feld III angegebenen Fassung von der Behörde festgesetzt. Der Anmelder kann der Behörde innerhalb eines Monats nach dem Datum der Absendung dieses internationalen Recherchenberichts eine Stellungnahme vorlegen.

6. Folgende Abbildung der **Zeichnungen** ist mit der Zusammenfassung zu veröffentlichen: Abb. Nr. _____

☐ wie vom Anmelder vorgeschlagen

☐ weil der Anmelder selbst keine Abbildung vorgeschlagen hat.

☐ weil diese Abbildung die Erfindung besser kennzeichnet.

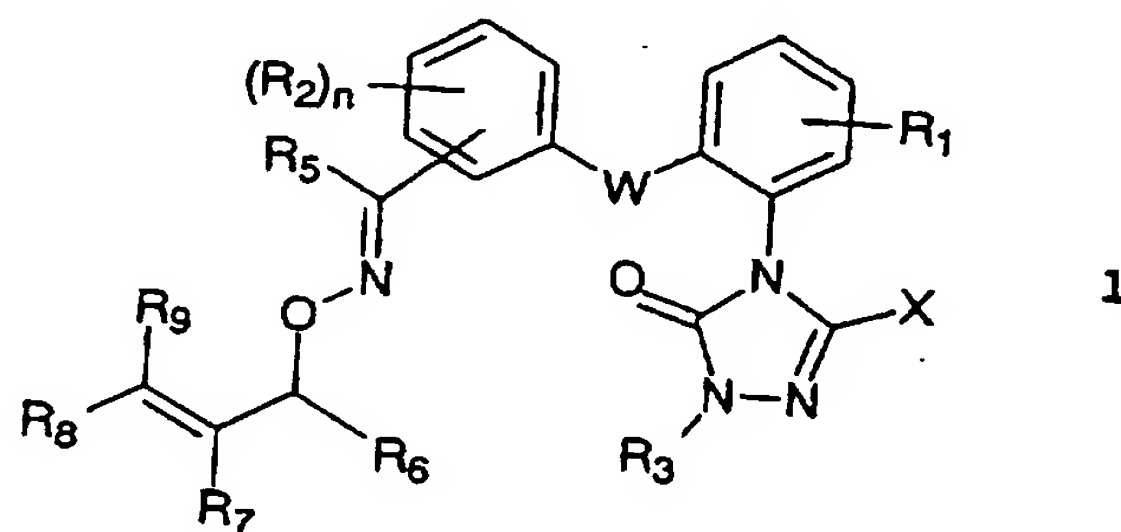
☐ keine der Abb.



Feld III

WORTLAUT DER ZUSAMMENFASSUNG (Fortsetzung von Punkt 5 auf Blatt 1)

Die vorliegende Erfindung betrifft ungesättigte Oximether-Verbindungen der Formel 1



in welcher die Substituenten wie in der Beschreibung definiert sind.
Die erfindungsgemässen Verbindungen sind zur Bekämpfung von
Schadpilzen und tierischen Schädlingen brauchbar.



INTERNATIONALER RECHERCHENBERICHT

Internationales Aktenzeichen

P 00/09000

A. KLASSIFIZIERUNG DES ANMELDUNGSGEGENSTANDES

IPK 7 C07D249/12 C07D409/12 C07D413/12 C07D405/12 C07D417/12
A01N43/653 //(C07D409/12,333:00,249:00)

Nach der Internationalen Patentklassifikation (IPK) oder nach der nationalen Klassifikation und der IPK

B. RECHERCHIERTE GEBIETE

Recherchierter Mindestprüfstoff (Klassifikationssystem und Klassifikationssymbole)

IPK 7 C07D A01N

Recherchierte aber nicht zum Mindestprüfstoff gehörende Veröffentlichungen, soweit diese unter die recherchierten Gebiete fallen

Während der internationalen Recherche konsultierte elektronische Datenbank (Name der Datenbank und evtl. verwendete Suchbegriffe)

EPO-Internal, WPI Data, PAJ, BIOSIS, CHEM ABS Data

C. ALS WESENTLICH ANGESEHENE UNTERLAGEN

| Kategorie° | Bezeichnung der Veröffentlichung, soweit erforderlich unter Angabe der in Betracht kommenden Teile | Betr. Anspruch Nr. |
|------------|--|--------------------|
| Y | WO 98 05652 A (BROWN RICHARD JAMES ;CHAN DOMINIC MING TAK (US); DRUMM JOSEPH EUGE) 12. Februar 1998 (1998-02-12) in der Anmeldung erwähnt Tabelle 1 | 1-9 |
| Y | WO 97 00612 A (DU PONT ;BROWN RICHARD JAMES (US); CHAN DOMINIC MING TAK (US); HOW) 9. Januar 1997 (1997-01-09) in der Anmeldung erwähnt Index table C | 1-9 |
| Y | WO 96 36615 A (DU PONT ;BROWN RICHARD JAMES (US); SUN KING MO (US); FRASIER DEBOR) 21. November 1996 (1996-11-21) in der Anmeldung erwähnt Tabellen 2,4,10,14,18,20,23 | 1-9 |



Weitere Veröffentlichungen sind der Fortsetzung von Feld C zu entnehmen



Siehe Anhang Patentfamilie

° Besondere Kategorien von angegebenen Veröffentlichungen :

- *A* Veröffentlichung, die den allgemeinen Stand der Technik definiert, aber nicht als besonders bedeutsam anzusehen ist
- *E* älteres Dokument, das jedoch erst am oder nach dem internationalen Anmeldedatum veröffentlicht worden ist
- *L* Veröffentlichung, die geeignet ist, einen Prioritätsanspruch zweifelhaft erscheinen zu lassen, oder durch die das Veröffentlichungsdatum einer anderen im Recherchenbericht genannten Veröffentlichung belegt werden soll oder die aus einem anderen besonderen Grund angegeben ist (wie ausgeführt)
- *O* Veröffentlichung, die sich auf eine mündliche Offenbarung, eine Benutzung, eine Ausstellung oder andere Maßnahmen bezieht
- *P* Veröffentlichung, die vor dem internationalen Anmeldedatum, aber nach dem beanspruchten Prioritätsdatum veröffentlicht worden ist

T Spätere Veröffentlichung, die nach dem internationalen Anmeldedatum oder dem Prioritätsdatum veröffentlicht worden ist und mit der Anmeldung nicht kollidiert, sondern nur zum Verständnis des der Erfindung zugrundeliegenden Prinzips oder der ihr zugrundeliegenden Theorie angegeben ist

X Veröffentlichung von besonderer Bedeutung; die beanspruchte Erfindung kann allein aufgrund dieser Veröffentlichung nicht als neu oder auf erfinderischer Tätigkeit beruhend betrachtet werden

Y Veröffentlichung von besonderer Bedeutung; die beanspruchte Erfindung kann nicht als auf erfinderischer Tätigkeit beruhend betrachtet werden, wenn die Veröffentlichung mit einer oder mehreren anderen Veröffentlichungen dieser Kategorie in Verbindung gebracht wird und diese Verbindung für einen Fachmann naheliegend ist

G Veröffentlichung, die Mitglied derselben Patentfamilie ist

Datum des Abschlusses der internationalen Recherche

13. Dezember 2000

Absendedatum des internationalen Recherchenberichts

16/01/2001

Name und Postanschrift der Internationalen Recherchenbehörde
Europäisches Patentamt, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Bevollmächtigter Bediensteter

Frelon, D



| C.(Fortsetzung) ALS WESENTLICH ANGESEHENE UNTERLAGEN | | |
|--|---|--------------------|
| Kategorie° | Bezeichnung der Veröffentlichung, soweit erforderlich unter Angabe der in Betracht kommenden Teile | Betr. Anspruch Nr. |
| Y | WO 95 14009 A (DU PONT ;BROWN RICHARD JAMES (US); SUN KING MO (US); FRASIER DEBOR) 26. Mai 1995 (1995-05-26) in der Anmeldung erwähnt Tabellen 2,4,6,8,10,14,18-20,24-26 --- | 1-9 |
| Y | EP 0 672 347 A (BASF AG) 20. September 1995 (1995-09-20) in der Anmeldung erwähnt Zusammenfassung; Ansprüche --- | 1-9 |
| Y | EP 0 579 124 A (BASF AG) 19. Januar 1994 (1994-01-19) in der Anmeldung erwähnt Zusammenfassung; Ansprüche ----- | 1-9 |



INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP 00/09000

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
|---|---------------------|---|--|
| WO 9805652 A | 12-02-1998 | AU 3889097 A BR 9711816 A CN 1231663 A EP 0934283 A | 25-02-1998 31-08-1999 13-10-1999 11-08-1999 |
| WO 9700612 A | 09-01-1997 | AU 6177096 A BR 9609001 A CZ 9703940 A EP 0836384 A HU 9901228 A JP 11508257 T NZ 310884 A PL 324291 A | 22-01-1997 29-06-1999 16-09-1998 22-04-1998 28-07-1999 21-07-1999 29-04-1999 11-05-1998 |
| WO 9636615 A | 21-11-1996 | JP 2771334 B JP 10504042 T | 02-07-1998 14-04-1998 |
| WO 9514009 A | 26-05-1995 | AT 186909 T AU 677448 B AU 7953594 A BR 9408167 A CN 1141035 A CZ 9601379 A DE 69421824 D DE 69421824 T DK 729461 T EP 0729461 A ES 2141262 T HU 74367 A,B JP 9506341 T JP 3075744 B LT 96071 A,B LV 11616 A LV 11616 B PL 315747 A RO 114617 B RU 2126392 C SI 9420064 A SK 64596 A US 5977149 A US 5747516 A ZA 9409141 A | 15-12-1999 24-04-1997 06-06-1995 26-08-1997 22-01-1997 11-12-1996 30-12-1999 31-05-2000 01-05-2000 04-09-1996 16-03-2000 30-12-1996 24-06-1997 14-08-2000 27-12-1996 20-12-1996 20-06-1997 25-11-1996 30-06-1999 20-02-1999 28-02-1997 05-02-1997 02-11-1999 05-05-1998 17-05-1996 |
| EP 0672347 A | 20-09-1995 | AT 144246 T AT 172597 T AU 4197593 A CA 2100386 A CZ 9301401 A DE 59304170 D DE 59309100 D DK 579124 T EP 0579124 A ES 2093327 T GR 3021583 T HU 67361 A,B JP 6239823 A MD 950100 A NZ 248155 A | 15-11-1996 15-11-1998 20-01-1994 16-01-1994 19-10-1994 21-11-1996 03-12-1998 18-11-1996 19-01-1994 16-12-1996 28-02-1997 28-03-1995 30-08-1994 28-06-1996 27-09-1994 |



INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP 00/09000

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
|---|---------------------|----------------------------|---------------------|
| EP 0672347 A | | RU 2127256 C | 10-03-1999 |
| | | US 5358968 A | 25-10-1994 |
| | | US 5556884 A | 17-09-1996 |
| | | ZA 9305070 A | 16-01-1995 |
| <hr/> | | | |
| EP 0579124 A | 19-01-1994 | AT 144246 T | 15-11-1996 |
| | | AT 172597 T | 15-11-1998 |
| | | AU 4197593 A | 20-01-1994 |
| | | CA 2100386 A | 16-01-1994 |
| | | CZ 9301401 A | 19-10-1994 |
| | | DE 59304170 D | 21-11-1996 |
| | | DE 59309100 D | 03-12-1998 |
| | | DK 579124 T | 18-11-1996 |
| | | EP 0672347 A | 20-09-1995 |
| | | ES 2093327 T | 16-12-1996 |
| | | GR 3021583 T | 28-02-1997 |
| | | HU 67361 A, B | 28-03-1995 |
| | | JP 6239823 A | 30-08-1994 |
| | | MD 950100 A | 28-06-1996 |
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| | | US 5358968 A | 25-10-1994 |
| | | US 5556884 A | 17-09-1996 |
| | | ZA 9305070 A | 16-01-1995 |
| <hr/> | | | |



VERTRAG ÜBER DIE INTERNATIONALE ZUSAMMENARBEIT AUF DEM GEBIET DES PATENTWESENS

PCT

REC'D 04 DEC 2001

WIPO PCT

INTERNATIONALER VORLÄUFIGER PRÜFUNGSBERICHT

(Artikel 36 und Regel 70 PCT)

| | | |
|---|--|--|
| Aktenzeichen des Anmelders oder Anwalts M/40251-PCT | WEITERES VORGEHEN siehe Mitteilung über die Übersendung des internationalen vorläufigen Prüfungsberichts (Formblatt PCT/IPEA/416) | |
| Internationales Aktenzeichen PCT/EP00/09000 | Internationales Anmeldedatum (Tag/Monat/Jahr) 14/09/2000 | Prioritätsdatum (Tag/Monat/Jahr) 15/09/1999 |
| Internationale Patentklassifikation (IPK) oder nationale Klassifikation und IPK C07D249/12 | | |
| Anmelder BASF AKTIENGESELLSCHAFT et al. | | |



- Dieser internationale vorläufige Prüfungsbericht wurde von der mit der internationalen vorläufigen Prüfung beauftragten Behörde erstellt und wird dem Anmelder gemäß Artikel 36 übermittelt.
- Dieser BERICHT umfaßt insgesamt 4 Blätter einschließlich dieses Deckblatts.

☒ Außerdem liegen dem Bericht ANLAGEN bei; dabei handelt es sich um Blätter mit Beschreibungen, Ansprüchen und/oder Zeichnungen, die geändert wurden und diesem Bericht zugrunde liegen, und/oder Blätter mit vor dieser Behörde vorgenommenen Berichtigungen (siehe Regel 70.16 und Abschnitt 607 der Verwaltungsrichtlinien zum PCT).

 Diese Anlagen umfassen insgesamt 6 Blätter.

3. Dieser Bericht enthält Angaben zu folgenden Punkten:

- I ☒ Grundlage des Berichts
- II ☐ Priorität
- III ☐ Keine Erstellung eines Gutachtens über Neuheit, erfinderische Tätigkeit und gewerbliche Anwendbarkeit
- IV ☐ Mangelnde Einheitlichkeit der Erfindung
- V ☒ Begründete Feststellung nach Artikel 35(2) hinsichtlich der Neuheit, der erfinderischen Tätigkeit und der gewerblichen Anwendbarkeit; Unterlagen und Erklärungen zur Stützung dieser Feststellung
- VI ☐ Bestimmte angeführte Unterlagen
- VII ☐ Bestimmte Mängel der internationalen Anmeldung
- VIII ☐ Bestimmte Bemerkungen zur internationalen Anmeldung

| | |
|--|--|
| Datum der Einreichung des Antrags 28/03/2001 | Datum der Fertigstellung dieses Berichts 30.11.2001 |
| Name und Postanschrift der mit der internationalen vorläufigen Prüfung beauftragten Behörde:  Europäisches Patentamt D-80298 München Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465 | Bevollmächtigter Bediensteter vanVoorst tot Voorst, M Tel. Nr. +49 89 2399 8280  |



I. Grundlage des Berichts

1. Hinsichtlich der **Bestandteile** der internationalen Anmeldung (*Ersatzblätter, die dem Anmeldeamt auf eine Aufforderung nach Artikel 14 hin vorgelegt wurden, gelten im Rahmen dieses Berichts als "ursprünglich eingereicht" und sind ihm nicht beigefügt, weil sie keine Änderungen enthalten (Regeln 70.16 und 70.17)*):
Beschreibung, Seiten:

1-38 ursprüngliche Fassung

Patentansprüche, Nr.:

1-11 eingegangen am 15/11/2001 mit Schreiben vom 14/11/2001

2. Hinsichtlich der **Sprache**: Alle vorstehend genannten Bestandteile standen der Behörde in der Sprache, in der die internationale Anmeldung eingereicht worden ist, zur Verfügung oder wurden in dieser eingereicht, sofern unter diesem Punkt nichts anderes angegeben ist.

Die Bestandteile standen der Behörde in der Sprache: zur Verfügung bzw. wurden in dieser Sprache eingereicht; dabei handelt es sich um

- ☐ die Sprache der Übersetzung, die für die Zwecke der internationalen Recherche eingereicht worden ist (nach Regel 23.1(b)).
- ☐ die Veröffentlichungssprache der internationalen Anmeldung (nach Regel 48.3(b)).
- ☐ die Sprache der Übersetzung, die für die Zwecke der internationalen vorläufigen Prüfung eingereicht worden ist (nach Regel 55.2 und/oder 55.3).

3. Hinsichtlich der in der internationalen Anmeldung offenbarten **Nucleotid- und/oder Aminosäuresequenz** ist die internationale vorläufige Prüfung auf der Grundlage des Sequenzprotokolls durchgeführt worden, das:

- ☐ in der internationalen Anmeldung in schriftlicher Form enthalten ist.
- ☐ zusammen mit der internationalen Anmeldung in computerlesbarer Form eingereicht worden ist.
- ☐ bei der Behörde nachträglich in schriftlicher Form eingereicht worden ist.
- ☐ bei der Behörde nachträglich in computerlesbarer Form eingereicht worden ist.
- ☐ Die Erklärung, daß das nachträglich eingereichte schriftliche Sequenzprotokoll nicht über den Offenbarungsgehalt der internationalen Anmeldung im Anmeldezeitpunkt hinausgeht, wurde vorgelegt.
- ☐ Die Erklärung, daß die in computerlesbarer Form erfassten Informationen dem schriftlichen Sequenzprotokoll entsprechen, wurde vorgelegt.

4. Aufgrund der Änderungen sind folgende Unterlagen fortgefallen:

- ☐ Beschreibung, Seiten:
- ☐ Ansprüche, Nr.:
- ☐ Zeichnungen, Blatt:



2
1

INTERNATIONALER VORLÄUFIGER PRÜFUNGSBERICHT

Internationales Aktenzeichen PCT/EP00/09000

5. ☐ Dieser Bericht ist ohne Berücksichtigung (von einigen) der Änderungen erstellt worden, da diese aus den angegebenen Gründen nach Auffassung der Behörde über den Offenbarungsgehalt in der ursprünglich eingereichten Fassung hinausgehen (Regel 70.2(c)).

(Auf Ersatzblätter, die solche Änderungen enthalten, ist unter Punkt 1 hinzuweisen; sie sind diesem Bericht beizufügen).

6. Etwaige zusätzliche Bemerkungen:

V. Begründete Feststellung nach Artikel 35(2) hinsichtlich der Neuheit, der erfinderischen Tätigkeit und der gewerblichen Anwendbarkeit; Unterlagen und Erklärungen zur Stützung dieser Feststellung

1. Feststellung

| | | |
|--------------------------------|-----------------|------|
| Neuheit (N) | Ja: Ansprüche | 1-11 |
| | Nein: Ansprüche | |
| Erfinderische Tätigkeit (ET) | Ja: Ansprüche | 1-11 |
| | Nein: Ansprüche | |
| Gewerbliche Anwendbarkeit (GA) | Ja: Ansprüche | 1-11 |
| | Nein: Ansprüche | |

2. Unterlagen und Erklärungen
siehe Beiblatt



AD PUNKT V:

1. Gegenüber den im Internationalen Recherchenbericht zitierten Dokumenten, WO 98 05652 A (DU PONT DE NEMOURS) (=1), WO 97 00612 A (DU PONT DE NEMOURS) (=2), WO 96 36615 A (DU PONT DE NEMOURS) (=3), WO 95 14009 A (DU PONT DE NEMOURS) (=4), EP 0 672 347 A (BASF AG) (=5) und EP 0 579 124 A (BASF AG) (=6), erweist sich der vorliegende Anmeldungsgegenstand als neu, wobei die Neuheit gegenüber D1-D4 durch die $C(R_6)C(R_7)=CR_8R_9$ -Gruppe und gegenüber D5 und D6 durch die Triazolon-Gruppe gegeben ist. Die Ansprüche 1-11 scheinen daher Artikel 33(2) PCT zu erfüllen.
2. Nächster Stand der Technik umfaßt die in den Dokumenten D1-D6 offenbarten Verbindungen, die fungizide und pestizide (D1, D2, D6), fungizide (D3, D4) und pestizide (D5) Eigenschaften aufweisen.
Die zu lösende Aufgabe wird darin gesehen, weitere Oximether-Verbindungen bereitzustellen, die gegenüber den bekannten Verbindungen eine verbesserte Wirkung und/oder ein breiteres Wirkungsspektrum besitzen (vgl. Seite 1, Zeilen 32-37 der Beschreibung).
Der Anmelder hat die fungizide Wirkung von einigen anmeldungsgemäßen Verbindungen auf Seiten 36-38 der Beschreibung gezeigt, und durch die mit Schreiben vom 14.11.01 übermittelten Vergleichsversuche eine deutlich bessere fungizide Wirksamkeit gegenüber in D2 und D5 offenbarten Verbindungen belegt, die als ein Indiz für eine erfinderische Tätigkeit angesehen werden können, da der Fachmann aufgrund des bekannten Standes der Technik nicht erwarten konnte, daß Verbindungen, die sowohl eine allylisch ungesättigte Oximether-Seitenkette an dem einen Phenylring als auch die Triazolongruppe an dem zweiten Phenylring aufweisen, diesen Effekt zeigen würden.
Die Ansprüche 1-11 erfüllen demgemäß die Erfordernisse des Artikels 33(3) PCT.
3. Hinsichtlich Artikels 33(4) PCT bestehen keine Einwände für die Ansprüche 1-11.



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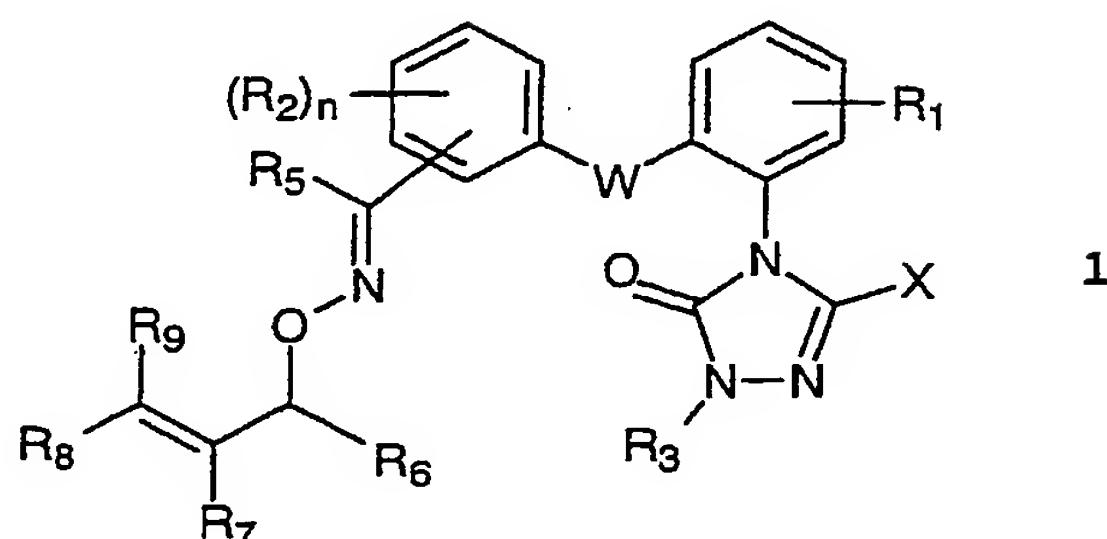
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Patentansprüche

1. Oximether-Verbindungen der Formel 1

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in der die Substituenten die folgenden Bedeutungen haben:

15

W $-\text{OCH}_2-$, $-\text{C}(\text{R}_{10})=\text{N}-\text{O}-\text{CH}_2-$;X Halogen, C_1 - C_4 -Alkyl, C_1 - C_4 -Alkoxy;

20

 R_1 H, C_1 - C_4 -Alkyl, Halogen, Nitro, CN, Halogen- C_1 - C_4 -Alkyl, C_1 - C_4 -Alkoxy; R_2 H, C_1 - C_4 -Alkyl, Halogen, Nitro, CN, Halogen- C_1 - C_4 -Alkyl, C_1 - C_4 -Alkoxy;

25

n 1 oder 2;

 R_3 H, C_1 - C_4 -Alkyl;

30

 R_5 H, C_1 - C_4 -Alkyl, C_2 - C_4 -Alkenyl; R_6 H, C_1 - C_4 -Alkyl, C_1 - C_4 -Halogenalkyl, C_2 - C_4 -Alkenyl, Aryl;

35

 R_7 H, Halogen, C_1 - C_6 -Alkyl, C_1 - C_6 -Halogenalkyl, C_2 - C_6 -Alkenyl, C_2 - C_6 -Halogenalkenyl, C_3 - C_6 -Cycloalkyl, C_3 - C_6 -Halogencycloalkyl, gegebenenfalls substituiertes Aryl;

40

 R_8 H, Halogen, C_1 - C_6 -Alkyl, C_1 - C_6 -Halogenalkyl, C_2 - C_6 -Alkenyl, C_2 - C_6 -Halogenalkenyl, C_3 - C_6 -Cycloalkyl, C_3 - C_6 -Halogencycloalkyl, gegebenenfalls substituiertes Aryl, oder

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5 R₇ und R₈ bilden, zusammen mit den Kohlenstoffatomen, an die sie gebunden sind, einen ungesättigten Heterocyclus mit 5- oder 6-Ringatomen, der ein oder zwei Heteroatome aufweist, die unabhängig voneinander ausgewählt sind unter einem Stickstoff-, Sauerstoff- und Schwefelatom und der gegebenenfalls mit einem oder zwei Resten substituiert sein kann, die unabhängig voneinander ausgewählt sind unter C₁-C₄-Alkyl, Halogen, Nitro, CN, Halogen-C₁-C₄-Alkyl, OH, C₁-C₄-Alkoxy, gegebenenfalls substituiertes Aryl, 10 C₂-C₄-Alkenyl, Halogen-C₂-C₄-Alkenyl, C₂-C₄-Alkinyl, Halogen-C₂-C₄-Alkinyl;

15 R₉ H, Halogen, C₁-C₆-Alkyl, C₁-C₆-Halogenalkyl, C₂-C₆-Alkenyl, C₂-C₆-Halogenalkenyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, gegebenenfalls substituiertes Aryl;

R₁₀ H, Halogen, C₁-C₄-Alkyl.

20 2. Verbindungen der Formel 1 nach Anspruch 1, wobei die Substituenten die folgenden Bedeutungen haben:

W -OCH₂-, -C(R₁₀)=N-O-CH₂;

25 X Halogen, C₁-C₄-Alkyl, C₁-C₄-Alkoxy;

R₁ H, C₁-C₄-Alkyl, Halogen, Halogen-C₁-C₄-Alkyl;

R₂ H, C₁-C₄-Alkyl, Halogen, Halogen-C₁-C₄-Alkyl;

30 R₃ H, C₁-C₄-Alkyl;

n 1 oder 2;

35 R₅ H oder C₁-C₄-Alkyl;

R₆ H, C₁-C₄-Alkyl, Halogen-C₁-C₄-Alkyl;

40 R₇ H, Halogen, C₁-C₆-Alkyl, Halogen-C₁-C₆-Alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, Phenyl;

R₈ H, Halogen, C₁-C₆-Alkyl, Halogen-C₁-C₆-Alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, C₂-C₆-Alkenyl, Phenyl, das durch ein oder zwei Halogen oder C₁-C₄-Alkyl substituiert sein kann; oder

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- 5 R₇ und R₈ zusammen mit den Kohlenstoffatomen, an die sie gebunden sind, bilden einen ungesättigten Heterocyclus mit 5- oder 6-Ringatomen, der ein oder zwei Heteroatome aufweist, die unabhängig voneinander ausgewählt sind unter einem Stickstoff-, Sauerstoff- und Schwefelatom und der gegebenenfalls mit einem oder zwei Resten substituiert sein kann, die unabhängig voneinander ausgewählt sind unter C₁-C₄-Alkyl, Halogen, Halogen-C₁-C₄-Alkyl, C₁-C₄-Alkoxy und Phenyl, das durch ein oder zwei Halogen oder
- 10 C₁-C₄-Alkyl substituiert sein kann;
- R₉ H, Halogen, C₁-C₆-Alkyl, Halogen-C₁-C₆-Alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, Phenyl;
- 15 R₁₀ H, Halogen, C₁-C₄-Alkyl.
3. Verbindungen der Formel 1 nach Anspruch 1 oder 2, wobei die Substituenten die folgenden Bedeutungen haben:
- 20 W -OCH₂-, -C(R₁₀)=N-O-CH₂;
- X Halogen, C₁-C₄-Alkoxy;
- R₁ H, C₁-C₄-Alkyl;
- 25 R₂ H, C₁-C₄-Alkyl;
- n 1 oder 2;
- 30 R₃ C₁-C₄-Alkyl;
- R₅ H, C₁-C₄-Alkyl;
- R₆ H, C₁-C₄-Alkyl;
- 35 R₇ H, Halogen, C₁-C₆-Alkyl;
- R₈ H, Halogen, C₁-C₆-Alkyl, C₂-C₆-Alkenyl; oder
- 40 R₇ und R₈ bilden zusammen mit den Kohlenstoffatomen, an die sie gebunden sind, Thiophenyl, Furanyl, Oxazolyl, Thiazolyl, wobei diese Gruppen ein oder zwei Substituenten aufweisen können, die unabhängig voneinander ausgewählt sind unter C₁-C₄-Alkyl, Halogen und Phenyl, das durch ein oder
- 45 zwei Halogen substituiert sein kann;



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 R_9 H, Halogen, C_1 - C_6 -Alkyl; R_{10} H, C_1 - C_4 -Alkyl.

- 5 4. Verbindungen der Formel 1 nach einem der vorhergehenden Ansprüche, wobei die Substituenten die folgenden Bedeutungen haben:

10 W $-OCH_2-$, $-C(R_{10})=N-O-CH_2$;

X C_1 - C_4 -Alkoxy;

R_1 H;

15 R_2 H, C_1 - C_4 -Alkyl;

n 1 oder 2;

20 R_3 C_1 - C_4 -Alkyl;

R_5 H, C_1 - C_4 -Alkyl;

R_6 H, C_1 - C_4 -Alkyl;

25 R_7 H, Halogen;

R_8 H, C_1 - C_4 -Alkyl, Halogen; oder

30 R_7 und R_8 bilden zusammen mit den Kohlenstoffatomen, an die sie gebunden sind, Thiophenyl oder Oxazolyl, wobei diese Gruppen gegebenenfalls durch ein oder zwei Halogen oder Phenyl substituiert sind und das Phenyl durch ein oder zwei Halogen substituiert sein kann;

35 R_9 H, Halogen;

R_{10} H, C_1 - C_4 -Alkyl.

- 40 5. Verbindungen der Formel 1 nach Anspruch 1, worin die Substituenten die folgende Bedeutung haben:

W $-OCH_2-$, $-C(R_{10})=N-O-CH_2-$;

X Halogen, C_1 - C_4 -Alkyl, C_1 - C_4 -Alkoxy;

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- R_1 H, C_1 - C_4 -Alkyl, Halogen, Nitro, CN, Halogen- C_1 - C_4 -Alkyl, C_1 - C_4 -Alkoxy;
- 5 R_2 H, C_1 - C_4 -Alkyl, Halogen, Nitro, CN, Halogen- C_1 - C_4 -Alkyl, C_1 - C_4 -Alkoxy;
- n 1 oder 2;
- 10 R_3 H, C_1 - C_4 -Alkyl;
- R_5 H, C_1 - C_4 -Alkyl, C_2 - C_4 -Alkenyl;
- R_6 H, C_1 - C_4 -Alkyl, C_1 - C_4 -Halogenalkyl, C_2 - C_4 -Alkenyl, Aryl;
- 15 R_7 H, Halogen, C_1 - C_6 -Alkyl, C_1 - C_6 -Halogenalkyl, C_2 - C_6 -Alkenyl, C_2 - C_6 -Halogenalkenyl, C_3 - C_6 -Cycloalkyl, C_3 - C_6 -Halogencycloalkyl, gegebenenfalls substituiertes Aryl;
- 20 R_8 H, Halogen, C_1 - C_6 -Alkyl, C_1 - C_6 -Halogenalkyl, C_2 - C_6 -Alkenyl, C_2 - C_6 -Halogenalkenyl, C_3 - C_6 -Cycloalkyl, C_3 - C_6 -Halogencycloalkyl, gegebenenfalls substituiertes Aryl,
- 25 R_9 H, Halogen, C_1 - C_6 -Alkyl, C_1 - C_6 -Halogenalkyl, C_2 - C_6 -Alkenyl, C_2 - C_6 -Halogenalkenyl, C_3 - C_6 -Cycloalkyl, C_3 - C_6 -Halogencycloalkyl, gegebenenfalls substituiertes Aryl;
- R_{10} H, Halogen, C_1 - C_4 -Alkyl.
- 30 6. Verwendung der Verbindungen der Formel 1 nach einem der Ansprüche 1 bis 5 als Fungizide oder zur Bekämpfung von Schädlingen.
- 35 7. Fungizides Mittel, enthaltend feste und/oder flüssige Trägerstoffe und eine fungizid wirksame Menge wenigstens einer Verbindung der Formel 1 gemäß Anspruch 1.
- 40 8. Verfahren zur Bekämpfung von Pilzen, wobei man die Pilze oder die von Pilzbefall bedrohten Materialien, Pflanzen, Saatgüter oder den Erdboden mit einer fungizid wirksamen Menge mindestens einer Verbindung der Formel 1 gemäß Anspruch 1 behandelt.
- 45 9. Mittel zur Bekämpfung von Schädlingen, enthaltend inerte Zusatzstoffe und eine pestizid wirksame Menge mindestens einer Verbindung der Formel 1 gemäß Anspruch 1.



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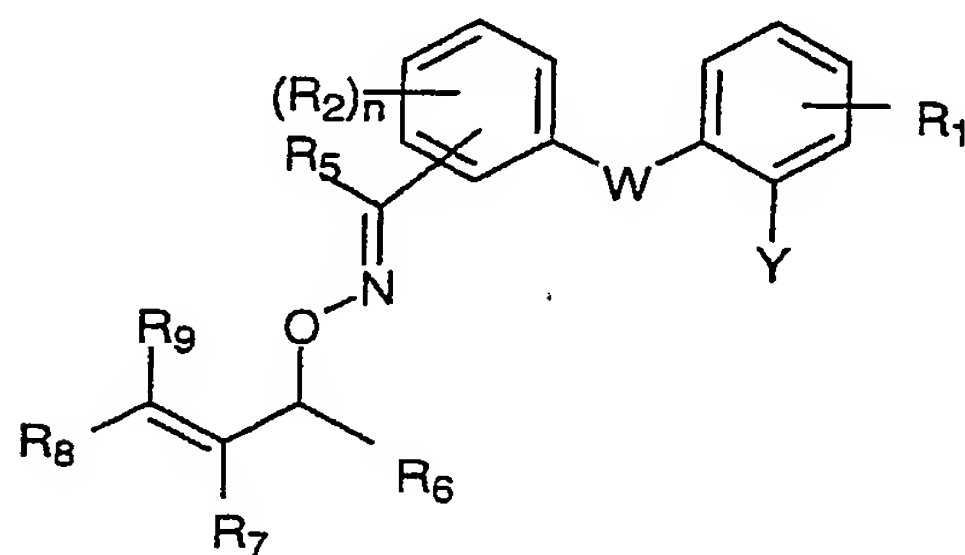
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10. Verfahren zur Bekämpfung von Schädlingen, wobei man die Schädlinge und/oder deren Lebensraum mit einer pestizid wirksamen Menge mindestens einer Verbindung der Formel 1 gemäß Anspruch 1 behandelt.

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11. Verbindungen der Formel 6

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worin

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W , R_1 , R_2 , R_5 , R_6 , R_7 , R_8 , R_9 und n die in einem der Ansprüche 1 bis 5 angegebenen Bedeutungen besitzen und Y für NH_2 steht.

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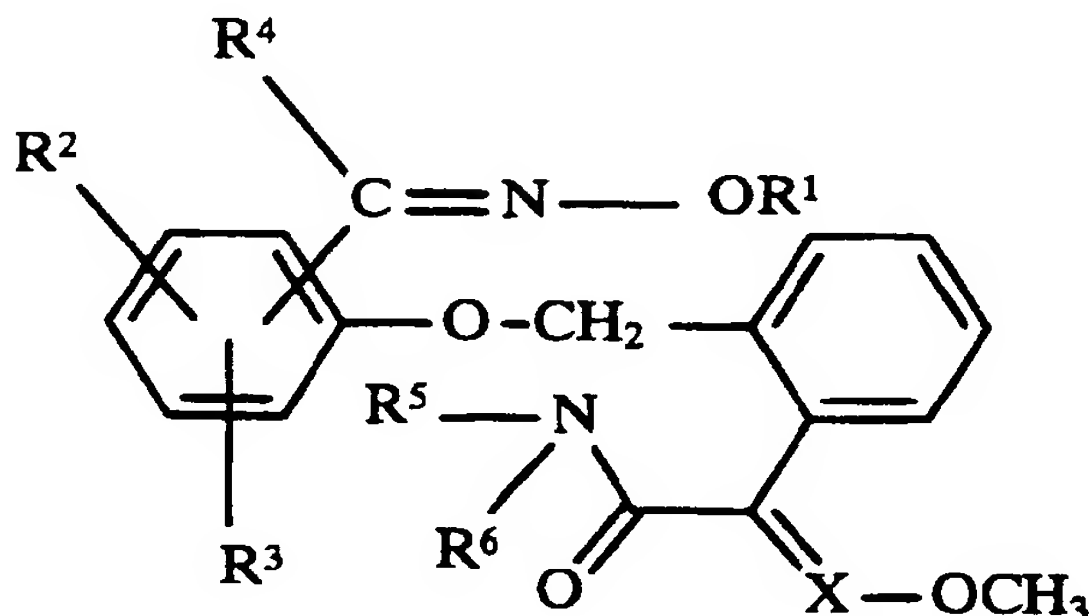
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Substituierte Oximether, Verfahren zu ihrer Herstellung und ihre Verwendung zur Bekämpfung von Schädlingen und Pilzen.

Substituierte Oximether der allgemeinen Formel I



I

in der

R¹

Alkyl, Alkenyl, Alkynyl, Halogenalkyl, Halogenalkenyl, Alkoxyalkyl, Cycloalkyl, Cycloalkylalkyl, Cyanalkyl, Alkoxy-carbonylalkyl, Arylalkyl, Heteroarylalkyl, Arylalkenyl oder Aryloxyalkyl bedeutet, wobei der aromatische oder heteroaromatische Ring gegebenenfalls substituiert ist,

R² und R³

Wasserstoff, Alkyl, Halogenalkyl, Alkoxy, Halogenalkoxy, Halogen, Cyano oder Nitro bedeuten,

R⁴

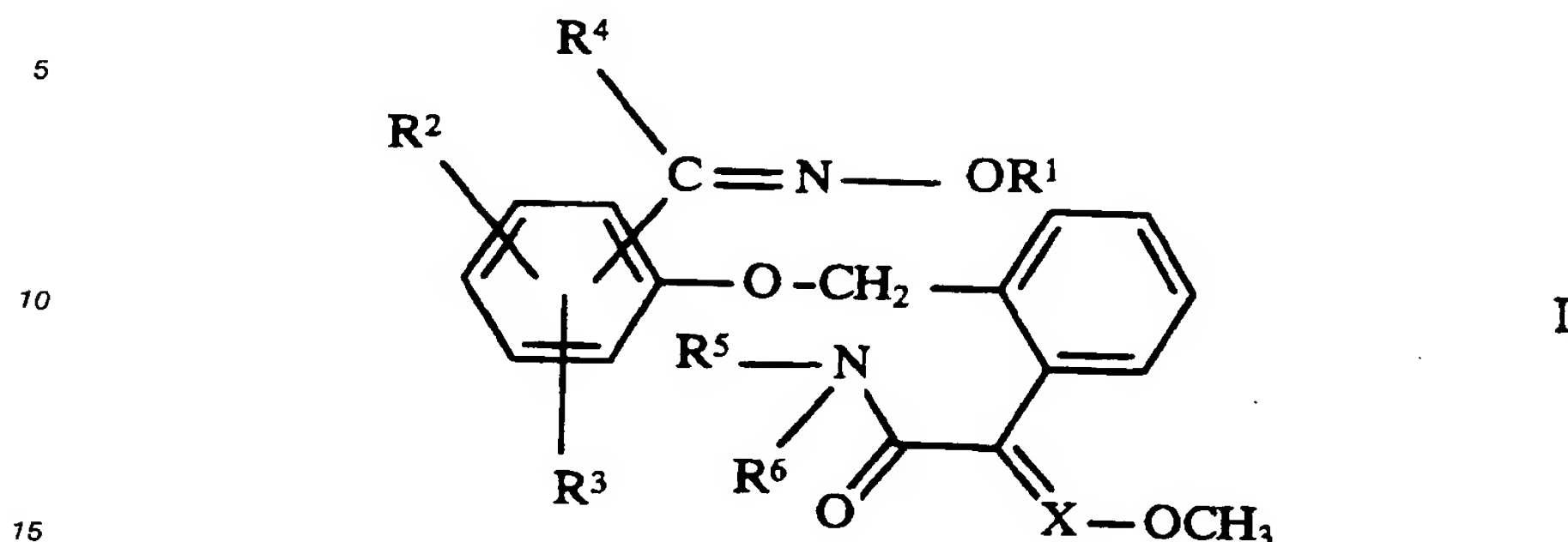
Wasserstoff, Alkyl, Cycloalkyl, Halogenalkyl oder Aryl bedeutet, wobei der aromatische Ring gegebenenfalls substituiert ist und

R⁵ und R⁶

gleich oder verschieden sind und Wasserstoff oder Alkyl bedeuten, und

X CH oder N bedeutet und diese Verbindungen enthaltende Fungizide und Schädlingsbekämpfungsmittel.

Die vorliegende Erfindung betrifft neue substituierte Oximether der allgemeinen Formel I,



in der
R¹

20 C₁-C₆-Alkyl, C₃-C₆-Alkenyl, C₃-C₄-Alkynyl, C₁-C₆-Halogenalkyl, C₃-C₆-Halogenalkenyl, C₁-C₄-Alkoxy-C₁-C₆-alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₄-alkyl, Cyan-C₁-C₆-alkyl, C₁-C₆-Alkoxy-carbonyl-C₁-C₆-alkyl, Aryl-C₁-C₆-alkyl, Heteroaryl-C₁-C₆-alkyl, Aryl-C₃-C₆-alkenyl oder Aryloxy-C₁-C₆-alkyl bedeutet, wobei der
aromatische oder heteroaromatische Ring gegebenenfalls durch einen oder mehrere der folgenden Reste
substituiert ist: C₁-C₄-Alkyl, C₁-C₂-Halogenalkyl, C₃-C₆-Cycloalkyl, C₁-C₄-Alkoxy, C₁-C₂-Halogenalkoxy,
25 Halogen, Aryl, Aryloxy,
R² und R³

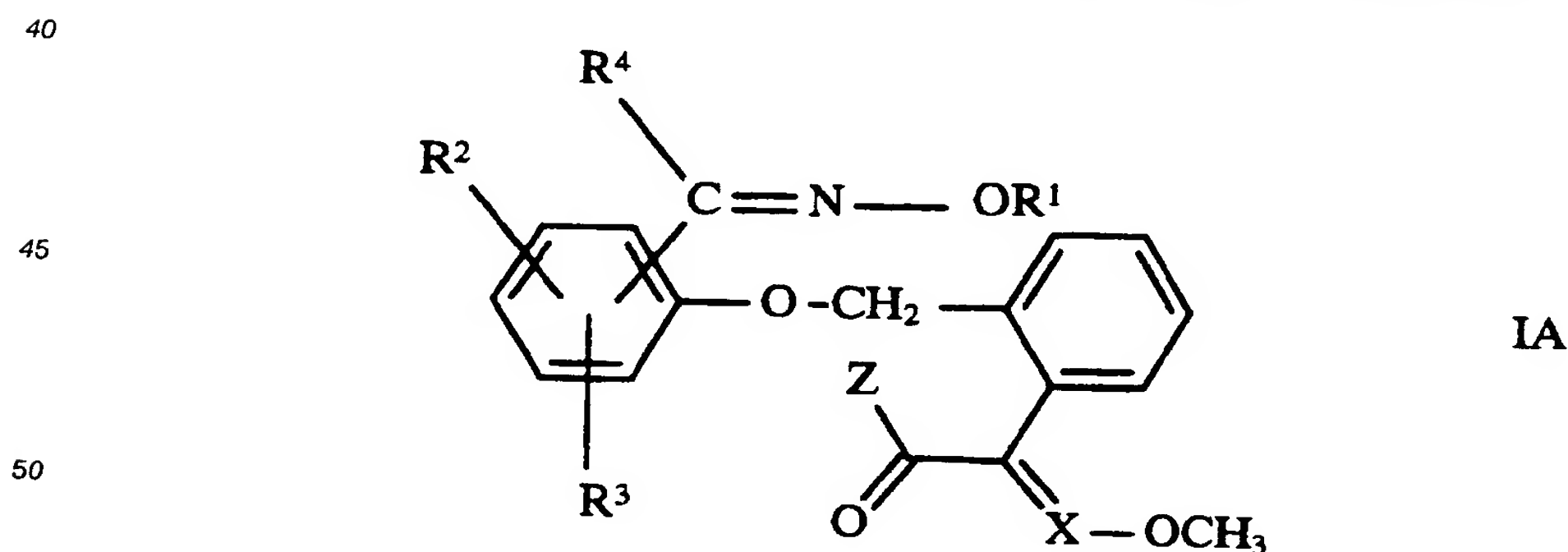
gleich oder verschiedenen sind und Wasserstoff, C₁-C₄-Alkyl, C₁-C₂-Halogenalkyl, C₁-C₄-Alkoxy, C₁-C₂-Halogenalkoxy, Halogen, Cyano oder Nitro bedeuten,
R⁴

30 Wasserstoff, C₁-C₆-Alkyl, C₁-C₆-Cycloalkyl, C₁-C₇-Halogenalkyl oder Aryl bedeutet, wobei der aromatische Ring gegebenenfalls durch einen oder mehrere der folgenden Reste substituiert ist: C₁-C₄-Alkyl, C₁-C₂-Halogenalkyl, C₁-C₂-Halogenalkoxy, Halogen, Cyano oder Nitro,
R⁵ und R⁶

gleich oder verschieden sind und Wasserstoff oder C₁-C₄-Alkyl bedeuten, und

35 X CH oder N bedeutet.

Außerdem betrifft die Erfindung Verfahren und Zwischenprodukte zur Herstellung der Verbindungen I, sie enthaltende Mittel zur Bekämpfung von Schadpilzen und deren Verwendung, sie enthaltende Mittel zur Bekämpfung von Schädlingen sowie die Verwendung von Verbindungen der allgemeinen Formel IA,



55 in der R¹, R², R³, R⁴ und X die in Anspruch 1 gegebene Bedeutung haben und Z für eine Gruppe NR⁵R⁶ oder OR⁷ steht, wobei R⁵ und R⁶ die vorstehend gegebene Bedeutung haben und R⁷ für C₁-C₄-Alkyl steht, zur Bekämpfung von Schädlingen.

Es ist bekannt, Oximether wie zum Beispiel das 2-(2'-Methyl-phenoxy-methyl)-phenyl-glyoxylsäuremethylester-O-methyloxim oder das 2-(2'-Methyl-4'-(methoximinoeth-1''-yl)-phenoxy-methyl)-

phenyl-glyoxylsäuremethylester-O-methyloxim als Fungizide zu verwenden (EP-A 253 213; EP-A 398 692).

Des weiteren sind aus der EP-A 386 561 Verbindungen der Formel IA, in denen Z für die Methoxygruppe steht, als fungizide Wirkstoffe bekannt.

Aufgabe der vorliegenden Erfindung waren neue Verbindungen mit verbesserter und breiterer Anwendbarkeit im Pflanzenschutz.

Demgemäß wurden die eingangs definierten Verbindungen I, Verfahren und Zwischenprodukte zu ihrer Herstellung sowie sie enthaltende Mittel und deren Verwendung zur Bekämpfung von Schadpilzen sowie Mittel zur Bekämpfung von Schädlingen und die Verwendung der eingangs definierten Verbindungen der Formel IA zur Bekämpfung von Schädlingen gefunden.

Die in den allgemeinen Formeln I und IA aufgeführten Reste können beispielsweise folgende Bedeutung haben:

R¹

kann z.B. C₁-C₆-Alkyl (C₁-C₄-Alkyl) (z.B. Methyl, Ethyl, n- oder iso-Propyl, n-, iso-, sec.- oder tert.-Butyl, n-, iso-, sec.-, tert.-oder neo-Pentyl, Hexyl), C₃-C₆-Alkenyl (z.B. Allyl, 2-Butenyl, 3-Butenyl, 1-Methyl-2-propenyl, 2-Methyl-2-propenyl), C₃-C₄-Alkynyl (z.B. Propargyl, 2-Butinyl), C₁-C₆-Halogenalkyl, (z.B. 2-Fluorethyl), C₃-C₆-Halogenalkenyl (z.B. 3-Chlorallyl), C₁-C₄-Alkoxy-C₁-C₆-alkyl (z.B. 2-Methoxyethyl, 3-Ethoxypropyl), C₃-C₆-Cycloalkyl (z.B. Cyclopropyl, Cyclobutyl, Cyclopentyl, Cyclohexyl), C₃-C₆-Cycloalkyl-C₁-C₄-alkyl (z.B. Cyclopropylmethyl, Cyclohexylmethyl), Cyan-C₁-C₆-alkyl (z.B. Cyanmethyl, 3-Cyanpropyl), C₁-C₆-Alkoxy-carbonyl-C₁-C₆-alkyl (z.B. Ethoxycarbonylmethyl, tert.-Butoxycarbonylmethyl, tert.-Butoxycarbonylpropyl), Aryl-(Phenyl)-C₁-C₆-alkyl (z.B. Benzyl, 2-Phenylethyl, 3-Phenylpropyl, 4-Phenylbutyl), Heteroaryl-(Pyridyl, Thienyl)-C₁-C₆-alkyl (z.B. Pyrid-3-yl-methyl, Thien-2-yl-methyl), Aryl-(Phenyl)-C₃-C₆-alkenyl (z.B. 4-Phenyl-2-butenyl, 4-Phenyl-3-butenyl), Aryloxy(Phenoxy)-C₁-C₆-alkyl (z.B. Phenoxyethyl, Phenoxypropyl, Phenoxybutyl, Naphthoxyethyl, Naphthoxypropyl) sein, wobei der aromatische (Phenyl) oder heteroaromatische (Pyridyl, Thienyl) Ring gegebenenfalls durch einen oder mehrere z.B. 1 bis 5, insbesondere 1 bis 3 der folgenden Reste substituiert ist:

R² und R³

können gleich oder verschieden sein und Wasserstoff, C₁-C₄-Alkyl (z.B. Methyl, Ethyl, n- oder iso-Propyl, Butyl), C₁-C₂-Halogenalkyl, (z.B. Trifluormethyl, Trichlormethyl), C₁-C₄-Alkoxy (z.B. Methoxy, Ethoxy, n- oder iso-Propoxy, Butoxy), C₁-C₂-Halogenalkoxy (z. B. Trifluormethoxy), Halogen (z.B. Fluor, Chlor, Brom, Jod), Cyano oder Nitro sein,

R⁴

kann z. B. C₁-C₆-Alkyl, (C₁-C₄-Alkyl) (z.B. Methyl, Ethyl, n- oder iso-Propyl, n-, iso-, sec.- oder tert.-Butyl, n-, iso-, sec.-tert. oder neo-Pentyl, Hexyl), C₁-C₇-Halogenalkyl (z.B. Trifluormethyl, Trichlormethyl, Chlormethyl, 2-Chlorethyl, 3-Chlorpropyl, 3-Brompropyl, 4-Chlorbutyl, 4-Brombutyl, 5-Chlorpentyl, 5-Brompentyl, 6-Chlorhexyl, 6-Bromhexyl), C₃-C₆-Cycloalkyl (z.B. Cyclopropyl, Cyclobutyl, Cyclopentyl und Cyclohexyl) sein oder Aryl (z.B. Phenyl) sein, wobei der aromatische Ring gegebenenfalls durch einen oder mehrere z.B. 1 bis 5, insbesondere 1 bis 3 der folgenden Reste substituiert ist: C₁-C₄-Alkyl (z.B. Methyl, Ethyl, Propyl, Butyl), C₁-C₂-Halogenalkyl (z.B. Trifluormethyl, Trichlormethyl), C₁-C₄-Alkoxy (z.B. Methoxy, Ethoxy, Propoxy, Butoxy), C₁-C₂-Halogenalkoxy (z.B. Difluormethoxy, Trifluormethoxy), Halogen (z.B. Fluor, Chlor, Brom, Jod) Cyano oder Nitro.

R⁵ und R⁶

können gleich oder verschieden sein und Wasserstoff oder C₁-C₄-Alkyl (z. B. Methyl, Ethyl, n- oder iso-Propyl, Butyl) sein. Bevorzugt sind Verbindungen mit R⁵ = Wasserstoff und R⁶ = Methyl,

X kann CH oder N bedeuten und

R⁷ kann C₁-C₄-Alkyl wie vorstehend genannt, insbesondere Methyl bedeuten.

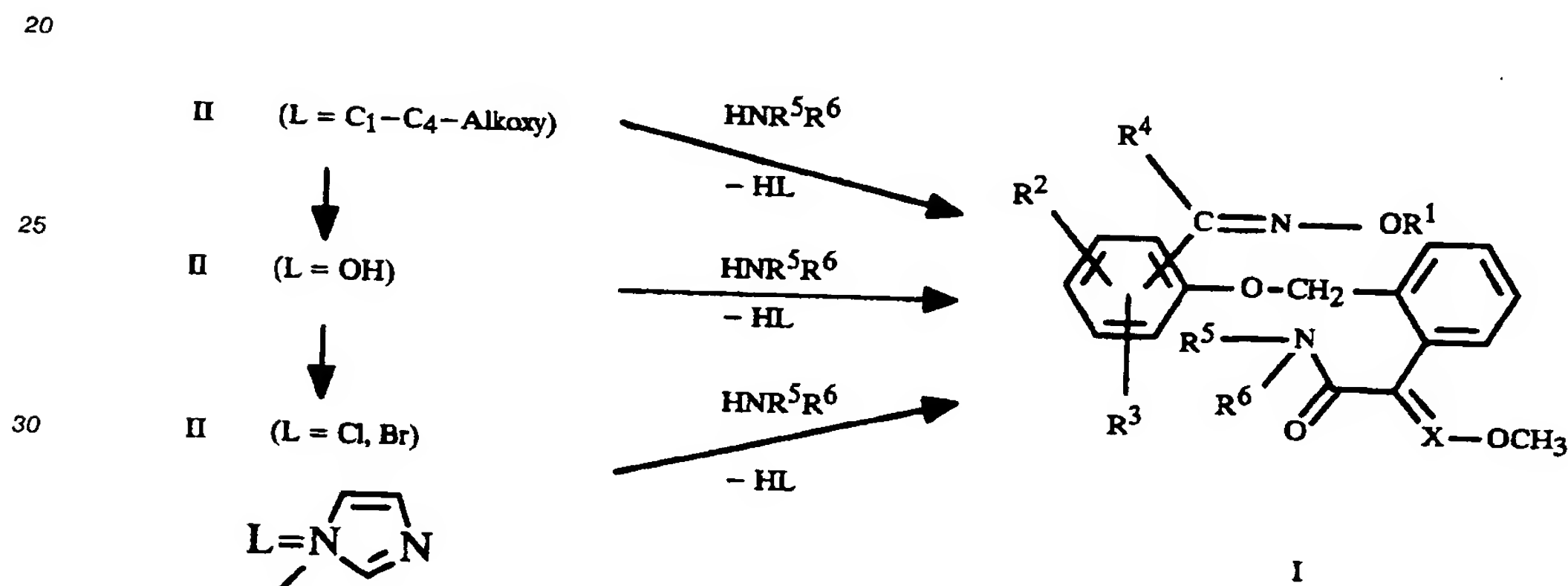
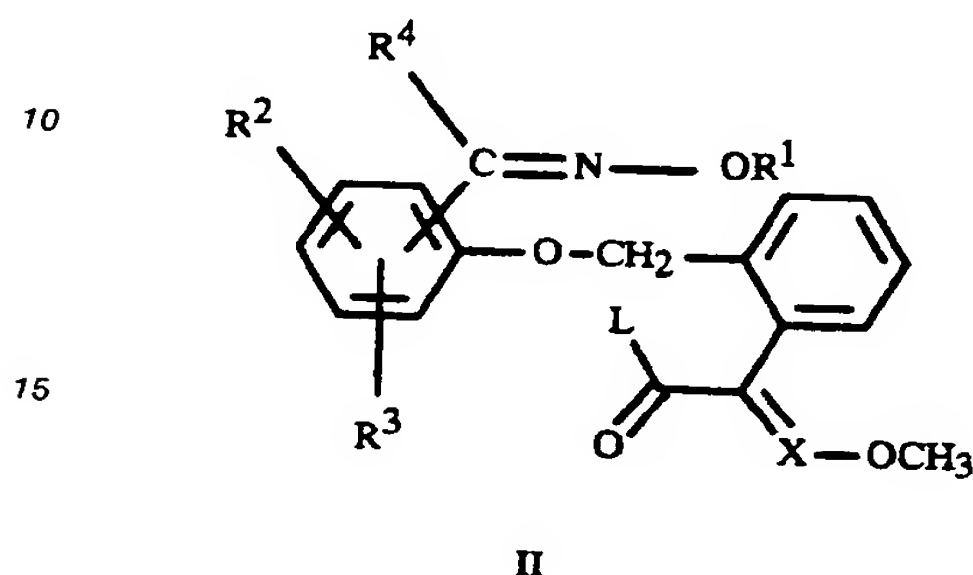
Der Rest -C(R⁴)=N-O-R¹ kann am Phenylrest im Hinblick auf den Rest -O-CH₂- in 2-, oder in 3- oder bevorzugt in 4-Stellung stehen.

Die neuen Verbindungen der allgemeinen Formel I bzw. IA können bei der Herstellung aufgrund der C=C- bzw. C=N-Doppelbindungen als E/Z-Isomerengemische anfallen. Diese können in der üblichen Weise, z.B. durch Kristallisation oder Chromatographie, in die einzelnen Komponenten getrennt werden.

Sowohl die einzelnen isomeren Verbindungen als auch ihre Gemische werden von der Erfindung umfaßt und sind als Fungizide und Schädlingsbekämpfungsmittel brauchbar. Bezüglich der Gruppierung -C(CONR⁵R⁶)=X-OCH₃ sind diejenigen Verbindungen bevorzugt, in denen die Gruppen CONR⁵R⁶ und OCH₃ an der C=X-Doppelbindung E-Konfiguration besitzen. Bezüglich der Gruppierung -C(R⁴)=N-OR¹

sind diejenigen Verbindungen bevorzugt, in denen R^4 und OR^1 an der $C=N$ -Doppelbindung cis-ständig sind und in denen deshalb bei kleinen Substituenten wie z.B. Methyl die $C=N$ -Doppelbindung E-Konfiguration hat.

Die Darstellung der neuen Verbindungen der Formel I erfolgt beispielsweise so, daß man einen substituierten Oximether der allgemeinen Formel II, wobei $L = C_1-C_4$ -Alkoxy, Hydroxy oder Halogen wie Chlor oder Brom bedeutet, mit einem primären oder sekundären Amin der Formel HNR^5R^6 umsetzt.



Verbindungen der Formel II, wobei $L = C_1-C_4$ -Alkoxy bedeutet, sind aus EP 386561 bekannt oder können analog zu dort beschriebenen Verfahren hergestellt werden. Daraus lassen sich leicht die entsprechenden Carbonsäuren II ($L = OH$) nach gängigen Verfahren (s. z.B. Houben Weyl, Bd E 5, S. 223 - 254; Org. Reactions 24, (1976), S. 187 - 224) herstellen. Diese können anschließend in aktivierte Carbonsäurederivate wie etwa die Säureimidazole II mit $L =$ Imidazol-1-yl oder die Säurehalogenide II mit $L = Cl, Br$ überführt werden (s. z.B. Houben Weyl, Bd VIII, S. 463 ff). Aus den Verbindungen der Formel II resultieren durch Umsetzung mit primären oder sekundären Aminen HNR^5R^6 die entsprechenden Amide der allgemeinen Formel I (s. z.B. Houben Weyl, Bd E 5, S. 941 - 977, S. 983 - 991; Houben Weyl, Bd VIII, S. 654 ff).

$R^1, R^2, R^3, R^4, R^5, R^6$ und X haben die oben genannten Bedeutungen.

Die Verbindungen der Formel IA, in der $Z OR^7$ bedeutet, sind aus der EP-A 386 561 bekannt oder lassen sich nach den dort beschriebenen Methoden herstellen.

Die folgenden Beispiele und Vorschriften sollen die Herstellung der neuen Wirkstoffe und ihrer Vorprodukte erläutern.

Herstellungsbeispiel 1

2-[2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl]-phenylglyoxylsäure-methylamid-O-methyloxim

a) 225,3 g (1,5 mol) 4-Hydroxy-3-methyl-acetophenon werden in 600 ml trockenem Methanol gelöst. 150,3 g (1,8 mol) Methoxyaminhydrochlorid und 100 g Molekularsieb werden zugesetzt. Es wird 12 Stunden bei Raumtemperatur ($20^\circ C$) gerührt. Das Molekularsieb wird abfiltriert. Das Filtrat wird eingengt. Der verbleibende Rückstand wird in Dichlormethan aufgenommen. Die organische Phase wird mit Wasser gewaschen, getrocknet und eingengt. Das erhaltene Festprodukt wird mit Pentan gewaschen

und anschließend getrocknet. Man erhält 252 g (94 %) 4-Hydroxy-2-methyl-acetophenon-O-methyloxim in Form eines farblosen kristallinen Feststoffs (Fp.: 96 - 98 °C).

b) 89,6 g (0,5 mol) 4-Hydroxy-3-methyl-acetophenon-O-methyloxim werden unter Stickstoff in 300 ml trockenem Methanol vorgelegt. 90 g (0,5 mol) einer 30 % (Gew.-%) Natriummethanolat-Lösung werden zugetropft. Nach 2 Stunden wird das Methanol abdestilliert. Der Rückstand wird in 700 ml Dimethylformamid gelöst. 15 g Kaliumjodid werden zugesetzt. Anschließend wird bei Raumtemperatur unter Stickstoff eine Lösung von 151,6 g (0,53 mol) 2-(Brommethyl)-phenylglyoxylsäuremethylester-O-methyloxim in 300 ml Methanol zugetropft. Nach etwa 10 Stunden Rühren bei Raumtemperatur wird auf etwa 10 °C abgekühlt und es wird Wasser zugetropft. Der entstandene Niederschlag wird abfiltriert, mit Wasser und Pentan nachgewaschen und getrocknet. Man erhält 153,7 g (80 %) 2-[2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl]-phenylglyoxylsäuremethylester-O-methyloxim als farblosen kristallinen Feststoff (Fp.: 138 - 140 °C).

c) 4,8 g (0,012 mol) 2-[2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl]-phenylglyoxylsäuremethylester-O-methyloxim werden in 32 ml Tetrahydrofuran gelöst und mit 3,6 g (0,047 mol) einer 40 proz. wässrigen Methylaminlösung versetzt. Das Reaktionsgemisch wird anschließend für 6 Stunden bei 40 °C gerührt. Anschließend wird eingeeengt. Der Rückstand wird in Methyl-tert-butylether aufgenommen. Die organische Phase wird mit Wasser gewaschen, getrocknet und erneut eingeeengt. Das verbleibende Rohprodukt wird über eine Kieselgel-Säule (Cyclohexan/ Essigsäureethylester = 1/1) chromatographisch gereinigt. Man erhält 3,2 g (67 %) 2-[2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl]-phenylglyoxylsäure-methylamid-O-methyloxim in Form farbloser Kristalle (Fp.: 104-105 °C, Verbindung I.007).

Herstellungsbeispiel 2

α-[2-(2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl)-phenyl]-β-methoxy-acrylsäure-methylamid

a) α-(2-Brommethylphenyl)-β-methoxy-acrylsäuremethylester und 4-Hydroxy-3-methyl-acetophenon-O-methyloxim werden analog Vorschrift b) (Beispiel 1) zu α-[2-(2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl)-phenyl]-β-methoxy-acrylsäure-methylester umgesetzt. Die Verbindung fällt als farbloser Feststoff (Fp.: 118 - 120 °C) an.

b) 3 g (0,0078 mol) α-[2-(2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl)-phenyl]-β-methoxy-acrylsäure-methylester werden in 15 ml trockenem Pyridin gelöst. Man setzt 5,2 g (0,039 mol) wasserfreies Lithiumjodid zu und rührt für 8 Stunden bei 130 °C. Die Reaktionsmischung wird eingeeengt. Der Rückstand wird in Wasser aufgenommen. Die wässrige Phase wird zunächst mit Methyl-tert-butylether gewaschen und anschließend mit Salzsäure angesäuert. Dann wird die wässrige Phase mit Methyl-tert-butylether extrahiert. Die Methyl-tert-butylether-Phase wird mit Wasser gewaschen, über Natriumsulfat getrocknet und eingeeengt. Man erhält 2,1 g α-[2-(2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl)-phenyl]-β-methoxyacrylsäure als dunkles Harz, das ohne weitere Reinigung für die Folgereaktionen eingesetzt wird.

c) 2,1 g (0,0056 mol) α-[2-(2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl)-phenyl]-β-methoxy-acrylsäure und 0,53 g Pyridin werden in 10 ml trockenem Diethylether vorgelegt. Bei 0 - 5 °C wird 0,8 g (0,0067 mol) Thionylchlorid zugetropft und für 10 Stunden bei Raumtemperatur gerührt. Es wird filtriert. Das Filtrat wird eingeeengt. Man erhält 2 g α-[2-(2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl)-phenyl]-β-methoxy-acrylsäurechlorid als dunkles Öl, das ohne weitere Reinigung für die Folgereaktionen eingesetzt wird.

d) 1 g (0,0026 mol) α-[2-(2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl)-phenyl]-β-methoxy-acrylsäurechlorid werden in 10 ml Dichlormethan vorgelegt. Man tropft bei 0 - 5 °C eine Lösung aus 1 g (0,032 mol) Methylamin in 10 ml Dichlormethan zu. Es wird 10 Stunden bei Raumtemperatur gerührt. Das Reaktionsgemisch wird in 20 ml Dichlormethan aufgenommen, mit Wasser gewaschen, getrocknet und eingeeengt. Das verbleibende Rohprodukt wird über eine Kieselgel-Säule (n-Hexan/Aceton = 2/1) und chromatographisch gereinigt. Man erhält 0,5 g (50 %) α-[2-(2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxyethyl)-phenyl]-β-methoxy-acrylsäure-methylamid in Form farbloser Kristalle (Fp.: 96 - 98 °C, Verbindung I.006).

In entsprechender Weise lassen sich die in der folgenden Tabelle zusammengestellten Verbindungen I bzw. die Verbindungen IA, in denen Z NR⁵R⁶ bedeutet, herstellen. Die Verbindungen IA, in denen Z OR⁷ bedeutet, sind gemäß den Angaben der EP-A 386 561 erhältlich. Sie sind ebenfalls in der folgenden Tabelle aufgeführt.

In den daran anschließenden Tabellen 1, 2, 5-11 und 18-22 sind diejenigen Verbindungen I bzw. die Verbindungen IA, in denen Z NR⁵R⁶ bedeutet, zusammengestellt, denen im Hinblick auf ihre biologische Wirksamkeit gegen Schädlinge (pflanzenpathogene Pilze sowie Insekten, Spinnentiere und Nematoden) eine besondere Bedeutung zukommt.

5 Desweiteren sind in den anschließenden Tabellen 3, 4, 12-17 und 23-27 diejenigen Verbindungen IA, in denen Z OR⁷ bedeutet, zusammengestellt, denen im Hinblick auf ihre biologische Wirksamkeit gegen tierische Schädlinge (Insekten, Spinnentiere und Nematoden) eine besondere Bedeutung zukommt.

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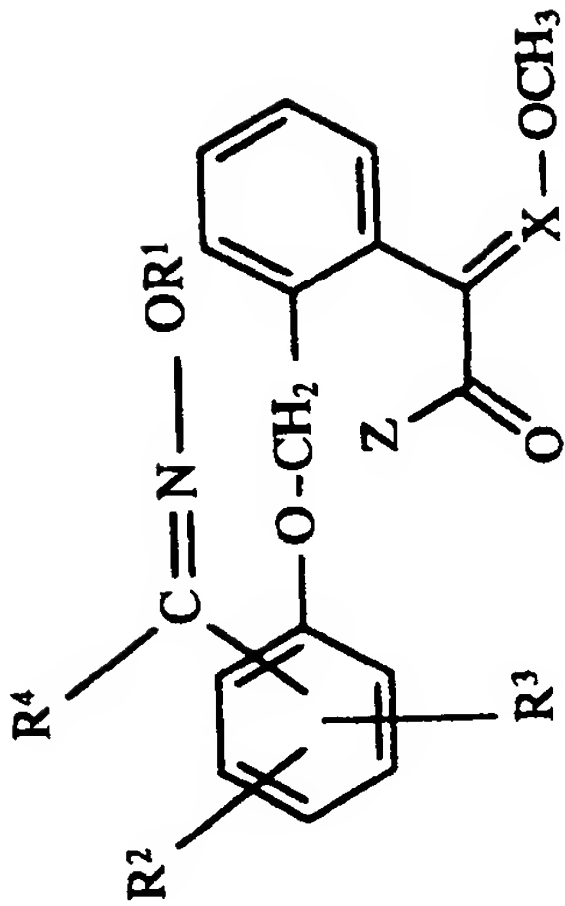
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| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|-------------------------------|----------------|----------------|----------------|-----------------|-------------------|---|---|
| I. 001 | CH ₃ | H | H | 3 | CH ₃ | NHCH ₃ | N | δ 1 (E;E) ¹ H-NMR (ppm): 2.19 (s, 3H); 2.86 (d, 3H); 3.93 (s, 3H); 3.99 (s, 3H); 4.95 (s, 2H); 6.7 (sbr, 1H), 6.84-7.52 (m, 8H) |
| I. 002 | C ₂ H ₅ | H | H | 3 | CH ₃ | NHCH ₃ | N | δ 1 (E;E) ¹ H-NMR (ppm): 1.13 (t, 3H); 2.29 (s, 3H); 2.85 (d, 3H); 3.93 (s, 3H); 4.23 (q, 2H); 4.96 (s, 2H); 6.75 (sbr, 1H); 6.86-7.55 (m, 8H) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|-------|------------------------------------|--------------------|-------------------|----------------|-----------------|-------------------|----|--|
| I.003 | CH ₂ CH=CH ₂ | H | H | 3 | CH ₃ | NHCH ₃ | N | Öl (E;E) ¹ H-NMR (ppm): 2.2 (d, 3H); 2.86 (d, 3H); 3.92 (s, 3H); 4.69 (m, 2H); 4.96 (s, 2H); 5.17-5.38 (m, 2H); 5.96-6.17 (m, 1H); 6.37 (sbr, 1H); 6.84-7.54 (m, 8H) |
| I.004 | CH(CH ₃) ₂ | H | H | 3 | CH ₃ | NHCH ₃ | N | Fp: 101-103°C (E;E) |
| I.005 | CH ₃ | 2-Cl | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 123-124°C (E;E) |
| I.006 | CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | CH | Fp: 96- 98°C (E;E) |
| I.007 | CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 104-105°C (E;E) |
| I.008 | CH ₃ | 2-OCH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 78- 80°C (E;E) |
| I.009 | CH ₃ | 3-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 89- 90°C (E;E) |
| I.010 | C ₂ H ₅ | 2-Cl | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 107-108°C (E;E) |
| I.011 | C ₂ H ₅ | 2-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 92- 94°C (E;E) |
| I.012 | C ₂ H ₅ | 2-OCH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 78- 81°C (E;E) |
| I.013 | C ₂ H ₅ | 3-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Harz (E;E) |
| I.014 | CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Öl (E;E) ¹ H-NMR (ppm): 2.13 (s, 3H); 2.18 (s, 3H); 2.28 (s, 3H); 2.87 (d, 3H); 3.95 ("s", 6H); 4.92 (s, 2H); 6.61 (s, 1H); 6.72 (sbr, 1H); 7.00 (s, 1H); 7.19-7.56 (m, 4H) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|-------|---|-------------------|-------------------|----------------|-----------------------------------|-------------------|---|---|
| I.015 | CH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | NHCH ₃ | N | Öl (E;E) ¹ H-NMR (ppm): 1.1 (t, 3H); 2.23 (s, 3H); 2.7 (q, 2H); 2.86 (d, 3H); 3.93 (s, 3H); 3.96 (s, 3H) 4.98 (s, 2H); 6.72 (sbr, 1H); 6.75-7.55 (m, 7H) |
| I.016 | CH ₂ CH=CH ₂ | 2-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 98-106°C (E;E) |
| I.017 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Harz (E;E) ¹ H-NMR (ppm): 1.3 (t, 3H); 2.15 (s, 3H); 2.17 (s, 3H); 2.29 (s, 3H); 2.87 (d, 3H); 3.95 (s, 3H); 4.18 (q, 2H); 4.94 (s, 2H); 6.61 (s, 1H); 6.72 (sbr, 1H); 6.99 (s, 1H); 7.2-7.57 (m, 4H) |
| I.018 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 72- 73°C (E;E) |
| I.019 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 54- 56°C (E;E) |
| I.020 | (CH ₂) ₅ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 96- 98°C (E;E) |
| I.021 | CH ₂ CH=CH ₂ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Fp: 61- 63°C (E;E) |
| I.022 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Fp: 49- 52°C (E;E) |
| I.023 | CH ₂ CH=CH ₂ | 2-CH ₃ | H | 4 | C ₂ H ₅ | NHCH ₃ | N | Fp: 71- 73°C (E;E) |
| I.024 | CH ₃ | 2-CH ₃ | H | 4 | CH(CH ₃) ₂ | NHCH ₃ | N | Harz (E;E) |
| I.025 | C ₂ H ₅ | 2-CH ₃ | H | 4 | C ₂ H ₅ | NHCH ₃ | N | Fp: 94- 96°C (E;E) |
| I.026 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Fp: 76- 79°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|--|-------------------|-------------------|----------------|---|-------------------|---|---------------------|
| I. 027 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | NHCH ₃ | N | Fp: 86- 88°C (E;E) |
| I. 028 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Harz (E;E) |
| I. 029 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | NHCH ₃ | N | Harz (E;E) |
| I. 030 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | NHCH ₃ | N | Fp: 74- 76°C (E;E) |
| I. 031 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Fp: 87- 89°C (E;E) |
| I. 032 | CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | NHCH ₃ | N | Harz (E;E) |
| I. 033 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | NHCH ₃ | N | Harz (E;E) |
| I. 034 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | NHCH ₃ | N | Fp: 58- 66°C (E;E) |
| I. 035 | CH ₂ CH=CH ₂ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | NHCH ₃ | N | Harz (E;E) |
| I. 036 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | NHCH ₃ | N | Harz (E;E) |
| I. 037 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | NHCH ₃ | N | Fp: 58- 60°C (E;E) |
| I. 038 | (CH ₂) ₂ CH ₃ | 2-Cl | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 84- 86°C (E;E) |
| I. 039 | (CH ₂) ₃ CH ₃ | 2-Cl | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 92- 94°C (E;E) |
| I. 040 | CH ₂ CH=CH ₂ | 2-Cl | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 80- 82°C (E;E) |
| I. 041 | CH ₃ | 2-CH ₃ | H | 4 | (CH ₂) ₂ CH ₃ | NHCH ₃ | N | Fp: 105-107°C (E;E) |
| I. 042 | (CH ₂) ₅ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Fp: 52- 54°C (E;E) |
| I. 043 | CH ₂ C≡CH | 2-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 142-144°C (E;E) |
| I. 044 | CH ₂ C≡CH | 2-CH ₃ | H | 4 | C ₂ H ₅ | NHCH ₃ | N | Fp: 88- 90°C (E;E) |
| I. 045 | CH ₂ C≡CH | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Fp: 99-101°C (E;E) |
| I. 046 | CH ₂ CH=CHCl | 2-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 67- 69°C (E;E) |
| I. 047 | CH ₂ CH=CHCl | 2-CH ₃ | H | 4 | C ₂ H ₅ | NHCH ₃ | N | Fp: 108-110°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|---|--------------------|-------------------|----------------|-------------------------------|-------------------|----|--|
| I. 048 | CH ₂ CH=CHCl | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Fp: 110-112°C (E;E) |
| I. 049 | CH ₂ CN | 2-CH ₃ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 114-116°C (E;E) |
| I. 050 | CH ₂ CN | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Fp: 104-106°C (E;E) |
| I. 051 | CH ₃ | 2-CH ₃ | H | 4 | C ₆ H ₅ | NHCH ₃ | N | Harz (E;E) |
| I. 052 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | C ₆ H ₅ | NHCH ₃ | N | Harz (E;E) |
| I. 053 | CH ₃ | H | H | 2 | H | OCH ₃ | CH | Fp: 82- 84°C (E;E) |
| I. 054 | CH ₃ | H | H | 2 | H | OCH ₃ | N | Fp: 73- 76°C (E;E) |
| I. 055 | C ₂ H ₅ | H | H | 2 | H | OCH ₃ | CH | Fp: 86- 88°C (E;E) |
| I. 056 | C ₂ H ₅ | H | H | 2 | H | OCH ₃ | N | Fp: 89- 90°C (E;E) |
| I. 057 | C ₂ H ₅ | 4-Cl | H | 2 | H | OCH ₃ | CH | Fp: 95- 97°C (E;E) |
| I. 058 | CH ₃ | H | H | 3 | H | OCH ₃ | CH | Fp: 75- 77°C (E;E) |
| I. 059 | CH ₃ | H | H | 3 | H | OCH ₃ | N | Öl (E;E) |
| I. 060 | C ₂ H ₅ | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) ¹ H-NMR (ppm): 1.28 (t, 3H); 3.69 (s, 3H); 3.73 (s, 3H); 4.20 (q, 2H); 4.97 (s, 2H); 6.85-7.53 (m, 8H); 7.57 (s, 1H); 8.0 (s, 1H) |
| I. 061 | C ₂ H ₅ | H | H | 3 | H | OCH ₃ | N | Öl (E;E) |
| I. 062 | C ₂ H ₅ | 6-OCH ₃ | H | 3 | H | OCH ₃ | CH | Fp: 96- 98°C (E;E) |
| I. 063 | C ₂ H ₅ | 6-OCH ₃ | H | 3 | H | OCH ₃ | N | Fp: 124-126°C (E;E) |
| I. 064 | CH ₂ CH=CH ₂ | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) |
| I. 065 | CH ₂ CH=CH ₂ | H | H | 3 | H | OCH ₃ | N | Öl (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|--|----------------------------------|----------------|----------------|----------------|------------------|----|---------------------|
| I. 066 | CH(CH ₃) ₂ | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) |
| I. 067 | CH(CH ₃) ₂ | H | H | 3 | H | OCH ₃ | N | Öl (E;E) |
| I. 068 | (CH ₂) ₃ CH ₃ | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) |
| I. 069 | (CH ₂) ₃ CH ₃ | H | H | 3 | H | OCH ₃ | N | Öl (E;E) |
| I. 070 | (CH ₂) ₅ CH ₃ | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) |
| I. 071 | (CH ₂) ₅ CH ₃ | H | H | 3 | H | OCH ₃ | N | Öl (E;E) |
| I. 072 | CH ₂ C ₆ H ₅ | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) |
| I. 073 | CH ₂ C ₆ H ₅ | H | H | 3 | H | OCH ₃ | N | Öl (E;E) |
| I. 074 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | 3 | H | OCH ₃ | CH | Fp: 83- 85°C (E;E) |
| I. 075 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | 3 | H | OCH ₃ | N | Fp: 104-106°C (E;E) |
| I. 076 | (CH ₂) ₄ CH ₃ | H | H | 3 | H | OCH ₃ | N | Öl (E;E) |
| I. 077 | CH ₂ -(2-F-C ₆ H ₄) | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) |
| I. 078 | CH ₂ -(2-F-C ₆ H ₄) | H | H | 3 | H | OCH ₃ | N | Öl (E;E) |
| I. 079 | CH ₂ -(3-F-C ₆ H ₄) | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) |
| I. 080 | CH ₂ -(3-F-C ₆ H ₄) | H | H | 3 | H | OCH ₃ | N | Öl (E;E) |
| I. 081 | CH ₂ -(2-Cl-C ₆ H ₄) | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) |
| I. 082 | (3,4-Cl ₂ -C ₆ H ₃)- -CH ₂ | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) |
| I. 083 | (3,4-Cl ₂ -C ₆ H ₃)- -CH ₂ | H | H | 3 | H | OCH ₃ | N | Öl (E;E) |
| I. 084 | (2,6-Cl ₂ -C ₆ H ₃)- -CH ₂ | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|---|----------------|----------------|----------------|-----------------|------------------|----|--|
| I. 085 | (2,6-Cl ₂ -C ₆ H ₃)- -CH ₂ | H | H | 3 | H | OCH ₃ | N | Ö1 (E;E) |
| I. 086 | (CH ₂) ₂ C ₆ H ₅ | H | H | 3 | H | OCH ₃ | CH | Ö1 (E;E) |
| I. 087 | (CH ₂) ₂ C ₆ H ₅ | H | H | 3 | H | OCH ₃ | N | Ö1 (E;E) |
| I. 088 | (CH ₂) ₂ CH=CHC ₆ H ₅ | H | H | 3 | H | OCH ₃ | CH | Ö1 (E;E) |
| I. 089 | (CH ₂) ₂ CH=CHC ₆ H ₅ | H | H | 3 | H | OCH ₃ | N | Ö1 (E;E) |
| I. 090 | (4-Cl-C ₆ H ₄)- -CH ₂ CH=CHCH ₂ | H | H | 3 | H | OCH ₃ | CH | Ö1 (E;E) |
| I. 091 | (4-Cl-C ₆ H ₄)- -CH ₂ CH=CHCH ₂ | H | H | 3 | H | OCH ₃ | N | Ö1 (E;E) |
| I. 092 | (4-CF ₃ -C ₆ H ₄)- -CH ₂ CH=CHCH ₂ | H | H | 3 | H | OCH ₃ | CH | Ö1 (E;E) |
| I. 093 | (4-CF ₃ -C ₆ H ₄)- -CH ₂ CH=CHCH ₂ | H | H | 3 | H | OCH ₃ | N | Ö1 (E;E) |
| I. 094 | CH ₃ | H | H | 3 | CH ₃ | OCH ₃ | CH | Ö1 (E;E) |
| I. 095 | CH ₃ | H | H | 3 | CH ₃ | OCH ₃ | N | Ö1 (E;E) |
| I. 096 | C ₂ H ₅ | H | H | 3 | CH ₃ | OCH ₃ | CH | Ö1 (E;E) ¹ H-NMR (ppm): 1.32 (t, 3H); 2.18 (s, 3H); 3.68 (s, 3H); 3.77 (s, 3H); 4.22 (q, 2H); 4.97 (s, 2H); 6.83-7.53 (m, 8H); 7.55 (s, 1H) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|---|----------------|----------------|----------------|-----------------|------------------|----|--|
| I. 097 | C ₂ H ₅ | H | H | 3 | CH ₃ | OCH ₃ | N | Öl (E;E) ¹ H-NMR (ppm): 1.32 (t, 3H); 2.17 (s, 3H); 3.82 (s, 3H); 4.0 (s, 3H); 4.23 (q, 4H); 4.97 (s, 2H); 6.83-7.57 (m, 8H) |
| I. 098 | (CH ₂) ₂ CH ₃ | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 099 | (CH ₂) ₂ CH ₃ | H | H | 3 | CH ₃ | OCH ₃ | N | Fp: 73- 74°C (E;E) |
| I. 100 | CH ₂ CH=CH ₂ | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 101 | CH ₂ CH=CH ₂ | H | H | 3 | CH ₃ | OCH ₃ | N | Fp: 51- 53°C (E;E) |
| I. 102 | CH (CH ₃) ₂ | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 103 | CH (CH ₃) ₂ | H | H | 3 | CH ₃ | OCH ₃ | N | Fp: 58- 60°C (E;E) |
| I. 104 | (CH ₂) ₃ CH ₃ | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 105 | (CH ₂) ₃ CH ₃ | H | H | 3 | CH ₃ | OCH ₃ | N | Öl (E;E) ¹ H-NMR (ppm): 0.95 (t, 3H); 1.43 (m, 2H); 1.7 (m, 2H); 2.18 (s, 3H); 3.83 (s, 3H); 4.0 (s, 3H); 4.17 (t, 2H); 4.97 (s, 2H); 6.82-7.55 (m, 8H) |
| I. 106 | CH ₂ CH=CHCH ₃ | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 107 | CH ₂ CH=CHCH ₃ | H | H | 3 | CH ₃ | OCH ₃ | N | Fp: 76- 78°C (E;E) |
| I. 108 | (CH ₂) ₅ CH ₃ | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|---|----------------|----------------|----------------|-----------------|------------------|----|---|
| I. 109 | (CH ₂) ₅ CH ₃ | H | H | 3 | CH ₃ | OCH ₃ | N | Öl (E;E) 1H-NMR (ppm): 0.87 (t, 3H); 1.32 (m, 6H); 1.7 (m, 2H); 2.18 (s, 3H); 3.83 (s, 3H); 4.02 (s, 3H); 4.17 (t, 2H); 4.95 (s, 2H); 6.83-7.57 (m, 8H) |
| I. 110 | CH ₂ C ₆ H ₅ | H | H | 3 | CH ₃ | OCH ₃ | N | Öl (E;E) 1H-NMR (ppm): 2.22 (s, 3H); 3.78 (s, 3H); 4.0 (s, 3H); 4.97 (s, 2H); 5.23 (s, 2H); 6.82-7.53 (m, 8H) |
| I. 111 | CH ₂ CH=CHCl | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 112 | CH ₂ CH=CHCl | H | H | 3 | CH ₃ | OCH ₃ | N | Öl (E;E) |
| I. 113 | C(CH ₃) ₂ CH ₃ | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 114 | C(CH ₃) ₂ CH ₃ | H | H | 3 | CH ₃ | OCH ₃ | N | Fp: 83- 85°C (E;E) |
| I. 115 | CH ₂ CH(CH ₃) ₂ | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 116 | CH ₂ CH(CH ₃) ₂ | H | H | 3 | CH ₃ | OCH ₃ | N | Fp: 70- 72°C (E;E) |
| I. 117 | CH ₂ C(CH ₃)=CH ₂ | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 118 | CH ₂ C(CH ₃)=CH ₂ | H | H | 3 | CH ₃ | OCH ₃ | N | Fp: 64- 65°C (E;E) |
| I. 119 | (CH ₂) ₂ CH(CH ₃) ₂ | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 120 | (CH ₂) ₂ CH(CH ₃) ₂ | H | H | 3 | CH ₃ | OCH ₃ | N | Öl (E;E) |
| I. 121 | CH ₃ | H | H | 4 | H | OCH ₃ | CH | Fp: 84- 86°C (E;E) |
| I. 122 | CH ₃ | H | H | 4 | H | OCH ₃ | N | Fp: 88- 91°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|-------|---|--------------------|----------------|----------------|-----------------|------------------|----|---------------------|
| I.123 | CH ₃ | 2-OCH ₃ | H | 4 | H | OCH ₃ | CH | Öl (E;E) |
| I.124 | CH ₃ | 2-OCH ₃ | H | 4 | H | OCH ₃ | N | Fp: 105-107°C (E;E) |
| I.125 | C ₂ H ₅ | H | H | 4 | H | OCH ₃ | CH | Fp: 108-110°C (E;E) |
| I.126 | C ₂ H ₅ | H | H | 4 | H | OCH ₃ | N | Fp: 106-108°C (E;E) |
| I.127 | CH ₂ CH=CH ₂ | H | H | 4 | H | OCH ₃ | CH | Fp: 103-105°C (E;E) |
| I.128 | CH ₂ CH=CH ₂ | H | H | 4 | H | OCH ₃ | N | Fp: 82- 84°C (E;E) |
| I.129 | (CH ₂) ₅ CH ₃ | H | H | 4 | H | OCH ₃ | CH | Fp: 62- 63°C (E;E) |
| I.130 | (CH ₂) ₅ CH ₃ | H | H | 4 | H | OCH ₃ | N | Fp: 72- 73°C (E;E) |
| I.131 | CH ₂ C ₆ H ₅ | H | H | 4 | H | OCH ₃ | N | Fp: 103-105°C (E;E) |
| I.132 | CH ₂ - (4-Cl-C ₆ H ₄) | H | H | 4 | H | OCH ₃ | CH | Fp: 151-153°C (E;E) |
| I.133 | CH ₂ CH=CHCl | H | H | 4 | H | OCH ₃ | CH | Öl (E;E) |
| I.134 | CH ₂ CH=CHCl | H | H | 4 | H | OCH ₃ | N | Fp: 95- 97°C (E;E) |
| I.135 | CH ₂ C(CH ₃)=CH ₂ | H | H | 4 | H | OCH ₃ | CH | Fp: 100-102°C (E;E) |
| I.136 | CH ₂ C(CH ₃)=CH ₂ | H | H | 4 | H | OCH ₃ | N | Fp: 95- 96°C (E;E) |
| I.137 | (CH ₂) ₄ CH ₃ | H | H | 4 | H | OCH ₃ | N | Öl (E;E) |
| I.138 | CH ₃ | H | H | 4 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I.139 | CH ₃ | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 99-100°C (E;E) |
| I.140 | CH ₃ | 2-Cl | H | 4 | CH ₃ | OCH ₃ | N | Fp: 93- 94°C (E;E) |
| I.141 | CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 137-139°C (E;E) |
| I.142 | CH ₃ | 2-OCH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 82- 84°C (E;E) |
| I.143 | CH ₃ | 3-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 55- 56°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P* | R ⁴ | Z | X | Phys. Daten |
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| I. 144 | C ₂ H ₅ | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 71– 73°C (E;E) |
| I. 145 | C ₂ H ₅ | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 79– 80°C (E;E) |
| I. 146 | C ₂ H ₅ | 2-Cl | H | 4 | CH ₃ | OCH ₃ | N | Fp: 88– 90°C (E;E) |
| I. 147 | C ₂ H ₅ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 109–111°C (E;E) |
| I. 148 | C ₂ H ₅ | 2-OCH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 96– 98°C (E;E) |
| I. 149 | C ₂ H ₅ | 3-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Harz (E;E) |
| I. 150 | (CH ₂) ₂ CH ₃ | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 87– 99°C (E;E) |
| I. 151 | (CH ₂) ₂ CH ₃ | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 100–101°C (E;E) |
| I. 152 | CH ₂ CH=CH ₂ | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 90– 92°C (E;E) |
| I. 153 | CH ₂ CH=CH ₂ | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 107–108°C (E;E) |
| I. 154 | CH(CH ₃) ₂ | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 120–123°C (E;E) |
| I. 155 | CH(CH ₃) ₂ | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 109–110°C (E;E) |
| I. 156 | (CH ₂) ₃ CH ₃ | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 64– 66°C (E;E) |
| I. 157 | (CH ₂) ₃ CH ₃ | H | H | 4 | CH ₃ | OCH ₃ | N | Öl (E;E) 1H-NMR (ppm): 0.97 (t, 3H); 1.4 (m, 2H); 1.68 (m, 2H); 2.17 (s, 3H); 3.83 (s, 3H); 4.0 (s, 3H); 4.15 (t, 2H); 4.95 (s, 2H); 6.82–7.57 (m, 8H) |
| I. 158 | CH ₂ CH=CHCH ₃ | H | H | 4 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 159 | CH ₂ CH=CHCH ₃ | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 100–103°C (E;E) |
| I. 160 | (CH ₂) ₅ CH ₃ | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 65– 67°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P* | R ⁴ | Z | X | Phys. Daten |
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| I. 161 | (CH ₂) ₅ CH ₃ | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 60–63°C (E;E) |
| I. 162 | CH ₂ C ₆ H ₅ | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 110–112°C (E;E) |
| I. 163 | CH ₂ C ₆ H ₅ | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 104–106°C (E;E) |
| I. 164 | CH ₂ CH=CHCl | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 98–100°C (E;E) |
| I. 165 | CH ₂ CH=CHCl | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 105–107°C (E;E) |
| I. 166 | C(CH ₃) ₃ | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 88–90°C (E;E) |
| I. 167 | C(CH ₃) ₃ | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 75–78°C (E;E) |
| I. 168 | CH ₂ CH(CH ₃) ₂ | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 85–87°C (E;E) |
| I. 169 | CH ₂ CH(CH ₃) ₂ | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 79–81°C (E;E) |
| I. 170 | CH ₂ C(CH ₃)=CH ₂ | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 94–96°C (E;E) |
| I. 171 | CH ₂ C(CH ₃)=CH ₂ | H | H | 4 | CH ₃ | OCH ₃ | N | Fp: 88–89°C (E;E) |
| I. 172 | (CH ₂) ₂ CH(CH ₃) ₂ | H | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 46–48°C (E;E) |
| I. 173 | (CH ₂) ₂ CH(CH ₃) ₂ | H | H | 4 | CH ₃ | OCH ₃ | N | Öl (E;E) |
| I. 174 | CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 104–107°C (E;E) |
| I. 175 | CH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 84–87°C (E;E) |
| I. 176 | CH ₂ CH=CH ₂ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 78–80°C (E;E) |
| I. 177 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 79–81°C (E;E) |
| I. 178 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 88–89°C (E;E) |
| I. 179 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 77–79°C (E;E) |
| I. 180 | CH ₂ CH=CH ₂ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 65–68°C (E;E) |
| I. 181 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 58–62°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
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| I. 182 | CH ₂ CH=CH ₂ | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 69- 71°C (E;E) |
| I. 183 | C ₂ H ₅ | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 73- 75°C (E;E) |
| I. 184 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 44- 45°C (E;E) |
| I. 185 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 90- 92°C (E;E) |
| I. 186 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 85- 87°C (E;E) |
| I. 187 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 65- 68°C (E;E) |
| I. 188 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 92- 93°C (E;E) |
| I. 189 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 82- 84°C (E;E) |
| I. 190 | CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 109-111°C (E;E) |
| I. 191 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 87- 89°C (E;E) |
| I. 192 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 99-100°C (E;E) |
| I. 193 | CH ₂ CH=CH ₂ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 83- 85°C (E;E) |
| I. 194 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 81- 83°C (E;E) |
| I. 195 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 81- 83°C (E;E) |
| I. 196 | (CH ₂) ₂ CH ₃ | 2-Cl | H | 4 | CH ₃ | OCH ₃ | N | Fp: 67- 70°C (E;E) |
| I. 197 | (CH ₂) ₃ CH ₃ | 2-Cl | H | 4 | CH ₃ | OCH ₃ | N | Fp: 66- 68°C (E;E) |
| I. 198 | CH ₂ CH=CH ₂ | 2-Cl | H | 4 | CH ₃ | OCH ₃ | N | Fp: 91- 92°C (E;E) |
| I. 199 | CH ₂ CH≡CH | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 107-109°C (E;E) |
| I. 200 | CH ₂ CH≡CH | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 100-102°C (E;E) |
| I. 201 | CH ₂ CH≡CH | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 87- 89°C (E;E) |
| I. 202 | CH ₂ CH=CHCl | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 118-120°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|--|-------------------|-------------------|----------------|-------------------------------|--|----|---------------------|
| I. 203 | CH ₂ CH=CHCl | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 95- 97°C (E;E) |
| I. 204 | CH ₂ CH=CHCl | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 89- 91°C (E;E) |
| I. 205 | CH ₃ | 2-CH ₃ | H | 4 | C ₆ H ₅ | OCH ₃ | N | Harz (E;E) |
| I. 206 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | C ₆ H ₅ | OCH ₃ | N | Harz (E;E) |
| I. 207 | CH ₂ CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Harz (E;E) |
| I. 208 | (CH ₂) ₃ - -CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 67- 69°C (E;E) |
| I. 209 | (CH ₂) ₄ - -CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 54- 56°C (E;E) |
| I. 210 | (CH ₂) ₄ - -CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Harz (E;E) |
| I. 211 | (CH ₂) ₅ - -CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 46- 48°C (E;E) |
| I. 212 | (CH ₂) ₅ - -CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 56- 58°C (E;E) |
| I. 213 | CH ₂ CH≡CH | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | OCH ₃ | N | Harz (E;E) |
| I. 214 | CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | N(CH ₃) ₂ | N | Fp: 78- 80°C (E;E) |
| I. 215 | CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | N(CH ₃) ₂ | CH | Harz (E;E) |
| I. 216 | C ₂ H ₅ | 2-CH ₃ | H | 4 | CH ₃ | N(CH ₃) ₂ | N | Harz (E;E) |
| I. 217 | CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | NH ₂ | CH | Fp: 143-144°C (E;E) |
| I. 218 | CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | NHC ₂ H ₅ | CH | Fp: 110-111°C (E;E) |
| I. 219 | CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | N(CH ₃)C ₂ H ₅ | CH | Harz (E;E) |

| Nr. | R ¹ | R ² | R ³ | P* | R ⁴ | Z | X | Phys. Daten |
|--------|---|-------------------|-------------------|----|---------------------------------|-------------------|---|--|
| I. 220 | CH ₃ | 2-CH ₃ | H | 4 | C-C ₃ H ₅ | NHCH ₃ | N | ¹ H-NMR (ppm): 0.6-2.79(m,5H); 2.2(s,3H); 2.9(d,3H); 3.9(s,3H); 3.95(s,3H); 4.97(s,2H); 6.7-7.6(m,6H) |
| I. 221 | C ₂ H ₅ | 2-CH ₃ | H | 4 | C-C ₃ H ₅ | NHCH ₃ | N | ¹ H-NMR (ppm): 0.6-1.8(m,8H); 2.2(s,3H); 2.88(d,3H); 3.95(s,3H); 4.2(q,2H); 4.93(s,2H); 6.7-7.6(m,8H) |
| I. 222 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | C-C ₃ H ₅ | NHCH ₃ | N | ¹ H-NMR (ppm): 0.6-1.78(m,10H); 2.2(s,3H); 2.9(d,3H); 3.92(s,3H); 4.1(t,2H); 4.97(s,2H); 6.62-7.9(m,8H) |
| I. 223 | CH ₃ | 2-Cl | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Fp: 80- 83°C (E;E) |
| I. 224 | C ₂ H ₅ | 2-Cl | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Fp: 96- 98°C (E;E) |
| I. 225 | CH ₃ | 2-Cl | 5-Cl | 4 | CH ₃ | NHCH ₃ | N | Fp: 121-122°C (E;E) |
| I. 226 | C ₂ H ₅ | 2-Cl | 5-Cl | 4 | CH ₃ | NHCH ₃ | N | Fp: 105-107°C (E;E) |
| I. 227 | CH ₃ | 2-F | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 80- 81°C (E;E) |
| I. 228 | C ₂ H ₅ | 2-F | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 63- 64°C (E;E) |
| I. 229 | (CH ₂) ₂ CH ₃ | 2-F | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 86- 87°C (E;E) |
| I. 230 | (CH ₂) ₃ CH ₃ | 2-F | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 49- 51°C (E;E) |
| I. 231 | CH ₂ CH=CH ₂ | 2-F | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 82- 83°C (E;E) |
| I. 232 | CH ₃ | 2-Br | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 136-138°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|---|---------------------------------|-------------------|----------------|-----------------|-------------------|---|---------------------|
| I. 233 | C ₂ H ₅ | 2-Br | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 115-117°C (E;E) |
| I. 234 | (CH ₂) ₂ CH ₃ | 2-Br | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 95- 96°C (E;E) |
| I. 235 | (CH ₂) ₃ CH ₃ | 2-Br | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 102-103°C (E;E) |
| I. 236 | CH ₂ CH=CH ₂ | 2-Br | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 119-120°C (E;E) |
| I. 237 | CH ₃ | 2-C ₂ H ₅ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 89- 91°C (E;E) |
| I. 238 | C ₂ H ₅ | 2-C ₂ H ₅ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 83- 84°C (E;E) |
| I. 239 | (CH ₂) ₂ CH ₃ | 2-C ₂ H ₅ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 87- 88°C (E;E) |
| I. 240 | (CH ₂) ₃ CH ₃ | 2-C ₂ H ₅ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 84- 85°C (E;E) |
| I. 241 | CH ₂ CH=CH ₂ | 2-C ₂ H ₅ | H | 4 | CH ₃ | NHCH ₃ | N | Fp: 82- 83°C (E;E) |
| I. 242 | (CH ₂) ₂ CH ₃ | 2-Cl | 5-Cl | 4 | CH ₃ | NHCH ₃ | N | Fp: 89- 91°C (E;E) |
| I. 243 | (CH ₂) ₂ CH ₃ | 2-Cl | 5-CH ₃ | 4 | CH ₃ | NHCH ₃ | N | Fp: 85- 86°C (E;E) |
| I. 244 | CH ₃ | 2-Cl | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Harz (E;E) |
| I. 245 | C ₂ H ₅ | 2-Cl | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 65- 67°C (E;E) |
| I. 246 | CH ₃ | 2-Cl | 5-Cl | 4 | CH ₃ | OCH ₃ | N | Fp: 73- 74°C (E;E) |
| I. 247 | C ₂ H ₅ | 2-Cl | 5-Cl | 4 | CH ₃ | OCH ₃ | N | Fp: 79- 80°C (E;E) |
| I. 248 | CH ₃ | 2-F | H | 4 | CH ₃ | OCH ₃ | N | Fp: 88- 89°C (E;E) |
| I. 249 | C ₂ H ₅ | 2-F | H | 4 | CH ₃ | OCH ₃ | N | Fp: 65- 66°C (E;E) |
| I. 250 | (CH ₂) ₂ CH ₃ | 2-F | H | 4 | CH ₃ | OCH ₃ | N | Fp: 103-104°C (E;E) |
| I. 251 | (CH ₂) ₃ CH ₃ | 2-F | H | 4 | CH ₃ | OCH ₃ | N | Fp: 84- 86°C (E;E) |
| I. 252 | CH ₂ CH=CH ₂ | 2-F | H | 4 | CH ₃ | OCH ₃ | N | Fp: 107-109°C (E;E) |
| I. 253 | CH ₃ | 2-Br | H | 4 | CH ₃ | OCH ₃ | N | Fp: 90- 91°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|---|---------------------------------|-------------------|----------------|-----------------|------------------|----|---------------------|
| I. 254 | C ₂ H ₅ | 2-Br | H | 4 | CH ₃ | OCH ₃ | N | Fp: 103-104°C (E;E) |
| I. 255 | (CH ₂) ₂ CH ₃ | 2-Br | H | 4 | CH ₃ | OCH ₃ | N | Fp: 86- 87°C (E;E) |
| I. 256 | (CH ₂) ₃ CH ₃ | 2-Br | H | 4 | CH ₃ | OCH ₃ | N | Fp: 68- 69°C (E;E) |
| I. 257 | CH ₂ CH=CH ₂ | 2-Br | H | 4 | CH ₃ | OCH ₃ | N | Fp: 96- 97°C (E;E) |
| I. 258 | CH ₃ | 2-C ₂ H ₅ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 64- 66°C (E;E) |
| I. 259 | C ₂ H ₅ | 2-C ₂ H ₅ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 56- 57°C (E;E) |
| I. 260 | (CH ₂) ₂ CH ₃ | 2-C ₂ H ₅ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 52- 53°C (E;E) |
| I. 261 | (CH ₂) ₃ CH ₃ | 2-C ₂ H ₅ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 40- 41°C (E;E) |
| I. 262 | CH ₂ CH=CH ₂ | 2-C ₂ H ₅ | H | 4 | CH ₃ | OCH ₃ | N | Harz (E;E) |
| I. 263 | (CH ₂) ₂ CH ₃ | 2-Cl | 5-Cl | 4 | CH ₃ | OCH ₃ | N | Fp: 80- 81°C (E;E) |
| I. 264 | (CH ₂) ₂ CH ₃ | 2-Cl | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 56- 58°C (E;E) |
| I. 265 | CH ₂ CH=CHCH ₃ | H | H | 3 | H | OCH ₃ | CH | Fp: 74- 76°C (E;E) |
| I. 266 | CH ₂ CH=CHCl | H | H | 3 | H | OCH ₃ | CH | Fp: 56- 58°C (E;E) |
| I. 267 | CH ₂ CH(CH ₃) ₂ | H | H | 3 | H | OCH ₃ | CH | Fp: 52- 54°C (E;E) |
| I. 268 | (CH ₂) ₄ CH ₃ | H | H | 3 | H | OCH ₃ | CH | Öl (E;E) |
| I. 269 | CH ₂ C ₆ H ₅ | H | H | 3 | CH ₃ | OCH ₃ | CH | Öl (E;E) |
| I. 270 | CH ₂ CH=CHCH ₃ | H | H | 4 | H | OCH ₃ | CH | Fp: 86- 88°C (E;E) |
| I. 271 | CH ₂ CH(CH ₃) ₂ | H | H | 4 | H | OCH ₃ | CH | Fp: 97- 99°C (E;E) |
| I. 272 | (CH ₂) ₄ CH ₃ | H | H | 4 | H | OCH ₃ | CH | Fp: 84- 86°C (E;E) |
| I. 273 | CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 118-120°C (E;E) |
| I. 274 | C ₂ H ₅ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 101-103°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|---|-------------------|-------------------|----------------|-----------------|------------------|----|---------------------|
| I. 275 | CH ₂ CH=CH ₂ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 113-115°C (E;E) |
| I. 276 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 113-115°C (E;E) |
| I. 277 | CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 81- 82°C (E;E) |
| I. 278 | CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 80- 81°C (E;E) |
| I. 279 | CH ₂ CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 117-119°C (E;E) |
| I. 280 | CH ₂ CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 91- 93°C (E;E) |
| I. 281 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 97- 99°C (E;E) |
| I. 282 | C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 83- 85°C (E;E) |
| I. 283 | C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 86- 88°C (E;E) |
| I. 284 | CH ₂ C(CH ₃)=CH ₂ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 106-108°C (E;E) |
| I. 285 | CH ₂ C(CH ₃)=CH ₂ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 51- 54°C (E;E) |
| I. 286 | (CH ₂) ₂ CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 72- 74°C (E;E) |
| I. 287 | (CH ₂) ₂ CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 58- 60°C (E;E) |
| I. 288 | (CH ₂) ₅ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 76- 78°C (E;E) |
| I. 289 | (CH ₂) ₅ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 78- 80°C (E;E) |
| I. 290 | CH ₂ C ₆ H ₅ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | CH | Fp: 85- 88°C (E;E) |
| I. 291 | CH ₂ C ₆ H ₅ | 2-CH ₃ | H | 4 | CH ₃ | OCH ₃ | N | Fp: 98-101°C (E;E) |
| I. 292 | CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | CH | Fp: 86- 89°C (E;E) |
| I. 293 | CH ₂ CH=CH ₂ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | CH | Harz (E;E) |
| I. 294 | CH(CH ₃) ₂ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 83- 88°C (E;E) |
| I. 295 | CH(CH ₃) ₂ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | CH | Fp: 90- 92°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | Z | X | Phys. Daten |
|--------|---|------------------------------------|-------------------|----------------|---|------------------|----|--------------------|
| I. 296 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | CH | Fp: 50- 52°C (E;E) |
| I. 297 | CH ₂ C ₆ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | CH | Harz (E;E) |
| I. 298 | CH ₂ C ₆ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 41- 43°C (E;E) |
| I. 299 | CH ₃ | 3-C(CH ₃) ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | CH | Harz (E;E) |
| I. 300 | CH ₃ | 3-C(CH ₃) ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | N | Fp: 82- 86°C (E;E) |
| I. 301 | CH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | CH | Fp: 65- 67°C (E;E) |
| I. 302 | CH ₂ CH=CH ₂ | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | CH | Fp: 83- 86°C (E;E) |
| I. 303 | CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | CH | Fp: 92- 94°C (E;E) |
| I. 304 | CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | N | Fp: 96- 98°C (E;E) |
| I. 305 | CH ₃ | 2-CH ₃ | H | 4 | (CH ₂) ₂ CH ₃ | OCH ₃ | CH | Fp: 50- 52°C (E;E) |
| I. 306 | CH ₃ | 2-CH ₃ | H | 4 | CH(CH ₃) ₂ | OCH ₃ | N | Fp: 73- 75°C (E;E) |
| I. 307 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | CH | Harz (E;E) |
| I. 308 | C ₂ H ₅ | 2-CH ₃ | H | 4 | C ₂ H ₅ | OCH ₃ | CH | Fp: 52- 55°C (E;E) |
| I. 309 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | OCH ₃ | CH | Harz (E;E) |
| I. 310 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | OCH ₃ | CH | Fp: 85- 87°C (E;E) |

P^{*} = Position der Gruppe -CR⁴=NOR¹ relativ zur -OCH₂-Brücke
c-C₃H₅ = Cyclopropyl

Tabelle 1: Verbindungen der allgemeinen Formel I.1, in denen die Kombination der Sbstituenten R¹, R², R³, R⁴ und X fr eine Verbindung jeweils einer Zeile der Tabelle A entspricht

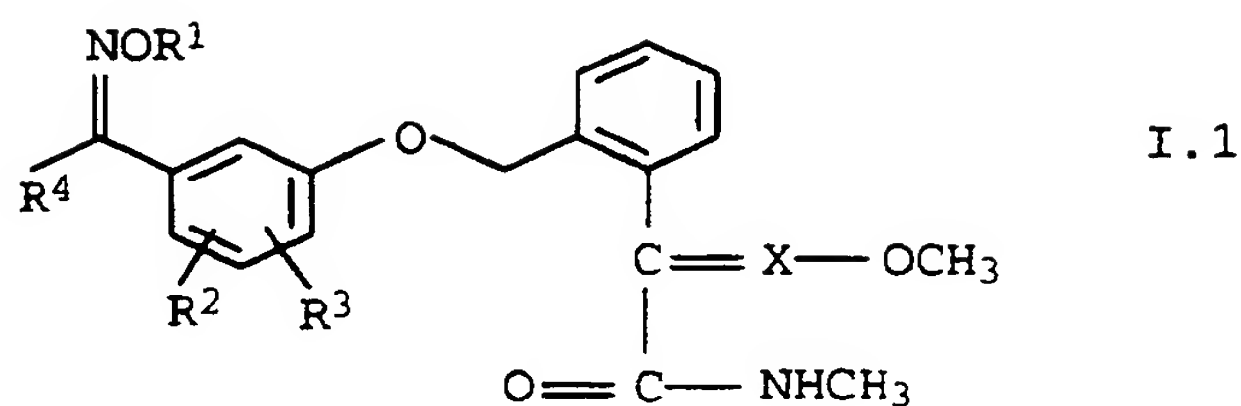


Tabelle 2: Verbindungen der allgemeinen Formel I.2, in denen die Kombination der Substituenten R¹, R², R³, R⁴ und X für eine Verbindung jeweils einer Zeile der Tabelle B entspricht

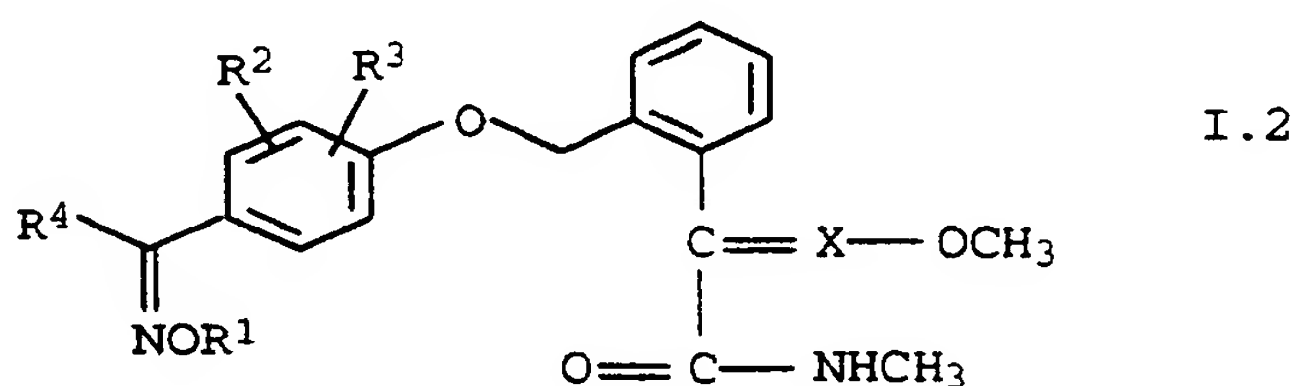


Tabelle 3: Verbindungen der allgemeinen Formel I.3, in denen die Kombination der Substituenten R¹, R², R³, R⁴ und X für eine Verbindung jeweils einer Zeile der Tabelle A entspricht

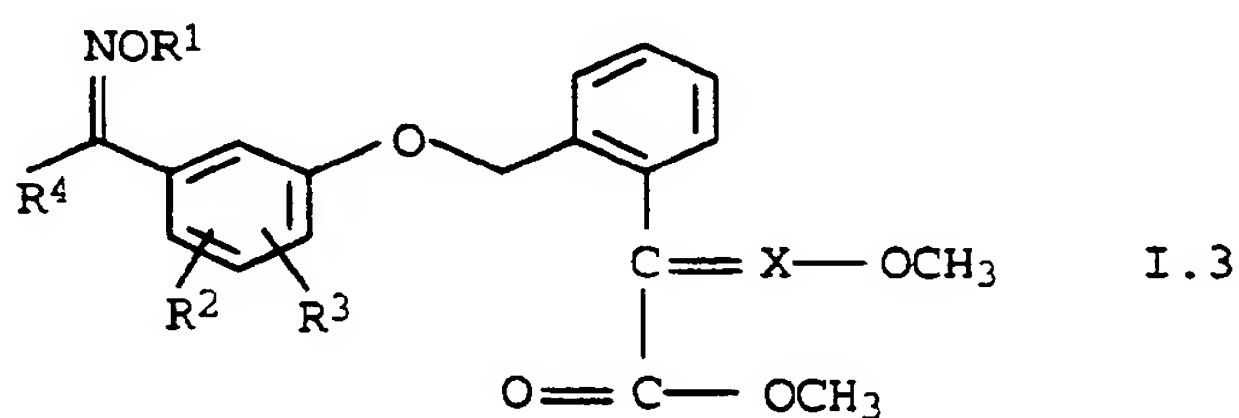


Tabelle 4: Verbindungen der allgemeinen Formel I.4, in denen die Kombination der Substituenten R¹, R², R³, R⁴ und X für eine Verbindung jeweils einer Zeile der Tabelle B entspricht

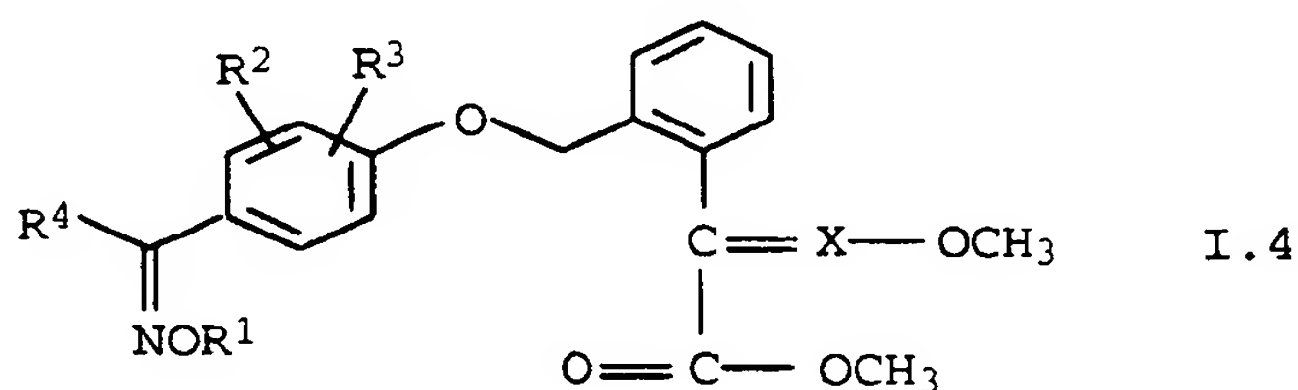
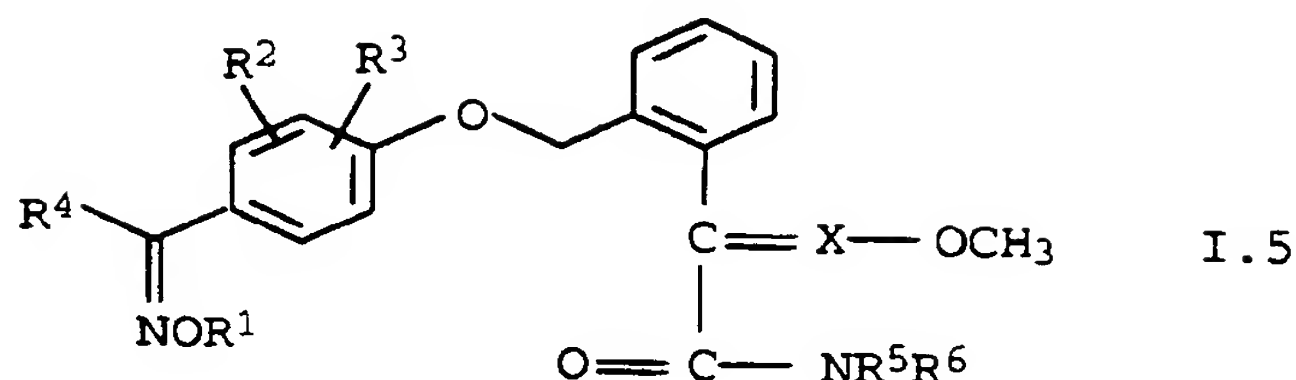


Tabelle 5: Verbindungen der allgemeinen Formel I.5, in denen die Kombination der Substituenten R¹, R², R³, R⁴, R⁵, R⁶ und X für eine Verbindung jeweils einer Zeile der Tabelle C entspricht



- 10
- Tabelle 6: Verbindungen der allgemeinen Formel I.2, in denen R⁴ Cyclopropyl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- 15 Tabelle 7: Verbindungen der allgemeinen Formel I.2, in denen R⁴ Cyclopentyl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- Tabelle 8: Verbindungen der allgemeinen Formel I.2, in denen R⁴ Cyclohexyl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- 20 Tabelle 9: Verbindungen der allgemeinen Formel I., in denen R⁴ CF₃ bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- Tabelle 10: Verbindungen der allgemeinen Formel I.2, in denen R⁴ CH₂Cl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- 25 Tabelle 11: Verbindungen der allgemeinen Formel I.2, in denen R⁴ CH₂CH₂Cl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- 30 Tabelle 12: Verbindungen der allgemeinen Formel I.4, in denen R⁴ Cyclopropyl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- Tabelle 13: Verbindungen der allgemeinen Formel I.4, in denen R⁴ Cyclopentyl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- 35 Tabelle 14: Verbindungen der allgemeinen Formel I.4, in denen R⁴ Cyclohexyl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- Tabelle 15: Verbindungen der allgemeinen Formel I.4, in denen R⁴ CF₃ bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- 40 Tabelle 16: Verbindungen der allgemeinen Formel I.4, in denen R⁴ CH₂Cl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- 45 Tabelle 17: Verbindungen der allgemeinen Formel I.4, in denen R⁴ CH₂CH₂Cl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
- Tabelle 18: Verbindungen der allgemeinen Formel I.2, in denen R⁴ für Cyclopropyl und =X- für =N- stehen und die Kombination der Substituenten R¹, R² und R³ für eine Verbindung jeweils einer Zeile der Tabelle E entspricht
- 50 Tabelle 19: Verbindungen der allgemeinen Formel I.2, in denen R⁴ für Cyclopentyl und =X- für =N- stehen und die Kombination der Substituenten R¹, R² und R³ für eine Verbindung jeweils einer Zeile der Tabelle E entspricht
- Tabelle 20: Verbindungen der allgemeinen Formel I.2, in denen R⁴ für Cyclohexyl und =x- für =N- stehen und die Kombination der Substituenten R¹, R² und R³ für eine Verbindung jeweils einer Zeile der Tabelle E entspricht
- 55 Tabelle 21: Verbindungen der allgemeinen Formel I.2, in denen R⁴ für CF₃ und =X- für =N- stehen und die Kombination der Substituenten R¹, R² und R³ für eine Verbindung jeweils einer

Zeile der Tabelle E entspricht

Tabelle 22: Verbindungen der allgemeinen Formel I.2, in denen R^4 für $\text{CH}_2\text{CH}_2\text{Cl}$ und $=\text{X-}$ für $=\text{N-}$ stehen und die Kombination der Substituenten R^1 , R^2 und R^3 für eine Verbindung jeweils einer Zeile der Tabelle E entspricht

5 Tabelle 23: Verbindungen der allgemeinen Formel I.4, in denen R^4 für Cyclopropyl und $=\text{X-}$ für $=\text{N-}$ stehen und die Kombination der Substituenten R^1 , R^2 und R^3 für eine Verbindung jeweils einer Zeile der Tabelle E entspricht

10 Tabelle 24: Verbindungen der allgemeinen Formel I.4, in denen R^4 für Cyclopentyl und $=\text{X-}$ für $=\text{N-}$ stehen und die Kombination der Substituenten R^1 , R^2 und R^3 für eine Verbindung jeweils einer Zeile der Tabelle E entspricht

Tabelle 25: Verbindungen der allgemeinen Formel I.4, in denen R^4 für Cyclohexyl und $=\text{X-}$ für $=\text{N-}$ stehen und die Kombination der Substituenten R^1 , R^2 und R^3 für eine Verbindung jeweils einer Zeile der Tabelle E entspricht

15 Tabelle 26: Verbindungen der allgemeinen Formel I.4, in denen R^4 für CF_3 und $=\text{X-}$ für $=\text{N-}$ stehen und die Kombination der Substituenten R^1 , R^2 und R^3 für eine Verbindung jeweils einer Zeile der Tabelle E entspricht

20 Tabelle 27: Verbindungen der allgemeinen Formel I.4, in denen R^4 für $\text{CH}_2\text{CH}_2\text{Cl}$ und $=\text{X-}$ für $=\text{N-}$ stehen und die Kombination der Substituenten R^1 , R^2 und R^3 für eine Verbindung jeweils einer Zeile der Tabelle E entspricht

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Tabelle A

| | | | | | | |
|----|-----------|--|--------------------|----------------|----------------|----|
| 5 | Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
| | A.001 | CH ₃ - | H | H | H | CH |
| | A.002 | CH ₃ - | H | H | H | N |
| | A.003 | CH ₃ - | 2-Cl | 5-Cl | H | CH |
| 10 | A.004 | CH ₃ - | 2-Cl | 5-Cl | H | N |
| | A.005 | CH ₃ - | 4-Cl | H | H | CH |
| | A.006 | CH ₃ - | 4-Cl | H | H | N |
| | A.007 | CH ₃ - | 4-CH ₃ | H | H | CH |
| 15 | A.008 | CH ₃ - | 4-CH ₃ | H | H | N |
| | A.009 | CH ₃ - | 5-OCH ₃ | H | H | CH |
| | A.010 | CH ₃ - | 5-OCH ₃ | H | H | N |
| | A.011 | CH ₃ - | 6-OCH ₃ | H | H | CH |
| 20 | A.012 | CH ₃ - | 6-OCH ₃ | H | H | N |
| | A.013 | CH ₃ - | H | H | H | CH |
| | A.014 | CH ₃ -CH ₂ - | H | H | H | N |
| | A.015 | CH ₃ -CH ₂ - | 2-Cl | 5-Cl | H | CH |
| 25 | A.016 | CH ₃ -CH ₂ - | 2-Cl | 5-Cl | H | N |
| | A.017 | CH ₃ -CH ₂ - | 4-Cl | H | H | CH |
| | A.018 | CH ₃ -CH ₂ - | 4-Cl | H | H | N |
| | A.019 | CH ₃ -CH ₂ - | 4-CH ₃ | H | H | CH |
| 30 | A.020 | CH ₃ -CH ₂ - | 4-CH ₃ | H | H | N |
| | A.021 | CH ₃ -CH ₂ - | 5-OCH ₃ | H | H | CH |
| | A.022 | CH ₃ -CH ₂ - | 5-OCH ₃ | H | H | N |
| | A.023 | CH ₃ -CH ₂ - | 6-OCH ₃ | H | H | CH |
| 35 | A.024 | CH ₃ -CH ₂ - | 6-OCH ₃ | H | H | N |
| | A.025 | CH ₃ -CH ₂ -CH ₂ - | H | H | H | CH |
| | A.026 | CH ₃ -CH ₂ -CH ₂ - | H | H | H | N |
| | A.027 | CH ₂ =CH-CH ₂ - | H | H | H | CH |
| 40 | A.028 | CH ₂ =CH-CH ₂ - | H | H | H | N |
| | A.029 | CH ₃ -CH(CH ₃)- | H | H | H | CH |
| | A.030 | CH ₃ -CH(CH ₃)- | H | H | H | N |
| | A.031 | HC≡C-CH ₂ - | H | H | H | CH |
| 45 | A.032 | HC≡C-CH ₂ - | H | H | H | N |
| | A.033 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | H | CH |
| | A.034 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | H | N |
| | A.035 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | CH |
| 50 | A.036 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | N |
| | A.037 | CH ₃ -CH=CH-CH ₂ - | H | H | H | CH |

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| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|--|----------------------------------|----------------|----------------|----|
| A.038 | CH ₃ -CH=CH-CH ₂ - | H | H | H | N |
| A.039 | CH ₃ -(CH ₂) ₅ - | H | H | H | CH |
| A.040 | CH ₃ -(CH ₂) ₅ - | H | H | H | N |
| A.041 | cyclo-C ₆ H ₁₁ - | H | H | H | CH |
| A.042 | cyclo-C ₆ H ₁₁ - | H | H | H | N |
| A.043 | C ₆ H ₅ -CH ₂ - | H | H | H | CH |
| A.044 | C ₆ H ₅ -CH ₂ - | H | H | H | N |
| A.045 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| A.046 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| A.047 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| A.048 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | H | N |
| A.049 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ - | H | H | H | CH |
| A.050 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ - | H | H | H | N |
| A.051 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | CH |
| A.052 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | N |
| A.053 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | H | CH |
| A.054 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | H | N |
| A.055 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | H | CH |
| A.056 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | H | N |
| A.057 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ - | H | H | H | CH |
| A.058 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ - | H | H | H | N |
| A.059 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | H | CH |
| A.060 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | H | N |
| A.061 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | H | CH |
| A.062 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | H | N |
| A.063 | Cl-CH=CH-CH ₂ - | H | H | H | CH |
| A.064 | Cl-CH=CH-CH ₂ - | H | H | H | N |
| A.065 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | H | CH |
| A.066 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | H | N |
| A.067 | CH ₃ -C(CH ₃) ₂ - | H | H | H | CH |
| A.068 | CH ₃ -C(CH ₃) ₂ - | H | H | H | N |
| A.069 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | H | CH |
| A.070 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | H | N |
| A.071 | CH ₂ =C(CH ₃)-CH ₂ | H | H | H | CH |
| A.072 | CH ₂ =C(CH ₃)-CH ₂ | H | H | H | N |
| A.073 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ - | H | H | H | CH |
| A.074 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ - | H | H | H | N |
| A.075 | CH ₃ -(CH ₂) ₄ - | H | H | H | CH |
| A.076 | CH ₃ -(CH ₂) ₄ - | H | H | H | N |

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|--|--------------------|----------------|-----------------|----|
| A.077 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| A.078 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| A.079 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| A.080 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| A.081 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| A.082 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| A.083 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | CH |
| A.084 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | N |
| A.085 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | CH |
| A.086 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | N |
| A.087 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | H | CH |
| A.088 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | H | N |
| A.089 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ - | H | H | H | CH |
| A.090 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ - | H | H | H | N |
| A.091 | 4-Cl-C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ - | H | H | H | CH |
| A.092 | 4-Cl-C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ - | H | H | H | N |
| A.093 | 4-CF ₃ -C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ - | H | H | H | CH |
| A.094 | 4-CF ₃ -C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ - | H | H | H | N |
| A.095 | CH ₃ | H | H | CH ₃ | CH |
| A.096 | CH ₃ | H | H | CH ₃ | N |
| A.097 | CH ₃ | 2-Cl | 5-Cl | CH ₃ | CH |
| A.098 | CH ₃ | 2-Cl | 5-Cl | CH ₃ | N |
| A.099 | CH ₃ | 4-Cl | H | CH ₃ | CH |
| A.100 | CH ₃ | 4-Cl | H | CH ₃ | N |
| A.101 | CH ₃ | 4-CH ₃ | H | CH ₃ | CH |
| A.102 | CH ₃ | 4-CH ₃ | H | CH ₃ | N |
| A.103 | CH ₃ | 5-OCH ₃ | H | CH ₃ | CH |
| A.104 | CH ₃ | 5-OCH ₃ | H | CH ₃ | N |
| A.105 | CH ₃ | 6-OCH ₃ | H | CH ₃ | CH |
| A.106 | CH ₃ | 6-OCH ₃ | H | CH ₃ | N |
| A.107 | CH ₃ -CH ₂ | H | H | CH ₃ | CH |
| A.108 | CH ₃ -CH ₂ | H | H | CH ₃ | N |
| A.109 | CH ₃ -CH ₂ | 2-Cl | 5-Cl | CH ₃ | CH |
| A.110 | CH ₃ -CH ₂ | 2-Cl | 5-Cl | CH ₃ | N |
| A.111 | CH ₃ -CH ₂ | 4-Cl | H | CH ₃ | CH |
| A.112 | CH ₃ -CH ₂ | 4-Cl | H | CH ₃ | N |
| A.113 | CH ₃ -CH ₂ | 4-CH ₃ | H | CH ₃ | CH |
| A.114 | CH ₃ -CH ₂ | 4-CH ₃ | H | CH ₃ | N |
| A.115 | CH ₃ -CH ₂ | 5-OCH ₃ | H | CH ₃ | CH |

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|--|--------------------|----------------|-----------------|----|
| A.116 | CH ₃ -CH ₂ | 5-OCH ₃ | H | CH ₃ | N |
| A.117 | CH ₃ -CH ₂ | 6-OCH ₃ | H | CH ₃ | CH |
| A.118 | CH ₃ -CH ₂ | 6-OCH ₃ | H | CH ₃ | N |
| A.119 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A.120 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A.121 | CH ₂ =CH-CH ₂ | H | H | CH ₃ | CH |
| A.122 | CH ₂ =CH-CH ₂ | H | H | CH ₃ | N |
| A.123 | CH ₃ -CH(CH ₃) | H | H | CH ₃ | CH |
| A.124 | CH ₃ -CH(CH ₃) | H | H | CH ₃ | N |
| A.125 | HC≡C-CH ₂ | H | H | CH ₃ | CH |
| A.126 | HC≡C-CH ₂ | H | H | CH ₃ | N |
| A.127 | cyclo-C ₃ H ₅ -CH ₂ | H | H | CH ₃ | CH |
| A.128 | cyclo-C ₃ H ₅ -CH ₂ | H | H | CH ₃ | N |
| A.129 | CH ₃ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A.130 | CH ₃ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A.131 | CH ₃ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| A.132 | CH ₃ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| A.133 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | CH |
| A.134 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | N |
| A.135 | cyclo-C ₆ H ₁₁ | H | H | CH ₃ | CH |
| A.136 | cyclo-C ₆ H ₁₁ | H | H | CH ₃ | N |
| A.137 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | CH |
| A.138 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | N |
| A.139 | 4-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| A.140 | 4-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| A.141 | 3-CF ₃ -C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| A.142 | 3-CF ₃ -C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| A.143 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A.144 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A.145 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A.146 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A.147 | C ₆ H ₅ -(CH ₂) ₄ | H | H | CH ₃ | CH |
| A.148 | C ₆ H ₅ -(CH ₂) ₄ | H | H | CH ₃ | N |
| A.149 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| A.150 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| A.151 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A.152 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A.153 | t-C ₄ H ₉ O-CO-CH ₂ | H | H | CH ₃ | CH |
| A.154 | t-C ₄ H ₉ O-CO-CH ₂ | H | H | CH ₃ | N |

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|--|----------------------------------|----------------|-------------------------------|----|
| A. 155 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ | H | H | CH ₃ | CH |
| A. 156 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ | H | H | CH ₃ | N |
| A. 157 | Cl-CH=CH-CH ₂ | H | H | CH ₃ | CH |
| A. 158 | Cl-CH=CH-CH ₂ | H | H | CH ₃ | N |
| A. 159 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | CH ₃ | CH |
| A. 160 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | CH ₃ | N |
| A. 161 | CH ₃ -C(CH ₂) ₂ | H | H | CH ₃ | CH |
| A. 162 | CH ₃ -C(CH ₂) ₂ | H | H | CH ₃ | N |
| A. 163 | CH ₃ -CH(CH ₃)-CH ₂ | H | H | CH ₃ | CH |
| A. 164 | CH ₃ -CH(CH ₃)-CH ₂ | H | H | CH ₃ | N |
| A. 165 | CH ₂ =C(CH ₃)-CH ₂ | H | H | CH ₃ | CH |
| A. 166 | CH ₂ =C(CH ₃)-CH ₂ | H | H | CH ₃ | N |
| A. 167 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A. 168 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A. 169 | CH ₃ -(CH ₂) ₄ | H | H | CH ₃ | CH |
| A. 170 | CH ₃ -(CH ₂) ₄ | H | H | CH ₃ | N |
| A. 171 | 2-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| A. 172 | 2-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| A. 173 | 3-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| A. 174 | 3-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| A. 175 | 2-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| A. 176 | 2-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| A. 177 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | CH |
| A. 178 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | N |
| A. 179 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | CH |
| A. 180 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | N |
| A. 181 | C ₆ H ₅ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A. 182 | C ₆ H ₅ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A. 183 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A. 184 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A. 185 | 4-Cl-C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| A. 186 | 4-Cl-C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| A. 187 | 4-CF ₃ -C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| A. 188 | 4-CF ₃ -C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| A. 189 | CH ₃ | H | H | C ₆ H ₅ | CH |
| A. 190 | CH ₃ | H | H | C ₆ H ₅ | N |
| A. 191 | C ₂ H ₅ | H | H | C ₆ H ₅ | CH |
| A. 192 | C ₂ H ₅ | H | H | C ₆ H ₅ | N |
| A. 193 | CH ₃ -CH ₂ -CH ₂ | H | H | C ₆ H ₅ | CH |

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|---|----------------|----------------|-------------------------------|----|
| A.194 | CH ₃ -CH ₂ -CH ₂ | H | H | C ₆ H ₅ | N |
| A.195 | CH ₃ -(CH ₂) ₅ | H | H | C ₆ H ₅ | CH |
| A.196 | CH ₃ -(CH ₂) ₅ | H | H | C ₆ H ₅ | N |
| A.197 | C ₆ H ₅ -CH ₂ | H | H | C ₆ H ₅ | CH |
| A.198 | C ₆ H ₅ -CH ₂ | H | H | C ₆ H ₅ | N |

Tabelle B

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|------------------------------------|--------------------|----------------|----------------|----|
| B.001 | CH ₃ - | H | H | H | CH |
| B.002 | CH ₃ - | H | H | H | N |
| B.003 | CH ₃ - | 2-Cl | H | H | CH |
| B.004 | CH ₃ - | 2-Cl | H | H | N |
| B.005 | CH ₃ - | 2-CH ₃ | H | H | CH |
| B.006 | CH ₃ - | 2-CH ₃ | H | H | N |
| B.007 | CH ₃ - | 2-OCH ₃ | H | H | CH |
| B.008 | CH ₃ - | 2-OCH ₃ | H | H | N |
| B.009 | CH ₃ - | 3-Cl | H | H | CH |
| B.010 | CH ₃ - | 3-Cl | H | H | N |
| B.011 | CH ₃ - | 3-CH ₃ | H | H | CH |
| B.012 | CH ₃ - | 3-CH ₃ | H | H | N |
| B.013 | CH ₃ - | 3-OCH ₃ | H | H | CH |
| B.014 | CH ₃ - | 3-OCH ₃ | H | H | N |
| B.015 | CH ₃ | 2-Cl | 6-Cl | H | CH |
| B.016 | CH ₃ | 2-Cl | 6-Cl | H | N |
| B.017 | CH ₃ -CH ₂ - | H | H | H | CH |
| B.018 | CH ₃ -CH ₂ - | H | H | H | N |
| B.019 | CH ₃ -CH ₂ - | 2-Cl | H | H | CH |
| B.020 | CH ₃ -CH ₂ - | 2-Cl | H | H | N |
| B.021 | CH ₃ -CH ₂ - | 2-CH ₃ | H | H | CH |
| B.022 | CH ₃ -CH ₂ - | 2-CH ₃ | H | H | N |
| B.023 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | H | CH |
| B.024 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | H | N |
| B.025 | CH ₃ -CH ₂ - | 3-Cl | H | H | CH |
| B.026 | CH ₃ -CH ₂ - | 3-Cl | H | H | N |
| B.027 | CH ₃ -CH ₂ - | 3-CH ₃ | H | H | CH |
| B.028 | CH ₃ -CH ₂ - | 3-CH ₃ | H | H | N |
| B.029 | CH ₃ -CH ₂ - | 3-OCH ₃ | H | H | CH |
| B.030 | CH ₃ -CH ₂ - | 3-OCH ₃ | H | H | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|----------------|----------------|----------------|----|
| B.031 | CH ₃ -CH ₂ - | 2-Cl | H | H | CH |
| B.032 | CH ₃ -CH ₂ - | 2-Cl | 6-Cl | H | N |
| B.033 | CH ₃ -CH ₂ -CH ₂ - | H | 6-Cl | H | CH |
| B.034 | CH ₃ -CH ₂ -CH ₂ - | H | H | H | N |
| B.035 | CH ₂ =CH-CH ₂ - | H | H | H | CH |
| B.036 | CH ₂ =CH-CH ₂ - | H | H | H | N |
| B.037 | CH ₃ -CH(CH ₃)- | H | H | H | CH |
| B.038 | CH ₃ -CH(CH ₃)- | H | H | H | N |
| B.039 | HC≡C-CH ₂ - | H | H | H | CH |
| B.040 | HC≡C-CH ₂ - | H | H | H | N |
| B.041 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | H | CH |
| B.042 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | H | N |
| B.043 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | CH |
| B.044 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | N |
| B.045 | CH ₃ -CH=CH-CH ₂ - | H | H | H | CH |
| B.046 | CH ₃ -CH=CH-CH ₂ - | H | H | H | N |
| B.047 | CH ₃ -(CH ₂) ₅ - | H | H | H | CH |
| B.048 | CH ₃ -(CH ₂) ₅ - | H | H | H | N |
| B.049 | cyclo-C ₆ H ₁₁ - | H | H | H | CH |
| B.050 | cyclo-C ₆ H ₁₁ - | H | H | H | N |
| B.051 | C ₆ H ₅ -CH ₂ - | H | H | H | CH |
| B.052 | C ₆ H ₅ -CH ₂ - | H | H | H | N |
| B.053 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.054 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.055 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.056 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.057 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.058 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.059 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | CH |
| B.060 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | N |
| B.061 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | H | CH |
| B.062 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | H | N |
| B.063 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | H | CH |
| B.064 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | H | N |
| B.065 | 4-F-C ₆ H ₄ - CH=CHCH ₂ CH ₂ | H | H | H | CH |
| B.066 | 4-F-C ₆ H ₄ - CH=CHCH ₂ CH ₂ | H | H | H | N |
| B.067 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | H | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|----------------------------------|----------------|----------------|----|
| B.068 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | H | N |
| B.069 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | H | CH |
| B.070 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | H | N |
| B.071 | Cl-CH=CH-CH ₂ - | H | H | H | CH |
| B.072 | Cl-CH=CH-CH ₂ - | H | H | H | N |
| B.073 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | H | CH |
| B.074 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | H | N |
| B.075 | CH ₃ -C(CH ₃) ₂ - | H | H | H | CH |
| B.076 | CH ₃ -C(CH ₃) ₂ - | H | H | H | N |
| B.077 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | H | CH |
| B.078 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | H | N |
| B.079 | CH ₂ =C(CH ₃)-CH ₂ - | H | H | H | CH |
| B.080 | CH ₂ =C(CH ₃)-CH ₂ - | H | H | H | N |
| B.081 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | H | H | H | CH |
| B.082 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | H | H | H | N |
| B.083 | CH ₃ -(CH ₂) ₄ - | H | H | H | CH |
| B.084 | CH ₃ -(CH ₂) ₄ - | H | H | H | N |
| B.085 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.086 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.087 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.088 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.089 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.090 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.091 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | CH |
| B.092 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | N |
| B.093 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | CH |
| B.094 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | N |
| B.095 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | H | CH |
| B.096 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | H | N |
| B.097 | C ₆ H ₅ -CH=CH- CH ₂ -CH ₂ - | H | H | H | CH |
| B.098 | C ₆ H ₅ -CH=CH- CH ₂ -CH ₂ - | H | H | H | N |
| B.099 | 4-Cl-C ₆ H ₄ - CH ₂ CH=CHCH ₂ | H | H | H | CH |
| B.100 | 4-Cl-C ₆ H ₄ - CH ₂ CH=CHCH ₂ | H | H | H | N |
| B.101 | 4-CF ₃ -C ₆ H ₄ - CH ₂ CH=CHCH ₂ | H | H | H | CH |
| B.102 | 4-CF ₃ -C ₆ H ₄ - CH ₂ CH=CHCH ₂ | H | H | H | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|--------------------|----------------|-----------------|----|
| B.103 | CH ₃ | H | H | CH ₃ | CH |
| B.104 | CH ₃ | H | H | CH ₃ | N |
| B.105 | CH ₃ | 2-Cl | H | CH ₃ | CH |
| B.106 | CH ₃ | 2-Cl | H | CH ₃ | N |
| B.107 | CH ₃ | 2-CH ₃ | H | CH ₃ | CH |
| B.108 | CH ₃ | 2-CH ₃ | H | CH ₃ | N |
| B.109 | CH ₃ | 2-OCH ₃ | H | CH ₃ | CH |
| B.110 | CH ₃ | 2-OCH ₃ | H | CH ₃ | N |
| B.111 | CH ₃ | 3-Cl | H | CH ₃ | CH |
| B.112 | CH ₃ | 3-Cl | H | CH ₃ | N |
| B.113 | CH ₃ | 3-CH ₃ | H | CH ₃ | CH |
| B.114 | CH ₃ | 3-CH ₃ | H | CH ₃ | N |
| B.115 | CH ₃ | 3-OCH ₃ | H | CH ₃ | CH |
| B.116 | CH ₃ | 3-OCH ₃ | H | CH ₃ | N |
| B.117 | CH ₃ | 2-Cl | 6-Cl | CH ₃ | CH |
| B.118 | CH ₃ | 2-Cl | 6-Cl | CH ₃ | N |
| B.119 | CH ₃ -CH ₂ | H | H | CH ₃ | CH |
| B.120 | CH ₃ -CH ₂ | H | H | CH ₃ | N |
| B.121 | CH ₃ -CH ₂ | 2-Cl | H | CH ₃ | CH |
| B.122 | CH ₃ -CH ₂ | 2-Cl | H | CH ₃ | N |
| B.123 | CH ₃ -CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B.124 | CH ₃ -CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B.125 | CH ₃ -CH ₂ | 2-OCH ₃ | H | CH ₃ | CH |
| B.126 | CH ₃ -CH ₂ | 2-OCH ₃ | H | CH ₃ | N |
| B.127 | CH ₃ -CH ₂ | 3-Cl | H | CH ₃ | CH |
| B.128 | CH ₃ -CH ₂ | 3-Cl | H | CH ₃ | N |
| B.129 | CH ₃ -CH ₂ | 3-CH ₃ | H | CH ₃ | CH |
| B.130 | CH ₃ -CH ₂ | 3-CH ₃ | H | CH ₃ | N |
| B.131 | CH ₃ -CH ₂ | 3-OCH ₃ | H | CH ₃ | CH |
| B.132 | CH ₃ -CH ₂ | 3-OCH ₃ | H | CH ₃ | N |
| B.133 | CH ₃ -CH ₂ | 2-Cl | 6-Cl | CH ₃ | CH |
| B.134 | CH ₃ -CH ₂ | 2-Cl | 6-Cl | CH ₃ | N |
| B.135 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B.136 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B.137 | CH ₂ =CH-CH ₂ | H | H | CH ₃ | CH |
| B.138 | CH ₂ =CH-CH ₂ | H | H | CH ₃ | N |
| B.139 | CH ₃ -CH(CH ₃) | H | H | CH ₃ | CH |
| B.140 | CH ₃ -CH(CH ₃) | H | H | CH ₃ | N |
| B.141 | HC≡C-CH ₂ | H | H | CH ₃ | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|----------------------------------|----------------|-----------------|----|
| B. 142 | HC \equiv C-CH ₂ | H | H | CH ₃ | N |
| B. 143 | cyclo-C ₃ H ₅ -CH ₂ | H | H | CH ₃ | CH |
| B. 144 | cyclo-C ₃ H ₅ -CH ₂ | H | H | CH ₃ | N |
| B. 145 | CH ₃ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B. 146 | CH ₃ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B. 147 | CH ₃ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B. 148 | CH ₃ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| B. 149 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | CH |
| B. 150 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | N |
| B. 151 | cyclo-C ₆ H ₁₁ | H | H | CH ₃ | CH |
| B. 152 | cyclo-C ₆ H ₁₁ | H | H | CH ₃ | N |
| B. 153 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | CH |
| B. 154 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | N |
| B. 155 | 4-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| B. 156 | 4-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| B. 157 | 3-CF ₃ -C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| B. 158 | 3-CF ₃ -C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| B. 159 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B. 160 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B. 161 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B. 162 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B. 163 | C ₆ H ₅ -(CH ₂) ₄ | H | H | CH ₃ | CH |
| B. 164 | C ₆ H ₅ -(CH ₂) ₄ | H | H | CH ₃ | N |
| B. 165 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B. 166 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| B. 167 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B. 168 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| B. 169 | t-C ₄ -H ₉ O-CO-CH ₂ | H | H | CH ₃ | CH |
| B. 170 | t-C ₄ -H ₉ O-CO-CH ₂ | H | H | CH ₃ | N |
| B. 171 | t-C ₄ -H ₉ O-CO-(CH ₂) ₃ | H | H | CH ₃ | CH |
| B. 172 | t-C ₄ -H ₉ O-CO-(CH ₂) ₃ | H | H | CH ₃ | N |
| B. 173 | Cl-CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B. 174 | Cl-CH=CH-CH ₂ | H | H | CH ₃ | N |
| B. 175 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | CH ₃ | CH |
| B. 176 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | CH ₃ | N |
| B. 177 | CH ₃ -C(CH ₃) ₂ | H | H | CH ₃ | CH |
| B. 178 | CH ₃ -C(CH ₃) ₂ | H | H | CH ₃ | N |
| B. 179 | CH ₃ -CH(CH ₃)-CH ₂ | H | H | CH ₃ | CH |
| B. 180 | CH ₃ -CH(CH ₃)-CH ₂ | H | H | CH ₃ | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|-------------------|-------------------|-------------------------------|----|
| B.181 | CH ₂ =C(CH ₃)-CH ₂ | H | H | CH ₃ | CH |
| B.182 | CH ₂ =C(CH ₃)-CH ₂ | H | H | CH ₃ | N |
| B.183 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | H | H | CH ₃ | CH |
| B.184 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | H | H | CH ₃ | N |
| B.185 | CH ₃ -(CH ₂) ₄ | H | H | CH ₃ | CH |
| B.186 | CH ₃ -(CH ₂) ₄ | H | H | CH ₃ | N |
| B.187 | 2-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| B.188 | 2-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| B.189 | 3-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| B.190 | 3-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| B.191 | 2-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| B.192 | 2-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| B.193 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | CH |
| B.194 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | N |
| B.195 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | CH |
| B.196 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | N |
| B.197 | C ₆ H ₅ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B.198 | C ₆ H ₅ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B.199 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B.200 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B.201 | 4-Cl-C ₆ H ₄ - CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B.202 | 4-Cl-C ₆ H ₄ - CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| B.203 | 4-CF ₃ -C ₆ H ₄ - CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B.204 | 4-CF ₃ -C ₆ H ₄ - CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| B.205 | CH ₃ | H | H | C ₆ H ₅ | CH |
| B.206 | CH ₃ | H | H | CH ₃ | N |
| B.207 | C ₂ H ₅ | H | H | CH ₃ | CH |
| B.208 | C ₂ H ₅ | H | H | CH ₃ | N |
| B.209 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B.210 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B.211 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | CH |
| B.212 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | N |
| B.213 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | CH |
| B.214 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | N |
| B.215 | CH ₃ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B.216 | CH ₃ | 2-CH ₃ | H | C ₂ H ₅ | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|--|-------------------|----------------------------------|----|
| B. 217 | CH ₂ =CH-CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 218 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 219 | CH ₂ =CH-CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 220 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 221 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 222 | CH ₃ -CH(CH ₃) | 2-CH ₃ | H | CH ₃ | CH |
| B. 223 | CH ₃ -CH(CH ₃) | 2-CH ₃ | H | CH ₃ | N |
| B. 224 | CH ₃ -CH(CH ₃)-CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 225 | CH ₃ -CH(CH ₃)-CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 226 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | H | CH ₃ | CH |
| B. 227 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | H | CH ₃ | N |
| B. 228 | CH ₃ -C(CH ₃) ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 229 | CH ₃ -C(CH ₃) ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 230 | CH ₂ =C(CH ₃)-CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 231 | CH ₂ =C(CH ₃)-CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 232 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 233 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 234 | CH ₃ -(CH ₂) ₅ | 2-CH ₃ | H | CH ₃ | CH |
| B. 235 | CH ₃ -(CH ₂) ₅ | 2-CH ₃ | H | CH ₃ | N |
| B. 236 | C ₆ H ₅ -CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 237 | C ₆ H ₅ -CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 238 | CH ₃ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 239 | CH ₂ =CH-CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 240 | CH ₂ =CH-CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 241 | CH ₃ -CH(CH ₃) | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 242 | CH ₃ -CH(CH ₃) | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 243 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 244 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 245 | C ₆ H ₅ -CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 246 | C ₆ H ₅ -CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 247 | CH ₃ | 3-CH ₃ C(CH ₃) ₂ | H | CH ₃ | CH |
| B. 248 | CH ₃ | 3-CH ₃ C(CH ₃) ₂ | H | CH ₃ | N |
| B. 249 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ | CH |
| B. 250 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ | N |
| B. 251 | CH ₂ =CH-CH ₂ | 2-CH ₃ | H | CH ₃ -CH ₂ | CH |
| B. 252 | CH ₂ =CH-CH ₂ | 2-CH ₃ | H | CH ₃ -CH ₂ | N |
| B. 253 | CH ₃ -CH(CH ₃) | 2-CH ₃ | H | CH ₃ -CH ₂ | CH |
| B. 254 | CH ₃ -CH(CH ₃) | 2-CH ₃ | H | CH ₃ -CH ₂ | N |
| B. 255 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|--------------------|-------------------|---|----|
| B. 256 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ | N |
| B. 257 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ -CH ₂ | CH |
| B. 258 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH(CH ₃) | N |
| B. 259 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 260 | C ₂ H ₅ | 2-CH ₃ | H | C ₂ H ₅ | CH |
| B. 261 | C ₂ H ₅ | 2-CH ₃ | H | C ₂ H ₅ | N |
| B. 262 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 263 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 264 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | H | C ₂ H ₅ | CH |
| B. 265 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | H | C ₂ H ₅ | N |
| B. 266 | CH ₃ | 2-Cl | H | CH ₃ | CH |
| B. 267 | CH ₃ | 2-Cl | H | CH ₃ | N |
| B. 268 | C ₂ H ₅ | 2-Cl | H | CH ₃ | CH |
| B. 269 | C ₂ H ₅ | 2-Cl | H | CH ₃ | N |
| B. 270 | CH ₃ | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 271 | CH ₃ | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 272 | C ₂ H ₅ | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 273 | C ₂ H ₅ | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 274 | CH ₃ | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 275 | CH ₃ | 2-Cl | 5-Cl | CH ₃ | N |
| B. 276 | C ₂ H ₅ | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 277 | C ₂ H ₅ | 2-Cl | 5-Cl | CH ₃ | N |
| B. 278 | CH ₃ -O-CH ₂ -CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 279 | CH ₃ -O-CH ₂ -CH ₂ | 2-CH ₃ | H | C ₂ H ₅ | N |
| B. 280 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | H | C ₂ H ₅ | N |
| B. 281 | CH ₃ -O-CH ₂ -CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 282 | CH ₃ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 283 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 284 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 285 | CH ₂ =CH-CH ₂ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 286 | CH ₃ -O-CH ₂ -CH ₂ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 287 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 288 | CH ₃ -CH ₂ -CH ₂ - | 2-Cl | H | CH ₃ | N |
| B. 289 | CH ₃ -CH ₂ -CH ₂ - | 2-Cl | H | CH ₃ | CH |
| B. 290 | CH ₃ -(CH ₂) ₃ - | 2-Cl | H | CH ₃ | N |
| B. 291 | CH ₃ -(CH ₂) ₃ - | 2-Cl | H | CH ₃ | CH |
| B. 292 | CH ₂ =CH-CH ₂ - | 2-Cl | H | CH ₃ | N |
| B. 293 | CH ₂ =CH-CH ₂ - | 2-Cl | H | CH ₃ | CH |
| B. 294 | CH ₃ -CH ₂ -CH ₂ - | 2-OCH ₃ | H | CH ₃ | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|--------------------|-------------------|---|----|
| B. 295 | CH ₃ -CH ₂ -CH ₂ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 296 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | H | CH ₃ | N |
| B. 297 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 298 | CH ₂ =CH-CH ₂ - | 2-OCH ₃ | H | CH ₃ | N |
| B. 299 | CH ₂ =CH-CH ₂ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 300 | CH ₃ -CH ₂ -CH ₂ - | 3-CH ₃ | H | CH ₃ | N |
| B. 301 | CH ₃ -CH ₂ -CH ₂ - | 3-CH ₃ | H | CH ₃ | CH |
| B. 302 | CH ₃ -(CH ₂) ₃ - | 3-CH ₃ | H | CH ₃ | N |
| B. 303 | CH ₃ -(CH ₂) ₃ - | 3-CH ₃ | H | CH ₃ | CH |
| B. 304 | CH ₂ =CH-CH ₂ - | 3-CH ₃ | H | CH ₃ | N |
| B. 305 | CH ₂ =CH-CH ₂ - | 3-CH ₃ | H | CH ₃ | CH |
| B. 306 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ -CH ₂ | N |
| B. 307 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ -CH ₂ | CH |
| B. 308 | CH ₃ -(CH ₂) ₅ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 309 | CH ₃ -(CH ₂) ₅ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 310 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 311 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 312 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 313 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 314 | CH≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 315 | CH≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 316 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 317 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 318 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 319 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 320 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 321 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 322 | N≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 323 | N≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 324 | N≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 325 | N≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 326 | CH ₃ | 2-CH ₃ | H | C ₆ H ₅ | N |
| B. 327 | CH ₃ | 2-CH ₃ | H | C ₆ H ₅ | CH |
| B. 328 | CH ₃ -CH ₂ -CH ₂ - | 2-CH ₃ | H | C ₆ H ₅ | N |
| B. 329 | CH ₃ -CH ₂ -CH ₂ - | 2-CH ₃ | H | C ₆ H ₅ | CH |
| B. 330 | (CH ₃) ₃ COCO-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 331 | (CH ₃) ₃ COCO-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 332 | (CH ₃) ₃ COCO-(CH ₂) ₃ | 2-CH ₃ | H | CH ₃ | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|--------------------|-------------------|---|----|
| B. 295 | CH ₃ -CH ₂ -CH ₂ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 296 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | H | CH ₃ | N |
| B. 297 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 298 | CH ₂ =CH-CH ₂ - | 2-OCH ₃ | H | CH ₃ | N |
| B. 299 | CH ₂ =CH-CH ₂ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 300 | CH ₃ -CH ₂ -CH ₂ - | 3-CH ₃ | H | CH ₃ | N |
| B. 301 | CH ₃ -CH ₂ -CH ₂ - | 3-CH ₃ | H | CH ₃ | CH |
| B. 302 | CH ₃ -(CH ₂) ₃ - | 3-CH ₃ | H | CH ₃ | N |
| B. 303 | CH ₃ -(CH ₂) ₃ - | 3-CH ₃ | H | CH ₃ | CH |
| B. 304 | CH ₂ =CH-CH ₂ - | 3-CH ₃ | H | CH ₃ | N |
| B. 305 | CH ₂ =CH-CH ₂ - | 3-CH ₃ | H | CH ₃ | CH |
| B. 306 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ -CH ₂ | N |
| B. 307 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ -CH ₂ | CH |
| B. 308 | CH ₃ -(CH ₂) ₅ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 309 | CH ₃ -(CH ₂) ₅ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 310 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 311 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 312 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 313 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 314 | CH≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 315 | CH≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 316 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 317 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 318 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 319 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 320 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 321 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 322 | N≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 323 | N≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 324 | N≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 325 | N≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 326 | CH ₃ | 2-CH ₃ | H | C ₆ H ₅ | N |
| B. 327 | CH ₃ | 2-CH ₃ | H | C ₆ H ₅ | CH |
| B. 328 | CH ₃ -CH ₂ -CH ₂ - | 2-CH ₃ | H | C ₆ H ₅ | N |
| B. 329 | CH ₃ -CH ₂ -CH ₂ - | 2-CH ₃ | H | C ₆ H ₅ | CH |
| B. 330 | (CH ₃) ₃ COCO-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 331 | (CH ₃) ₃ COCO-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 332 | (CH ₃) ₃ COCO-(CH ₂) ₃ | 2-CH ₃ | H | CH ₃ | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|----------------|----------------|------------------------------------|----|
| B. 371 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | H | CH ₃ -CH ₂ - | CH |
| B. 372 | CH ₃ -(CH ₂) ₃ - | 2-Cl | H | CH ₃ -CH ₂ - | N |
| B. 373 | CH ₃ -(CH ₂) ₃ - | 2-Cl | H | CH ₃ -CH ₂ - | CH |
| B. 374 | CH ₃ | 2-Br | H | CH ₃ | N |
| B. 375 | CH ₃ | 2-Br | H | CH ₃ | CH |
| B. 376 | CH ₃ -CH ₂ | 2-Br | H | CH ₃ | N |
| B. 377 | CH ₃ -CH ₂ | 2-Br | H | CH ₃ | CH |
| B. 378 | CH ₃ -CH ₂ -CH ₂ | 2-Br | H | CH ₃ | N |
| B. 379 | CH ₃ -CH ₂ -CH ₂ | 2-Br | H | CH ₃ | CH |
| B. 380 | CH ₃ -(CH ₂) ₃ - | 2-Br | H | CH ₃ | N |
| B. 381 | CH ₃ -(CH ₂) ₃ - | 2-Br | H | CH ₃ | CH |
| B. 382 | CH ₂ =CH-CH ₂ - | 2-Br | H | CH ₃ | N |
| B. 383 | CH ₂ =CH-CH ₂ - | 2-Br | H | CH ₃ | CH |
| B. 384 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Br | H | CH ₃ | N |
| B. 385 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Br | H | CH ₃ | CH |
| B. 386 | Cl-CH=CH-CH ₂ - | 2-Br | H | CH ₃ | N |
| B. 387 | Cl-CH=CH-CH ₂ - | 2-Br | H | CH ₃ | CH |
| B. 388 | CH ₃ | 2-Br | H | CH ₃ -CH ₂ - | N |
| B. 389 | CH ₃ | 2-Br | H | CH ₃ -CH ₂ - | CH |
| B. 390 | CH ₃ -CH ₂ | 2-Br | H | CH ₃ -CH ₂ - | N |
| B. 391 | CH ₃ -CH ₂ | 2-Br | H | CH ₃ -CH ₂ - | CH |
| B. 392 | CH ₃ -CH ₂ -CH ₂ | 2-Br | H | CH ₃ -CH ₂ - | N |
| B. 393 | CH ₃ -CH ₂ -CH ₂ | 2-Br | H | CH ₃ -CH ₂ - | CH |
| B. 394 | CH ₃ -(CH ₂) ₃ - | 2-Br | H | CH ₃ -CH ₂ - | N |
| B. 395 | CH ₃ -(CH ₂) ₃ - | 2-Br | H | CH ₃ -CH ₂ - | CH |
| B. 396 | CH ₃ | 2-I | H | CH ₃ | N |
| B. 397 | CH ₃ | 2-I | H | CH ₃ | CH |
| B. 398 | CH ₃ -CH ₂ - | 2-I | H | CH ₃ | N |
| B. 399 | CH ₃ -CH ₂ - | 2-I | H | CH ₃ | CH |
| B. 400 | CH ₃ -CH ₂ -CH ₂ | 2-I | H | CH ₃ | N |
| B. 401 | CH ₃ -CH ₂ -CH ₂ | 2-I | H | CH ₃ | CH |
| B. 402 | CH ₃ -(CH ₂) ₃ - | 2-I | H | CH ₃ | N |
| B. 403 | CH ₃ -(CH ₂) ₃ - | 2-I | H | CH ₃ | CH |
| B. 404 | CH ₂ =CH-CH ₂ - | 2-I | H | CH ₃ | N |
| B. 405 | CH ₂ =CH-CH ₂ - | 2-I | H | CH ₃ | CH |
| B. 406 | CH ₃ -O-CH ₂ -CH ₂ - | 2-I | H | CH ₃ | N |
| B. 407 | CH ₃ -O-CH ₂ -CH ₂ - | 2-I | H | CH ₃ | CH |
| B. 408 | Cl-CH=CH-CH ₂ - | 2-I | H | CH ₃ | N |
| B. 409 | Cl-CH=CH-CH ₂ - | 2-I | H | CH ₃ | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|--------------------------------------|----------------|------------------------------------|----|
| B. 410 | CH ₃ | 2-I | H | CH ₃ -CH ₂ - | N |
| B. 411 | CH ₃ | 2-I | H | CH ₃ -CH ₂ - | CH |
| B. 412 | CH ₃ -CH ₂ | 2-I | H | CH ₃ -CH ₂ - | N |
| B. 413 | CH ₃ -CH ₂ | 2-I | H | CH ₃ -CH ₂ - | CH |
| B. 414 | CH ₃ -CH ₂ -CH ₂ | 2-I | H | CH ₃ -CH ₂ - | N |
| B. 415 | CH ₃ -CH ₂ -CH ₂ | 2-I | H | CH ₃ -CH ₂ - | CH |
| B. 416 | CH ₃ -(CH ₂) ₃ - | 2-I | H | CH ₃ -CH ₂ - | N |
| B. 417 | CH ₃ -(CH ₂) ₃ - | 2-I | H | CH ₃ -CH ₂ - | CH |
| B. 418 | CH ₃ | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 419 | CH ₃ | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 420 | CH ₃ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 421 | CH ₃ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 422 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 423 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 424 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 425 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 426 | CH ₂ =CH-CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 427 | CH ₂ =CH-CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 428 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 429 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 430 | C1-CH=CH-CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 431 | C1-CH=CH-CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 432 | CH ₃ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | N |
| B. 433 | CH ₃ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | CH |
| B. 434 | CH ₃ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | N |
| B. 435 | CH ₃ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | CH |
| B. 436 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | N |
| B. 437 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | CH |
| B. 438 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | N |
| B. 439 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | CH |
| B. 440 | CH ₃ -O-CH ₂ -CH ₂ - | 3-CH ₃ | H | CH ₃ | N |
| B. 441 | CH ₃ -O-CH ₂ -CH ₂ - | 3-CH ₃ | H | CH ₃ | CH |
| B. 442 | C1-CH=CH-CH ₂ | 3-CH ₃ | H | CH ₃ | N |
| B. 443 | C1-CH=CH-CH ₂ | 3-CH ₃ | H | CH ₃ | CH |
| B. 444 | CH ₃ | 3-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 445 | CH ₃ | 3-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 446 | CH ₃ -CH ₂ | 3-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 447 | CH ₃ -CH ₂ | 3-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 448 | CH ₃ -CH ₂ -CH ₂ | 3-CH ₃ | H | CH ₃ -CH ₂ - | N |

| Verb. - Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|----------------|---|--------------------|----------------|------------------------------------|----|
| B. 449 | CH ₃ -CH ₂ -CH ₂ | 3-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 450 | CH ₃ -(CH ₂) ₃ - | 3-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 451 | CH ₃ -(CH ₂) ₃ - | 3-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 452 | CH ₃ -O-CH ₂ -CH ₂ - | 2-OCH ₃ | H | CH ₃ | N |
| B. 453 | CH ₃ -O-CH ₂ -CH ₂ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 454 | Cl-CH=CH-CH ₂ - | 2-OCH ₃ | H | CH ₃ | N |
| B. 455 | Cl-CH=CH-CH ₂ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 456 | CH ₃ | 2-OCH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 457 | CH ₃ | 2-OCH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 458 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 459 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 460 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 461 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 462 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 463 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 464 | CH ₃ | 2-CN | H | CH ₃ | N |
| B. 465 | CH ₃ | 2-CN | H | CH ₃ | CH |
| B. 466 | CH ₃ -CH ₂ | 2-CN | H | CH ₃ | N |
| B. 467 | CH ₃ -CH ₂ | 2-CN | H | CH ₃ | CH |
| B. 468 | CH ₃ -CH ₂ -CH ₂ | 2-CN | H | CH ₃ | N |
| B. 469 | CH ₃ -CH ₂ -CH ₂ | 2-CN | H | CH ₃ | CH |
| B. 470 | CH ₃ -(CH ₂) ₃ - | 2-CN | H | CH ₃ | N |
| B. 471 | CH ₃ -(CH ₂) ₃ - | 2-CN | H | CH ₃ | CH |
| B. 472 | CH ₂ =CH-CH ₂ - | 2-CN | H | CH ₃ | N |
| B. 473 | CH ₂ =CH-CH ₂ - | 2-CN | H | CH ₃ | CH |
| B. 474 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CN | H | CH ₃ | N |
| B. 475 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CN | H | CH ₃ | CH |
| B. 476 | Cl-CH=CH-CH ₂ - | 2-CN | H | CH ₃ | N |
| B. 477 | Cl-CH=CH-CH ₂ - | 2-CN | H | CH ₃ | CH |
| B. 478 | CH ₃ | 2-CN | H | CH ₃ -CH ₂ - | N |
| B. 479 | CH ₃ | 2-CN | H | CH ₃ -CH ₂ - | CH |
| B. 480 | CH ₃ -CH ₂ | 2-CN | H | CH ₃ -CH ₂ - | N |
| B. 481 | CH ₃ -CH ₂ | 2-CN | H | CH ₃ -CH ₂ - | CH |
| B. 482 | CH ₃ -CH ₂ -CH ₂ | 2-CN | H | CH ₃ -CH ₂ - | N |
| B. 483 | CH ₃ -CH ₂ -CH ₂ | 2-CN | H | CH ₃ -CH ₂ - | CH |
| B. 484 | CH ₃ -(CH ₂) ₃ - | 2-CN | H | CH ₃ -CH ₂ - | N |
| B. 485 | CH ₃ -(CH ₂) ₃ - | 2-CN | H | CH ₃ -CH ₂ - | CH |
| B. 486 | CH ₃ | 2-NO ₂ | H | CH ₃ | N |
| B. 487 | CH ₃ | 2-NO ₂ | H | CH ₃ | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|-------------------|-------------------|------------------------------------|----|
| B. 488 | CH ₃ -CH ₂ | 2-NO ₂ | H | CH ₃ | N |
| B. 489 | CH ₃ -CH ₂ | 2-NO ₂ | H | CH ₃ | CH |
| B. 490 | CH ₃ -CH ₂ -CH ₂ | 2-NO ₂ | H | CH ₃ | N |
| B. 491 | CH ₃ -CH ₂ -CH ₂ | 2-NO ₂ | H | CH ₃ | CH |
| B. 492 | CH ₃ -(CH ₂) ₃ - | 2-NO ₂ | H | CH ₃ | N |
| B. 493 | CH ₃ -(CH ₂) ₃ - | 2-NO ₂ | H | CH ₃ | CH |
| B. 494 | CH ₂ =CH-CH ₂ - | 2-NO ₂ | H | CH ₃ | N |
| B. 495 | CH ₂ =CH-CH ₂ - | 2-NO ₂ | H | CH ₃ | CH |
| B. 496 | CH ₃ -O-CH ₂ -CH ₂ - | 2-NO ₂ | H | CH ₃ | N |
| B. 497 | CH ₃ -O-CH ₂ -CH ₂ - | 2-NO ₂ | H | CH ₃ | CH |
| B. 498 | Cl-CH=CH-CH ₂ - | 2-NO ₂ | H | CH ₃ | N |
| B. 499 | Cl-CH=CH-CH ₂ - | 2-NO ₂ | H | CH ₃ | CH |
| B. 500 | CH ₃ | 2-NO ₂ | H | CH ₃ -CH ₂ - | N |
| B. 501 | CH ₃ | 2-NO ₂ | H | CH ₃ -CH ₂ - | CH |
| B. 502 | CH ₃ -CH ₂ | 2-NO ₂ | H | CH ₃ -CH ₂ - | N |
| B. 503 | CH ₃ -CH ₂ | 2-NO ₂ | H | CH ₃ -CH ₂ - | CH |
| B. 504 | CH ₃ -CH ₂ -CH ₂ | 2-NO ₂ | H | CH ₃ -CH ₂ - | N |
| B. 505 | CH ₃ -CH ₂ -CH ₂ | 2-NO ₂ | H | CH ₃ -CH ₂ - | CH |
| B. 506 | CH ₃ -(CH ₂) ₃ - | 2-NO ₂ | H | CH ₃ -CH ₂ - | N |
| B. 507 | CH ₃ -(CH ₂) ₃ - | 2-NO ₂ | H | CH ₃ -CH ₂ - | CH |
| B. 508 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-Cl | CH ₃ | N |
| B. 509 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 510 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-Cl | CH ₃ | N |
| B. 511 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 512 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-Cl | CH ₃ | N |
| B. 513 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 514 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Cl | 5-Cl | CH ₃ | N |
| B. 515 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 516 | Cl-CH=CH-CH ₂ - | 2-Cl | 5-Cl | CH ₃ | N |
| B. 517 | Cl-CH=CH-CH ₂ - | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 518 | CH ₃ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 519 | CH ₃ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 520 | CH ₃ -CH ₂ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 521 | CH ₃ -CH ₂ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 522 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 523 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 524 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 525 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 526 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|-------------------|-------------------|------------------------------------|----|
| B. 527 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 528 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 529 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 530 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 531 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 532 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 533 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 534 | Cl-CH=CH-CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 535 | Cl-CH=CH-CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 536 | CH ₃ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 537 | CH ₃ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 538 | CH ₃ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 539 | CH ₃ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 540 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 541 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 542 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 543 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 544 | CH ₃ | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 545 | CH ₃ | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 546 | CH ₃ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 547 | CH ₃ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 548 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 549 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 550 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 551 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 552 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 553 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 554 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 555 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 556 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 557 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 558 | CH ₃ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 559 | CH ₃ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 560 | CH ₃ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 561 | CH ₃ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 562 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 563 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 564 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 565 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|--------------------|-------------------|------------------------------------|----|
| B. 566 | CH ₃ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 567 | CH ₃ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 568 | CH ₃ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 569 | CH ₃ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 570 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 571 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 572 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 573 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 574 | CH ₂ =CH-CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 575 | CH ₂ =CH-CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 576 | CH ₃ -O-CH ₂ -CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 577 | CH ₃ -O-CH ₂ -CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 578 | Cl-CH=CH-CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 579 | Cl-CH=CH-CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 580 | CH ₃ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 581 | CH ₃ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 582 | CH ₃ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 583 | CH ₃ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 584 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 585 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 586 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 587 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 588 | CH ₃ | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 589 | CH ₃ | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 590 | CH ₃ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 591 | CH ₃ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 592 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 593 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 594 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 595 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 596 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 597 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 598 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 599 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 600 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 601 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 602 | CH ₃ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 603 | CH ₃ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 604 | CH ₃ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|-------------------|-------------------------------------|------------------------------------|----|
| B. 605 | CH ₃ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 606 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 607 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 608 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 609 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 610 | CH ₃ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 611 | CH ₃ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 612 | CH ₃ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 613 | CH ₃ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 614 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 615 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 616 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 617 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 618 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 619 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 620 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 621 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 622 | C1-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 623 | C1-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 624 | CH ₃ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | N |
| B. 625 | CH ₃ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | CH |
| B. 626 | CH ₃ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | N |
| B. 627 | CH ₃ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | CH |
| B. 628 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | N |
| B. 629 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | CH |
| B. 630 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | N |
| B. 631 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | CH |

Tabelle C

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | R ⁵ | R ⁶ | X |
|-----------|----------------------------------|-------------------|----------------|-----------------|-----------------|-------------------------------|----|
| C.001 | CH ₃ - | 2-CH ₃ | H | CH ₃ | CH ₃ | CH ₃ | N |
| C.002 | CH ₃ - | 3-CH ₃ | H | CH ₃ | CH ₃ | CH ₃ | CH |
| C.003 | CH ₃ -CH ₂ | 2-CH ₃ | H | CH ₃ | CH ₃ | CH ₃ | N |
| C.004 | CH ₃ -CH ₂ | 2-CH ₃ | H | CH ₃ | CH ₃ | CH ₃ | CH |
| C.005 | CH ₃ | 2-CH ₃ | H | CH ₃ | H | H | N |
| C.006 | CH ₃ | 2-CH ₃ | H | CH ₃ | H | H | CH |
| C.007 | CH ₃ | 2-CH ₃ | H | CH ₃ | H | C ₂ H ₅ | N |
| C.008 | CH ₃ | 2-CH ₃ | H | CH ₃ | H | C ₂ H ₅ | CH |
| C.009 | CH ₃ | 2-CH ₃ | H | CH ₃ | CH ₃ | C ₂ H ₅ | CH |

Tabelle D

| Verb.-Nr. | R ¹ | R ² | R ³ | X |
|-----------|------------------------------------|--------------------|----------------|----|
| D.001 | CH ₃ - | H | H | CH |
| D.002 | CH ₃ - | H | H | N |
| D.003 | CH ₃ - | 2-Cl | H | CH |
| D.004 | CH ₃ - | 2-Cl | H | N |
| D.005 | CH ₃ - | 2-CH ₃ | H | CH |
| D.006 | CH ₃ - | 2-CH ₃ | H | N |
| D.007 | CH ₃ - | 2-OCH ₃ | H | CH |
| D.008 | CH ₃ - | 2-OCH ₃ | H | N |
| D.009 | CH ₃ - | 3-Cl | H | CH |
| D.010 | CH ₃ - | 3-Cl | H | N |
| D.011 | CH ₃ - | 3-CH ₃ | H | CH |
| D.012 | CH ₃ - | 3-CH ₃ | H | N |
| D.013 | CH ₃ - | 3-OCH ₃ | H | CH |
| D.014 | CH ₃ - | 3-OCH ₃ | H | N |
| D.015 | CH ₃ | 2-Cl | 6-Cl | CH |
| D.016 | CH ₃ | 2-Cl | 6-Cl | N |
| D.017 | CH ₃ -CH ₂ - | H | H | CH |
| D.018 | CH ₃ -CH ₂ - | H | H | N |
| D.019 | CH ₃ -CH ₂ - | 2-Cl | H | CH |
| D.020 | CH ₃ -CH ₂ - | 2-Cl | H | N |
| D.021 | CH ₃ -CH ₂ - | 2-CH ₃ | H | CH |
| D.022 | CH ₃ -CH ₂ - | 2-CH ₃ | H | N |
| D.023 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | CH |
| D.024 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | N |

| Verb.-Nr. | R ¹ | R ² | R ³ | X |
|-----------|--|--------------------|----------------|----|
| D. 025 | CH ₃ -CH ₂ - | 3-Cl | H | CH |
| D. 026 | CH ₃ -CH ₂ - | 3-Cl | H | N |
| D. 027 | CH ₃ -CH ₂ - | 3-CH ₃ | H | CH |
| D. 028 | CH ₃ -CH ₂ - | 3-CH ₃ | H | N |
| D. 029 | CH ₃ -CH ₂ - | 3-OCH ₃ | H | CH |
| D. 030 | CH ₃ -CH ₂ - | 3-OCH ₃ | H | N |
| D. 031 | CH ₃ -CH ₂ - | 2-Cl | H | CH |
| D. 032 | CH ₃ -CH ₂ - | 2-Cl | 6-Cl | N |
| D. 033 | CH ₃ -CH ₂ -CH ₂ - | H | 6-Cl | CH |
| D. 034 | CH ₃ -CH ₂ -CH ₂ - | H | H | N |
| D. 035 | CH ₂ =CH-CH ₂ - | H | H | CH |
| D. 036 | CH ₂ =CH-CH ₂ - | H | H | N |
| D. 037 | CH ₃ -CH(CH ₃)- | H | H | CH |
| D. 038 | CH ₃ -CH(CH ₃)- | H | H | N |
| D. 039 | HC≡C-CH ₂ - | H | H | CH |
| D. 040 | HC≡C-CH ₂ - | H | H | N |
| D. 041 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | CH |
| D. 042 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | N |
| D. 043 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | CH |
| D. 044 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | N |
| D. 045 | CH ₃ -CH=CH-CH ₂ - | H | H | CH |
| D. 046 | CH ₃ -CH=CH-CH ₂ - | H | H | N |
| D. 047 | CH ₃ -(CH ₂) ₅ - | H | H | CH |
| D. 048 | CH ₃ -(CH ₂) ₅ - | H | H | N |
| D. 049 | cyclo-C ₆ H ₁₁ - | H | H | CH |
| D. 050 | cyclo-C ₆ H ₁₁ - | H | H | N |
| D. 051 | C ₆ H ₅ -CH ₂ - | H | H | CH |
| D. 052 | C ₆ H ₅ -CH ₂ - | H | H | N |
| D. 053 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | CH |
| D. 054 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | N |
| D. 055 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | CH |
| D. 056 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | N |
| D. 057 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | CH |
| D. 058 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | N |
| D. 059 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | CH |
| D. 060 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | N |
| D. 061 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | CH |
| D. 062 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | N |
| D. 063 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | CH |

| Verb.-Nr. | R ¹ | R ² | R ³ | X |
|-----------|--|----------------------------------|----------------|----|
| D.064 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | N |
| D.065 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ - | H | H | CH |
| D.066 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ - | H | H | N |
| D.067 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | CH |
| D.068 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | N |
| D.069 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | CH |
| D.070 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | N |
| D.071 | Cl-CH=CH-CH ₂ - | H | H | CH |
| D.072 | Cl-CH=CH-CH ₂ - | H | H | N |
| D.073 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | CH |
| D.074 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | N |
| D.075 | CH ₃ -C(CH ₃) ₂ - | H | H | CH |
| D.076 | CH ₃ -C(CH ₃) ₂ - | H | H | N |
| D.077 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | CH |
| D.078 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | N |
| D.079 | CH ₂ =C(CH ₃)-CH ₂ - | H | H | CH |
| D.080 | CH ₂ =C(CH ₃)-CH ₂ - | H | H | N |
| D.081 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ - | H | H | CH |
| D.082 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ - | H | H | N |
| D.083 | CH ₃ -(CH ₂) ₄ - | H | H | CH |
| D.084 | CH ₃ -(CH ₂) ₄ - | H | H | N |
| D.085 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | CH |
| D.086 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | N |
| D.087 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | CH |
| D.088 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | N |
| D.089 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | CH |
| D.090 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | N |
| D.091 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | CH |
| D.092 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | N |
| D.093 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | CH |
| D.094 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | N |
| D.095 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | CH |
| D.096 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | N |
| D.097 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ - | H | H | CH |
| D.098 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ - | H | H | N |
| D.099 | 4-Cl-C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | CH |
| D.100 | 4-Cl-C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | N |
| D.101 | 4-CF ₃ -C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | CH |
| D.102 | 4-CF ₃ -C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | N |

Tabelle E

| Verb.-Nr. | R ¹ | R ² | R ³ |
|-----------|---|-------------------|-------------------|
| E.001 | CH ₃ | 2-CH ₃ | 5-CH ₃ |
| E.002 | CH ₃ CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E.003 | CH ₃ CH ₂ CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E.004 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E.005 | HC≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E.006 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E.007 | CH ₃ -CH=CH-CH ₂ | 2-CH ₃ | 5-CH ₃ |
| E.008 | C ₂ H ₅ -CH ₂ -CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E.009 | CH ₃ | 2-CH ₃ | 2-Cl |
| E.010 | CH ₃ CH ₂ - | 2-CH ₃ | 2-Cl |
| E.011 | CH ₃ CH ₂ CH ₂ - | 2-CH ₃ | 2-Cl |
| E.012 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-CH ₃ | 2-Cl |
| E.013 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 2-Cl |
| E.014 | C ₂ H ₅ -CH ₂ -CH ₂ - | 2-CH ₃ | 2-Cl |
| E.015 | CH ₃ | 2-CH ₃ | 5-i-Proyl |
| E.016 | CH ₃ CH ₂ - | 2-CH ₃ | 5-i-Proyl |
| E.017 | CH ₃ CH ₂ CH ₂ - | 2-CH ₃ | 5-i-Proyl |
| E.018 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-CH ₃ | 5-i-Proyl |
| E.019 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-i-Proyl |
| E.020 | C ₂ H ₅ -CH ₂ -CH ₂ - | 2-CH ₃ | 5-i-Proyl |
| E.021 | CH ₃ | 2-Cl | 5-Cl |
| E.022 | CH ₃ CH ₂ - | 2-Cl | 5-Cl |
| E.023 | CH ₃ CH ₂ CH ₂ - | 2-Cl | 5-Cl |
| E.024 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-Cl | 5-Cl |
| E.025 | HC≡C-CH ₂ - | 2-Cl | 5-Cl |
| E.026 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-Cl |
| E.027 | C ₂ H ₅ -CH ₂ -CH ₂ - | 2-Cl | 5-Cl |
| E.028 | CH ₃ | 2-F | H |
| E.029 | CH ₃ CH ₂ - | 2-F | H |
| E.030 | CH ₃ CH ₂ CH ₂ - | 2-F | H |
| E.031 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-F | H |
| E.032 | HC≡C-CH ₂ - | 2-F | H |
| E.033 | CH ₂ =CH-CH ₂ - | 2-F | H |
| E.034 | CH ₃ | 2-Cl | 5-CH ₃ |
| E.035 | CH ₃ CH ₂ - | 2-Cl | 5-CH ₃ |
| E.036 | CH ₃ CH ₂ CH ₂ - | 2-Cl | 5-CH ₃ |
| E.037 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-Cl | 5-CH ₃ |

| Verb.-Nr. | R ¹ | R ² | R ³ |
|-----------|---|-------------------|-------------------|
| E. 038 | HC≡C-CH ₂ - | 2-Cl | 5-CH ₃ |
| E. 039 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-CH ₃ |
| E. 040 | CH ₃ | 2-CN | H |
| E. 041 | CH ₃ CH ₂ - | 2-CN | H |
| E. 042 | CH ₃ (CH ₂) ₄ - | 2-CH ₃ | 5-CH ₃ |
| E. 043 | CH ₃ (CH ₂) ₅ - | 2-CH ₃ | 5-CH ₃ |
| E. 044 | C ₆ H ₅ -CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 045 | t-C ₄ H ₉ O-CO-CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 046 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 047 | CH ₃ O-CH ₂ -CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 048 | CH ₃ CH ₂ CH ₂ - | 2-CH ₃ | H |
| E. 049 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-CH ₃ | H |
| E. 050 | CH ₃ (CH ₂) ₄ - | 2-CH ₃ | H |
| E. 051 | CH ₃ (CH ₂) ₅ - | 2-CH ₃ | H |
| E. 052 | CH ₃ (CH ₂) ₆ - | 2-CH ₃ | H |
| E. 053 | HC≡C-CH ₂ - | 2-CH ₃ | H |
| E. 054 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | H |
| E. 055 | CH ₃ -CH=CH-CH ₂ - | 2-CH ₃ | H |
| E. 056 | C ₂ H ₅ -CH ₂ -CH ₂ - | 2-CH ₃ | H |
| E. 057 | CH ₃ O-CH ₂ -CH ₂ - | 2-CH ₃ | H |
| E. 058 | C ₆ H ₅ -CH ₂ - | 2-CH ₃ | H |
| E. 059 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H |
| E. 060 | t-C ₄ H ₉ O-CH-CH ₂ - | 2-CH ₃ | H |
| E. 061 | Cyclo-C ₆ H ₁₁ - | 2-CH ₃ | H |
| E. 062 | (CH ₃) ₂ -CH- | 2-CH ₃ | H |
| E. 063 | t-Butyl- | 2-CH ₃ | H |
| E. 064 | (CH ₃) ₂ -CH-CH ₂ - | 2-CH ₃ | H |
| E. 065 | (CH ₃) ₂ -CH- | 2-CH ₃ | 5-CH ₃ |
| E. 066 | t-Butyl- | 2-CH ₃ | 5-CH ₃ |
| E. 067 | (CH ₃) ₂ -CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ |

Die neuen Verbindungen I zeichnen sich durch eine hervorragende Wirksamkeit gegen ein breites Spektrum von pflanzenpathogenen Pilzen, insbesondere aus der Klasse der Ascomyceten und Basidiomyceten, aus und können als Blatt- und Bodenfungizide eingesetzt werden. Sie besitzen zum Teil bemerkenswert hohe systemische Beweglichkeit und Wirksamkeit nach Boden- und insbesondere auch nach Blattapplikation.

Besondere Bedeutung haben sie für die Bekämpfung einer Vielzahl von Pilzen an verschiedenen Kulturpflanzen wie Weizen, Roggen, Gerste, Hafer, Reis, Mais, Gras, Baumwolle, Soja, Kaffee, Zuckerrohr, Wein, Obst- und Zierpflanzen und Gemüsepflanzen wie Gurken, Bohnen und Kürbisgewächsen, sowie an den Samen dieser Pflanzen.

Speziell eignen sie sich zur Bekämpfung folgender Pflanzenkrankheiten:
Erysiphe graminis (echter Mehltau) in Getreide,

- Erysiphe cichoracearum und Shaerotherca fuliginea an Kürbisgewächsen,
 Podospheare leucotricha an Äpfeln,
 Uncinula necator an Reben,
 Puccinia-Arten an Getreide,
 5 Rhizoctonia-Arten an Baumwolle und Rasen,
 Ustilago-Arten an Getreide und Zuckerrohr,
 Venturia inaequalis (Schorf) an Äpfeln,
 Helminthosporium-Arten an Getreide,
 Septoria nodorum an Weizen,
 10 Botrytis cinerea (Grauschimmel) an Erdbeeren, Reben,
 Cercospora arachidicola an Erdnüssen,
 Pseudocercospora herpotrichoides an Weizen, Gerste,
 Pyricularia oryzae an Reis,
 Phytophthora infestans an Kartoffeln und Tomaten,
 15 Fusarium- und Verticillium-Arten an verschiedenen Pflanzen,
 Plasmopara viticola an Reben,
 Alternaria-Arten an Gemüse und Obst.

Die Verbindungen I werden angewendet, indem man die Pilze oder die vor Pilzbefall zu schützenden Pflanzen, Saatgüter, Materialien oder den Erdboden mit einer fungizid wirksamen Menge der Wirkstoffe behandelt. Die Anwendung erfolgt vor oder nach der Infektion der Materialien, Pflanzen oder Samen durch die Pilze.

Sie können in die üblichen Formulierungen übergeführt werden, wie Lösungen, Emulsionen, Suspensionen, Stäube, Pulver, Pasten und Granulate. Die Anwendungsformen richten sich nach den Verwendungszwecken; sie sollen in jedem Fall eine feine und gleichmäßige Verteilung des ortho-substituierten Benzylesters einer Cyclopropan-carbonsäure gewährleisten. Die Formulierungen werden in bekannter Weise hergestellt, z. B. durch Verstrecken des Wirkstoffs mit Lösungsmitteln und/oder Trägerstoffen, gewünschtenfalls unter Verwendung von Emulgiermitteln und Dispergiermitteln, wobei im Falle von Wasser als Verdünnungsmittel auch andere organische Lösungsmittel als Hilfslösungsmittel verwendet werden können. Als Hilfsstoffe kommen dafür im wesentlichen in Betracht: Lösungsmittel wie Aromaten (z.B. Xylol), chlorierte Aromaten (z.B. Chlorbenzole), Paraffine (z.B. Erdölfractionen), Alkohole (z.B. Methanol, Butanol), Ketone (z.B. Cyclohexanon), Amine (z.B. Ethanolamin, Dimethylformamid) und Wasser; Trägerstoffe wie natürliche Gesteinsmehle (z.B. Kaoline, Tonerden, Talkum, Kreide) und synthetische Gesteinsmehle (z.B. hochdisperse Kieselsäure, Silikate); Emulgiermittel wie nichtionogene und anionische Emulgatoren (z.B. Polyoxyethylen-Fettalkohol-Ether, Alkylsulfonate und arylsulfonate) und Dispergiermittel wie Lignin-Sulfitablaugen und Methylcellulose.

Die fungiziden Mittel enthalten im allgemeinen zwischen 0,1 und 95, vorzugsweise zwischen 0,5 und 90 Gew.% Wirkstoff.

Die Aufwandmengen liegen je nach Art des gewünschten Effektes zwischen 0,01 und 3 kg Wirkstoff pro ha.

Bei der Saatgutbehandlung werden im allgemeinen Wirkstoffmengen von 0,001 bis 50 g, vorzugsweise 0,01 bis 10 g je Kilogramm Saatgut benötigt.

Die erfindungsgemäßen Mittel können in der Anwendungsform als Fungizide auch zusammen mit anderen Wirkstoffen vorliegen, der z.B. mit Herbiziden, Insektiziden, Wachstumsregulatoren, Fungiziden oder auch mit Düngemitteln.

Beim Vermischen mit Fungiziden erhält man dabei in vielen Fällen eine Vergrößerung des fungiziden Wirkungsspektrums.

Die Verbindungen der Formel IA sind außerdem geeignet, Schädlinge aus der Klasse der Insekten, Spinnentiere und Nematoden wirksam zu bekämpfen. Sie können im Pflanzenschutz sowie auf dem Hygiene-, Vorratsschutz- und Veterinärsektor als Schädlingsbekämpfungsmittel eingesetzt werden.

Zu den schädlichen Insekten gehören aus der Ordnung der Schmetterlinge (Lepidoptera) beispielsweise Agrotis ypsilon, Agrotis segetum, Alabama argillacea, Anticarsia gemmatilis, Argyroresthia conjugella, Autographa gamma, Bupalus piniarius, Cacoecia murinana, Capua reticulana, Cheimantobia brumata, Choristoneura fumiferana, Choristoneura occidentalis, Cirphis unipuncta, Cydia pomonella, Dendrolimus pini, Diaphanobia nitidalis, Diatraea grandiosella, Earias insulana, Elasmopalpus lignosellus, Eupoecilia ambiguella, Evetria boubliana, Feltia subterranea, Galleria mellonella, Grapholita funebrana, Grapholita molesta, Heliothis armigera, Heliothis virescens, Heliothis zea, Hellula undalis, Hibernia defoliaria, Hyphantria cunea, Hyponomeuta malinellus, Keifferia lycopersicella, Lambdina fiscellaria, Laphygma exigua, Leucoptera coffeella, Leucoptera scitella, Lithocolletis blancardella, Lobesia botrana, Loxostege sticticalis, Lymantria dispar, Lymantria monacha, Lyonetia clerkella, Malacosoma neustria, Mamestra brassicae, Orgyia pseudotsugata, Ostrinia nubilalis,

Panolis flammea, Pectinophora gossypiella, Peridroma saucia, Phalera bucephala, Phthorimaea operculella, Phyllocnistis citrella, Pieris brassicae, Plathypena scabra, Plutella xylostella, Pseudoplusia includens, Phycionia frustrana, Scrobipalpula absoluta, Sitotroga cerealella, Sparganothis pilleriana, Spodoptera frugiperda, Spodoptera littoralis, Spodoptera litura, Thaumtopoea pityocampa, Tortrix viridana, Trichoplusia ni, Zeiraphera canadensis.

Aus der Ordnung der Käfer (Coleoptera) beispielsweise Agrilus sinuatus, Agriotes lineatus, Agriotes obscurus, Amphimallus solstitialis, Anisandrus dispar, Anthonomus grandis, Anthonomus pomorum, Atomaria linearis, Blastophagus piniperda, Blitophaga undata, Bruchus rufimanus, Bruchus pisorum, Bruchus lentis, Byctiscus betulae, Cassida nebulosa, Cerotoma trifurcata, Ceuthorrhynchus assimilis, Ceuthorrhynchus napi, Chaetocnema tibialis, Conoderus vespertinus, Crioceris asparagi, Diabrotica longicornis, Diabrotica 12-punctata, Diabrotica virgifera, Epilachna varivestis, Epitrix hirtipennis, Eutinobothrus brasiliensis, Hylobius abietis, Hypera brunneipennis, Hypera postica, Ips typographus, Lema bilineata, Lema melanopus, Leptinotarsa decemlineata, Limonius californicus, Lissorhoptrus oryzophilus, Melanotus communis, Meligethes aeneus, Melolontha hippocastani, Melolontha melolontha, Oulema oryzae, Ortiorrhynchus sulcatus, Otiorrhynchus ovatus, Phaedon cochleariae, Phyllotreta chrysocephala, Phyllophaga sp., Phyllopertha horticola, Phyllotreta nemorum, Phyllotreta striolata, Popillia japonica, Sitona lineatus, Sitophilus granaria.

Aus der Ordnung der Zweiflügler (Diptera) beispielsweise Aedes aegypti, Aedes vexans, Anastrepha ludens, Anopheles maculipennis, Ceratitis capitata, Chrysomya bezziana, Chrysomya hominivorax, Chrysomya macellaria, Contarinia sorghicola, Cordylobia anthropophaga, Culex pipiens, Dacus cucurbitae, Dacus oleae, Dasineura brassicae, Fannia canicularis, Gasterophilus intestinalis, Glossina morsitans, Haematobia irritans, Haplodiplosis equestris, Hylemyia platyura, Hypoderma lineata, Liriomyza sativae, Liriomyza trifolii, Lucilia caprina, Lucilia cuprina, Lucilia sericata, Lycoria pectoralis, Mayetiola destructor, Musca domestica, Muscina stabulans, Oestrus ovis, Oscinella frit, Pegomya hyoscyami, Phorbia antiqua, Phorbia brassicae, Phorbia coarctata, Rhagoletis cerasi, Rhagoletis pomonella, Tabanus bovinus, Tipula oleracea, Tipula paludosa.

Aus der Ordnung der Thripse (Thysanoptera) beispielsweise Frankliniella fusca, Frankliniella occidentalis, Frankliniella tritici, Scirtothrips citri, Thrips oryzae, Thrips palmi, Thrips tabaci.

Aus der Ordnung der Hautflügler (Hymenoptera) beispielsweise Athalia rosae, Atta cephalotes, Atta sexdens, Atta texana, Hoplocampa minuta, Hoplocampa testudinea, Monomorium pharaonis, Solenopsis geminata, Solenopsis invicta.

Aus der Ordnung der Wanzen (Heteroptera) beispielsweise Acrosternum hilare, Blissus leucopterus, Cyrtopeltis notatus, Dysdercus cingulatus, Dysdercus intermedius, Eurygaster integriceps, Euschistus impictiventris, Leptoglossus phyllopus, Lygus lineolaris, Lygus pratensis, Nezara viridula, Piesma quadrata, Solubea insularis, Thyanta perditor.

Aus der Ordnung der Pflanzensauger (Homoptera) beispielsweise Acyrthosiphon onobrychis, Adelges laricis, Aphidula nasturtii, Aphis fabae, Aphis pomi, Aphis sambuci, Bemisia tabaci, Brachycaudus cardui, Brevicoryne brassicae, Cerosipha gossypii, Dreyfusia nordmanniana, Dreyfusia piceae, Dysaphis radicola, Dysaulacorthum pseudosolani, Empoasca fabae, Macrosiphum avenae, Macrosiphum euphorbiae, Macrosiphon rosae, Megoura viciae, Metopolophium dirhodum, Myzodes persicae, Myzus cerasi, Nephotettix cincticeps, Nilaparvata lugens, Pemphigus bursarius, Perkinsiella saccharicida, Phorodon humuli, Psylla mali, Psylla piri, Rhopalomyzus ascalonicus, Rhopalosiphum maidis, Sappahis mali, Schizaphis graminum, Schizoneura lanuginosa, Trialeurodes vaporariorum, Viteus vitifolii.

Aus der Ordnung der Termiten (Isoptera) beispielsweise Calotermes flavicollis, Leucotermes flavipes, Reticulitermes lucifugus, Termes natalensis.

Aus der Ordnung der Geradflügler (Orthoptera) beispielsweise Acheta domestica, Blatta orientalis, Blattella germanica, Forficula auricularia, Gryllotalpa gryllotalpa, Locusta migratoria, Melanoplus bivittatus, Melanoplus femur-rubrum, Melanoplus mexicanus, Melanoplus sanguinipes, Melanoplus spretus, Nomadacris septemfasciata, Periplaneta americana, Schistocerca americana, Schistocerca peregrina, Stauronotus maroccanus, Tachycines asynamorus.

Aus der Klasse der Arachnoidea beispielsweise Spinnentiere (Acarina) wie Amblyomma americanum, Amblyomma variegatum, Argas persicus, Boophilus annulatus, Boophilus decoloratus, Boophilus microplus, Brevipalpus phoenicis, Bryobia praetiosa, Dermacentor silvarum, Eotetranychus carpini, Eriophyes sheldoni, Hyalomma truncatum, Ixodes ricinus, Ixodes rubicundus, Metatetranychus (Phanonychus) ulmi, Ornithodoros moubata, Otobius megnini, Paratetranychus pilosus, Dermanyssus gallinae, Phyllocoptruta oleivora, Polyphagotarsonemus latus, Psoroptes ovis, Rhipicephalus appendiculatus, Rhipicephalus evertsi, Sarcoptes scabiei, Tetranychus cinnabarinus, Tetranychus kanzawai, Tetranychus pacificus, Tetranychus telarius, Tetranychus urticae.

Aus der Klasse der Nematoden beispielsweise Wurzelgallennematoden, z.B. *Meloidogyne hapla*, *Meloidogyne incognita*, *Meloidogyne javanica*, Zysten bildende Nematoden, z.B. *Globodera rostochiensis*, *Heterodera avenae*, *Heterodera glycinae*, *Heterodera schachtii*, *Heterodera trifolii*, Stock- und Blattälchen, z.B. *Belonolaimus longicaudatus*, *Ditylenchus destructor*, *Ditylenchus dipsaci*, *Heliocotylenchus multicinctus*,
 5 *Longidorus elongatus*, *Radopholus similis*, *Rotylenchus robustus*, *Trichodorus primitivus*, *Tylenchorhynchus claytoni*, *Tylenchorhynchus dubius*, *Pratylenchus neglectus*, *Pratylenchus penetrans*, *Pratylenchus curvatus*, *Pratylenchus goodeyi*.

Die Wirkstoffe können als solche, in Form ihrer Formulierungen oder den daraus bereiteten Anwendungsformen, z.B. in Form von direkt versprühbaren Lösungen, Pulvern, Suspensionen oder Dispersionen,
 10 Emulsionen, Öldispersionen, Pasten, Stäubemitteln, Streumitteln, Granulaten durch Versprühen, Vernebeln, Verstäuben, Verstreuen oder Gießen angewendet werden. Die Anwendungsformen richten sich ganz nach den Verwendungszwecken; sie sollten in jedem Fall möglichst die feinste Verteilung der erfindungsgemäßen Wirkstoffe gewährleisten.

Die Wirkstoffkonzentrationen in den anwendungsfertigen Zubereitungen können in größeren Bereichen
 15 variiert werden.

Die Wirkstoffe können auch mit gutem Erfolg im Ultra-Low-Volume-Verfahren (ULV) verwendet werden, wobei es möglich ist, Formulierungen mit mehr als 95 Gew.% Wirkstoff oder sogar den Wirkstoff ohne Zusätze auszubringen.

Die Aufwandmenge an Wirkstoff zur Bekämpfung von Schädlingen beträgt unter Freilandbedingungen
 20 0,1 bis 2,0, vorzugsweise 0,2 bis 1,0 kg/ha.

Zur Herstellung von direkt versprühbaren Lösungen, Emulsionen, Pasten oder Öldispersionen kommen Mineralölfractionen von mittlerem bis hohem Siedepunkt, wie Kerosin oder Dieselöl, fernen Kohlenteeröle sowie Öle pflanzlichen oder tierischen Ursprungs, aliphatische, cyclische und aromatische Kohlenwasserstoffe, z.B. Benzol, Toluol, Xylol, Paraffin, Tetrahydronaphthalin, alkylierte Naphthaline oder deren Derivate,
 25 Methanol, Ethanol, Propanol, Butanol, Chloroform, Tetrachlorkohlenstoff, Cyclohexanol, Cyclohexanon, Chlorbenzol, Isophoron, stark polare Lösungsmittel, z.B. Dimethylformamid, Dimethylsulfoxid, N-Methylpyrrolidon, Wasser in Betracht.

Wäßrige Anwendungsformen können aus Emulsionskonzentraten, Pasten oder netzbaren Pulvern (Spitzpulver, Öldispersionen) durch Zusatz von Wasser bereitete werden. Zur Herstellung von Emulsionen,
 30 Pasten oder Öldispersionen können die Substanzen als solche oder in einem Öl oder Lösungsmittel gelöst, mittels Netz-, Haft-, Dispergier- oder Emulgiermittel in Wasser homogenisiert werden. Es können aber auch aus wirksamer Substanz Netz-, Haft-, Dispergier- oder Emulgiermittel und eventuell Lösungsmittel oder Öl bestehende Konzentrate hergestellt werden, die zur Verdünnung mit Wasser geeignet sind.

Als oberflächenaktive Stoffe kommen Alkali-, Erdalkali-, Ammoniumsalze von Ligninsulfonsäure, Naphthalinsulfonsäure, Phenolsulfonsäure, Dibutyl-naphthalinsulfonsäure, Alkylarylsulfonate, Alkylsulfate, Alkylsulfonate, Fettalkoholsulfate und Fettsäuren sowie deren Alkali- und Erdalkalisalze, Salze von sulfatiertem Fettalkoholglykoether, Kondensationsprodukte von sulfoniertem Naphthalin und Naphthalinderivaten mit Formaldehyd, Kondensationsprodukte des Naphthalins bzw. der Naphthalinsulfonsäure mit Phenol und Formaldehyd, Polyoxyethylenoctylphenolether, ethoxyliertes Isooctylphenol, Octylphenol, Nonylphenol, Alkylphenolpolyglykoether, Tributylphenylpolyglykoether, Alkylarylpolyetheralkohole, Isotridecylalkohol, Fettalkoholethylenoxid-Kondensate, ethoxyliertes Rizinusöl, Polyoxyethylenalkylether, ethoxyliertes Polyoxypropylen, Laurylalkoholpolyglykoetheracetal, ethoxyliertes Rizinusöl, Polyoxyethylenalkylether, ethoxyliertes Polyoxypropylen, Laurylalkoholpolyglykoetheracetal, Sorbitester, Ligninsulfitablaugen und Methylcellulose in Betracht.

Pulver-, Streu- und Stäubemittel können durch Mischen oder gemeinsames Vermahlen der wirksamen Substanzen mit einem festen Trägerstoff hergestellt werden.

Die Formulierungen enthalten im allgemeinen zwischen 0,01 und 95 Gew.%, vorzugsweise zwischen 0,1 und 90 Gew.% des Wirkstoffs. Die Wirkstoffe werden dabei in einer Reinheit von 90 % bis 100 %, vorzugsweise 95 % bis 100 % (nach NMR-Spektrum) eingesetzt.

Beispiele für Formulierungen sind:

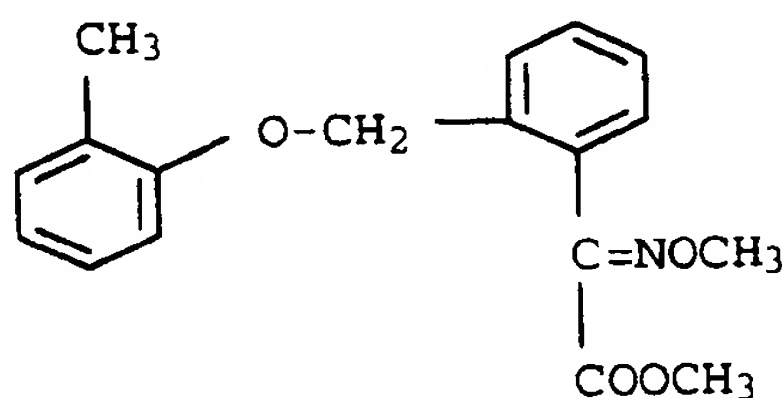
Granulate, z. B. Umhüllungs-, Imprägnierungs und Homogengranulate; sie können durch Bindung der Wirkstoffe an feste Trägerstoffe hergestellt werden. Feste Trägerstoffe sind z.B. Mineralerden, wie Silicagel, Kieselsäuren, Kieselgele, Silikate, Talkum, Kaolin, Attaclay, Kalkstein, Kalk, Kreide, Bolus, Löß, Ton, Dolomit, Diatomeenerde, Calcium- und Magnesiumsulfat, Magnesiumoxid, gemahlene Kunststoffe, Düngemittel, wie z.B. Ammoniumsulfat, Ammoniumphosphat, Ammoniumnitrat, Harnstoffe und pflanzliche Produkte, wie Getreidemehl, Baumrinden-, Holz- und Nußschalenmehl, Cellulosepulver und andere feste Trägerstoffe.

Zu den Wirkstoffen können Öle verschiedenen Typs, Herbizide, Fungizide, andere Schädlingsbekämpfungsmittel, Bakterizide, gegebenenfalls auch erst unmittelbar vor der Anwendung (Tankmix), zugesetzt werden. Diese Mittel können zu den erfindungsgemäßen Mitteln im Gewichtsverhältnis 1 : 10 bis 10 : 1 zugemischt werden.

Die erfindungsgemäßen Mittel können in diesen Anwendungsformen auch zusammen mit anderen Wirkstoffen vorliegen, wie z.B. Herbiziden, Insektiziden, Wachstumsregulatoren und Fungiziden, oder auch mit Düngemitteln vermischt und ausgebracht werden. Beim Vermischen mit Fungiziden erhält man dabei in vielen Fällen eine Vergrößerung des fungiziden Wirkungsspektrums.

10 Anwendungsbeispiele für die Wirkung gegen Schadpilze

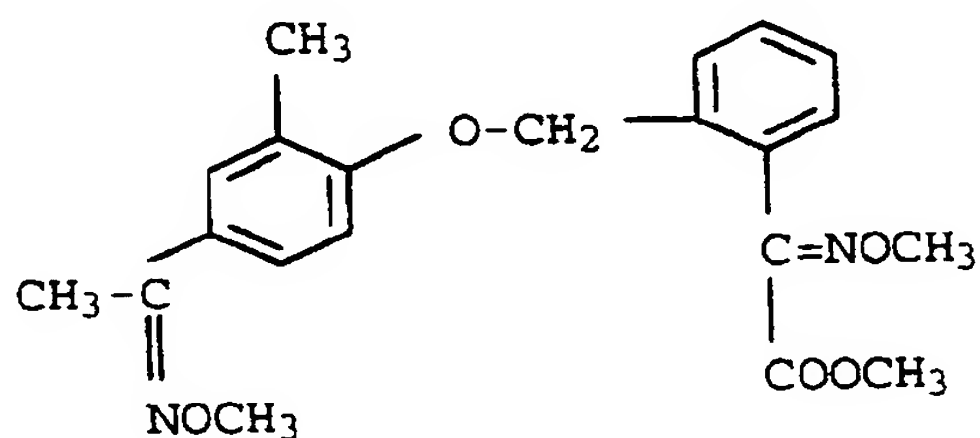
Als Vergleichswirkstoffe wurden die folgenden Verbindungen benutzt 2-(2'-Methyl-phenoxy-methyl)-phenylglyoxylsäuremethylester-O-methyloxim (A) mit der Formel



(bekannt aus EP 253 213)

und

2-(2'-Methyl-4'-(methoximinoeth-1''-yl)-phenoxy-methyl)-phenylglyoxylsäuremethylester-O-methyloxim (B) mit der Formel



(bekannt aus EP 386 561)

A.1 Wirksamkeit gegen Plasmopara viticola

Blätter von Topfreben der Sorte "Müller Thurgau" wurden mit wäßriger Spritzbrühe, die 80 % Wirkstoff und 20 % Emulgiermittel in der Trockensubstanz enthielt, besprüht. Um die Wirkungsdauer der Wirkstoffe beurteilen zu können, wurden die Pflanzen nach dem Antrocknen des Spritzbelages 8 Tage im Gewächshaus aufgestellt. Erst dann wurden die Blätter mit einer Zoosporenaufschwemmung von Plasmopara viticola (Rebenperonospora) infiziert. Danach wurden die Reben zunächst für 48 Stunden in einer wasserdampfgesättigten Kammer bei 24 °C und anschließend für 5 Tage in einem Gewächshaus bei Temperaturen zwischen 20 und 30 °C aufgestellt. Nach dieser Zeit wurden die Pflanzen zur Beschleunigung des Sporangienträgerausbruchs abermals für 16 Stunden in der feuchten Kammer aufgestellt. Dann erfolgte die Beurteilung des Ausmaßes des Pilzausbruchs auf den Blattunterseiten.

| Wirkstoff Nr. | % - Befall der Blätter nach Applikation von ...-ppm-haltiger wäßriger Wirkstoffaufbereitung | | |
|---------------|---|----|--------|
| | 60 ppm | | 15 ppm |
| I.007 | 0 | | 0 |
| I.011 | 0 | | 0 |
| Unbehandelt | | 65 | |

A.2 Wirksamkeit gegen Weizenbraunrost

Blätter von in Töpfen gewachsenen Weizensämlingen der Sorte "Kanzler" wurden mit Sporen des Braunrostes (*Puccinia recondita*) bestäubt. Danach wurden die Töpfe für 24 Stunden bei 20 bis 22 °C in eine Kammer mit hoher Luftfeuchtigkeit (90 bis 95 %) gestellt. Während dieser Zeit keimten die Sporen aus und die Keimschläuche drangen in das Blattgewebe ein. Die infizierten Pflanzen wurden anschließend mit wäßrigen Spritzbrühen, die 80 % Wirkstoff und 20 % Emulgiermittel in der Trockensubstanz enthielten, tropfnaß gespritzt. Nach dem Antrocknen des Spritzbelages wurden die Versuchspflanzen im Gewächshaus bei Temperaturen zwischen 20 und 22 °C und 65 bis 70 % relativer Luftfeuchte aufgestellt. Nach 8 Tagen wurde das Ausmaß der Rostpilzentwicklung auf den Blättern ermittelt.

| Wirkstoff Nr. | % - Befall der Blätter nach Applikation von ...-ppm-haltiger wäßriger Wirkstoffaufbereitung | | |
|---------------|---|----|--------|
| | 60 ppm | | 15 ppm |
| I.007 | 0 | | 0 |
| I.011 | 0 | | 0 |
| Unbehandelt | | 70 | |

A.3 Wirksamkeit gegen Bohnenrost

Blätter von Buschbohnen der Sorte "Fori" wurden mit einer wäßrigen Sporensuspension des Bohnenrostes (*Uromyces appendiculatus*) auf der Blattunterseite gleichmäßig besprüht. Danach wurden die Pflanzen 24 Stunden lang in einer Klimakammer mit hoher Luftfeuchtigkeit bei 19 °C gehalten und anschließend im Gewächshaus bei 22 bis 25 °C aufgestellt. 2 bis 3 Tage danach erfolgte die Spritzbehandlung mit den Wirkstoffen auf die untere (basale) Hälfte der Blattoberseite. Die Beurteilung des Ausmaßes der Pilzentwicklung auf den Blättern wurde 10 bis 12 Tage nach dem Besprühen vorgenommen. Durch die zeitliche und räumliche Trennung von Blattbehandlung mit den Sporen und Blattbehandlung mit den Wirkstoffen wird ein unmittelbarer Kontakt zwischen Pilz und Wirkstoff ausgeschlossen; der Fungizidwirkung muß daher die Wirkstoffaufnahme und Wirkstoffwanderung im Blatt vorausgegangen sein (systemischer Transport). Die Prüfung der verschiedenen Blattzonen erlaubt daher die Feststellung einer translaminaren oder apikalen Bewegung der getesteten Wirkstoffe im Blatt.

Das Ergebnis des Versuches zeigt, daß nach der Behandlung mit einer 50 ppm Wirkstoff enthaltenden Spritzbrühe die Wirkstoffe I.003, I.007 und I.014 auf der Blattunterseite und z.T. auch auf dem unbehandelten Teil der Bohnenblätter eine fungizide Wirkung zeigten während die bekannten Verbindungen A und B keine fungizide Wirkung zeigten.

Anwendungsbeispiele für die Wirkung gegen Schädlinge

Die Wirkung der Verbindungen der allgemeinen Formel IA gegen Schädlinge aus der Klasse der Insekten, Spinntiere und Nematoden ließ sich durch folgende Versuche zeigen:

Die Wirkstoffe wurden

- als 0,1 %ige Lösung in Aceton oder
- als 10 %ige Emulsion in einem Gemisch aus 70 Gew.-% Cyclohexanol, 20 Gew.-% Nekanil® LN (Lutensol® AP6, Netzmittel mit Emulgier- und Dispergierwirkung auf der Basis ethoxylierter Alkylphenole) und 10 Gew.-% Emulphor® EL (Emulan® EL, Emulgator auf der Basis ethoxylierter Fettalkohole)

aufbereitet und entsprechend der gewünschten Konzentration mit Aceton im Fall von a) bzw. mit Wasser im Fall von b) verdünnt.

Nach Abschluß der Versuche wurde die jeweils niedrigste Konzentration ermittelt, bei der die Verbindung im Vergleich zu unbehandelten Kontrollversuchen noch eine 80 - %ige Hemmung bzw. Mortalität

hervorriefen (Wirkschwelle bzw. Minimal-Konzentration).

B.1 *Aphis fabae* (Schwarze Laus), Kontaktwirkung

Stark befallene Buschbohnen (*Vicia faba*) wurden mit der wäßrigen Wirkstoffaufbereitung behandelt.

Nach 24 h wurde die Mortalitätsrate bestimmt.

In diesem Test zeigten die Verbindungen I.007, I.011, I.015, I.003, I.001, I.017, I.058, I.086, I.096 und I.029 Wirkschwellen von 200 bis 1000 ppm.

B.2 *Nephotettix cincticeps* (Grüne Reiszikade), Kontaktwirkung

Rundfilter wurden mit der wäßrigen Wirkstoffaufbereitung behandelt und anschließend mit 5 adulten Zikaden belegt.

Nach 24 h wurde die Mortalität beurteilt.

In diesem Test zeigten die Verbindungen I.007, I.011, I.014, I.015, I.003, I.002, I.004, I.017, I.117, I.307, I.192, I.193, I.195 und I.201 Wirkschwellen von 0,4 bis 0,1 mg.

B.3 *Prodenia litura* (Ägypt. Baumwollwurm), Zuchtversuch

Fünf Raupen des Entwicklungsstadiums L3 (10 - 12 mm) wurden auf Standardnährboden (3,1 l Wasser, 80 g Agar, 137 g Bierhefe, 515 g Maismehl, 130 g Weizenkeime sowie übliche Zusatzstoffe und Vitamine (20 g Wessonsalz, 5 g Nipagin, 5 g Sorbin, 10 g Zellulose, 18 g Ascorbinsäure, 1 g Lutavit® blend (Vitamin), 5 ml alkoholische Biotin-Lösung)) aufgebracht, der zuvor mit der wäßrigen Wirkstoffaufbereitung benetzt worden war.

Die Beobachtung erstreckte sich bis zum Schlüpfen der Falter in einem Kontrollversuch ohne Wirkstoff.

In diesem Test zeigten die Verbindungen I.003, I.014, I.015, I.017, I.057, I.064, I.068, I.076, I.100, I.108, I.109, I.112, I.119 und I.079 Wirkschwellen von 200 bis 0,1 ppm.

B.4 *Agrotis ypsilon* (Erdräupe), Kontaktwirkung

Maisblätter werden für 3 Sekunden in die wäßrige Wirkstoffaufbereitung getaucht und nach dem Abtropfen in eine Petrischale (Ø 12 cm) auf einen Rundfilter gelegt. Jede Schale wird mit 5 Raupen im 3. und 4. Larvenstadium (ca. 15 mm Länge) belegt.

Nach 24 und 48 Stunden bestimmt man die Wirkung nach % Fraßverhinderung und % Mortalität.

In diesem Test zeigten die Verbindungen I.060, I.070, I.086, I.090, I.096, I.117, I.121, I.129, I.140, I.177, I.307, I.189, I.190, I.191, I.192, I.193, I.195, I.201 und I.213 eine Wirkschwelle von 10 bis 1000 ppm.

B.5 *Sitophilus granaria* (Kornkäfer), Kontaktwirkung

Der Boden eines Versuchsgefäßes wurde mit der acetonischen Lösung des Wirkstoffs benetzt und nach dem Abdampfen des Lösungsmittel mit 50 Käfern besetzt.

Nach 4 h wurden die Käfer auf unbehandelte Pappschälchen gesetzt. Diese Schälchen wurden dann in die Versuchsgefäße gestellt.

Nach insgesamt 24 h wurde die Mortalität bestimmt, wobei Käfer, die die Pappschälchen nicht mehr verlassen konnten, als tot bzw. schwer geschädigt galten.

In diesem Test zeigte die Verbindung I.115 eine Wirkschwelle von 1 mg.

B.6 *Musca domestica* (Stubenfliege), Kontaktversuch

Der Boden eines Versuchsgefäßes wurde mit der acetonischen Lösung des Wirkstoffs benetzt und nach dem Abdampfen des Lösungsmittel mit 10 Fliegen besetzt.

Nach 4 h wurde die Mortalitätsrate bestimmt.

In diesem Test zeigten die Verbindungen I.064, I.071, I.077, I.078, I.080, I.083, I.085, I.098, I.100, I.103, I.106, I.111, I.115, I.117, I.126, I.127, I.130, I.133, I.309 und I.184 eine Wirkschwelle von 0,01 bis 2 mg.

B.7 *Musca domestica* (Stubenfliege), Zuchtversuch

25 ml einer trockenen Futtermischung (1 kg Kleie, 250 g Hefepulver, 35 g Fischmehl) wurde mit dem Wirkstoff und 25 ml einer Milch-Zucker Lösung (1 l Milch, 42 g Zucker) vermischt und anschließend mit 20 Larven des 1. Entwicklungsstadiums besetzt.

Nach dem Schlüpfen der Larven in einem Kontrollexperiment wurde die Mortalität bestimmt.

In diesem Test zeigte die Verbindung I.064 eine Wirkschwelle von 40 ppm.

B.8 *Prodenia litura* (Ägypt. Bauwollwurm), Kontaktversuch

Rundfilter (Ø 9 cm) werden mit 1 cm³ der wäßrigen Wirkstoffaufbereitung behandelt und in eine Kunststoffpetrischale (Ø 94 mm) gelegt. Anschließend setzt man 5 *Prodenia*-Raupen L3 ein und verschließt die Petrischale. Die Prüfung erfolgt nach 24 Stunden.

In diesem Test zeigten die Verbindungen I.098, I.100, I.102, I.106, I.111, I.115 und I.184 eine Wirkschwelle von 0,1 bis 1 mg.

B.9 *Prodenia litura* (Ägypt. Bauwollwurm), Zuchtversuch

Fünf Raupen des Entwicklungsstadiums L3 (10 - 12 mm) wurden auf Standardnährboden (3,1 l Wasser,

80 g Agar, 137 g Bierhefe, 515 g Maismehl, 130 g Weizenkeime sowie übliche Zusatzstoffe und Vitamine (20 g Wessonsalz, 5 g Nipagin, 5 g Sorbin, 10 g Zellulose, 18 g Ascorbinsäure, 1 g Lutavit® blend (Vitamin), 5 ml alkoholische Biotin-Lösung)) aufgebracht, der zuvor mit der wäßrigen Wirkstoffaufbereitung benetzt worden war.

Die Beobachtung erstreckte sich bis zum Schlüpfen der Falter in einem Kontrollversuch ohne Wirkstoff.

In diesem Test zeigten die Verbindungen I.128, I.272, I.292, I.293, I.307 und I.310 eine Wirkschwelle von 1 bis 1000 ppm.

B.10 *Plutella maculipennis* (Kohlschaben), Kontaktwirkung

Blätter junger Kohlpflanzen wurden mit der wäßrigen Wirkstoffaufbereitung benetzt und anschließend auf einen angefeuchteten Filter gelegt. Die präparierten Blätter wurden anschließend mit jeweils 10 Raupen des 4. Entwicklungsstadiums belegt.

Nach 48 h wurde die Mortalitätsrate bestimmt.

In diesem Test zeigten die Verbindungen I.064, I.065, I.068, I.079, I.081, I.084, I.086, I.088, I.090, I.117 und I.130 eine Wirkschwelle von 200 bis 1000 ppm.

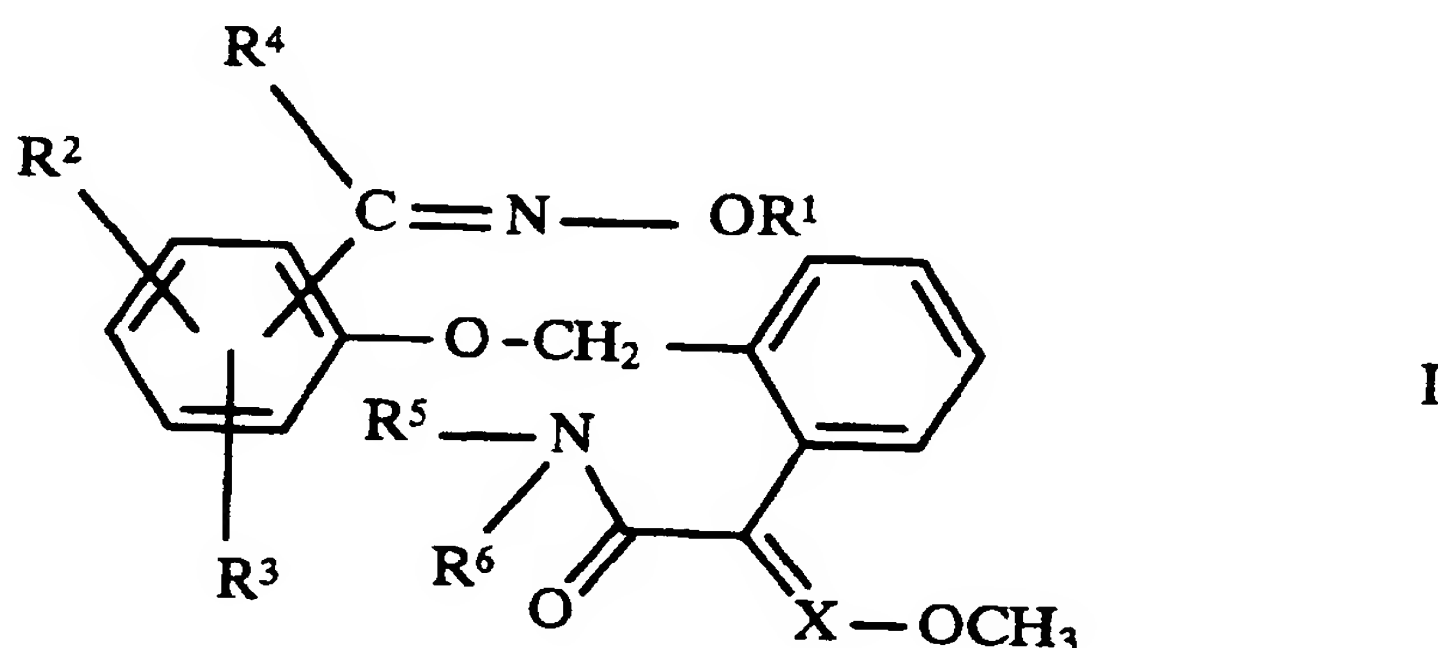
B.11 *Aedes aegypti* (Gelbfiebermücke), Zuchtversuch

Kunststoffbecher mit 250 ml Inhalt (Ø 8 cm) werden mit 200 ml Leitungswasser von 23 °C gefüllt und mit 30-40 *Aedes*-Larven im 3. bis 4. Larvenstadium besetzt. Darauf gibt man die Prüfsubstanz als wäßrige Emulsion und Suspension in das Gefäß und bestimmt nach 24 h die Mortalität in den Gefäßen. Danach züchtet man weiter bis zum Schlüpfen der Mücken. Die Raumtemperatur beträgt 25 °C.

In diesem Test zeigte die Verbindung I.128 eine Wirkschwelle von 0,1 ppm.

Patentansprüche

1. Substituierte Oximether der allgemeinen Formel I



in der

R¹

C₁-C₆-Alkyl, C₃-C₆-Alkenyl, C₃-C₄-Alkynyl, C₁-C₆-Halogenalkyl, C₃-C₆-Halogenalkenyl, C₁-C₄-Alkoxy-C₁-C₆-alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Cycloalkyl-C₁-C₄-alkyl, Cyan-C₁-C₆-alkyl, C₁-C₆-Alkoxy-carbonyl-C₁-C₆-alkyl, Aryl-C₁-C₆-alkyl, Heteroaryl-C₁-C₆-alkyl, Aryl-C₃-C₆-alkenyl oder Aryloxy-C₁-C₆-alkyl bedeutet, wobei der aromatische oder heteroaromatische Ring gegebenenfalls durch einen oder mehrere der folgenden Reste substituiert ist: C₁-C₄-Alkyl, C₁-C₂-halogenalkyl, C₃-C₆-Cycloalkyl, C₁-C₄-Alkoxy, C₁-C₂-Halogenalkoxy, Halogen, Aryl, Aryloxy,

R² und R³

gleich oder verschieden sind und Wasserstoff, C₁-C₄-Alkyl, C₁-C₂-Halogenalkyl, C₁-C₄-Alkoxy, C₁-C₂-Halogenalkoxy, Halogen, Cyano oder Nitro bedeuten,

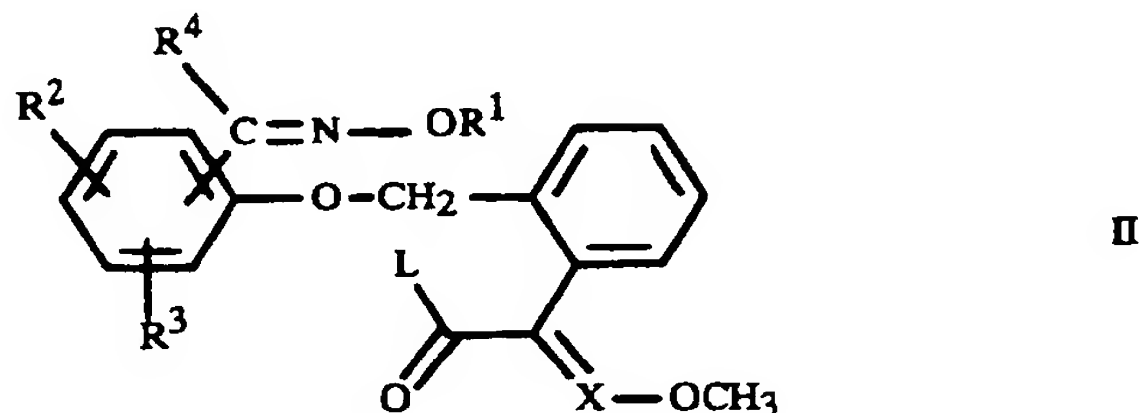
R⁴

Wasserstoff, C₁-C₆-Alkyl, C₃-C₆-Cycloalkyl, C₁-C₇-Halogenalkyl oder Aryl bedeutet, wobei der aromatische Ring gegebenenfalls durch einen oder mehrere der folgenden Reste substituiert ist: C₁-C₄-Alkyl, C₁-C₂-Halogenalkyl, C₁-C₄-Alkoxy, C₁-C₂-Halogenalkoxy, Halogen, Cyano oder Nitro,

R⁵ und R⁶

gleich oder verschieden sind und Wasserstoff oder C₁-C₄-Alkyl bedeuten, und X CH oder N bedeutet.

2. Verfahren zur Herstellung substituierter Oximether der allgemeinen Formel I gemäß Anspruch 1 dadurch gekennzeichnet, daß man einen substituierten Oximether der allgemeinen Formel II

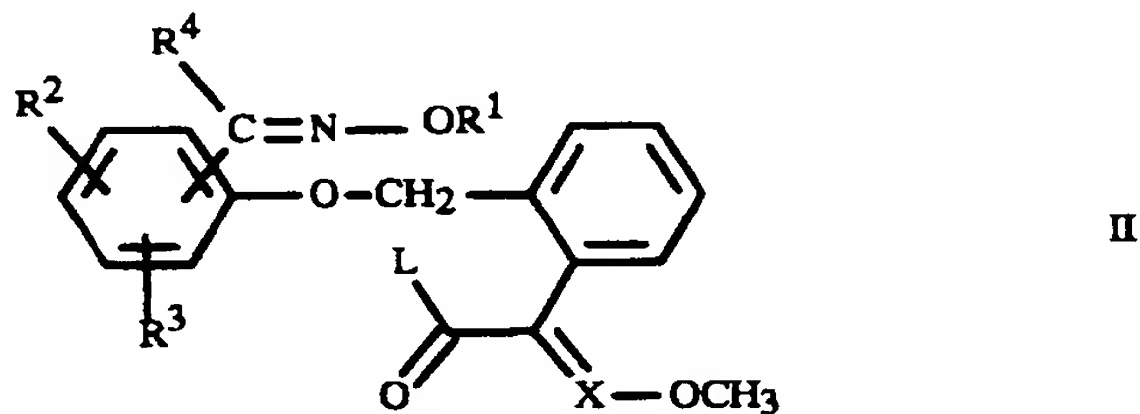


15 in der L für Halogen, C₁-C₄-Alkoxy oder Hydroxy steht, mit einem Amin der allgemeinen Formel III



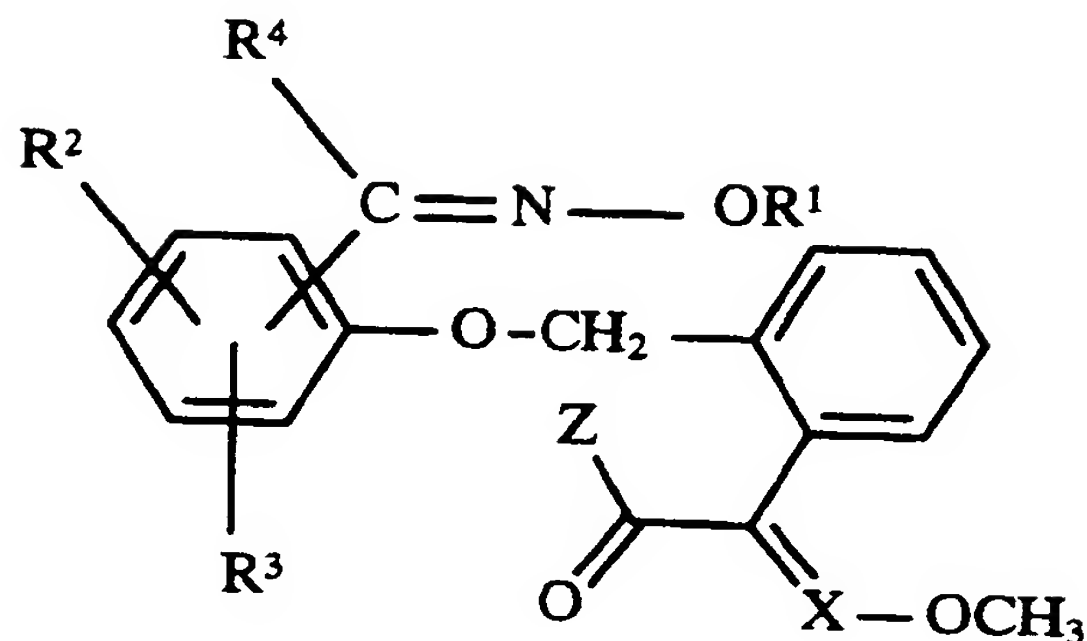
umsetzt.

- 20 3. Substituierte Oximether der allgemeinen Formel II



35 in der R¹, R², R³ und R⁴ die in Anspruch 1 gegebene Bedeutung haben und L für Hydroxy oder Halogen steht.

- 40 4. Fungizid, enthaltend einen inerten Trägerstoff und eine fungizid wirksame Menge eines substituierten Oximethers der allgemeinen Formel I gemäß Anspruch 1.
5. Verfahren zur Bekämpfung von Pilzen, dadurch gekennzeichnet, daß man die Pilze oder die von Pilzbefall bedrohten Materialien, Pflanzen, Saatgüter oder den Erdboden mit einer fungizid wirksamen Menge eines substituierten Oximethers der allgemeinen Formel I gemäß Anspruch 1 behandelt.
- 45 6. Mittel zur Bekämpfung von Schädlingen, enthaltend inerte Zusatzstoffe und eine pestizid wirksame Menge einer Verbindung der allgemeinen Formel I gemäß Anspruch 1.
7. Verfahren zur Bekämpfung von Schädlingen, dadurch gekennzeichnet, daß man die Schädlinge und/oder ihren Lebensraum mit einer wirksamen Menge einer Verbindung der allgemeinen Formel IA



IA

in der R^1 , R^2 , R^3 , R^4 und X die in Anspruch 1 gegebene Bedeutung haben und Z für eine Gruppe NR^5R^6 oder OR^7 steht, wobei R^5 und R^6 gleich oder verschieden sind und Wasserstoff oder C_1 - C_4 -Alkyl bedeuten, und R^7 für C_1 - C_4 -Alkyl steht, behandelt.

8. Verbindung der Formel I gemäß Anspruch 1, in der R^1 Methyl, R^2 (in 2-Stellung) Methyl, R^4 und R^6 Methyl, R^3 und R^5 Wasserstoff, X N bedeutet und der Oximinoethylrest in 4-Stellung steht.
9. Verbindung der Formel I gemäß Anspruch 1, in der R^1 Methyl, R^2 (in 2-Stellung) Methyl, R^4 und R^6 Methyl, R^3 und R^5 Wasserstoff, X CH bedeutet und der Oximinoethylrest in 4-Stellung steht.
10. Verbindung der Formel I gemäß Anspruch 1, in der R^1 Methyl, R^2 (in 2-Stellung) Methyl, R^4 Cyclopropyl, R^6 Methyl, R^3 und R^5 Wasserstoff, X N bedeutet und der Oximinorest in 4-Stellung steht.
11. Verbindung der Formel I gemäß Anspruch 1, in der R^1 Methyl, R^2 (in 2-Stellung) Methyl, R^4 Trifluormethyl, R^6 Methyl, R^3 und R^5 Wasserstoff, X N bedeutet und der Oximinorest in 4-Stellung steht.



Europäisches
Patentamt

EUROPÄISCHER RECHERCHENBERICHT

Nummer der Anmeldung

| EINSCHLÄGIGE DOKUMENTE | | | EP 93110979.7 |
|---|---|---|--|
| Kategorie | Kennzeichnung des Dokuments mit Angabe, soweit erforderlich, der maßgeblichen Teile | Betrifft Anspruch | KLASSIFIKATION DER ANMELDUNG (Int. Cl.) |
| D, A | <u>EP - A - 0 386 561</u> (BASF) * Ansprüche 1, 5, 6 * | 1, 3-7 | C 07 C 251/48 A 01 N 37/50 |
| D, A | <u>EP - A - 0 253 213</u> (BASF) * Ansprüche 1, 3, 4 * | 1, 4-7 | |
| A | <u>EP - A - 0 463 488</u> (BASF) * Ansprüche 1, 6, 7 * | 1, 4-7 | |
| D, A | <u>EP - A - 0 398 692</u> (SHIONOGI SEIYAKU KABUSHIKI KAISHA) * Ansprüche 1, 10, 14-16 * | 1, 2, 4-7 | |
| | | | RECHERCHIERTE SACHGEBIETE (Int. Cl.) |
| | | | C 07 C 251/00 A 01 N |
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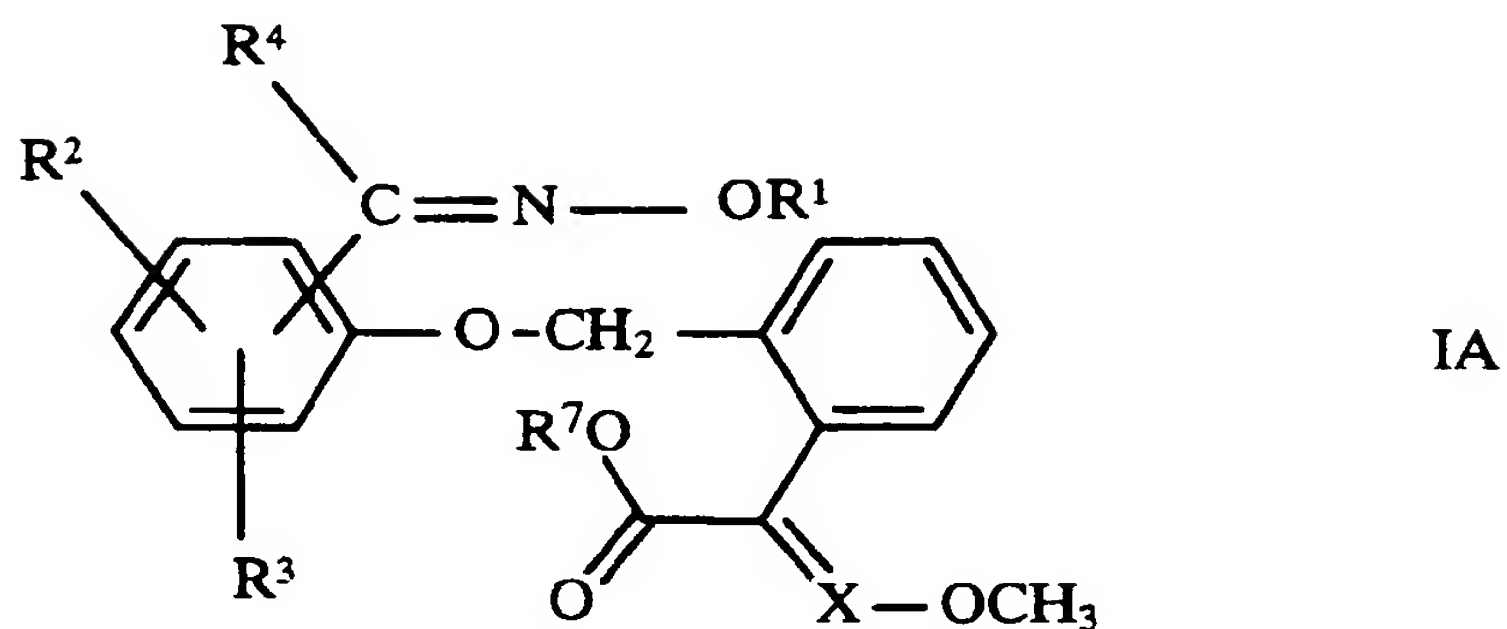
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(54) **Substituierte Oximether und ihre Verwendung zur Bekämpfung von Schädlingen.**

(57) **Substituierte Oximether der allgemeinen Formel IA**

EP 0 672 347 A1



in der

R¹

Alkyl, Alkenyl, Alkynyl, Halogenalkyl, Halogenalkenyl, Alkoxyalkyl, Cycloalkyl, Cycloalkylalkyl, Cyanalkyl, Alkoxy-carbonylalkyl, Arylalkyl, Heteroarylalkyl, Arylalkenyl oder Aryloxyalkyl bedeutet, wobei der aromatische oder heteroaromatische Ring gegebenenfalls substituiert ist,

R² und R³

Wasserstoff, Alkyl, Halogenalkyl, Alkoxy, Halogenalkoxy, Halogen, Cyano oder Nitro bedeuten,

R⁴

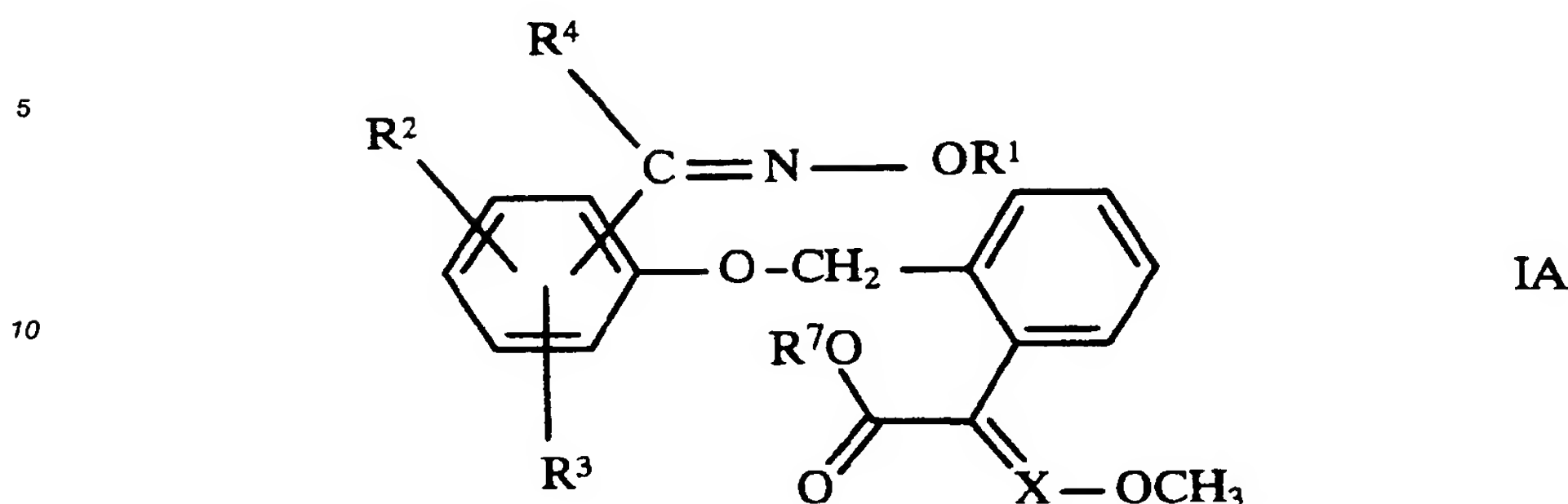
Wasserstoff, Alkyl, Cycloalkyl, Halogenalkyl oder Aryl bedeutet, wobei der aromatische Ring gegebenenfalls substituiert ist und

R⁷

Alkyl bedeutet, und

X CH oder N bedeutet und diese Verbindungen enthaltende Schädlingsbekämpfungsmittel.

Die vorliegende Erfindung betrifft die Verwendung von Verbindungen der allgemeinen Formel IA,



in der

R¹

C₁-C₆-Alkyl, C₃-C₆-Alkenyl, C₃-C₄-Alkynyl, C₁-C₆-Halogenalkyl, C₃-C₆-Halogenalkenyl, C₁-C₄-Alkoxy-C₁-C₆-alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Cycloalkyl-C₁-C₄-alkyl, Cyan-C₁-C₆-alkyl, C₁-C₆-Alkoxycarbonyl-C₁-C₆-alkyl, Aryl-C₁-C₆-alkyl, Heteroaryl-C₁-C₆-alkyl, Aryl-C₃-C₆-alkenyl oder Aryloxy-C₁-C₆-alkyl bedeutet, wobei der aromatische oder heteroaromatische Ring gegebenenfalls durch einen oder mehrere der folgenden Reste substituiert ist:

C₁-C₄-Alkyl, C₁-C₂-Halogenalkyl, C₃-C₆-Cycloalkyl, C₁-C₄-Alkoxy, C₁-C₂-Halogenalkoxy, Halogen, Aryl, Aryloxy, R² und R³

gleich oder verschiedenen sind und Wasserstoff, C₁-C₄-Alkyl, C₁-C₂-Halogenalkyl, C₁-C₄-Alkoxy, C₁-C₂-Halogenalkoxy, Halogen, Cyano oder Nitro bedeuten,

R⁴

Wasserstoff, C₁-C₆-Alkyl, C₁-C₆-Cycloalkyl, C₁-C₇-Halogenalkyl oder Aryl bedeutet, wobei der aromatische Ring gegebenenfalls durch einen oder mehrere der folgenden Reste substituiert ist:

C₁-C₄-Alkyl, C₁-C₂-Halogenalkyl, C₁-C₄-Alkoxy, C₁-C₂-Halogenalkoxy, Halogen, Cyano oder Nitro,

R⁷ C₁-C₄-Alkyl bedeutet, und

X CH oder N bedeutet,

zur Bekämpfung von Schädlingen.

Es ist bekannt, Oximether wie zum Beispiel das 2-(2'-Methyl-phenoxy-methyl)-phenyl-glyoxylsäuremethylester-O-methyloxim oder das 2-(2'-Methyl-4'-(methoximinoeth-1''-yl)-phenoxy-methyl)-phenyl-glyoxylsäuremethylester-O-methyloxim als Fungizide zu verwenden (EP-A 253 213; EP-A 398 692).

Des weiteren sind aus der EP-A 386 561 Verbindungen der Formel IA als fungizide Wirkstoffe bekannt.

Aufgabe der vorliegenden Erfindung waren neue Verbindungen mit breiterer Anwendbarkeit im Pflanzenschutz.

Demgemäß wurden Verfahren zur Bekämpfung von Schädlingen und die Verwendung der eingangs definierten Verbindungen der Formel IA zur Bekämpfung von Schädlingen gefunden.

Die in der allgemeinen Formel IA aufgeführten Reste können beispielsweise folgende Bedeutung haben:

R¹

kann z.B. C₁-C₆-Alkyl (C₁-C₄-Alkyl) (z.B. Methyl, Ethyl, n- oder iso-Propyl, n-, iso-, sec.- oder tert.-Butyl, n-, iso-, sec.-, tert.-oder neo-Pentyl, Hexyl), C₃-C₆-Alkenyl (z.B. Allyl, 2-Butenyl, 3-Butenyl, 1-Methyl-2-propenyl, 2-Methyl-2-propenyl), C₃-C₄-Alkynyl (z.B. Propargyl, 2-Butinyl), C₁-C₆-Halogenalkyl, (z.B. 2-Fluorethyl), C₃-C₆-Halogenalkenyl (z.B. 3-Chlorallyl), C₁-C₄-Alkoxy-C₁-C₆-alkyl (z.B. 2-Methoxyethyl, 3-Ethoxypropyl), C₃-C₆-Cycloalkyl (z.B. Cyclopropyl, Cyclobutyl, Cyclopentyl, Cyclohexyl), C₃-C₆-Cycloalkyl-C₁-C₄-alkyl (z.B. Cyclopropylmethyl, Cyclohexylmethyl), Cyan-C₁-C₆-alkyl (z.B. Cyanmethyl, 3-Cyanpropyl), C₁-C₆-Alkoxycarbonyl-C₁-C₆-alkyl (z.B. Ethoxycarbonylmethyl, tert.-Butoxycarbonylmethyl, tert.-Butoxycarbonylpropyl), Aryl-(Phenyl)-C₁-C₆-alkyl (z.B. Benzyl, 2-Phenylethyl, 3-Phenylpropyl, 4-Phenylbutyl), Heteroaryl-(Pyridyl, Thienyl)-C₁-C₆-alkyl (z.B. Pyrid-3-yl-methyl, Thien-2-yl-methyl), Aryl-(Phenyl)-C₃-C₆-alkenyl (z.B. 4-Phenyl-2-butenyl, 4-Phenyl-3-butenyl), Aryloxy-(Phenoxy)-C₁-C₆-alkyl (z.B. Phenoxy-methyl, Phenoxyethyl, Phenoxypropyl, Phenoxybutyl, Naphthoxy-methyl, Naphthoxyethyl) sein, wobei der aromatische (Phenyl) oder heteroaromatische (Pyridyl, Thienyl) Ring gegebenenfalls durch einen

oder mehrere z.B. 1 bis 5, insbesondere 1 bis 3 der folgenden Reste substituiert ist:

C₁-C₄-Alkyl (z.B. Methyl, Ethyl, Propyl, Butyl), C₁-C₂-Halogenalkyl, (z.B. Trifluormethyl, Trichlormethyl), C₃-C₆-Cycloalkyl (z.B. Cyclopropyl, Cyclobutyl, Cyclopentyl, Cyclohexyl), C₁-C₄-Alkoxy (z. B. Methoxy, Ethoxy, Propoxy, Butoxy), C₁-C₂-Halogenalkoxy (z. B. Trifluormethoxy), Halogen (z.B. Fluor, Chlor, Brom), Aryl (z.B. Phenyl), Aryloxy (z.B. Phenoxy),

R² und R³

können gleich oder verschieden sein und Wasserstoff, C₁-C₄-Alkyl (z.B. Methyl, Ethyl, n- oder iso-Propyl, Butyl), C₁-C₂-Halogenalkyl, (z.B. Trifluormethyl, Trichlormethyl), C₁-C₄-Alkoxy (z.B. Methoxy, Ethoxy, n-oder iso-Propoxy, Butoxy), C₁-C₂-Halogenalkoxy (z. B. Trifluormethoxy), Halogen (z.B. Fluor, Chlor, Brom, Jod), Cyano oder Nitro sein,

R⁴

kann z. B. C₁-C₆-Alkyl, (C₁-C₄-Alkyl) (z.B. Methyl, Ethyl, n- oder iso-Propyl, n-, iso-, sec.- oder tert.-Butyl, n-, iso, sec.-tert. oder neo-Pentyl, Hexyl), C₁-C₇-Halogenalkyl (z.B. Trifluormethyl, Trichlormethyl, Chlormethyl, 2-Chlorethyl, 3-Chlorpropyl, 3-Brompropyl, 4-Chlorbutyl, 4-Brombutyl, 5-Chlorpentyl, 5-Brompentyl, 6-Chlorhexyl, 6-Bromhexyl), C₃-C₆-Cycloalkyl (z.B. Cyclopropyl, Cyclobutyl, Cyclopentyl und Cyclohexyl) sein oder Aryl (z.B. Phenyl) sein, wobei der aromatische Ring gegebenenfalls durch einen oder mehrere z.B. 1 bis 5, insbesondere 1 bis 3 der folgenden Reste substituiert ist: C₁-C₄-Alkyl (z.B. Methyl, Ethyl, Propyl, Butyl), C₁-C₂-Halogenalkyl (z.B. Trifluormethyl, Trichlormethyl), C₁-C₄-Alkoxy (z.B. Methoxy, Ethoxy, Propoxy, Butoxy), C₁-C₂-Halogenalkoxy (z.B. Difluormethoxy, Trifluormethoxy), Halogen (z.B. Fluor, Chlor, Brom, Jod) Cyano oder Nitro.

X kann CH oder N bedeuten und

R⁷ kann C₁-C₄-Alkyl wie vorstehend genannt, insbesondere Methyl bedeuten.

Der Rest -C(R⁴)=N-O-R¹ kann am Phenylrest im Hinblick auf den Rest -O-CH₂- in 2-, oder in 3- oder bevorzugt in 4-Stellung stehen.

Die Verbindungen der allgemeinen Formel IA können bei der Herstellung aufgrund der C=C- bzw. C=N-Doppelbindungen als E/Z-Isomerengemische anfallen. Diese können in der üblichen Weise, z.B. durch Kristallisation oder Chromatographie, in die einzelnen Komponenten getrennt werden. Sowohl die einzelnen isomeren Verbindungen als auch ihre Gemische werden von der Erfindung umfaßt und sind als Fungizide und Schädlingsbekämpfungsmittel brauchbar. Bezüglich der Gruppierung -C(CONR⁵R⁶) = X-OCH₃ sind diejenigen Verbindungen bevorzugt, in denen die Gruppen CONR⁵R⁶ und OCH₃ an der C=X-Doppelbindung E-Konfiguration besitzen. Bezüglich der Gruppierung -C(R⁴)=N-OR¹ sind diejenigen Verbindungen bevorzugt, in denen R⁴ und OR¹ an der C=N-Doppelbindung cis-ständig sind und in denen deshalb bei kleinen Substituenten wie z.B. Methyl die C=N-Doppelbindung E-Konfiguration hat.

Die Verbindungen der Formel IA sind aus der EP-A 386 561 bekannt oder lassen sich nach den dort beschriebenen Methoden herstellen.

Die folgenden Beispiele und Vorschriften sollen die Herstellung der neuen Wirkstoffe und ihrer Vorprodukte erläutern.

Herstellungsbeispiel 1

2-[2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxy-methyl]-phenylglyoxylsäure-methylester-O-methyloxim

a) 225,3 g (1,5 mol) 4-Hydroxy-3-methyl-acetophenon werden in 600 ml trockenem Methanol gelöst. 150,3 g (1,8 mol) Methoxyaminhydrochlorid und 100 g Molekularsieb werden zugesetzt. Es wird 12 Stunden bei Raumtemperatur (20 °C) gerührt. Das Molekularsieb wird abfiltriert. Das Filtrat wird eingengt. Der verbleibende Rückstand wird in Dichlormethan aufgenommen. Die organische Phase wird mit Wasser gewaschen, getrocknet und eingengt. Das erhaltene Festprodukt wird mit Pentan gewaschen und anschließend getrocknet. Man erhält 252 g (94 %) 4-Hydroxy-2-methyl-acetophenon-O-methyloxim in Form eines farblosen kristallinen Feststoffs (Fp.: 96 - 98 °C).

b) 89,6 g (0,5 mol) 4-Hydroxy-3-methyl-acetophenon-O-methyloxim werden unter Stickstoff in 300 ml trockenem Methanol vorgelegt. 90 g (0,5 mol) einer 30 % (Gew.-%) Natriummethanolat-Lösung werden zugetropft. Nach 2 Stunden wird das Methanol abdestilliert. Der Rückstand wird in 700 ml Dimethylformamid gelöst. 15 g Kaliumjodid werden zugesetzt. Anschließend wird bei Raumtemperatur unter Stickstoff eine Lösung von 151,6 g (0,53 mol) 2-(Brommethyl)-phenylglyoxylsäuremethylester-O-methyloxim in 300 ml Methanol zugetropft. Nach etwa 10 Stunden Rühren bei Raumtemperatur wird auf etwa 10 °C abgekühlt und es wird Wasser zugetropft. Der entstandene Niederschlag wird abfiltriert, mit Wasser und Pentan nachgewaschen und getrocknet. Man erhält 153,7 g (80 %) 2-[2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxy-methyl]-phenylglyoxylsäuremethylester-O-methyloxim als farblosen kristallinen Fest-

stoff (Fp.: 138 - 140 ° C).

Herstellungsbeispiel 2

5 α -[2-(2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxy-methyl)-phenyl]- β -methoxy-acrylsäure-methylester

α -(2-Brommethylphenyl)- β -methoxy-acrylsäuremethylester und 4-Hydroxy-3-methyl-acetophenon-O-methyloxim werden analog Vorschrift b) (Beispiel 1) zu α -[2-(2'-Methyl-4'-(methoxyiminoeth-1''-yl)-phenoxy-methyl)-phenyl]- β -methoxy-acrylsäure-methylester umgesetzt. Die Verbindung fällt als farbloser Feststoff (Fp.:
10 118 - 120 ° C) an.

In entsprechender Weise lassen sich die in der folgenden Tabelle zusammengestellten Verbindungen IA herstellen. Die Verbindungen IA sind gemäß den Angaben der EP-A 386 561 erhältlich. Sie sind ebenfalls in der folgenden Tabelle aufgeführt.

Desweiteren sind in den anschließenden Tabellen 3, 4, 12-17 und 23-27 diejenigen Verbindungen IA
15 zusammengestellt, denen im Hinblick auf ihre biologische Wirksamkeit gegen tierische Schädlinge (Insekten, Spinnentiere und Nematoden) eine besondere Bedeutung zukommt.

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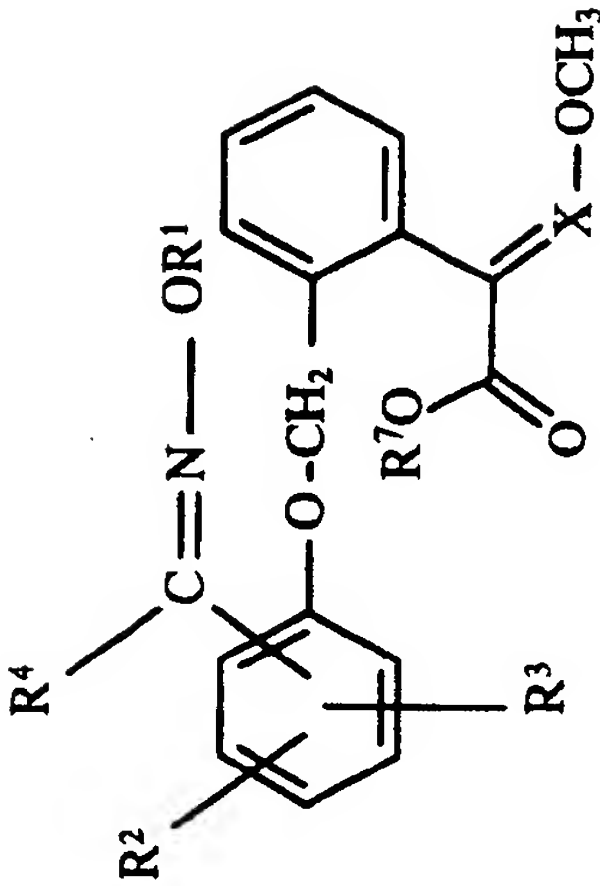
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IA



| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | R ⁷ | X | Phys. Daten |
|-------|-------------------------------|----------------|----------------|----------------|----------------|-----------------|----|--------------------|
| I.053 | CH ₃ | H | H | 2 | H | CH ₃ | CH | Fp: 82– 84°C (E;E) |
| I.054 | CH ₃ | H | H | 2 | H | CH ₃ | N | Fp: 73– 76°C (E;E) |
| I.055 | C ₂ H ₅ | H | H | 2 | H | CH ₃ | CH | Fp: 86– 88°C (E;E) |
| I.056 | C ₂ H ₅ | H | H | 2 | H | CH ₃ | N | Fp: 89– 90°C (E;E) |
| I.057 | C ₂ H ₅ | 4-Cl | H | 2 | H | CH ₃ | CH | Fp: 95– 97°C (E;E) |
| I.058 | CH ₃ | H | H | 3 | H | CH ₃ | CH | Fp: 75– 77°C (E;E) |
| I.059 | CH ₃ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | R ⁷ | X | Phys. Daten |
|--------|--|----------------------------------|----------------|----------------|----------------|-----------------|----|--|
| I. 060 | C ₂ H ₅ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) ¹ H-NMR (ppm): 1.28 (t, 3H); 3.69 (s, 3H); 3.73 (s, 3H); 4.20 (q, 2H); 4.97 (s, 2H); 6.85-7.53 (m, 8H); 7.57 (s, 1H); 8.0 (s, 1H) |
| I. 061 | C ₂ H ₅ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 062 | C ₂ H ₅ | 6-OCH ₃ | H | 3 | H | CH ₃ | CH | Fp: 96- 98°C (E;E) |
| I. 063 | C ₂ H ₅ | 6-OCH ₃ | H | 3 | H | CH ₃ | N | Fp: 124-126°C (E;E) |
| I. 064 | CH ₂ CH=CH ₂ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 065 | CH ₂ CH=CH ₂ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 066 | CH(CH ₃) ₂ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 067 | CH(CH ₃) ₂ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 068 | (CH ₂) ₃ CH ₃ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 069 | (CH ₂) ₃ CH ₃ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 070 | (CH ₂) ₅ CH ₃ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 071 | (CH ₂) ₅ CH ₃ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 072 | CH ₂ C ₆ H ₅ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 073 | CH ₂ C ₆ H ₅ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 074 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | 3 | H | CH ₃ | CH | Fp: 83- 85°C (E;E) |
| I. 075 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | 3 | H | CH ₃ | N | Fp: 104-106°C (E;E) |
| I. 076 | (CH ₂) ₄ CH ₃ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 077 | CH ₂ - (2-F-C ₆ H ₄) | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | R ⁷ | X | Phys. Daten |
|--------|---|----------------|----------------|----------------|----------------|-----------------|----|-------------|
| I. 078 | CH ₂ -(2-F-C ₆ H ₄) | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 079 | CH ₂ -(3-F-C ₆ H ₄) | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 080 | CH ₂ -(3-F-C ₆ H ₄) | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 081 | CH ₂ -(2-Cl-C ₆ H ₄) | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 082 | (3,4-Cl ₂ -C ₆ H ₃)- -CH ₂ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 083 | (3,4-Cl ₂ -C ₆ H ₃)- -CH ₂ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 084 | (2,6-Cl ₂ -C ₆ H ₃)- -CH ₂ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 085 | (2,6-Cl ₂ -C ₆ H ₃)- -CH ₂ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 086 | (CH ₂) ₂ C ₆ H ₅ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 087 | (CH ₂) ₂ C ₆ H ₅ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 088 | (CH ₂) ₂ CH=CHC ₆ H ₅ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 089 | (CH ₂) ₂ CH=CHC ₆ H ₅ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 090 | (4-Cl-C ₆ H ₄)- -CH ₂ CH=CHCH ₂ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 091 | (4-Cl-C ₆ H ₄)- -CH ₂ CH=CHCH ₂ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |
| I. 092 | (4-CF ₃ -C ₆ H ₄)- -CH ₂ CH=CHCH ₂ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 093 | (4-CF ₃ -C ₆ H ₄)- -CH ₂ CH=CHCH ₂ | H | H | 3 | H | CH ₃ | N | Öl (E;E) |

| Nr. | R ¹ | R ² | R ³ | P* | R ⁴ | R ⁷ | X | Phys. Daten |
|-------|---|----------------|----------------|----|-----------------|-----------------|----|--|
| I.094 | CH ₃ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I.095 | CH ₃ | H | H | 3 | CH ₃ | CH ₃ | N | Öl (E;E) |
| I.096 | C ₂ H ₅ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) ¹ H-NMR (ppm): 1.32 (t, 3H); 2.18 (s, 3H); 3.68 (s, 3H); 3.77 (s, 3H); 4.22 (q, 2H); 4.97 (s, 2H); 6.83–7.53 (m, 8H); 7.55 (s, 1H) |
| I.097 | C ₂ H ₅ | H | H | 3 | CH ₃ | CH ₃ | N | Öl (E;E) ¹ H-NMR (ppm): 1.32 (t, 3H); 2.17 (s, 3H); 3.82 (s, 3H); 4.0 (s, 3H); 4.23 (q, 4H); 4.97 (s, 2H); 6.83–7.57 (m, 8H) |
| I.098 | (CH ₂) ₂ CH ₃ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I.099 | (CH ₂) ₂ CH ₃ | H | H | 3 | CH ₃ | CH ₃ | N | Fp: 73–74°C (E;E) |
| I.100 | CH ₂ CH=CH ₂ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I.101 | CH ₂ CH=CH ₂ | H | H | 3 | CH ₃ | CH ₃ | N | Fp: 51–53°C (E;E) |
| I.102 | CH(CH ₃) ₂ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I.103 | CH(CH ₃) ₂ | H | H | 3 | CH ₃ | CH ₃ | N | Fp: 58–60°C (E;E) |
| I.104 | (CH ₂) ₃ CH ₃ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |

| Nr. | R ¹ | R ² | R ³ | P* | R ⁴ | R ⁷ | X | Phys. Daten |
|--------|--|----------------|----------------|----|-----------------|-----------------|----|---|
| I. 105 | (CH ₂) ₃ CH ₃ | H | H | 3 | CH ₃ | CH ₃ | N | Öl (E;E) ¹ H-NMR (ppm): 0.95 (t, 3H); 1.43 (m, 2H); 1.7 (m, 2H); 2.18 (s, 3H); 3.83 (s, 3H); 4.0 (s, 3H); 4.17 (t, 2H); 4.97 (s, 2H); 6.82-7.55 (m, 8H) |
| I. 106 | CH ₂ CH=CHCH ₃ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I. 107 | CH ₂ CH=CHCH ₃ | H | H | 3 | CH ₃ | CH ₃ | N | Fp: 76- 78°C (E;E) |
| I. 108 | (CH ₂) ₅ CH ₃ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I. 109 | (CH ₂) ₅ CH ₃ | H | H | 3 | CH ₃ | CH ₃ | N | Öl (E;E) ¹ H-NMR (ppm): 0.87 (t, 3H); 1.32 (m, 6H); 1.7 (m, 2H); 2.18 (s, 3H); 3.83 (s, 3H); 4.02 (s, 3H); 4.17 (t, 2H); 4.95 (s, 2H); 6.83-7.57 (m, 8H) |
| I. 110 | CH ₂ C ₆ H ₅ | H | H | 3 | CH ₃ | CH ₃ | N | Öl (E;E) ¹ H-NMR (ppm): 2.22 (s, 3H); 3.78 (s, 3H); 4.0 (s, 3H); 4.97 (s, 2H); 5.23 (s, 2H); 6.82-7.53 (m, 8H) |
| I. 111 | CH ₂ CH=CHCl | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I. 112 | CH ₂ CH=CHCl | H | H | 3 | CH ₃ | CH ₃ | N | Öl (E;E) |
| I. 113 | C(CH ₃) ₂ CH ₃ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I. 114 | C(CH ₃) ₂ CH ₃ | H | H | 3 | CH ₃ | CH ₃ | N | Fp: 83- 85°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | R ⁷ | X | Phys. Daten |
|--------|---|--------------------|----------------|----------------|-----------------|-----------------|----|---------------------|
| I. 115 | CH ₂ CH(CH ₃) ₂ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I. 116 | CH ₂ CH(CH ₃) ₂ | H | H | 3 | CH ₃ | CH ₃ | N | Fp: 70- 72°C (E;E) |
| I. 117 | CH ₂ C(CH ₃)=CH ₂ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I. 118 | CH ₂ C(CH ₃)=CH ₂ | H | H | 3 | CH ₃ | CH ₃ | N | Fp: 64- 65°C (E;E) |
| I. 119 | (CH ₂) ₂ CH(CH ₃) ₂ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I. 120 | (CH ₂) ₂ CH(CH ₃) ₂ | H | H | 3 | CH ₃ | CH ₃ | N | Öl (E;E) |
| I. 121 | CH ₃ | H | H | 4 | H | CH ₃ | CH | Fp: 84- 86°C (E;E) |
| I. 122 | CH ₃ | H | H | 4 | H | CH ₃ | N | Fp: 88- 91°C (E;E) |
| I. 123 | CH ₃ | 2-OCH ₃ | H | 4 | H | CH ₃ | CH | Öl (E;E) |
| I. 124 | CH ₃ | 2-OCH ₃ | H | 4 | H | CH ₃ | N | Fp: 105-107°C (E;E) |
| I. 125 | C ₂ H ₅ | H | H | 4 | H | CH ₃ | CH | Fp: 108-110°C (E;E) |
| I. 126 | C ₂ H ₅ | H | H | 4 | H | CH ₃ | N | Fp: 106-108°C (E;E) |
| I. 127 | CH ₂ CH=CH ₂ | H | H | 4 | H | CH ₃ | CH | Fp: 103-105°C (E;E) |
| I. 128 | CH ₂ CH=CH ₂ | H | H | 4 | H | CH ₃ | N | Fp: 82- 84°C (E;E) |
| I. 129 | (CH ₂) ₅ CH ₃ | H | H | 4 | H | CH ₃ | CH | Fp: 62- 63°C (E;E) |
| I. 130 | (CH ₂) ₅ CH ₃ | H | H | 4 | H | CH ₃ | N | Fp: 72- 73°C (E;E) |
| I. 131 | CH ₂ C ₆ H ₅ | H | H | 4 | H | CH ₃ | N | Fp: 103-105°C (E;E) |
| I. 132 | CH ₂ -(4-Cl-C ₆ H ₄) | H | H | 4 | H | CH ₃ | CH | Fp: 151-153°C (E;E) |
| I. 133 | CH ₂ CH=CHCl | H | H | 4 | H | CH ₃ | CH | Öl (E;E) |
| I. 134 | CH ₂ CH=CHCl | H | H | 4 | H | CH ₃ | N | Fp: 95- 97°C (E;E) |
| I. 135 | CH ₂ C(CH ₃)=CH ₂ | H | H | 4 | H | CH ₃ | CH | Fp: 100-102°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P* | R ⁴ | R ⁷ | X | Phys. Daten |
|--------|---|--------------------|----------------|----|-----------------|-----------------|----|---------------------|
| I. 136 | CH ₂ C(CH ₃)=CH ₂ | H | H | 4 | H | CH ₃ | N | Fp: 95-96°C (E;E) |
| I. 137 | (CH ₂) ₄ CH ₃ | H | H | 4 | H | CH ₃ | N | Öl (E;E) |
| I. 138 | CH ₃ | H | H | 4 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I. 139 | CH ₃ | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 99-100°C (E;E) |
| I. 140 | CH ₃ | 2-Cl | H | 4 | CH ₃ | CH ₃ | N | Fp: 93-94°C (E;E) |
| I. 141 | CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 137-139°C (E;E) |
| I. 142 | CH ₃ | 2-OCH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 82-84°C (E;E) |
| I. 143 | CH ₃ | 3-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 55-56°C (E;E) |
| I. 144 | C ₂ H ₅ | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 71-73°C (E;E) |
| I. 145 | C ₂ H ₅ | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 79-80°C (E;E) |
| I. 146 | C ₂ H ₅ | 2-Cl | H | 4 | CH ₃ | CH ₃ | N | Fp: 88-90°C (E;E) |
| I. 147 | C ₂ H ₅ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 109-111°C (E;E) |
| I. 148 | C ₂ H ₅ | 2-OCH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 96-98°C (E;E) |
| I. 149 | C ₂ H ₅ | 3-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Harz (E;E) |
| I. 150 | (CH ₂) ₂ CH ₃ | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 87-99°C (E;E) |
| I. 151 | (CH ₂) ₂ CH ₃ | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 100-101°C (E;E) |
| I. 152 | CH ₂ CH=CH ₂ | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 90-92°C (E;E) |
| I. 153 | CH ₂ CH=CH ₂ | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 107-108°C (E;E) |
| I. 154 | CH(CH ₃) ₂ | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 120-123°C (E;E) |
| I. 155 | CH(CH ₃) ₂ | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 109-110°C (E;E) |
| I. 156 | (CH ₂) ₃ CH ₃ | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 64-66°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P* | R ⁴ | R ⁷ | X | Phys. Daten |
|--------|---|----------------|----------------|----|-----------------|-----------------|----|--|
| I. 157 | (CH ₂) ₃ CH ₃ | H | H | 4 | CH ₃ | CH ₃ | N | Öl (E;E) ¹ H-NMR (ppm): 0.97 (t, 3H); 1.4 (m, 2H); 1.68 (m, 2H); 2.17 (s, 3H); 3.83 (s, 3H); 4.0 (s, 3H); 4.15 (t, 2H); 4.95 (s, 2H); 6.82–7.57 (m, 8H) |
| I. 158 | CH ₂ CH=CHCH ₃ | H | H | 4 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I. 159 | CH ₂ CH=CHCH ₃ | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 100–103°C (E;E) |
| I. 160 | (CH ₂) ₅ CH ₃ | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 65– 67°C (E;E) |
| I. 161 | (CH ₂) ₅ CH ₃ | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 60– 63°C (E;E) |
| I. 162 | CH ₂ C ₆ H ₅ | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 110–112°C (E;E) |
| I. 163 | CH ₂ C ₆ H ₅ | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 104–106°C (E;E) |
| I. 164 | CH ₂ CH=CHCl | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 98–100°C (E;E) |
| I. 165 | CH ₂ CH=CHCl | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 105–107°C (E;E) |
| I. 166 | C(CH ₃) ₃ | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 88– 90°C (E;E) |
| I. 167 | C(CH ₃) ₃ | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 75– 78°C (E;E) |
| I. 168 | CH ₂ CH(CH ₃) ₂ | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 85– 87°C (E;E) |
| I. 169 | CH ₂ CH(CH ₃) ₂ | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 79– 81°C (E;E) |
| I. 170 | CH ₂ C(CH ₃)=CH ₂ | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 94– 96°C (E;E) |
| I. 171 | CH ₂ C(CH ₃)=CH ₂ | H | H | 4 | CH ₃ | CH ₃ | N | Fp: 88– 89°C (E;E) |
| I. 172 | (CH ₂) ₂ CH(CH ₃) ₂ | H | H | 4 | CH ₃ | CH ₃ | CH | Fp: 46– 48°C (E;E) |
| I. 173 | (CH ₂) ₂ CH(CH ₃) ₂ | H | H | 4 | CH ₃ | CH ₃ | N | Öl (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | R ⁷ | X | Phys. Daten |
|--------|--|-------------------|-------------------|----------------|-------------------------------|-----------------|---|---------------------|
| I. 174 | CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 104-107°C (E;E) |
| I. 175 | CH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 84- 87°C (E;E) |
| I. 176 | CH ₂ CH=CH ₂ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 78- 80°C (E;E) |
| I. 177 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 79- 81°C (E;E) |
| I. 178 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 88- 89°C (E;E) |
| I. 179 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 77- 79°C (E;E) |
| I. 180 | CH ₂ CH=CH ₂ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 65- 68°C (E;E) |
| I. 181 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 58- 62°C (E;E) |
| I. 182 | CH ₂ CH=CH ₂ | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 69- 71°C (E;E) |
| I. 183 | C ₂ H ₅ | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 73- 75°C (E;E) |
| I. 184 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 44- 45°C (E;E) |
| I. 185 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 90- 92°C (E;E) |
| I. 186 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 85- 87°C (E;E) |
| I. 187 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 65- 68°C (E;E) |
| I. 188 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 92- 93°C (E;E) |
| I. 189 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 82- 84°C (E;E) |
| I. 190 | CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 109-111°C (E;E) |
| I. 191 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 87- 89°C (E;E) |
| I. 192 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 99-100°C (E;E) |
| I. 193 | CH ₂ CH=CH ₂ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 83- 85°C (E;E) |
| I. 194 | (CH ₂) ₂ OCH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 81- 83°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | R ⁷ | X | Phys. Daten |
|-------|--|-------------------|-------------------|----------------|-------------------------------|-----------------|----|---------------------|
| I.195 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 81- 83°C (E;E) |
| I.196 | (CH ₂) ₂ CH ₃ | 2-Cl | H | 4 | CH ₃ | CH ₃ | N | Fp: 67- 70°C (E;E) |
| I.197 | (CH ₂) ₃ CH ₃ | 2-Cl | H | 4 | CH ₃ | CH ₃ | N | Fp: 66- 68°C (E;E) |
| I.198 | CH ₂ CH=CH ₂ | 2-Cl | H | 4 | CH ₃ | CH ₃ | N | Fp: 91- 92°C (E;E) |
| I.199 | CH ₂ CH≡CH | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 107-109°C (E;E) |
| I.200 | CH ₂ CH≡CH | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 100-102°C (E;E) |
| I.201 | CH ₂ CH≡CH | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 87- 89°C (E;E) |
| I.202 | CH ₂ CH=CHCl | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 118-120°C (E;E) |
| I.203 | CH ₂ CH=CHCl | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 95- 97°C (E;E) |
| I.204 | CH ₂ CH=CHCl | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 89- 91°C (E;E) |
| I.205 | CH ₃ | 2-CH ₃ | H | 4 | C ₆ H ₅ | CH ₃ | N | Harz (E;E) |
| I.206 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | C ₆ H ₅ | CH ₃ | N | Harz (E;E) |
| I.207 | CH ₂ CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Harz (E;E) |
| I.208 | (CH ₂) ₃ - -CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 67- 69°C (E;E) |
| I.209 | (CH ₂) ₄ - -CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 54- 56°C (E;E) |
| I.210 | (CH ₂) ₄ - -CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Harz (E;E) |
| I.211 | (CH ₂) ₅ - -CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 46- 48°C (E;E) |
| I.212 | (CH ₂) ₅ - -CO ₂ C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 56- 58°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | R ⁷ | X | Phys. Daten |
|--------|---|---------------------------------|-------------------|----------------|-------------------------------|-----------------|---|---------------------|
| I. 213 | CH ₂ CH≡CH | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | CH ₃ | N | Harz (E;E) |
| I. 244 | CH ₃ | 2-Cl | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Harz (E;E) |
| I. 245 | C ₂ H ₅ | 2-Cl | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 65- 67°C (E;E) |
| I. 246 | CH ₃ | 2-Cl | 5-Cl | 4 | CH ₃ | CH ₃ | N | Fp: 73- 74°C (E;E) |
| I. 247 | C ₂ H ₅ | 2-Cl | 5-Cl | 4 | CH ₃ | CH ₃ | N | Fp: 79- 80°C (E;E) |
| I. 248 | CH ₃ | 2-F | H | 4 | CH ₃ | CH ₃ | N | Fp: 88- 89°C (E;E) |
| I. 249 | C ₂ H ₅ | 2-F | H | 4 | CH ₃ | CH ₃ | N | Fp: 65- 66°C (E;E) |
| I. 250 | (CH ₂) ₂ CH ₃ | 2-F | H | 4 | CH ₃ | CH ₃ | N | Fp: 103-104°C (E;E) |
| I. 251 | (CH ₂) ₃ CH ₃ | 2-F | H | 4 | CH ₃ | CH ₃ | N | Fp: 84- 86°C (E;E) |
| I. 252 | CH ₂ CH=CH ₂ | 2-F | H | 4 | CH ₃ | CH ₃ | N | Fp: 107-109°C (E;E) |
| I. 253 | CH ₃ | 2-Br | H | 4 | CH ₃ | CH ₃ | N | Fp: 90- 91°C (E;E) |
| I. 254 | C ₂ H ₅ | 2-Br | H | 4 | CH ₃ | CH ₃ | N | Fp: 103-104°C (E;E) |
| I. 255 | (CH ₂) ₂ CH ₃ | 2-Br | H | 4 | CH ₃ | CH ₃ | N | Fp: 86- 87°C (E;E) |
| I. 256 | (CH ₂) ₃ CH ₃ | 2-Br | H | 4 | CH ₃ | CH ₃ | N | Fp: 68- 69°C (E;E) |
| I. 257 | CH ₂ CH=CH ₂ | 2-Br | H | 4 | CH ₃ | CH ₃ | N | Fp: 96- 97°C (E;E) |
| I. 258 | CH ₃ | 2-C ₂ H ₅ | H | 4 | CH ₃ | CH ₃ | N | Fp: 64- 66°C (E;E) |
| I. 259 | C ₂ H ₅ | 2-C ₂ H ₅ | H | 4 | CH ₃ | CH ₃ | N | Fp: 56- 57°C (E;E) |
| I. 260 | (CH ₂) ₂ CH ₃ | 2-C ₂ H ₅ | H | 4 | CH ₃ | CH ₃ | N | Fp: 52- 53°C (E;E) |
| I. 261 | (CH ₂) ₃ CH ₃ | 2-C ₂ H ₅ | H | 4 | CH ₃ | CH ₃ | N | Fp: 40- 41°C (E;E) |
| I. 262 | CH ₂ CH=CH ₂ | 2-C ₂ H ₅ | H | 4 | CH ₃ | CH ₃ | N | Harz (E;E) |
| I. 263 | (CH ₂) ₂ CH ₃ | 2-Cl | 5-Cl | 4 | CH ₃ | CH ₃ | N | Fp: 80- 81°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | R ⁷ | X | Phys. Daten |
|--------|---|-------------------|-------------------|----------------|-----------------|-----------------|----|---------------------|
| I. 264 | (CH ₂) ₂ CH ₃ | 2-Cl | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 56- 58°C (E;E) |
| I. 265 | CH ₂ CH=CHCH ₃ | H | H | 3 | H | CH ₃ | CH | Fp: 74- 76°C (E;E) |
| I. 266 | CH ₂ CH=CHCl | H | H | 3 | H | CH ₃ | CH | Fp: 56- 58°C (E;E) |
| I. 267 | CH ₂ CH(CH ₃) ₂ | H | H | 3 | H | CH ₃ | CH | Fp: 52- 54°C (E;E) |
| I. 268 | (CH ₂) ₄ CH ₃ | H | H | 3 | H | CH ₃ | CH | Öl (E;E) |
| I. 269 | CH ₂ C ₆ H ₅ | H | H | 3 | CH ₃ | CH ₃ | CH | Öl (E;E) |
| I. 270 | CH ₂ CH=CHCH ₃ | H | H | 4 | H | CH ₃ | CH | Fp: 86- 88°C (E;E) |
| I. 271 | CH ₂ CH(CH ₃) ₂ | H | H | 4 | H | CH ₃ | CH | Fp: 97- 99°C (E;E) |
| I. 272 | (CH ₂) ₄ CH ₃ | H | H | 4 | H | CH ₃ | CH | Fp: 84- 86°C (E;E) |
| I. 273 | CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 118-120°C (E;E) |
| I. 274 | C ₂ H ₅ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 101-103°C (E;E) |
| I. 275 | CH ₂ CH=CH ₂ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 113-115°C (E;E) |
| I. 276 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 113-115°C (E;E) |
| I. 277 | CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 81- 82°C (E;E) |
| I. 278 | CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 80- 81°C (E;E) |
| I. 279 | CH ₂ CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 117-119°C (E;E) |
| I. 280 | CH ₂ CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 91- 93°C (E;E) |
| I. 281 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 97- 99°C (E;E) |
| I. 282 | C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 83- 85°C (E;E) |
| I. 283 | C(CH ₃) ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 86- 88°C (E;E) |
| I. 284 | CH ₂ C(CH ₃)=CH ₂ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 106-108°C (E;E) |

| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | R ⁷ | X | Phys. Daten |
|--------|---|------------------------------------|-------------------|----------------|---|-----------------|----|--------------------|
| I. 285 | CH ₂ C(CH ₃)=CH ₂ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 51- 54°C (E;E) |
| I. 286 | (CH ₂) ₂ CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 72- 74°C (E;E) |
| I. 287 | (CH ₂) ₂ CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 58- 60°C (E;E) |
| I. 288 | (CH ₂) ₅ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 76- 78°C (E;E) |
| I. 289 | (CH ₂) ₅ CH ₃ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 78- 80°C (E;E) |
| I. 290 | CH ₂ C ₆ H ₅ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | CH | Fp: 85- 88°C (E;E) |
| I. 291 | CH ₂ C ₆ H ₅ | 2-CH ₃ | H | 4 | CH ₃ | CH ₃ | N | Fp: 98-101°C (E;E) |
| I. 292 | CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | CH | Fp: 86- 89°C (E;E) |
| I. 293 | CH ₂ CH=CH ₂ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | CH | Harz (E;E) |
| I. 294 | CH(CH ₃) ₂ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 83- 88°C (E;E) |
| I. 295 | CH(CH ₃) ₂ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | CH | Fp: 90- 92°C (E;E) |
| I. 296 | (CH ₂) ₃ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | CH | Fp: 50- 52°C (E;E) |
| I. 297 | CH ₂ C ₆ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | CH | Harz (E;E) |
| I. 298 | CH ₂ C ₆ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 41- 43°C (E;E) |
| I. 299 | CH ₃ | 3-C(CH ₃) ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | CH | Harz (E;E) |
| I. 300 | CH ₃ | 3-C(CH ₃) ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | N | Fp: 82- 86°C (E;E) |
| I. 301 | CH ₃ | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | CH | Fp: 65- 67°C (E;E) |
| I. 302 | CH ₂ CH=CH ₂ | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | CH | Fp: 83- 86°C (E;E) |
| I. 303 | CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | CH | Fp: 92- 94°C (E;E) |
| I. 304 | CH(CH ₃) ₂ | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | N | Fp: 96- 98°C (E;E) |
| I. 305 | CH ₃ | 2-CH ₃ | H | 4 | (CH ₂) ₂ CH ₃ | CH ₃ | CH | Fp: 50- 52°C (E;E) |

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| Nr. | R ¹ | R ² | R ³ | P [*] | R ⁴ | R ⁷ | X | Phys. Daten |
|--------|---|-------------------|-------------------|----------------|-----------------------------------|-----------------|----|--------------------|
| I. 306 | CH ₃ | 2-CH ₃ | H | 4 | CH(CH ₃) ₂ | CH ₃ | N | Fp: 73- 75°C (E;E) |
| I. 307 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | CH | Harz (E;E) |
| I. 308 | C ₂ H ₅ | 2-CH ₃ | H | 4 | C ₂ H ₅ | CH ₃ | CH | Fp: 52- 55°C (E;E) |
| I. 309 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | CH ₃ | CH ₃ | CH | Harz (E;E) |
| I. 310 | (CH ₂) ₂ CH ₃ | 2-CH ₃ | 5-CH ₃ | 4 | C ₂ H ₅ | CH ₃ | CH | Fp: 85- 87°C (E;E) |

p^{*} = Position der Gruppe -CR⁴=NOR¹ relativ zur -OCH₂-Brücke
c-C₃H₅ = Cyclopropyl

Tabelle 3: Verbindungen der allgemeinen Formel I.3, in denen die Kombination der Substituenten R^1 , R^2 , R^3 , R^4 und X für eine Verbindung jeweils einer Zeile der Tabelle A entspricht

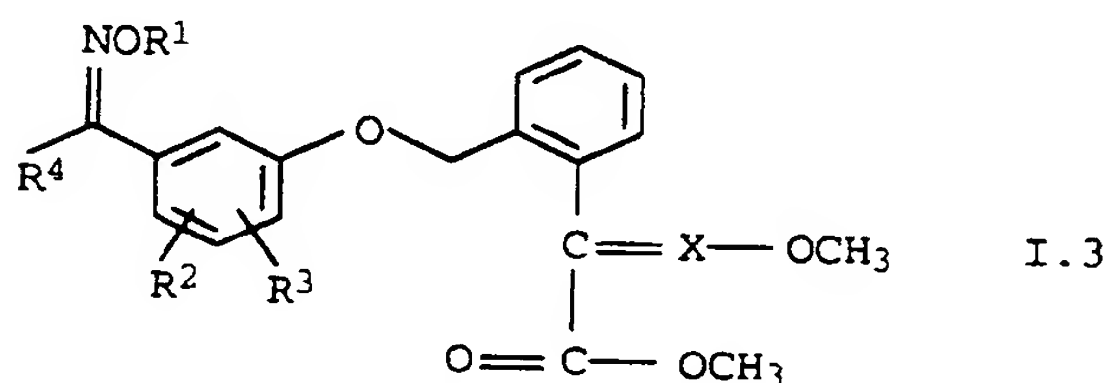


Tabelle 4: Verbindungen der allgemeinen Formel I.4, in denen die Kombination der Substituenten R^1 , R^2 , R^3 , R^4 und X für eine Verbindung jeweils einer Zeile der Tabelle B entspricht

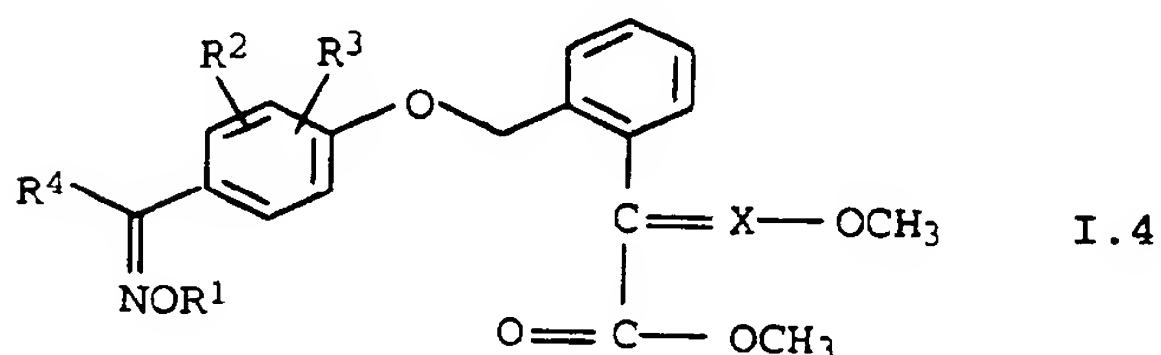


Tabelle 12: Verbindungen der allgemeinen Formel I.4, in denen R^4 Cyclopropyl bedeutet und die Kombination der Substituenten R^1 , R^2 , R^3 und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht

Tabelle 13: Verbindungen der allgemeinen Formel I.4, in denen R^4 Cyclopentyl bedeutet und die Kombination der Substituenten R^1 , R^2 , R^3 und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht

Tabelle 14: Verbindungen der allgemeinen Formel I.4, in denen R^4 Cyclohexyl bedeutet und die Kombination der Substituenten R^1 , R^2 , R^3 und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht

Tabelle 15: Verbindungen der allgemeinen Formel I.4, in denen R^4 CF_3 bedeutet und die Kombination der Substituenten R^1 , R^2 , R^3 und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht

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Tabelle 16: Verbindungen der allgemeinen Formel I.4, in denen R⁴ CH₂Cl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
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Tabelle 17: Verbindungen der allgemeinen Formel I.4, in denen R⁴ CH₂CH₂Cl bedeutet und die Kombination der Substituenten R¹, R², R³ und X für eine Verbindung jeweils einer Zeile der Tabelle D entspricht
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Tabelle 23: Verbindungen der allgemeinen Formel I.4, in denen R⁴ für Cyclopropyl und =X- für =N- stehen und die Kombination der Substituenten R¹, R² und R³ für eine Verbindung jeweils einer Zeile der Tabelle E entspricht
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Tabelle 24: Verbindungen der allgemeinen Formel I.4, in denen R⁴ für Cyclopentyl und =X- für =N- stehen und die Kombination der Substituenten R¹, R² und R³ für eine Verbindung jeweils einer Zeile der Tabelle E entspricht
- 25
Tabelle 25: Verbindungen der allgemeinen Formel I.4, in denen R⁴ für Cyclohexyl und =X- für =N- stehen und die Kombination der Substituenten R¹, R² und R³ für eine Verbindung jeweils einer Zeile der Tabelle E entspricht
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Tabelle 26: Verbindungen der allgemeinen Formel I.4, in denen R⁴ für CF₃ und =X- für =N- stehen und die Kombination der Substituenten R¹, R² und R³ für eine Verbindung jeweils einer Zeile der Tabelle E entspricht
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Tabelle 27: Verbindungen der allgemeinen Formel I.4, in denen R⁴ für CH₂CH₂Cl und =X- für =N- stehen und die Kombination der Substituenten R¹, R² und R³ für eine Verbindung jeweils einer Zeile der Tabelle E entspricht
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Tabelle A

| | Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|----|-----------|--|--------------------|----------------|----------------|----|
| 5 | A.001 | CH ₃ - | H | H | H | CH |
| | A.002 | CH ₃ - | H | H | H | N |
| | A.003 | CH ₃ - | 2-Cl | 5-Cl | H | CH |
| | A.004 | CH ₃ - | 2-Cl | 5-Cl | H | N |
| 10 | A.005 | CH ₃ - | 4-Cl | H | H | CH |
| | A.006 | CH ₃ - | 4-Cl | H | H | N |
| | A.007 | CH ₃ - | 4-CH ₃ | H | H | CH |
| | A.008 | CH ₃ - | 4-CH ₃ | H | H | N |
| 15 | A.009 | CH ₃ - | 5-OCH ₃ | H | H | CH |
| | A.010 | CH ₃ - | 5-OCH ₃ | H | H | N |
| | A.011 | CH ₃ - | 6-OCH ₃ | H | H | CH |
| | A.012 | CH ₃ - | 6-OCH ₃ | H | H | N |
| 20 | A.013 | CH ₃ - | H | H | H | CH |
| | A.014 | CH ₃ -CH ₂ - | H | H | H | N |
| | A.015 | CH ₃ -CH ₂ - | 2-Cl | 5-Cl | H | CH |
| | A.016 | CH ₃ -CH ₂ - | 2-Cl | 5-Cl | H | N |
| 25 | A.017 | CH ₃ -CH ₂ - | 4-Cl | H | H | CH |
| | A.018 | CH ₃ -CH ₂ - | 4-Cl | H | H | N |
| | A.019 | CH ₃ -CH ₂ - | 4-CH ₃ | H | H | CH |
| | A.020 | CH ₃ -CH ₂ - | 4-CH ₃ | H | H | N |
| 30 | A.021 | CH ₃ -CH ₂ - | 5-OCH ₃ | H | H | CH |
| | A.022 | CH ₃ -CH ₂ - | 5-OCH ₃ | H | H | N |
| | A.023 | CH ₃ -CH ₂ - | 6-OCH ₃ | H | H | CH |
| | A.024 | CH ₃ -CH ₂ - | 6-OCH ₃ | H | H | N |
| 35 | A.025 | CH ₃ -CH ₂ -CH ₂ - | H | H | H | CH |
| | A.026 | CH ₃ -CH ₂ -CH ₂ - | H | H | H | N |
| | A.027 | CH ₂ =CH-CH ₂ - | H | H | H | CH |
| | A.028 | CH ₂ =CH-CH ₂ - | H | H | H | N |
| 40 | A.029 | CH ₃ -CH(CH ₃)- | H | H | H | CH |
| | A.030 | CH ₃ -CH(CH ₃)- | H | H | H | N |
| | A.031 | HC≡C-CH ₂ - | H | H | H | CH |
| | A.032 | HC≡C-CH ₂ - | H | H | H | N |
| 45 | A.033 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | H | CH |
| | A.034 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | H | N |
| | A.035 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | CH |
| | A.036 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | N |
| 50 | A.037 | CH ₃ -CH=CH-CH ₂ - | H | H | H | CH |

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|--|----------------------------------|----------------|----------------|----|
| A.038 | CH ₃ -CH=CH-CH ₂ - | H | H | H | N |
| A.039 | CH ₃ -(CH ₂) ₅ - | H | H | H | CH |
| A.040 | CH ₃ -(CH ₂) ₅ - | H | H | H | N |
| A.041 | cyclo-C ₆ H ₁₁ - | H | H | H | CH |
| A.042 | cyclo-C ₆ H ₁₁ - | H | H | H | N |
| A.043 | C ₆ H ₅ -CH ₂ - | H | H | H | CH |
| A.044 | C ₆ H ₅ -CH ₂ - | H | H | H | N |
| A.045 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| A.046 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| A.047 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| A.048 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | H | N |
| A.049 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ - | H | H | H | CH |
| A.050 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ - | H | H | H | N |
| A.051 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | CH |
| A.052 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | N |
| A.053 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | H | CH |
| A.054 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | H | N |
| A.055 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | H | CH |
| A.056 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | H | N |
| A.057 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ - | H | H | H | CH |
| A.058 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ - | H | H | H | N |
| A.059 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | H | CH |
| A.060 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | H | N |
| A.061 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | H | CH |
| A.062 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | H | N |
| A.063 | Cl-CH=CH-CH ₂ - | H | H | H | CH |
| A.064 | Cl-CH=CH-CH ₂ - | H | H | H | N |
| A.065 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | H | CH |
| A.066 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | H | N |
| A.067 | CH ₃ -C(CH ₃) ₂ - | H | H | H | CH |
| A.068 | CH ₃ -C(CH ₃) ₂ - | H | H | H | N |
| A.069 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | H | CH |
| A.070 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | H | N |
| A.071 | CH ₂ =C(CH ₃)-CH ₂ | H | H | H | CH |
| A.072 | CH ₂ =C(CH ₃)-CH ₂ | H | H | H | N |
| A.073 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ - | H | H | H | CH |
| A.074 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ - | H | H | H | N |
| A.075 | CH ₃ -(CH ₂) ₄ - | H | H | H | CH |
| A.076 | CH ₃ -(CH ₂) ₄ - | H | H | H | N |

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|--|--------------------|----------------|-----------------|----|
| A. 077 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| A. 078 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| A. 079 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| A. 080 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| A. 081 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| A. 082 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| A. 083 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | CH |
| A. 084 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | N |
| A. 085 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | CH |
| A. 086 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | N |
| A. 087 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | H | CH |
| A. 088 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | H | N |
| A. 089 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ - | H | H | H | CH |
| A. 090 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ - | H | H | H | N |
| A. 091 | 4-Cl-C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ - | H | H | H | CH |
| A. 092 | 4-Cl-C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ - | H | H | H | N |
| A. 093 | 4-CF ₃ -C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ - | H | H | H | CH |
| A. 094 | 4-CF ₃ -C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ - | H | H | H | N |
| A. 095 | CH ₃ | H | H | CH ₃ | CH |
| A. 096 | CH ₃ | H | H | CH ₃ | N |
| A. 097 | CH ₃ | 2-Cl | 5-Cl | CH ₃ | CH |
| A. 098 | CH ₃ | 2-Cl | 5-Cl | CH ₃ | N |
| A. 099 | CH ₃ | 4-Cl | H | CH ₃ | CH |
| A. 100 | CH ₃ | 4-Cl | H | CH ₃ | N |
| A. 101 | CH ₃ | 4-CH ₃ | H | CH ₃ | CH |
| A. 102 | CH ₃ | 4-CH ₃ | H | CH ₃ | N |
| A. 103 | CH ₃ | 5-OCH ₃ | H | CH ₃ | CH |
| A. 104 | CH ₃ | 5-OCH ₃ | H | CH ₃ | N |
| A. 105 | CH ₃ | 6-OCH ₃ | H | CH ₃ | CH |
| A. 106 | CH ₃ | 6-OCH ₃ | H | CH ₃ | N |
| A. 107 | CH ₃ -CH ₂ | H | H | CH ₃ | CH |
| A. 108 | CH ₃ -CH ₂ | H | H | CH ₃ | N |
| A. 109 | CH ₃ -CH ₂ | 2-Cl | 5-Cl | CH ₃ | CH |
| A. 110 | CH ₃ -CH ₂ | 2-Cl | 5-Cl | CH ₃ | N |
| A. 111 | CH ₃ -CH ₂ | 4-Cl | H | CH ₃ | CH |
| A. 112 | CH ₃ -CH ₂ | 4-Cl | H | CH ₃ | N |
| A. 113 | CH ₃ -CH ₂ | 4-CH ₃ | H | CH ₃ | CH |
| A. 114 | CH ₃ -CH ₂ | 4-CH ₃ | H | CH ₃ | N |
| A. 115 | CH ₃ -CH ₂ | 5-OCH ₃ | H | CH ₃ | CH |

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|--|--------------------|----------------|-----------------|----|
| A. 116 | CH ₃ -CH ₂ | 5-OCH ₃ | H | CH ₃ | N |
| A. 117 | CH ₃ -CH ₂ | 6-OCH ₃ | H | CH ₃ | CH |
| A. 118 | CH ₃ -CH ₂ | 6-OCH ₃ | H | CH ₃ | N |
| A. 119 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A. 120 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A. 121 | CH ₂ =CH-CH ₂ | H | H | CH ₃ | CH |
| A. 122 | CH ₂ =CH-CH ₂ | H | H | CH ₃ | N |
| A. 123 | CH ₃ -CH(CH ₃) | H | H | CH ₃ | CH |
| A. 124 | CH ₃ -CH(CH ₃) | H | H | CH ₃ | N |
| A. 125 | HC≡C-CH ₂ | H | H | CH ₃ | CH |
| A. 126 | HC≡C-CH ₂ | H | H | CH ₃ | N |
| A. 127 | cyclo-C ₃ H ₅ -CH ₂ | H | H | CH ₃ | CH |
| A. 128 | cyclo-C ₃ H ₅ -CH ₂ | H | H | CH ₃ | N |
| A. 129 | CH ₃ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A. 130 | CH ₃ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A. 131 | CH ₃ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| A. 132 | CH ₃ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| A. 133 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | CH |
| A. 134 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | N |
| A. 135 | cyclo-C ₆ H ₁₁ | H | H | CH ₃ | CH |
| A. 136 | cyclo-C ₆ H ₁₁ | H | H | CH ₃ | N |
| A. 137 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | CH |
| A. 138 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | N |
| A. 139 | 4-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| A. 140 | 4-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| A. 141 | 3-CF ₃ -C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| A. 142 | 3-CF ₃ -C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| A. 143 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A. 144 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A. 145 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A. 146 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A. 147 | C ₆ H ₅ -(CH ₂) ₄ | H | H | CH ₃ | CH |
| A. 148 | C ₆ H ₅ -(CH ₂) ₄ | H | H | CH ₃ | N |
| A. 149 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| A. 150 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| A. 151 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A. 152 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A. 153 | t-C ₄ H ₉ O-CO-CH ₂ | H | H | CH ₃ | CH |
| A. 154 | t-C ₄ H ₉ O-CO-CH ₂ | H | H | CH ₃ | N |

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|--|----------------------------------|----------------|-------------------------------|----|
| A. 155 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ | H | H | CH ₃ | CH |
| A. 156 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ | H | H | CH ₃ | N |
| A. 157 | Cl-CH=CH-CH ₂ | H | H | CH ₃ | CH |
| A. 158 | Cl-CH=CH-CH ₂ | H | H | CH ₃ | N |
| A. 159 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | CH ₃ | CH |
| A. 160 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | CH ₃ | N |
| A. 161 | CH ₃ -C(CH ₂) ₂ | H | H | CH ₃ | CH |
| A. 162 | CH ₃ -C(CH ₂) ₂ | H | H | CH ₃ | N |
| A. 163 | CH ₃ -CH(CH ₃)-CH ₂ | H | H | CH ₃ | CH |
| A. 164 | CH ₃ -CH(CH ₃)-CH ₂ | H | H | CH ₃ | N |
| A. 165 | CH ₂ =C(CH ₃)-CH ₂ | H | H | CH ₃ | CH |
| A. 166 | CH ₂ =C(CH ₃)-CH ₂ | H | H | CH ₃ | N |
| A. 167 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A. 168 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A. 169 | CH ₃ -(CH ₂) ₄ | H | H | CH ₃ | CH |
| A. 170 | CH ₃ -(CH ₂) ₄ | H | H | CH ₃ | N |
| A. 171 | 2-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| A. 172 | 2-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| A. 173 | 3-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| A. 174 | 3-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| A. 175 | 2-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| A. 176 | 2-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| A. 177 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | CH |
| A. 178 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | N |
| A. 179 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | CH |
| A. 180 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | N |
| A. 181 | C ₆ H ₅ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A. 182 | C ₆ H ₅ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A. 183 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| A. 184 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | N |
| A. 185 | 4-Cl-C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| A. 186 | 4-Cl-C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| A. 187 | 4-CF ₃ -C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| A. 188 | 4-CF ₃ -C ₆ H ₄ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| A. 189 | CH ₃ | H | H | C ₆ H ₅ | CH |
| A. 190 | CH ₃ | H | H | C ₆ H ₅ | N |
| A. 191 | C ₂ H ₅ | H | H | C ₆ H ₅ | CH |
| A. 192 | C ₂ H ₅ | H | H | C ₆ H ₅ | N |
| A. 193 | CH ₃ -CH ₂ -CH ₂ | H | H | C ₆ H ₅ | CH |

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|---|----------------|----------------|-------------------------------|----|
| A.194 | CH ₃ -CH ₂ -CH ₂ | H | H | C ₆ H ₅ | N |
| A.195 | CH ₃ -(CH ₂) ₅ | H | H | C ₆ H ₅ | CH |
| A.196 | CH ₃ -(CH ₂) ₅ | H | H | C ₆ H ₅ | N |
| A.197 | C ₆ H ₅ -CH ₂ | H | H | C ₆ H ₅ | CH |
| A.198 | C ₆ H ₅ -CH ₂ | H | H | C ₆ H ₅ | N |

Tabelle B

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|------------------------------------|--------------------|----------------|----------------|----|
| B.001 | CH ₃ - | H | H | H | CH |
| B.002 | CH ₃ - | H | H | H | N |
| B.003 | CH ₃ - | 2-Cl | H | H | CH |
| B.004 | CH ₃ - | 2-Cl | H | H | N |
| B.005 | CH ₃ - | 2-CH ₃ | H | H | CH |
| B.006 | CH ₃ - | 2-CH ₃ | H | H | N |
| B.007 | CH ₃ - | 2-OCH ₃ | H | H | CH |
| B.008 | CH ₃ - | 2-OCH ₃ | H | H | N |
| B.009 | CH ₃ - | 3-Cl | H | H | CH |
| B.010 | CH ₃ - | 3-Cl | H | H | N |
| B.011 | CH ₃ - | 3-CH ₃ | H | H | CH |
| B.012 | CH ₃ - | 3-CH ₃ | H | H | N |
| B.013 | CH ₃ - | 3-OCH ₃ | H | H | CH |
| B.014 | CH ₃ - | 3-OCH ₃ | H | H | N |
| B.015 | CH ₃ | 2-Cl | 6-Cl | H | CH |
| B.016 | CH ₃ | 2-Cl | 6-Cl | H | N |
| B.017 | CH ₃ -CH ₂ - | H | H | H | CH |
| B.018 | CH ₃ -CH ₂ - | H | H | H | N |
| B.019 | CH ₃ -CH ₂ - | 2-Cl | H | H | CH |
| B.020 | CH ₃ -CH ₂ - | 2-Cl | H | H | N |
| B.021 | CH ₃ -CH ₂ - | 2-CH ₃ | H | H | CH |
| B.022 | CH ₃ -CH ₂ - | 2-CH ₃ | H | H | N |
| B.023 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | H | CH |
| B.024 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | H | N |
| B.025 | CH ₃ -CH ₂ - | 3-Cl | H | H | CH |
| B.026 | CH ₃ -CH ₂ - | 3-Cl | H | H | N |
| B.027 | CH ₃ -CH ₂ - | 3-CH ₃ | H | H | CH |
| B.028 | CH ₃ -CH ₂ - | 3-CH ₃ | H | H | N |
| B.029 | CH ₃ -CH ₂ - | 3-OCH ₃ | H | H | CH |
| B.030 | CH ₃ -CH ₂ - | 3-OCH ₃ | H | H | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|----------------|----------------|----------------|----|
| B.031 | CH ₃ -CH ₂ - | 2-Cl | H | H | CH |
| B.032 | CH ₃ -CH ₂ - | 2-Cl | 6-Cl | H | N |
| B.033 | CH ₃ -CH ₂ -CH ₂ - | H | 6-Cl | H | CH |
| B.034 | CH ₃ -CH ₂ -CH ₂ - | H | H | H | N |
| B.035 | CH ₂ =CH-CH ₂ - | H | H | H | CH |
| B.036 | CH ₂ =CH-CH ₂ - | H | H | H | N |
| B.037 | CH ₃ -CH(CH ₃)- | H | H | H | CH |
| B.038 | CH ₃ -CH(CH ₃)- | H | H | H | N |
| B.039 | HC≡C-CH ₂ - | H | H | H | CH |
| B.040 | HC≡C-CH ₂ - | H | H | H | N |
| B.041 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | H | CH |
| B.042 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | H | N |
| B.043 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | CH |
| B.044 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | N |
| B.045 | CH ₃ -CH=CH-CH ₂ - | H | H | H | CH |
| B.046 | CH ₃ -CH=CH-CH ₂ - | H | H | H | N |
| B.047 | CH ₃ -(CH ₂) ₅ - | H | H | H | CH |
| B.048 | CH ₃ -(CH ₂) ₅ - | H | H | H | N |
| B.049 | cyclo-C ₆ H ₁₁ - | H | H | H | CH |
| B.050 | cyclo-C ₆ H ₁₁ - | H | H | H | N |
| B.051 | C ₆ H ₅ -CH ₂ - | H | H | H | CH |
| B.052 | C ₆ H ₅ -CH ₂ - | H | H | H | N |
| B.053 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.054 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.055 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.056 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.057 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.058 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.059 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | CH |
| B.060 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | H | N |
| B.061 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | H | CH |
| B.062 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | H | N |
| B.063 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | H | CH |
| B.064 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | H | N |
| B.065 | 4-F-C ₆ H ₄ - CH=CHCH ₂ CH ₂ | H | H | H | CH |
| B.066 | 4-F-C ₆ H ₄ - CH=CHCH ₂ CH ₂ | H | H | H | N |
| B.067 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | H | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|----------------------------------|----------------|----------------|----|
| B.068 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | H | N |
| B.069 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | H | CH |
| B.070 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | H | N |
| B.071 | Cl-CH=CH-CH ₂ - | H | H | H | CH |
| B.072 | Cl-CH=CH-CH ₂ - | H | H | H | N |
| B.073 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | H | CH |
| B.074 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | H | N |
| B.075 | CH ₃ -C(CH ₃) ₂ - | H | H | H | CH |
| B.076 | CH ₃ -C(CH ₃) ₂ - | H | H | H | N |
| B.077 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | H | CH |
| B.078 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | H | N |
| B.079 | CH ₂ =C(CH ₃)-CH ₂ - | H | H | H | CH |
| B.080 | CH ₂ =C(CH ₃)-CH ₂ - | H | H | H | N |
| B.081 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | H | H | H | CH |
| B.082 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | H | H | H | N |
| B.083 | CH ₃ -(CH ₂) ₄ - | H | H | H | CH |
| B.084 | CH ₃ -(CH ₂) ₄ - | H | H | H | N |
| B.085 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.086 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.087 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.088 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.089 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | CH |
| B.090 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | H | N |
| B.091 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | CH |
| B.092 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | N |
| B.093 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | CH |
| B.094 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | H | N |
| B.095 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | H | CH |
| B.096 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | H | N |
| B.097 | C ₆ H ₅ -CH=CH- CH ₂ -CH ₂ - | H | H | H | CH |
| B.098 | C ₆ H ₅ -CH=CH- CH ₂ -CH ₂ - | H | H | H | N |
| B.099 | 4-Cl-C ₆ H ₄ - CH ₂ CH=CHCH ₂ | H | H | H | CH |
| B.100 | 4-Cl-C ₆ H ₄ - CH ₂ CH=CHCH ₂ | H | H | H | N |
| B.101 | 4-CF ₃ -C ₆ H ₄ - CH ₂ CH=CHCH ₂ | H | H | H | CH |
| B.102 | 4-CF ₃ -C ₆ H ₄ - CH ₂ CH=CHCH ₂ | H | H | H | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|--------------------|----------------|-----------------|----|
| B.103 | CH ₃ | H | H | CH ₃ | CH |
| B.104 | CH ₃ | H | H | CH ₃ | N |
| B.105 | CH ₃ | 2-Cl | H | CH ₃ | CH |
| B.106 | CH ₃ | 2-Cl | H | CH ₃ | N |
| B.107 | CH ₃ | 2-CH ₃ | H | CH ₃ | CH |
| B.108 | CH ₃ | 2-CH ₃ | H | CH ₃ | N |
| B.109 | CH ₃ | 2-OCH ₃ | H | CH ₃ | CH |
| B.110 | CH ₃ | 2-OCH ₃ | H | CH ₃ | N |
| B.111 | CH ₃ | 3-Cl | H | CH ₃ | CH |
| B.112 | CH ₃ | 3-Cl | H | CH ₃ | N |
| B.113 | CH ₃ | 3-CH ₃ | H | CH ₃ | CH |
| B.114 | CH ₃ | 3-CH ₃ | H | CH ₃ | N |
| B.115 | CH ₃ | 3-OCH ₃ | H | CH ₃ | CH |
| B.116 | CH ₃ | 3-OCH ₃ | H | CH ₃ | N |
| B.117 | CH ₃ | 2-Cl | 6-Cl | CH ₃ | CH |
| B.118 | CH ₃ | 2-Cl | 6-Cl | CH ₃ | N |
| B.119 | CH ₃ -CH ₂ | H | H | CH ₃ | CH |
| B.120 | CH ₃ -CH ₂ | H | H | CH ₃ | N |
| B.121 | CH ₃ -CH ₂ | 2-Cl | H | CH ₃ | CH |
| B.122 | CH ₃ -CH ₂ | 2-Cl | H | CH ₃ | N |
| B.123 | CH ₃ -CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B.124 | CH ₃ -CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B.125 | CH ₃ -CH ₂ | 2-OCH ₃ | H | CH ₃ | CH |
| B.126 | CH ₃ -CH ₂ | 2-OCH ₃ | H | CH ₃ | N |
| B.127 | CH ₃ -CH ₂ | 3-Cl | H | CH ₃ | CH |
| B.128 | CH ₃ -CH ₂ | 3-Cl | H | CH ₃ | N |
| B.129 | CH ₃ -CH ₂ | 3-CH ₃ | H | CH ₃ | CH |
| B.130 | CH ₃ -CH ₂ | 3-CH ₃ | H | CH ₃ | N |
| B.131 | CH ₃ -CH ₂ | 3-OCH ₃ | H | CH ₃ | CH |
| B.132 | CH ₃ -CH ₂ | 3-OCH ₃ | H | CH ₃ | N |
| B.133 | CH ₃ -CH ₂ | 2-Cl | 6-Cl | CH ₃ | CH |
| B.134 | CH ₃ -CH ₂ | 2-Cl | 6-Cl | CH ₃ | N |
| B.135 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B.136 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B.137 | CH ₂ =CH-CH ₂ | H | H | CH ₃ | CH |
| B.138 | CH ₂ =CH-CH ₂ | H | H | CH ₃ | N |
| B.139 | CH ₃ -CH(CH ₃) | H | H | CH ₃ | CH |
| B.140 | CH ₃ -CH(CH ₃) | H | H | CH ₃ | N |
| B.141 | HC≡C-CH ₂ | H | H | CH ₃ | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|----------------------------------|----------------|-----------------|----|
| B.142 | HC ≡ C-CH ₂ | H | H | CH ₃ | N |
| B.143 | cyclo-C ₃ H ₅ -CH ₂ | H | H | CH ₃ | CH |
| B.144 | cyclo-C ₃ H ₅ -CH ₂ | H | H | CH ₃ | N |
| B.145 | CH ₃ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B.146 | CH ₃ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B.147 | CH ₃ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B.148 | CH ₃ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| B.149 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | CH |
| B.150 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | N |
| B.151 | cyclo-C ₆ H ₁₁ | H | H | CH ₃ | CH |
| B.152 | cyclo-C ₆ H ₁₁ | H | H | CH ₃ | N |
| B.153 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | CH |
| B.154 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | N |
| B.155 | 4-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| B.156 | 4-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| B.157 | 3-CF ₃ -C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| B.158 | 3-CF ₃ -C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| B.159 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B.160 | 4-Cl-C ₆ H ₄ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B.161 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B.162 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B.163 | C ₆ H ₅ -(CH ₂) ₄ | H | H | CH ₃ | CH |
| B.164 | C ₆ H ₅ -(CH ₂) ₄ | H | H | CH ₃ | N |
| B.165 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B.166 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| B.167 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B.168 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| B.169 | t-C ₄ -H ₉ O-CO-CH ₂ | H | H | CH ₃ | CH |
| B.170 | t-C ₄ -H ₉ O-CO-CH ₂ | H | H | CH ₃ | N |
| B.171 | t-C ₄ -H ₉ O-CO-(CH ₂) ₃ | H | H | CH ₃ | CH |
| B.172 | t-C ₄ -H ₉ O-CO-(CH ₂) ₃ | H | H | CH ₃ | N |
| B.173 | Cl-CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B.174 | Cl-CH=CH-CH ₂ | H | H | CH ₃ | N |
| B.175 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | CH ₃ | CH |
| B.176 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | CH ₃ | N |
| B.177 | CH ₃ -C(CH ₃) ₂ | H | H | CH ₃ | CH |
| B.178 | CH ₃ -C(CH ₃) ₂ | H | H | CH ₃ | N |
| B.179 | CH ₃ -CH(CH ₃)-CH ₂ | H | H | CH ₃ | CH |
| B.180 | CH ₃ -CH(CH ₃)-CH ₂ | H | H | CH ₃ | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|-------------------|-------------------|-------------------------------|----|
| B. 181 | CH ₂ =C(CH ₃)-CH ₂ | H | H | CH ₃ | CH |
| B. 182 | CH ₂ =C(CH ₃)-CH ₂ | H | H | CH ₃ | N |
| B. 183 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | H | H | CH ₃ | CH |
| B. 184 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | H | H | CH ₃ | N |
| B. 185 | CH ₃ -(CH ₂) ₄ | H | H | CH ₃ | CH |
| B. 186 | CH ₃ -(CH ₂) ₄ | H | H | CH ₃ | N |
| B. 187 | 2-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| B. 188 | 2-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| B. 189 | 3-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| B. 190 | 3-F-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| B. 191 | 2-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | CH |
| B. 192 | 2-Cl-C ₆ H ₄ -CH ₂ | H | H | CH ₃ | N |
| B. 193 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | CH |
| B. 194 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | N |
| B. 195 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | CH |
| B. 196 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ | H | H | CH ₃ | N |
| B. 197 | C ₆ H ₅ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B. 198 | C ₆ H ₅ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B. 199 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B. 200 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B. 201 | 4-Cl-C ₆ H ₄ - CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B. 202 | 4-Cl-C ₆ H ₄ - CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| B. 203 | 4-CF ₃ -C ₆ H ₄ - CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | CH |
| B. 204 | 4-CF ₃ -C ₆ H ₄ - CH ₂ -CH=CH-CH ₂ | H | H | CH ₃ | N |
| B. 205 | CH ₃ | H | H | C ₆ H ₅ | CH |
| B. 206 | CH ₃ | H | H | CH ₃ | N |
| B. 207 | C ₂ H ₅ | H | H | CH ₃ | CH |
| B. 208 | C ₂ H ₅ | H | H | CH ₃ | N |
| B. 209 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | CH |
| B. 210 | CH ₃ -CH ₂ -CH ₂ | H | H | CH ₃ | N |
| B. 211 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | CH |
| B. 212 | CH ₃ -(CH ₂) ₅ | H | H | CH ₃ | N |
| B. 213 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | CH |
| B. 214 | C ₆ H ₅ -CH ₂ | H | H | CH ₃ | N |
| B. 215 | CH ₃ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 216 | CH ₃ | 2-CH ₃ | H | C ₂ H ₅ | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|--|-------------------|----------------------------------|----|
| B. 217 | CH ₂ =CH-CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 218 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 219 | CH ₂ =CH-CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 220 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 221 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 222 | CH ₃ -CH(CH ₃) | 2-CH ₃ | H | CH ₃ | CH |
| B. 223 | CH ₃ -CH(CH ₃) | 2-CH ₃ | H | CH ₃ | N |
| B. 224 | CH ₃ -CH(CH ₃)-CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 225 | CH ₃ -CH(CH ₃)-CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 226 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | H | CH ₃ | CH |
| B. 227 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | H | CH ₃ | N |
| B. 228 | CH ₃ -C(CH ₃) ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 229 | CH ₃ -C(CH ₃) ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 230 | CH ₂ =C(CH ₃)-CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 231 | CH ₂ =C(CH ₃)-CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 232 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 233 | CH ₃ -CH(CH ₃)-CH ₂ CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 234 | CH ₃ -(CH ₂) ₅ | 2-CH ₃ | H | CH ₃ | CH |
| B. 235 | CH ₃ -(CH ₂) ₅ | 2-CH ₃ | H | CH ₃ | N |
| B. 236 | C ₆ H ₅ -CH ₂ | 2-CH ₃ | H | CH ₃ | CH |
| B. 237 | C ₆ H ₅ -CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 238 | CH ₃ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 239 | CH ₂ =CH-CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 240 | CH ₂ =CH-CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 241 | CH ₃ -CH(CH ₃) | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 242 | CH ₃ -CH(CH ₃) | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 243 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 244 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 245 | C ₆ H ₅ -CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 246 | C ₆ H ₅ -CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 247 | CH ₃ | 3-CH ₃ C(CH ₃) ₂ | H | CH ₃ | CH |
| B. 248 | CH ₃ | 3-CH ₃ C(CH ₃) ₂ | H | CH ₃ | N |
| B. 249 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ | CH |
| B. 250 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ | N |
| B. 251 | CH ₂ =CH-CH ₂ | 2-CH ₃ | H | CH ₃ -CH ₂ | CH |
| B. 252 | CH ₂ =CH-CH ₂ | 2-CH ₃ | H | CH ₃ -CH ₂ | N |
| B. 253 | CH ₃ -CH(CH ₃) | 2-CH ₃ | H | CH ₃ -CH ₂ | CH |
| B. 254 | CH ₃ -CH(CH ₃) | 2-CH ₃ | H | CH ₃ -CH ₂ | N |
| B. 255 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|--------------------|-------------------|---|----|
| B. 256 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ | N |
| B. 257 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ -CH ₂ | CH |
| B. 258 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH(CH ₃) | N |
| B. 259 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 260 | C ₂ H ₅ | 2-CH ₃ | H | C ₂ H ₅ | CH |
| B. 261 | C ₂ H ₅ | 2-CH ₃ | H | C ₂ H ₅ | N |
| B. 262 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 263 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 264 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | H | C ₂ H ₅ | CH |
| B. 265 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | H | C ₂ H ₅ | N |
| B. 266 | CH ₃ | 2-Cl | H | CH ₃ | CH |
| B. 267 | CH ₃ | 2-Cl | H | CH ₃ | N |
| B. 268 | C ₂ H ₅ | 2-Cl | H | CH ₃ | CH |
| B. 269 | C ₂ H ₅ | 2-Cl | H | CH ₃ | N |
| B. 270 | CH ₃ | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 271 | CH ₃ | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 272 | C ₂ H ₅ | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 273 | C ₂ H ₅ | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 274 | CH ₃ | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 275 | CH ₃ | 2-Cl | 5-Cl | CH ₃ | N |
| B. 276 | C ₂ H ₅ | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 277 | C ₂ H ₅ | 2-Cl | 5-Cl | CH ₃ | N |
| B. 278 | CH ₃ -O-CH ₂ -CH ₂ | 2-CH ₃ | H | CH ₃ | N |
| B. 279 | CH ₃ -O-CH ₂ -CH ₂ | 2-CH ₃ | H | C ₂ H ₅ | N |
| B. 280 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | H | C ₂ H ₅ | N |
| B. 281 | CH ₃ -O-CH ₂ -CH ₂ | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 282 | CH ₃ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 283 | C ₂ H ₅ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 284 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 285 | CH ₂ =CH-CH ₂ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 286 | CH ₃ -O-CH ₂ -CH ₂ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 287 | CH ₃ -(CH ₂) ₃ | 2-CH ₃ | 5-CH ₃ | C ₂ H ₅ | N |
| B. 288 | CH ₃ -CH ₂ -CH ₂ - | 2-Cl | H | CH ₃ | N |
| B. 289 | CH ₃ -CH ₂ -CH ₂ - | 2-Cl | H | CH ₃ | CH |
| B. 290 | CH ₃ -(CH ₂) ₃ - | 2-Cl | H | CH ₃ | N |
| B. 291 | CH ₃ -(CH ₂) ₃ - | 2-Cl | H | CH ₃ | CH |
| B. 292 | CH ₂ =CH-CH ₂ - | 2-Cl | H | CH ₃ | N |
| B. 293 | CH ₂ =CH-CH ₂ - | 2-Cl | H | CH ₃ | CH |
| B. 294 | CH ₃ -CH ₂ -CH ₂ - | 2-OCH ₃ | H | CH ₃ | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|--------------------|-------------------|---|----|
| B. 295 | CH ₃ -CH ₂ -CH ₂ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 296 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | H | CH ₃ | N |
| B. 297 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 298 | CH ₂ =CH-CH ₂ - | 2-OCH ₃ | H | CH ₃ | N |
| B. 299 | CH ₂ =CH-CH ₂ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 300 | CH ₃ -CH ₂ -CH ₂ - | 3-CH ₃ | H | CH ₃ | N |
| B. 301 | CH ₃ -CH ₂ -CH ₂ - | 3-CH ₃ | H | CH ₃ | CH |
| B. 302 | CH ₃ -(CH ₂) ₃ - | 3-CH ₃ | H | CH ₃ | N |
| B. 303 | CH ₃ -(CH ₂) ₃ - | 3-CH ₃ | H | CH ₃ | CH |
| B. 304 | CH ₂ =CH-CH ₂ - | 3-CH ₃ | H | CH ₃ | N |
| B. 305 | CH ₂ =CH-CH ₂ - | 3-CH ₃ | H | CH ₃ | CH |
| B. 306 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ -CH ₂ | N |
| B. 307 | CH ₃ | 2-CH ₃ | H | CH ₃ -CH ₂ -CH ₂ | CH |
| B. 308 | CH ₃ -(CH ₂) ₅ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 309 | CH ₃ -(CH ₂) ₅ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 310 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 311 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 312 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 313 | CH≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 314 | CH≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 315 | CH≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 316 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 317 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 318 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 319 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 320 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 321 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 322 | N≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 323 | N≡C-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 324 | N≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 325 | N≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 326 | CH ₃ | 2-CH ₃ | H | C ₆ H ₅ | N |
| B. 327 | CH ₃ | 2-CH ₃ | H | C ₆ H ₅ | CH |
| B. 328 | CH ₃ -CH ₂ -CH ₂ - | 2-CH ₃ | H | C ₆ H ₅ | N |
| B. 329 | CH ₃ -CH ₂ -CH ₂ - | 2-CH ₃ | H | C ₆ H ₅ | CH |
| B. 330 | (CH ₃) ₃ COCO-CH ₂ - | 2-CH ₃ | H | CH ₃ | N |
| B. 331 | (CH ₃) ₃ COCO-CH ₂ - | 2-CH ₃ | H | CH ₃ | CH |
| B. 332 | (CH ₃) ₃ COCO-(CH ₂) ₃ | 2-CH ₃ | H | CH ₃ | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|--|-------------------|-------------------|------------------------------------|----|
| B. 333 | (CH ₃) ₃ COCO-(CH ₂) ₃ | 2-CH ₃ | H | CH ₃ | CH |
| B. 334 | (CH ₃) ₃ COCO-(CH ₂) ₄ | 2-CH ₃ | H | CH ₃ | N |
| B. 335 | (CH ₃) ₃ COCO-(CH ₂) ₄ | 2-CH ₃ | H | CH ₃ | CH |
| B. 336 | (CH ₃) ₃ COCO-(CH ₂) ₅ | 2-CH ₃ | H | CH ₃ | N |
| B. 337 | (CH ₃) ₃ COCO-(CH ₂) ₅ | 2-CH ₃ | H | CH ₃ | CH |
| B. 338 | CH≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 339 | CH≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 340 | CH ₃ | 2-F | H | CH ₃ | N |
| B. 341 | CH ₃ | 2-F | H | CH ₃ | CH |
| B. 342 | CH ₃ -CH ₂ | 2-F | H | CH ₃ | N |
| B. 343 | CH ₃ -CH ₂ | 2-F | H | CH ₃ | CH |
| B. 344 | CH ₃ -CH ₂ -CH ₂ - | 2-F | H | CH ₃ | N |
| B. 345 | CH ₃ -CH ₂ -CH ₂ - | 2-F | H | CH ₃ | CH |
| B. 346 | CH ₃ -(CH ₂) ₃ - | 2-F | H | CH ₃ | N |
| B. 347 | CH ₃ -(CH ₂) ₃ - | 2-F | H | CH ₃ | CH |
| B. 348 | CH ₂ =CH-CH ₂ - | 2-F | H | CH ₃ | N |
| B. 349 | CH ₂ =CH-CH ₂ - | 2-F | H | CH ₃ | CH |
| B. 350 | CH ₃ -O-CH ₂ -CH ₂ - | 2-F | H | CH ₃ | N |
| B. 351 | CH ₃ -O-CH ₂ -CH ₂ - | 2-F | H | CH ₃ | CH |
| B. 352 | Cl-CH=CH-CH ₂ - | 2-F | H | CH ₃ | N |
| B. 353 | Cl-CH=CH-CH ₂ - | 2-F | H | CH ₃ | CH |
| B. 354 | CH ₃ | 2-F | H | CH ₃ -CH ₂ - | N |
| B. 355 | CH ₃ | 2-F | H | CH ₃ -CH ₂ - | CH |
| B. 356 | CH ₃ -CH ₂ | 2-F | H | CH ₃ -CH ₂ - | N |
| B. 357 | CH ₃ -CH ₂ | 2-F | H | CH ₃ -CH ₂ - | CH |
| B. 358 | CH ₃ -CH ₂ -CH ₂ | 2-F | H | CH ₃ -CH ₂ - | N |
| B. 359 | CH ₃ -CH ₂ -CH ₂ | 2-F | H | CH ₃ -CH ₂ - | CH |
| B. 360 | CH ₃ -(CH ₂) ₃ - | 2-F | H | CH ₃ -CH ₂ - | N |
| B. 361 | CH ₃ -(CH ₂) ₃ - | 2-F | H | CH ₃ -CH ₂ - | CH |
| B. 362 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Cl | H | CH ₃ | N |
| B. 363 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Cl | H | CH ₃ | CH |
| B. 364 | Cl-CH=CH-CH ₂ | 2-Cl | H | CH ₃ | N |
| B. 365 | Cl-CH=CH-CH ₂ | 2-Cl | H | CH ₃ | CH |
| B. 366 | CH ₃ | 2-Cl | H | CH ₃ -CH ₂ - | N |
| B. 367 | CH ₃ | 2-Cl | H | CH ₃ -CH ₂ - | CH |
| B. 368 | CH ₃ -CH ₂ - | 2-Cl | H | CH ₃ -CH ₂ - | N |
| B. 369 | CH ₃ -CH ₂ - | 2-Cl | H | CH ₃ -CH ₂ - | CH |
| B. 370 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | H | CH ₃ -CH ₂ - | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|----------------|----------------|------------------------------------|----|
| B. 371 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | H | CH ₃ -CH ₂ - | CH |
| B. 372 | CH ₃ -(CH ₂) ₃ - | 2-Cl | H | CH ₃ -CH ₂ - | N |
| B. 373 | CH ₃ -(CH ₂) ₃ - | 2-Cl | H | CH ₃ -CH ₂ - | CH |
| B. 374 | CH ₃ | 2-Br | H | CH ₃ | N |
| B. 375 | CH ₃ | 2-Br | H | CH ₃ | CH |
| B. 376 | CH ₃ -CH ₂ | 2-Br | H | CH ₃ | N |
| B. 377 | CH ₃ -CH ₂ | 2-Br | H | CH ₃ | CH |
| B. 378 | CH ₃ -CH ₂ -CH ₂ | 2-Br | H | CH ₃ | N |
| B. 379 | CH ₃ -CH ₂ -CH ₂ | 2-Br | H | CH ₃ | CH |
| B. 380 | CH ₃ -(CH ₂) ₃ - | 2-Br | H | CH ₃ | N |
| B. 381 | CH ₃ -(CH ₂) ₃ - | 2-Br | H | CH ₃ | CH |
| B. 382 | CH ₂ =CH-CH ₂ - | 2-Br | H | CH ₃ | N |
| B. 383 | CH ₂ =CH-CH ₂ - | 2-Br | H | CH ₃ | CH |
| B. 384 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Br | H | CH ₃ | N |
| B. 385 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Br | H | CH ₃ | CH |
| B. 386 | Cl-CH=CH-CH ₂ - | 2-Br | H | CH ₃ | N |
| B. 387 | Cl-CH=CH-CH ₂ - | 2-Br | H | CH ₃ | CH |
| B. 388 | CH ₃ | 2-Br | H | CH ₃ -CH ₂ - | N |
| B. 389 | CH ₃ | 2-Br | H | CH ₃ -CH ₂ - | CH |
| B. 390 | CH ₃ -CH ₂ | 2-Br | H | CH ₃ -CH ₂ - | N |
| B. 391 | CH ₃ -CH ₂ | 2-Br | H | CH ₃ -CH ₂ - | CH |
| B. 392 | CH ₃ -CH ₂ -CH ₂ | 2-Br | H | CH ₃ -CH ₂ - | N |
| B. 393 | CH ₃ -CH ₂ -CH ₂ | 2-Br | H | CH ₃ -CH ₂ - | CH |
| B. 394 | CH ₃ -(CH ₂) ₃ - | 2-Br | H | CH ₃ -CH ₂ - | N |
| B. 395 | CH ₃ -(CH ₂) ₃ - | 2-Br | H | CH ₃ -CH ₂ - | CH |
| B. 396 | CH ₃ | 2-I | H | CH ₃ | N |
| B. 397 | CH ₃ | 2-I | H | CH ₃ | CH |
| B. 398 | CH ₃ -CH ₂ - | 2-I | H | CH ₃ | N |
| B. 399 | CH ₃ -CH ₂ - | 2-I | H | CH ₃ | CH |
| B. 400 | CH ₃ -CH ₂ -CH ₂ | 2-I | H | CH ₃ | N |
| B. 401 | CH ₃ -CH ₂ -CH ₂ | 2-I | H | CH ₃ | CH |
| B. 402 | CH ₃ -(CH ₂) ₃ - | 2-I | H | CH ₃ | N |
| B. 403 | CH ₃ -(CH ₂) ₃ - | 2-I | H | CH ₃ | CH |
| B. 404 | CH ₂ =CH-CH ₂ - | 2-I | H | CH ₃ | N |
| B. 405 | CH ₂ =CH-CH ₂ - | 2-I | H | CH ₃ | CH |
| B. 406 | CH ₃ -O-CH ₂ -CH ₂ - | 2-I | H | CH ₃ | N |
| B. 407 | CH ₃ -O-CH ₂ -CH ₂ - | 2-I | H | CH ₃ | CH |
| B. 408 | Cl-CH=CH-CH ₂ - | 2-I | H | CH ₃ | N |
| B. 409 | Cl-CH=CH-CH ₂ - | 2-I | H | CH ₃ | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|--------------------------------------|----------------|------------------------------------|----|
| B. 410 | CH ₃ | 2-I | H | CH ₃ -CH ₂ - | N |
| B. 411 | CH ₃ | 2-I | H | CH ₃ -CH ₂ - | CH |
| B. 412 | CH ₃ -CH ₂ | 2-I | H | CH ₃ -CH ₂ - | N |
| B. 413 | CH ₃ -CH ₂ | 2-I | H | CH ₃ -CH ₂ - | CH |
| B. 414 | CH ₃ -CH ₂ -CH ₂ | 2-I | H | CH ₃ -CH ₂ - | N |
| B. 415 | CH ₃ -CH ₂ -CH ₂ | 2-I | H | CH ₃ -CH ₂ - | CH |
| B. 416 | CH ₃ -(CH ₂) ₃ - | 2-I | H | CH ₃ -CH ₂ - | N |
| B. 417 | CH ₃ -(CH ₂) ₃ - | 2-I | H | CH ₃ -CH ₂ - | CH |
| B. 418 | CH ₃ | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 419 | CH ₃ | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 420 | CH ₃ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 421 | CH ₃ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 422 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 423 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 424 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 425 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 426 | CH ₂ =CH-CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 427 | CH ₂ =CH-CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 428 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 429 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 430 | Cl-CH=CH-CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | N |
| B. 431 | Cl-CH=CH-CH ₂ - | 2-CH ₃ -CH ₂ - | H | CH ₃ | CH |
| B. 432 | CH ₃ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | N |
| B. 433 | CH ₃ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | CH |
| B. 434 | CH ₃ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | N |
| B. 435 | CH ₃ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | CH |
| B. 436 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | N |
| B. 437 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | CH |
| B. 438 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | N |
| B. 439 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ -CH ₂ - | H | CH ₃ -CH ₂ - | CH |
| B. 440 | CH ₃ -O-CH ₂ -CH ₂ - | 3-CH ₃ | H | CH ₃ | N |
| B. 441 | CH ₃ -O-CH ₂ -CH ₂ - | 3-CH ₃ | H | CH ₃ | CH |
| B. 442 | Cl-CH=CH-CH ₂ | 3-CH ₃ | H | CH ₃ | N |
| B. 443 | Cl-CH=CH-CH ₂ | 3-CH ₃ | H | CH ₃ | CH |
| B. 444 | CH ₃ | 3-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 445 | CH ₃ | 3-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 446 | CH ₃ -CH ₂ | 3-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 447 | CH ₃ -CH ₂ | 3-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 448 | CH ₃ -CH ₂ -CH ₂ | 3-CH ₃ | H | CH ₃ -CH ₂ - | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|--------------------|----------------|------------------------------------|----|
| B. 449 | CH ₃ -CH ₂ -CH ₂ | 3-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 450 | CH ₃ -(CH ₂) ₃ - | 3-CH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 451 | CH ₃ -(CH ₂) ₃ - | 3-CH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 452 | CH ₃ -O-CH ₂ -CH ₂ - | 2-OCH ₃ | H | CH ₃ | N |
| B. 453 | CH ₃ -O-CH ₂ -CH ₂ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 454 | Cl-CH=CH-CH ₂ - | 2-OCH ₃ | H | CH ₃ | N |
| B. 455 | Cl-CH=CH-CH ₂ - | 2-OCH ₃ | H | CH ₃ | CH |
| B. 456 | CH ₃ | 2-OCH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 457 | CH ₃ | 2-OCH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 458 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 459 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 460 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 461 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 462 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | H | CH ₃ -CH ₂ - | N |
| B. 463 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | H | CH ₃ -CH ₂ - | CH |
| B. 464 | CH ₃ | 2-CN | H | CH ₃ | N |
| B. 465 | CH ₃ | 2-CN | H | CH ₃ | CH |
| B. 466 | CH ₃ -CH ₂ | 2-CN | H | CH ₃ | N |
| B. 467 | CH ₃ -CH ₂ | 2-CN | H | CH ₃ | CH |
| B. 468 | CH ₃ -CH ₂ -CH ₂ | 2-CN | H | CH ₃ | N |
| B. 469 | CH ₃ -CH ₂ -CH ₂ | 2-CN | H | CH ₃ | CH |
| B. 470 | CH ₃ -(CH ₂) ₃ - | 2-CN | H | CH ₃ | N |
| B. 471 | CH ₃ -(CH ₂) ₃ - | 2-CN | H | CH ₃ | CH |
| B. 472 | CH ₂ =CH-CH ₂ - | 2-CN | H | CH ₃ | N |
| B. 473 | CH ₂ =CH-CH ₂ - | 2-CN | H | CH ₃ | CH |
| B. 474 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CN | H | CH ₃ | N |
| B. 475 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CN | H | CH ₃ | CH |
| B. 476 | Cl-CH=CH-CH ₂ - | 2-CN | H | CH ₃ | N |
| B. 477 | Cl-CH=CH-CH ₂ - | 2-CN | H | CH ₃ | CH |
| B. 478 | CH ₃ | 2-CN | H | CH ₃ -CH ₂ - | N |
| B. 479 | CH ₃ | 2-CN | H | CH ₃ -CH ₂ - | CH |
| B. 480 | CH ₃ -CH ₂ | 2-CN | H | CH ₃ -CH ₂ - | N |
| B. 481 | CH ₃ -CH ₂ | 2-CN | H | CH ₃ -CH ₂ - | CH |
| B. 482 | CH ₃ -CH ₂ -CH ₂ | 2-CN | H | CH ₃ -CH ₂ - | N |
| B. 483 | CH ₃ -CH ₂ -CH ₂ | 2-CN | H | CH ₃ -CH ₂ - | CH |
| B. 484 | CH ₃ -(CH ₂) ₃ - | 2-CN | H | CH ₃ -CH ₂ - | N |
| B. 485 | CH ₃ -(CH ₂) ₃ - | 2-CN | H | CH ₃ -CH ₂ - | CH |
| B. 486 | CH ₃ | 2-NO ₂ | H | CH ₃ | N |
| B. 487 | CH ₃ | 2-NO ₂ | H | CH ₃ | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|-------------------|-------------------|------------------------------------|----|
| B. 488 | CH ₃ -CH ₂ | 2-NO ₂ | H | CH ₃ | N |
| B. 489 | CH ₃ -CH ₂ | 2-NO ₂ | H | CH ₃ | CH |
| B. 490 | CH ₃ -CH ₂ -CH ₂ | 2-NO ₂ | H | CH ₃ | N |
| B. 491 | CH ₃ -CH ₂ -CH ₂ | 2-NO ₂ | H | CH ₃ | CH |
| B. 492 | CH ₃ -(CH ₂) ₃ - | 2-NO ₂ | H | CH ₃ | N |
| B. 493 | CH ₃ -(CH ₂) ₃ - | 2-NO ₂ | H | CH ₃ | CH |
| B. 494 | CH ₂ =CH-CH ₂ - | 2-NO ₂ | H | CH ₃ | N |
| B. 495 | CH ₂ =CH-CH ₂ - | 2-NO ₂ | H | CH ₃ | CH |
| B. 496 | CH ₃ -O-CH ₂ -CH ₂ - | 2-NO ₂ | H | CH ₃ | N |
| B. 497 | CH ₃ -O-CH ₂ -CH ₂ - | 2-NO ₂ | H | CH ₃ | CH |
| B. 498 | Cl-CH=CH-CH ₂ - | 2-NO ₂ | H | CH ₃ | N |
| B. 499 | Cl-CH=CH-CH ₂ - | 2-NO ₂ | H | CH ₃ | CH |
| B. 500 | CH ₃ | 2-NO ₂ | H | CH ₃ -CH ₂ - | N |
| B. 501 | CH ₃ | 2-NO ₂ | H | CH ₃ -CH ₂ - | CH |
| B. 502 | CH ₃ -CH ₂ | 2-NO ₂ | H | CH ₃ -CH ₂ - | N |
| B. 503 | CH ₃ -CH ₂ | 2-NO ₂ | H | CH ₃ -CH ₂ - | CH |
| B. 504 | CH ₃ -CH ₂ -CH ₂ | 2-NO ₂ | H | CH ₃ -CH ₂ - | N |
| B. 505 | CH ₃ -CH ₂ -CH ₂ | 2-NO ₂ | H | CH ₃ -CH ₂ - | CH |
| B. 506 | CH ₃ -(CH ₂) ₃ - | 2-NO ₂ | H | CH ₃ -CH ₂ - | N |
| B. 507 | CH ₃ -(CH ₂) ₃ - | 2-NO ₂ | H | CH ₃ -CH ₂ - | CH |
| B. 508 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-Cl | CH ₃ | N |
| B. 509 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 510 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-Cl | CH ₃ | N |
| B. 511 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 512 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-Cl | CH ₃ | N |
| B. 513 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 514 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Cl | 5-Cl | CH ₃ | N |
| B. 515 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 516 | Cl-CH=CH-CH ₂ - | 2-Cl | 5-Cl | CH ₃ | N |
| B. 517 | Cl-CH=CH-CH ₂ - | 2-Cl | 5-Cl | CH ₃ | CH |
| B. 518 | CH ₃ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 519 | CH ₃ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 520 | CH ₃ -CH ₂ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 521 | CH ₃ -CH ₂ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 522 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 523 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 524 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 525 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 526 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ | N |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|-------------------|-------------------|------------------------------------|----|
| B. 527 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 528 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 529 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 530 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 531 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 532 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 533 | CH ₃ -O-CH ₂ -CH ₂ - | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 534 | Cl-CH=CH-CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ | N |
| B. 535 | Cl-CH=CH-CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ | CH |
| B. 536 | CH ₃ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 537 | CH ₃ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 538 | CH ₃ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 539 | CH ₃ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 540 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 541 | CH ₃ -CH ₂ -CH ₂ | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 542 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 543 | CH ₃ -(CH ₂) ₃ - | 2-Cl | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 544 | CH ₃ | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 545 | CH ₃ | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 546 | CH ₃ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 547 | CH ₃ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 548 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 549 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 550 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 551 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 552 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 553 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 554 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 555 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 556 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | N |
| B. 557 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-Cl | CH ₃ | CH |
| B. 558 | CH ₃ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 559 | CH ₃ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 560 | CH ₃ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 561 | CH ₃ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 562 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 563 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | CH |
| B. 564 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | N |
| B. 565 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-Cl | CH ₃ -CH ₂ - | CH |

| Verb.- Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|---------------|---|--------------------|-------------------|------------------------------------|----|
| B. 566 | CH ₃ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 567 | CH ₃ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 568 | CH ₃ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 569 | CH ₃ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 570 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 571 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 572 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 573 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 574 | CH ₂ =CH-CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 575 | CH ₂ =CH-CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 576 | CH ₃ -O-CH ₂ -CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 577 | CH ₃ -O-CH ₂ -CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 578 | Cl-CH=CH-CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | N |
| B. 579 | Cl-CH=CH-CH ₂ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ | CH |
| B. 580 | CH ₃ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 581 | CH ₃ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 582 | CH ₃ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 583 | CH ₃ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 584 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 585 | CH ₃ -CH ₂ -CH ₂ | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 586 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 587 | CH ₃ -(CH ₂) ₃ - | 2-OCH ₃ | 5-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 588 | CH ₃ | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 589 | CH ₃ | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 590 | CH ₃ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 591 | CH ₃ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 592 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 593 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 594 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 595 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 596 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 597 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 598 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 599 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 600 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | N |
| B. 601 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 6-CH ₃ | CH ₃ | CH |
| B. 602 | CH ₃ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 603 | CH ₃ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 604 | CH ₃ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | N |

| Verb.-Nr. | R ¹ | R ² | R ³ | R ⁴ | X |
|-----------|---|-------------------|-------------------------------------|------------------------------------|----|
| B. 605 | CH ₃ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 606 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 607 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 608 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | N |
| B. 609 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 6-CH ₃ | CH ₃ -CH ₂ - | CH |
| B. 610 | CH ₃ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 611 | CH ₃ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 612 | CH ₃ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 613 | CH ₃ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 614 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 615 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 616 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 617 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 618 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 619 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 620 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 621 | CH ₃ -O-CH ₂ -CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 622 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | N |
| B. 623 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ | CH |
| B. 624 | CH ₃ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | N |
| B. 625 | CH ₃ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | CH |
| B. 626 | CH ₃ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | N |
| B. 627 | CH ₃ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | CH |
| B. 628 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | N |
| B. 629 | CH ₃ -CH ₂ -CH ₂ | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | CH |
| B. 630 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | N |
| B. 631 | CH ₃ -(CH ₂) ₃ - | 2-CH ₃ | 5-CH(CH ₃) ₂ | CH ₃ -CH ₂ - | CH |

Tabelle D

| Verb.-Nr. | R ¹ | R ² | R ³ | X |
|-----------|-------------------|--------------------|----------------|----|
| D. 001 | CH ₃ - | H | H | CH |
| D. 002 | CH ₃ - | H | H | N |
| D. 003 | CH ₃ - | 2-Cl | H | CH |
| D. 004 | CH ₃ - | 2-Cl | H | N |
| D. 005 | CH ₃ - | 2-CH ₃ | H | CH |
| D. 006 | CH ₃ - | 2-CH ₃ | H | N |
| D. 007 | CH ₃ - | 2-OCH ₃ | H | CH |

| Verb.-Nr. | R ¹ | R ² | R ³ | X |
|-----------|--|--------------------|----------------|----|
| D.008 | CH ₃ - | 2-OCH ₃ | H | N |
| D.009 | CH ₃ - | 3-Cl | H | CH |
| D.010 | CH ₃ - | 3-Cl | H | N |
| D.011 | CH ₃ - | 3-CH ₃ | H | CH |
| D.012 | CH ₃ - | 3-CH ₃ | H | N |
| D.013 | CH ₃ - | 3-OCH ₃ | H | CH |
| D.014 | CH ₃ - | 3-OCH ₃ | H | N |
| D.015 | CH ₃ | 2-Cl | 6-Cl | CH |
| D.016 | CH ₃ | 2-Cl | 6-Cl | N |
| D.017 | CH ₃ -CH ₂ - | H | H | CH |
| D.018 | CH ₃ -CH ₂ - | H | H | N |
| D.019 | CH ₃ -CH ₂ - | 2-Cl | H | CH |
| D.020 | CH ₃ -CH ₂ - | 2-Cl | H | N |
| D.021 | CH ₃ -CH ₂ - | 2-CH ₃ | H | CH |
| D.022 | CH ₃ -CH ₂ - | 2-CH ₃ | H | N |
| D.023 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | CH |
| D.024 | CH ₃ -CH ₂ - | 2-OCH ₃ | H | N |
| D.025 | CH ₃ -CH ₂ - | 3-Cl | H | CH |
| D.026 | CH ₃ -CH ₂ - | 3-Cl | H | N |
| D.027 | CH ₃ -CH ₂ - | 3-CH ₃ | H | CH |
| D.028 | CH ₃ -CH ₂ - | 3-CH ₃ | H | N |
| D.029 | CH ₃ -CH ₂ - | 3-OCH ₃ | H | CH |
| D.030 | CH ₃ -CH ₂ - | 3-OCH ₃ | H | N |
| D.031 | CH ₃ -CH ₂ - | 2-Cl | H | CH |
| D.032 | CH ₃ -CH ₂ - | 2-Cl | 6-Cl | N |
| D.033 | CH ₃ -CH ₂ -CH ₂ - | H | 6-Cl | CH |
| D.034 | CH ₃ -CH ₂ -CH ₂ - | H | H | N |
| D.035 | CH ₂ =CH-CH ₂ - | H | H | CH |
| D.036 | CH ₂ =CH-CH ₂ - | H | H | N |
| D.037 | CH ₃ -CH(CH ₃)- | H | H | CH |
| D.038 | CH ₃ -CH(CH ₃)- | H | H | N |
| D.039 | HC≡C-CH ₂ - | H | H | CH |
| D.040 | HC≡C-CH ₂ - | H | H | N |
| D.041 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | CH |
| D.042 | cyclo-C ₃ H ₅ -CH ₂ - | H | H | N |
| D.043 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | CH |
| D.044 | CH ₃ -CH ₂ -CH ₂ -CH ₂ - | H | H | N |
| D.045 | CH ₃ -CH=CH-CH ₂ - | H | H | CH |
| D.046 | CH ₃ -CH=CH-CH ₂ - | H | H | N |

| Verb.-Nr. | R ¹ | R ² | R ³ | X |
|-----------|--|----------------------------------|----------------|----|
| D. 047 | CH ₃ -(CH ₂) ₅ - | H | H | CH |
| D. 048 | CH ₃ -(CH ₂) ₅ - | H | H | N |
| D. 049 | cyclo-C ₆ H ₁₁ - | H | H | CH |
| D. 050 | cyclo-C ₆ H ₁₁ - | H | H | N |
| D. 051 | C ₆ H ₅ -CH ₂ - | H | H | CH |
| D. 052 | C ₆ H ₅ -CH ₂ - | H | H | N |
| D. 053 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | CH |
| D. 054 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | N |
| D. 055 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | CH |
| D. 056 | 3-CF ₃ -C ₆ H ₄ -CH ₂ - | H | H | N |
| D. 057 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | CH |
| D. 058 | 4-Cl-C ₆ H ₄ -CH ₂ - | H | H | N |
| D. 059 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | CH |
| D. 060 | C ₆ H ₅ -CH ₂ -CH ₂ -CH ₂ - | H | H | N |
| D. 061 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | CH |
| D. 062 | C ₆ H ₅ -(CH ₂) ₄ - | H | H | N |
| D. 063 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | CH |
| D. 064 | C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | N |
| D. 065 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ - | H | H | CH |
| D. 066 | 4-F-C ₆ H ₄ -CH=CH-CH ₂ -CH ₂ - | H | H | N |
| D. 067 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | CH |
| D. 068 | t-C ₄ H ₉ O-CO-CH ₂ - | H | H | N |
| D. 069 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | CH |
| D. 070 | t-C ₄ H ₉ O-CO-(CH ₂) ₃ - | H | H | N |
| D. 071 | Cl-CH=CH-CH ₂ - | H | H | CH |
| D. 072 | Cl-CH=CH-CH ₂ - | H | H | N |
| D. 073 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | CH |
| D. 074 | C ₂ H ₅ | 6-OC ₂ H ₅ | H | N |
| D. 075 | CH ₃ -C(CH ₃) ₂ - | H | H | CH |
| D. 076 | CH ₃ -C(CH ₃) ₂ - | H | H | N |
| D. 077 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | CH |
| D. 078 | CH ₃ -CH(CH ₃)-CH ₂ - | H | H | N |
| D. 079 | CH ₂ =C(CH ₃)-CH ₂ - | H | H | CH |
| D. 080 | CH ₂ =C(CH ₃)-CH ₂ - | H | H | N |
| D. 081 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ - | H | H | CH |
| D. 082 | CH ₃ -CH(CH ₃)-CH ₂ -CH ₂ - | H | H | N |
| D. 083 | CH ₃ -(CH ₂) ₄ - | H | H | CH |
| D. 084 | CH ₃ -(CH ₂) ₄ - | H | H | N |
| D. 085 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | CH |

| Verb.-Nr. | R ¹ | R ² | R ³ | X |
|-----------|--|----------------|----------------|----|
| D. 086 | 2-F-C ₆ H ₄ -CH ₂ - | H | H | N |
| D. 087 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | CH |
| D. 088 | 3-F-C ₆ H ₄ -CH ₂ - | H | H | N |
| D. 089 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | CH |
| D. 090 | 2-Cl-C ₆ H ₄ -CH ₂ - | H | H | N |
| D. 091 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | CH |
| D. 092 | 3,4-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | N |
| D. 093 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | CH |
| D. 094 | 2,6-Cl ₂ -C ₆ H ₃ -CH ₂ - | H | H | N |
| D. 095 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | CH |
| D. 096 | C ₆ H ₅ -CH ₂ -CH ₂ - | H | H | N |
| D. 097 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ - | H | H | CH |
| D. 098 | C ₆ H ₅ -CH=CH-CH ₂ -CH ₂ - | H | H | N |
| D. 099 | 4-Cl-C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | CH |
| D. 100 | 4-Cl-C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | N |
| D. 101 | 4-CF ₃ -C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | CH |
| D. 102 | 4-CF ₃ -C ₆ H ₅ -CH ₂ -CH=CH-CH ₂ - | H | H | N |

Tabelle E

| Verb.-Nr. | R ¹ | R ² | R ³ |
|-----------|---|-------------------|-------------------|
| E. 001 | CH ₃ | 2-CH ₃ | 5-CH ₃ |
| E. 002 | CH ₃ CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 003 | CH ₃ CH ₂ CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 004 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 005 | HC≡C-CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 006 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 007 | CH ₃ -CH=CH-CH ₂ | 2-CH ₃ | 5-CH ₃ |
| E. 008 | C ₂ H ₅ -CH ₂ -CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 009 | CH ₃ | 2-CH ₃ | 2-Cl |
| E. 010 | CH ₃ CH ₂ - | 2-CH ₃ | 2-Cl |
| E. 011 | CH ₃ CH ₂ CH ₂ - | 2-CH ₃ | 2-Cl |
| E. 012 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-CH ₃ | 2-Cl |
| E. 013 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 2-Cl |
| E. 014 | C ₂ H ₅ -CH ₂ -CH ₂ - | 2-CH ₃ | 2-Cl |
| E. 015 | CH ₃ | 2-CH ₃ | 5-i-Proyl |
| E. 016 | CH ₃ CH ₂ - | 2-CH ₃ | 5-i-Proyl |
| E. 017 | CH ₃ CH ₂ CH ₂ - | 2-CH ₃ | 5-i-Proyl |
| E. 018 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-CH ₃ | 5-i-Proyl |
| E. 019 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | 5-i-Proyl |

| Verb.-Nr. | R ¹ | R ² | R ³ |
|-----------|---|-------------------|-------------------|
| E. 020 | C ₂ H ₅ -CH ₂ -CH ₂ - | 2-CH ₃ | 5-i-Proyl |
| E. 021 | CH ₃ | 2-Cl | 5-Cl |
| E. 022 | CH ₃ CH ₂ - | 2-Cl | 5-Cl |
| E. 023 | CH ₃ CH ₂ CH ₂ - | 2-Cl | 5-Cl |
| E. 024 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-Cl | 5-Cl |
| E. 025 | HC≡C-CH ₂ - | 2-Cl | 5-Cl |
| E. 026 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-Cl |
| E. 027 | C ₂ H ₅ -CH ₂ -CH ₂ - | 2-Cl | 5-Cl |
| E. 028 | CH ₃ | 2-F | H |
| E. 029 | CH ₃ CH ₂ - | 2-F | H |
| E. 030 | CH ₃ CH ₂ CH ₂ - | 2-F | H |
| E. 031 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-F | H |
| E. 032 | HC≡C-CH ₂ - | 2-F | H |
| E. 033 | CH ₂ =CH-CH ₂ - | 2-F | H |
| E. 034 | CH ₃ | 2-Cl | 5-CH ₃ |
| E. 035 | CH ₃ CH ₂ - | 2-Cl | 5-CH ₃ |
| E. 036 | CH ₃ CH ₂ CH ₂ - | 2-Cl | 5-CH ₃ |
| E. 037 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-Cl | 5-CH ₃ |
| E. 038 | HC≡C-CH ₂ - | 2-Cl | 5-CH ₃ |
| E. 039 | CH ₂ =CH-CH ₂ - | 2-Cl | 5-CH ₃ |
| E. 040 | CH ₃ | 2-CN | H |
| E. 041 | CH ₃ CH ₂ - | 2-CN | H |
| E. 042 | CH ₃ (CH ₂) ₄ - | 2-CH ₃ | 5-CH ₃ |
| E. 043 | CH ₃ (CH ₂) ₅ - | 2-CH ₃ | 5-CH ₃ |
| E. 044 | C ₆ H ₅ -CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 045 | t-C ₄ H ₉ O-CO-CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 046 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 047 | CH ₃ O-CH ₂ -CH ₂ - | 2-CH ₃ | 5-CH ₃ |
| E. 048 | CH ₃ CH ₂ CH ₂ - | 2-CH ₃ | H |
| E. 049 | CH ₃ CH ₂ CH ₂ CH ₂ - | 2-CH ₃ | H |
| E. 050 | CH ₃ (CH ₂) ₄ - | 2-CH ₃ | H |
| E. 051 | CH ₃ (CH ₂) ₅ - | 2-CH ₃ | H |
| E. 052 | CH ₃ (CH ₂) ₆ - | 2-CH ₃ | H |
| E. 053 | HC≡C-CH ₂ - | 2-CH ₃ | H |
| E. 054 | CH ₂ =CH-CH ₂ - | 2-CH ₃ | H |
| E. 055 | CH ₃ -CH=CH-CH ₂ - | 2-CH ₃ | H |
| E. 056 | C ₂ H ₅ -CH ₂ -CH ₂ - | 2-CH ₃ | H |
| E. 057 | CH ₃ O-CH ₂ -CH ₂ - | 2-CH ₃ | H |
| E. 058 | C ₆ H ₅ -CH ₂ - | 2-CH ₃ | H |

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| Verb.-Nr. | R ¹ | R ² | R ³ |
|-----------|--|-------------------|-------------------|
| E.059 | Cl-CH=CH-CH ₂ - | 2-CH ₃ | H |
| E.060 | t-C ₄ H ₉ O-CH-CH ₂ - | 2-CH ₃ | H |
| E.061 | Cyclo-C ₆ H ₁₁ - | 2-CH ₃ | H |
| E.062 | (CH ₃) ₂ -CH- | 2-CH ₃ | H |
| E.063 | t-Butyl- | 2-CH ₃ | H |
| E.064 | (CH ₃) ₂ -CH-CH ₂ - | 2-CH ₃ | H |
| E.065 | (CH ₃) ₂ -CH- | 2-CH ₃ | 5-CH ₃ |
| E.066 | t-Butyl- | 2-CH ₃ | 5-CH ₃ |
| E.067 | (CH ₃) ₂ -CH-CH ₂ - | 2-CH ₃ | 5-CH ₃ |

Die Verbindungen der Formel IA sind geeignet, Schädlinge aus der Klasse der Insekten, Spinnentiere und Nematoden wirksam zu bekämpfen. Sie können im Pflanzenschutz sowie auf dem Hygiene-, Vorrats-

Zu den schädlichen Insekten gehören aus der Ordnung der Schmetterlinge (Lepidoptera) beispielsweise *Agrotis ypsilon*, *Agrotis segetum*, *Alabama argillacea*, *Anticarsia gemmatilis*, *Argyresthia conjugella*, *Autographa gamma*, *Bupalus piniarius*, *Cacoecia murinana*, *Capua reticulana*, *Cheimatobia brumata*, *Choristoneura fumiferana*, *Choristoneura occidentalis*, *Cirphis unipuncta*, *Cydia pomonella*, *Dendrolimus pini*, *Diaphania nitidalis*, *Diatraea grandiosella*, *Earias insulana*, *Elasmopalpus lignosellus*, *Eupoecilia ambiguella*, *Evetria bouliana*, *Feltia subterranea*, *Galleria mellonella*, *Grapholita funebrana*, *Grapholita molesta*, *Heliothis armigera*, *Heliothis virescens*, *Heliothis zea*, *Hellula undalis*, *Hibernia defoliaria*, *Hyphantria cunea*, *Hyponomeuta malinellus*, *Keifferia lycopersicella*, *Lambdina fiscellaria*, *Laphygma exigua*, *Leucoptera coffeella*, *Leucoptera scitella*, *Lithocolletis blancardella*, *Lobesia botrana*, *Loxostege sticticalis*, *Lymantria dispar*, *Lymantria monacha*, *Lyonetia clerkella*, *Malacosoma neustria*, *Mamestra brassicae*, *Orgyia pseudotsugata*, *Ostrinia nubilalis*, *Panolis flammea*, *Pectinophora gossypiella*, *Peridroma saucia*, *Phalera bucephala*, *Phthorimaea operculella*, *Phyllocnistis citrella*, *Pieris brassicae*, *Plathypena scabra*, *Plutella xylostella*, *Pseudoplusia includens*, *Phyacionia frustrana*, *Scrobipalpula absoluta*, *Sitotroga cerealella*, *Sparganothis pillariana*, *Spodoptera frugiperda*, *Spodoptera littoralis*, *Spodoptera litura*, *Thaumtopoea pityocampa*, *Tortrix viridana*, *Trichoplusia ni*, *Zeiraphera canadensis*.

Aus der Ordnung der Käfer (Coleoptera) beispielsweise *Agrilus sinuatus*, *Agriotes lineatus*, *Agriotes obscurus*, *Amphimallus solstitialis*, *Anisandrus dispar*, *Anthonomus grandis*, *Anthonomus pomorum*, *Atomaria linearis*, *Blastophagus piniperda*, *Blitophaga undata*, *Bruchus rufimanus*, *Bruchus pisorum*, *Bruchus lentis*, *Byctiscus betulae*, *Cassida nebulosa*, *Cerotoma trifurcata*, *Ceuthorrhynchus assimilis*, *Ceuthorrhynchus napi*, *Chaetocnema tibialis*, *Conoderus vespertinus*, *Crioceris asparagi*, *Diabrotica longicornis*, *Diabrotica 12-punctata*, *Diabrotica virgifera*, *Epilachna varivestis*, *Epitrix hirtipennis*, *Eutinobothrus brasiliensis*, *Hylobius abietis*, *Hypera brunneipennis*, *Hypera postica*, *Ips typographus*, *Lema bilineata*, *Lema melanopus*, *Leptinotarsa decemlineata*, *Limonius californicus*, *Lissorhoptrus oryzophilus*, *Melanotus communis*, *Meligethes aeneus*, *Melolontha hippocastani*, *Melolontha melolontha*, *Oulema oryzae*, *Otiorrhynchus sulcatus*, *Otiorrhynchus ovatus*, *Phaedon cochleariae*, *Phyllotreta chrysocephala*, *Phyllophaga* sp., *Phyllopertha horticola*, *Phyllotreta nemorum*, *Phyllotreta striolata*, *Popillia japonica*, *Sitona lineatus*, *Sitophilus granaria*.

Aus der Ordnung der Zweiflügler (Diptera) beispielsweise *Aedes aegypti*, *Aedes vexans*, *Anastrepha ludens*, *Anopheles maculipennis*, *Ceratitis capitata*, *Chrysomya bezziana*, *Chrysomya hominivorax*, *Chrysomya macellaria*, *Contarinia sorghicola*, *Cordylobia anthropophaga*, *Culex pipiens*, *Dacus cucurbitae*, *Dacus oleae*, *Dasineura brassicae*, *Fannia canicularis*, *Gasterophilus intestinalis*, *Glossina morsitans*, *Haematobia irritans*, *Haplodiplosis equestris*, *Hylemyia platyura*, *Hypoderma lineata*, *Liriomyza sativae*, *Liriomyza trifolii*, *Lucilia caprina*, *Lucilia cuprina*, *Lucilia sericata*, *Lycoria pectoralis*, *Mayetiola destructor*, *Musca domestica*, *Muscina stabulans*, *Oestrus ovis*, *Oscinella frit*, *Pegomya hyoscyami*, *Phorbia antiqua*, *Phorbia brassicae*, *Phorbia coarctata*, *Rhagoletis cerasi*, *Rhagoletis pomonella*, *Tabanus bovinus*, *Tipula oleracea*, *Tipula paludosa*.

Aus der Ordnung der Thripse (Thysanoptera) beispielsweise *Frankliniella fusca*, *Frankliniella occidentalis*, *Frankliniella tritici*, *Scirtothrips citri*, *Thrips oryzae*, *Thrips palmi*, *Thrips tabaci*.

Aus der Ordnung der Hautflügler (Hymenoptera) beispielsweise *Athalia rosae*, *Atta cephalotes*, *Atta sexdens*, *Atta texana*, *Hoplocampa minuta*, *Hoplocampa testudinea*, *Monomorium pharaonis*, *Solenopsis geminata*, *Solenopsis invicta*.

Aus der Ordnung der Wanzen (Heteroptera) beispielsweise *Acrosternum hilare*, *Blissus leucopterus*,
 5 *Cyrtopeltis notatus*, *Dysdercus cingulatus*, *Dysdercus intermedius*, *Eurygaster integriceps*, *Euschistus impictiventris*, *Leptoglossus phyllopus*, *Lygus lineolaris*, *Lygus pratensis*, *Nezara viridula*, *Piesma quadrata*, *Solubea insularis*, *Thyanta perditor*.

Aus der Ordnung der Pflanzensauger (Homoptera) beispielsweise *Acyrtosiphon onobrychis*, *Adelges laricis*, *Aphidula nasturtii*, *Aphis fabae*, *Aphis pomi*, *Aphis sambuci*, *Bemisia tabaci*, *Brachycaudus cardui*,
 10 *Brevicoryne brassicae*, *Cerosipha gossypii*, *Dreyfusia nordmannianae*, *Dreyfusia piceae*, *Dysaphis radicola*, *Dysaulacorthum pseudosolani*, *Empoasca fabae*, *Macrosiphum avenae*, *Macrosiphum euphorbiae*, *Macrosiphon rosae*, *Megoura viciae*, *Metopolophium dirhodum*, *Myzodes persicae*, *Myzus cerasi*, *Nephotettix cincticeps*, *Nilaparvata lugens*, *Pemphigus bursarius*, *Perkinsiella saccharicida*, *Phorodon humuli*, *Psylla mali*, *Psylla piri*, *Rhopalomyzus ascalonicus*, *Rhopalosiphum maidis*, *Sappahis mali*, *Schizaphis graminum*,
 15 *Schizoneura lanuginosa*, *Trialeurodes vaporariorum*, *Viteus vitifolii*.

Aus der Ordnung der Termiten (Isoptera) beispielsweise *Calotermes flavicollis*, *Leucotermes flavipes*, *Reticulitermes lucifugus*, *Termes natalensis*.

Aus der Ordnung der Geradflügler (Orthoptera) beispielsweise *Acheta domestica*, *Blatta orientalis*, *Blattella germanica*, *Forficula auricularia*, *Gryllotalpa gryllotalpa*, *Locusta migratoria*, *Melanoplus bivittatus*,
 20 *Melanoplus femur-rubrum*, *Melanoplus mexicanus*, *Melanoplus sanguinipes*, *Melanoplus spretus*, *Nomadacris septemfasciata*, *Periplaneta americana*, *Schistocerca americana*, *Schistocerca peregrina*, *Stauronotus maroccanus*, *Tachycines asynamorus*.

Aus der Klasse der Arachnoidea beispielsweise Spinnentiere (Acarina) wie *Amblyomma americanum*, *Amblyomma variegatum*, *Argas persicus*, *Boophilus annulatus*, *Boophilus decoloratus*, *Boophilus microplus*,
 25 *Brevipalpus phoenicis*, *Bryobia praetiosa*, *Dermacentor silvarum*, *Eotetranychus carpini*, *Eriophyes sheldoni*, *Hyalomma truncatum*, *Ixodes ricinus*, *Ixodes rubicundus*, *Metatetranychus (Phanonychus) ulmi*, *Ornithodoros moubata*, *Otobius megnini*, *Paratetranychus pilosus*, *Dermanyssus gallinae*, *Phyllocoptruta oleivora*, *Polypogon tarsonemus*, *Psoroptes ovis*, *Rhipicephalus appendiculatus*, *Rhipicephalus evertsi*, *Sarcoptes scabiei*, *Tetranychus cinnabarinus*, *Tetranychus kanzawai*, *Tetranychus pacificus*, *Tetranychus telarius*,
 30 *Tetranychus urticae*.

Aus der Klasse der Nematoden beispielsweise Wurzelgallennematoden, z.B. *Meloidogyne hapla*, *Meloidogyne incognita*, *Meloidogyne javanica*, Zysten bildende Nematoden, z.B. *Globodera rostochiensis*, *Heterodera avenae*, *Heterodera glycinae*, *Heterodera schachtii*, *Heterodera trifolii*, Stock- und Blattälchen, z.B. *Belonolaimus longicaudatus*, *Ditylenchus destructor*, *Ditylenchus dipsaci*, *Heliocotylenchus multicinctus*,
 35 *Longidorus elongatus*, *Radopholus similis*, *Rotylenchus robustus*, *Trichodorus primitivus*, *Tylenchorhynchus claytoni*, *Tylenchorhynchus dubius*, *Pratylenchus neglectus*, *Pratylenchus penetrans*, *Pratylenchus curvatus*, *Pratylenchus goodeyi*.

Die Wirkstoffe können als solche, in Form ihrer Formulierungen oder den daraus bereiteten Anwendungsformen, z.B. in Form von direkt versprühbaren Lösungen, Pulvern, Suspensionen oder Dispersionen,
 40 Emulsionen, Öldispersionen, Pasten, Stäubemitteln, Streumitteln, Granulaten durch Versprühen, Vernebeln, Verstäuben, Verstreuen oder Gießen angewendet werden. Die Anwendungsformen richten sich ganz nach den Verwendungszwecken; sie sollten in jedem Fall möglichst die feinste Verteilung der erfindungsgemäßen Wirkstoffe gewährleisten.

Die Wirkstoffkonzentrationen in den anwendungsfertigen Zubereitungen können in größeren Bereichen variiert werden.
 45

Im allgemeinen liegen sie zwischen 0,0001 und 10 %, vorzugsweise zwischen 0,01 und 1 %.

Die Wirkstoffe können auch mit gutem Erfolg im Ultra-Low-Volume-Verfahren (ULV) verwendet werden, wobei es möglich ist, Formulierungen mit mehr als 95 Gew.% Wirkstoff oder sogar den Wirkstoff ohne Zusätze auszubringen.

Die Aufwandmenge an Wirkstoff zur Bekämpfung von Schädlingen beträgt unter Freilandbedingungen 0,1 bis 2,0, vorzugsweise 0,2 bis 1,0 kg/ha.
 50

Zur Herstellung von direkt versprühbaren Lösungen, Emulsionen, Pasten oder Öldispersionen kommen Mineralölfractionen von mittlerem bis hohem Siedepunkt, wie Kerosin oder Dieselöl, fernen Kohlenteeröle sowie Öle pflanzlichen oder tierischen Ursprungs, aliphatische, cyclische und aromatische Kohlenwasserstoffe, z.B. Benzol, Toluol, Xylol, Paraffin, Tetrahydronaphthalin, alkylierte Naphthaline oder deren Derivate,
 55 Methanol, Ethanol, Propanol, Butanol, Chloroform, Tetrachlorkohlenstoff, Cyclohexanol, Cyclohexanon, Chlorbenzol, Isophoron, stark polare Lösungsmittel, z.B. Dimethylformamid, Dimethylsulfoxid, N-Methylpyrrolidon, Wasser in Betracht.

Wäßrige Anwendungsformen können aus Emulsionskonzentraten, Pasten der netzbaren Pulvern (Spitzpulver, Öldispersionen) durch Zusatz von Wasser bereitet werden. Zur Herstellung von Emulsionen, Pasten oder Öldispersionen können die Substanzen als solche oder in einem Öl oder Lösungsmittel gelöst, mittels Netz-, Haft-, Dispergier- oder Emulgiermittel in Wasser homogenisiert werden. Es können aber auch aus wirksamer Substanz Netz-, Haft-, Dispergier- oder Emulgiermittel und eventuell Lösungsmittel oder Öl bestehende Konzentrate hergestellt werden, die zur Verdünnung mit Wasser geeignet sind.

Als oberflächenaktive Stoffe kommen Alkali-, Erdalkali-, Ammoniumsalze von Ligninsulfonsäure, Naphthalinsulfonsäure, Phenolsulfonsäure, Dibutyl-naphthalinsulfonsäure, Alkylarylsulfonate, Alkylsulfate, Alkylsulfonate, Fettalkoholsulfate und Fettsäuren sowie deren Alkali- und Erdalkalisalze, Salze von sulfatiertem Fettalkoholglykoether, Kondensationsprodukte von sulfoniertem Naphthalin und Naphthalinderivaten mit Formaldehyd, Kondensationsprodukte des Naphthalins bzw. der Naphthalinsulfonsäure mit Phenol und Formaldehyd, Polyoxyethylenoctylphenolether, ethoxyliertes Isooctylphenol, Octylphenol, Nonylphenol, Alkylphenolpolyglykoether, Tributylphenylpolyglykoether, Alkylarylpolyetheralkohole, Isotridecylalkohol, Fettalkoholethylenoxid-Kondensate, ethoxyliertes Rizinusöl, Polyoxyethylenalkylether, ethoxyliertes Polyoxypolyen, Laurylalkoholpolyglykoetheracetal, Sorbitester, Ligninsulfitaugen und Methylcellulose in Betracht.

Pulver-, Streu- und Stäubemittel können durch Mischen oder gemeinsames Vermahlen der wirksamen Substanzen mit einem festen Trägerstoff hergestellt werden.

Die Formulierungen enthalten im allgemeinen zwischen 0,01 und 95 Gew.%, vorzugsweise zwischen 0,1 und 90 Gew.% des Wirkstoffs. Die Wirkstoffe werden dabei in einer Reinheit von 90 % bis 100 %, vorzugsweise 95 % bis 100 % (nach NMR-Spektrum) eingesetzt.

Beispiele für Formulierungen sind:

Granulate, z. B. Umhüllungs-, Imprägnierungs- und Homogengranulate; sie können durch Bindung der Wirkstoffe an feste Trägerstoffe hergestellt werden. Feste Trägerstoffe sind z.B. Mineralerden, wie Silicagel, Kieselsäuren, Kieselgele, Silikate, Talkum, Kaolin, Attaclay, Kalkstein, Kalk, Kreide, Bolus, Löß, Ton, Dolomit, Diatomeenerde, Calcium- und Magnesiumsulfat, Magnesiumoxid, gemahlene Kunststoffe, Düngemittel, wie z.B. Ammoniumsulfat, Ammoniumphosphat, Ammoniumnitrat, Harnstoffe und pflanzliche Produkte, wie Getreidemehl, Baumrinden-, Holz- und Nußschalenmehl, Cellulosepulver und andere feste Trägerstoffe.

Zu den Wirkstoffen können Öle verschiedenen Typs, Herbizide, Fungizide, andere Schädlingsbekämpfungsmittel, Bakterizide, gegebenenfalls auch erst unmittelbar vor der Anwendung (Tankmix), zugesetzt werden. Diese Mittel können zu den erfindungsgemäßen Mitteln im Gewichtsverhältnis 1 : 10 bis 10 : 1 zugemischt werden.

Die erfindungsgemäßen Mittel können in diesen Anwendungsformen auch zusammen mit anderen Wirkstoffen vorliegen, wie z.B. Herbiziden, Insektiziden, Wachstumsregulatoren und Fungiziden, oder auch mit Düngemitteln vermischt und ausgebracht werden. Beim Vermischen mit Fungiziden erhält man dabei in vielen Fällen eine Vergrößerung des fungiziden Wirkungsspektrums.

Ahwendungsbeispiele für die Wirkung gegen Schädlinge

Die Wirkung der Verbindungen der allgemeinen Formel IA gegen Schädlinge aus der Klasse der Insekten, Spinntiere und Nematoden ließ sich durch folgende Versuche zeigen:

Die Wirkstoffe wurden

a) als 0,1 %ige Lösung in Aceton oder

b) als 10 %ige Emulsion in einem Gemisch aus 70 Gew.-% Cyclohexanol, 20 Gew.-% Nekanil® LN (Lutensol® AP6, Netzmittel mit Emulgier- und Dispergierwirkung auf der Basis ethoxylierter Alkylphenole) und 10 Gew.-% Emulphor® EL (Emulan® EL, Emulgator auf der Basis ethoxylierter Fettalkohole)

aufbereitet und entsprechend der gewünschten Konzentration mit Aceton im Fall von a) bzw. mit Wasser im Fall von b) verdünnt.

Nach Abschluß der Versuche wurde die jeweils niedrigste Konzentration ermittelt, bei der die Verbindung im Vergleich zu unbehandelten Kontrollversuchen noch eine 80 - %ige Hemmung bzw. Mortalität hervorriefen (Wirkschwelle bzw. Minimal-Konzentration).

B.1 Aphis fabae (Schwarze Laus), Kontaktwirkung

Stark befallene Buschbohnen (Vicia faba) wurden mit der wäßrigen Wirkstoffaufbereitung behandelt.

Nach 24 h wurde die Mortalitätsrate bestimmt.

In diesem Test zeigten die Verbindungen I.058, I.086 und I.096 Wirkschwellen von 200 bis 1000 ppm.

B.2 Nephrotettix cincticeps (Grüne Reiszikade), Kontaktwirkung

Rundfilter wurden mit der wäßrigen Wirkstoffaufbereitung behandelt und anschließend mit 5 adulten Zikaden belegt.

Nach 24 h wurde die Mortalität beurteilt.

In diesem Test zeigten die Verbindungen I.117, I.307, I.192, I.193, I.195 und I.201 Wirkschwellen von 0,4 bis 0,1 mg.

B.3 *Prodenia litura* (Ägypt. Baumwollwurm), Zuchtversuch Fünf Raupen des Entwicklungsstadiums L3 (10 - 12 mm) wurden auf Standardnährboden (3,1 l Wasser, 80 g Agar, 137 g Bierhefe, 515 g Maismehl, 130 g Weizenkeime sowie übliche Zusatzstoffe und Vitamine (20 g Wessonsalz, 5 g Nipagin, 5 g Sorbin, 10 g Zellulose, 18 g Ascorbinsäure, 1 g Lutavit® blend (Vitamin), 5 ml alkoholische Biotin-Lösung)) aufgebracht, der zuvor mit der wässrigen Wirkstoffaufbereitung benetzt worden war.

Die Beobachtung erstreckte sich bis zum Schlüpfen der Falter in einem Kontrollversuch ohne Wirkstoff.

In diesem Test zeigten die Verbindungen I.057, I.064, I.068, I.076, I.100, I.108, I.109, I.112, I.119 und I.079 Wirkschwellen von 200 bis 0,1 ppm.

B.4 *Agrotis ypsilon* (Erdräupe), Kontaktwirkung

Maisblätter werden für 3 Sekunden in die wässrige Wirkstoffaufbereitung getaucht und nach dem Abtropfen in eine Petrischale (Ø 12 cm) auf einen Rundfilter gelegt. Jede Schale wird mit 5 Raupen im 3. und 4. Larvenstadium (ca. 15 mm Länge) belegt.

Nach 24 und 48 Stunden bestimmt man die Wirkung nach % Fraßverhinderung und % Mortalität.

In diesem Test zeigten die Verbindungen I.060, I.070, I.086, I.090, I.096, I.117, I.121, I.129, I.140, I.177, I.307, I.189, I.190, I.191, I.192, I.193, I.195, I.201 und I.213 eine Wirkschwelle von 10 bis 1000 ppm.

B.5 *Sitophilus granaria* (Kornkäfer), Kontaktwirkung

Der Boden eines Versuchsgefäßes wurde mit der acetonischen Lösung des Wirkstoffs benetzt und nach dem Abdampfen des Lösungsmittel mit 50 Käfern besetzt.

Nach 4 h wurden die Käfer auf unbehandelte Pappschälchen gesetzt. Diese Schälchen wurden dann in die Versuchsgefäße gestellt.

Nach insgesamt 24 h wurde die Mortalität bestimmt, wobei Käfer, die die Pappschälchen nicht mehr verlassen konnten, als tot bzw. schwer geschädigt galten.

In diesem Test zeigte die Verbindung I.115 eine Wirkschwelle von 1 mg.

B.6 *Musca domestica* (Stubenfliege), Kontaktversuch

Der Boden eines Versuchsgefäßes wurde mit der acetonischen Lösung des Wirkstoffs benetzt und nach dem Abdampfen des Lösungsmittel mit 10 Fliegen besetzt.

Nach 4 h wurde die Mortalitätsrate bestimmt.

In diesem Test zeigten die Verbindungen I.064, I.071, I.077, I.078, I.080, I.083, I.085, I.098, I.100, I.103, I.106, I.111, I.115, I.117, I.126, I.127, I.130, I.133, I.309 und I.184 eine Wirkschwelle von 0,01 bis 2 mg.

B.7 *Musca domestica* (Stubenfliege), Zuchtversuch

25 ml einer trockenen Futtermischung (1 kg Kleie, 250 g Hefepulver, 35 g Fischmehl) wurde mit dem Wirkstoff und 25 ml einer Milch-Zucker Lösung (1 l Milch, 42 g Zucker) vermischt und anschließend mit 20 Larven des 1. Entwicklungsstadiums besetzt.

Nach dem Schlüpfen der Larven in einem Kontrollversuch wurde die Mortalität bestimmt.

In diesem Test zeigte die Verbindung I.064 eine Wirkschwelle von 40 ppm.

B.8 *Prodenia litura* (Ägypt. Bauwollwurm), Kontaktversuch

Rundfilter (Ø 9 cm) werden mit 1 cm³ der wässrigen Wirkstoffaufbereitung behandelt und in eine Kunststoffpetrischale (Ø 94 mm) gelegt. Anschließend setzt man 5 *Prodenia*-Raupen L3 ein und verschließt die Petrischale. Die Prüfung erfolgt nach 24 Stunden.

In diesem Test zeigten die Verbindungen I.098, I.100, I.102, I.106, I.111, I.115 und I.184 eine Wirkschwelle von 0,1 bis 1 mg.

B.9 *Prodenia litura* (Ägypt. Bauwollwurm), Zuchtversuch

Fünf Raupen des Entwicklungsstadiums L3 (10 - 12 mm) wurden auf Standardnährboden (3,1 l Wasser, 80 g Agar, 137 g Bierhefe, 515 g Maismehl, 130 g Weizenkeime sowie übliche Zusatzstoffe und Vitamine (20 g Wessonsalz, 5 g Nipagin, 5 g Sorbin, 10 g Zellulose, 18 g Ascorbinsäure, 1 g Lutavit® blend (Vitamin), 5 ml alkoholische Biotin-Lösung)) aufgebracht, der zuvor mit der wässrigen Wirkstoffaufbereitung benetzt worden war.

Die Beobachtung erstreckte sich bis zum Schlüpfen der Falter in einem Kontrollversuch ohne Wirkstoff.

In diesem Test zeigten die Verbindungen I.128, I.272, I.292, I.293, I.307 und I.310 eine Wirkschwelle von 1 bis 1000 ppm.

B.10 *Plutella maculipennis* (Kohlschaben), Kontaktwirkung

Blätter junger Kohlpflanzen wurden mit der wässrigen Wirkstoffaufbereitung benetzt und anschließend auf einen angefeuchteten Filter gelegt. Die präparierten Blätter wurden anschließend mit jeweils 10 Raupen des 4. Entwicklungsstadiums belegt.

Nach 48 h wurde die Mortalitätsrate bestimmt.

In diesem Test zeigten die Verbindungen I.064, I.065, I.068, I.079, I.081, I.084, I.086, I.088, I.090, I.117

und I.130 eine Wirkschwelle von 200 bis 1000 ppm.

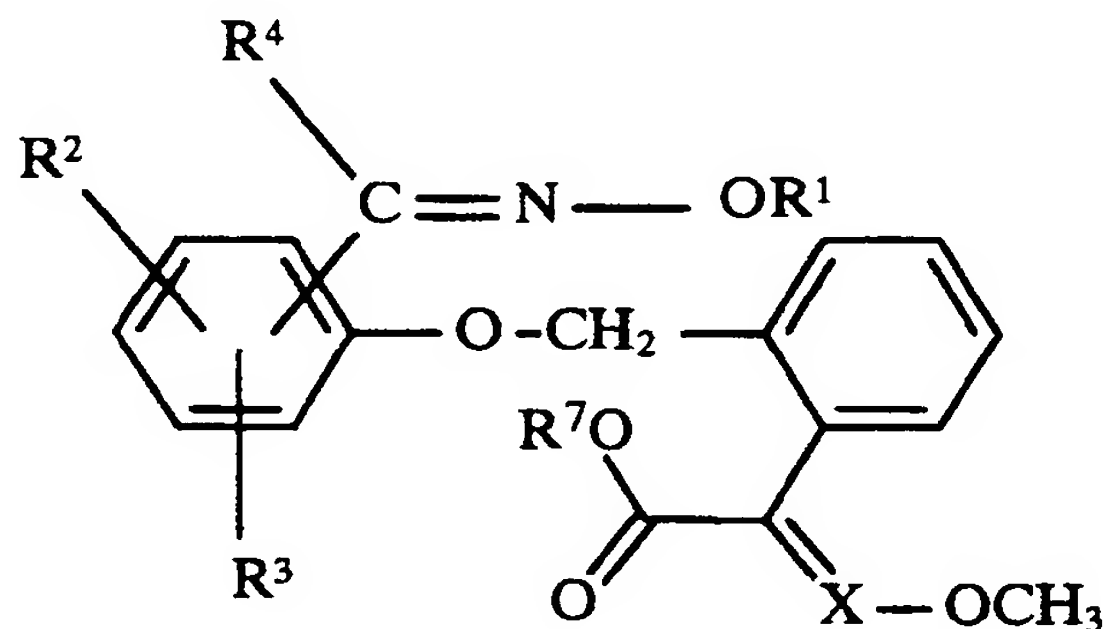
B.11 *Aedes aegypti* (Gelbfiebermücke), Zuchtversuch

Kunststoffbecher mit 250 ml Inhalt (\varnothing 8 cm) werden mit 200 ml Leitungswasser von 23 °C gefüllt und mit 30-40 *Aedes*-Larven im 3. bis 4. Larvenstadium besetzt. Darauf gibt man die Prüfsubstanz als wäßrige Emulsion und Suspension in das Gefäß und bestimmt nach 24 h die Mortalität in den Gefäßen. Danach züchtet man weiter bis zum Schlüpfen der Mücken. Die Raumtemperatur beträgt 25 °C.

In diesem Test zeigte die Verbindung I.128 eine Wirkschwelle von 0,1 ppm.

Patentansprüche

- Verfahren zur Bekämpfung von Schädlingen, dadurch gekennzeichnet, daß man die Schädlinge und/oder ihren Lebensraum mit einer wirksamen Menge einer Verbindung der allgemeinen Formel IA



IA

in der

R¹

C₁-C₆-Alkyl, C₃-C₆-Alkenyl, C₃-C₄-Alkynyl, C₁-C₆-Halogenalkyl, C₃-C₆-Halogenalkenyl, C₁-C₄-Alkoxy-C₁-C₆-alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Cycloalkyl-C₁-C₄-alkyl, Cyan-C₁-C₆-alkyl, C₁-C₆-Alkoxy-carbonyl-C₁-C₆-alkyl, Aryl-C₁-C₆-alkyl, Heteroaryl-C₁-C₆-alkyl, Aryl-C₃-C₆-alkenyl oder Aryloxy-C₁-C₆-alkyl bedeutet, wobei der aromatische oder heteroaromatische Ring gegebenenfalls durch einen oder mehrere der folgenden Reste substituiert ist: C₁-C₄-Alkyl, C₁-C₂-halogenalkyl, C₃-C₆-Cycloalkyl, C₁-C₄-Alkoxy, C₁-C₂-Halogenalkoxy, Halogen, Aryl, Aryloxy, R² und R³

gleich oder verschieden sind und Wasserstoff, C₁-C₄-Alkyl, C₁-C₂-Halogenalkyl, C₁-C₄-Alkoxy, C₁-C₂-Halogenalkoxy, Halogen, Cyano oder Nitro bedeuten, R⁴

Wasserstoff, C₁-C₆-Alkyl, C₃-C₆-Cycloalkyl, C₁-C₇-Halogenalkyl oder Aryl bedeutet, wobei der aromatische Ring gegebenenfalls durch einen oder mehrere der folgenden Reste substituiert ist: C₁-C₄-Alkyl, C₁-C₂-Halogenalkyl, C₁-C₄-Alkoxy, C₁-C₂-Halogenalkoxy, Halogen, Cyano oder Nitro,

R⁷ C₁-C₄-Alkyl bedeutet, und

X CH oder N bedeutet,

behandelt.

- Verfahren nach Anspruch 1, dadurch gekennzeichnet, daß man eine Verbindung IA verwendet, in der der Rest -C(R⁴) = NOR¹ in 4-Stellung zur OCH₂-Gruppe steht.

- Verfahren nach Anspruch 1, dadurch gekennzeichnet, daß man eine Verbindung IA verwendet, in der R⁷ für Methyl steht.

- Verfahren nach Anspruch 1 zur Bekämpfung von Schädlingen aus der Klasse der Insekten, Spinnentiere und Nematoden.

- Verwendung der Verbindungen IA gemäß Anspruch 1 zur Bekämpfung von Schädlingen.

6. Verwendung der Verbindungen IA gemäß Anspruch 1 zur Bekämpfung von Schädlingen aus der Klasse der Insekten, Spinnentiere und Nematoden.
7. Verwendung der Verbindungen IA gemäß Anspruch 1 zur Bekämpfung von Schädlingen geeigneten Mittels.

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EP 95 10 8494

| EINSCHLÄGIGE DOKUMENTE | | | |
|--|---|--|---|
| Kategorie | Kennzeichnung des Dokuments mit Angabe, soweit erforderlich, der maßgeblichen Teile | Betrifft Anspruch | KLASSIFIKATION DER ANMELDUNG (Int.Cl.5) |
| X, D | EP-A-0 386 561 (BASF) * Anspruch 6 * | 1-3, 5, 7 | A01N37/38 A01N37/50 |
| Y | --- | 4, 6 | |
| Y | EP-A-0 335 519 (ICI) * Anspruch 1 * ----- | 4, 6 | |
| | | | RECHERCHIERTE SACHGEBIETE (Int.Cl.5) |
| | | | A01N |
| Der vorliegende Recherchenbericht wurde für alle Patentansprüche erstellt | | | |
| Recherchenamt DEN HAAG | | Abschlußdatum der Recherche 11. Juli 1995 | Prüfer Decorte, D |
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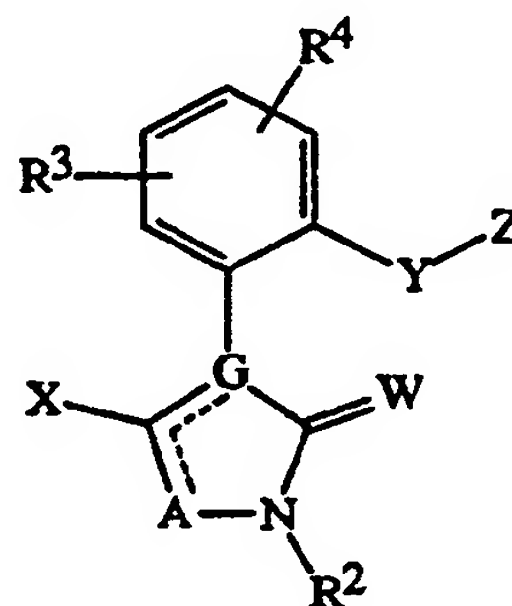
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| <p>(21) International Application Number: PCT/US95/05847 (22) International Filing Date: 16 May 1995 (16.05.95) (71) Applicant (for all designated States except US): E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): BROWN, Richard, James [US/US]; 225 North Star Road, Newark, DE 19711-2939 (US). SUN, King-Mo [GB/US]; 22 Pine Grove Lane, Hockessin, DE 19707 (US). FRASIER, Deborah, Ann [US/US]; 15 Henry Court, Wilmington, DE 19808-2017 (US). (74) Agents: HEISER, David, E. et al.; E.I. du Pont de Nemours and Company, Legal Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).</p> | | <p>(81) Designated States: JP, KR, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE). Published With international search report.</p> |

(54) Title: FUNGICIDAL CYCLIC AMIDES

(57) Abstract

Cyclic amides of formula (I) which are useful as fungicides, wherein: A is O; S; N; NR⁵; or CR¹⁴; G is C or N; W is O or S; X is OR¹, S(O)_mR¹ or halogen; R¹, R², and R⁵ are independently, in part, C₁-C₆ alkyl; Y is, in part, -O-; -S(O)_n-; -CHR⁶O-; -OCHR⁶-; or -CHR⁶O-N=C(R⁷)-; Z is, in part, optionally substituted cycloalkyl, phenyl, pyridinyl, pyrimidinyl, or naphthyl; and R³, R⁴, R⁶, R⁷, R¹⁴, m, and n are defined in the disclosure, are disclosed.



(I)

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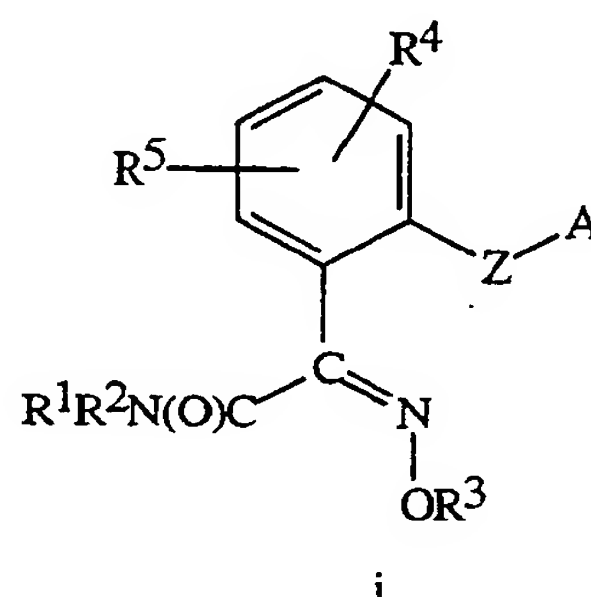
TITLE

FUNGICIDAL CYCLIC AMIDES

BACKGROUND OF THE INVENTION

This invention relates to cyclic amides substituted at the α -position with various
 5 aryl groups, their agriculturally suitable salts and compositions, and methods of their use
 as general or selective fungicides.

EP-A-398,692 discloses amides of Formula i as fungicides for crop protection.
 Compounds of Formula i are:



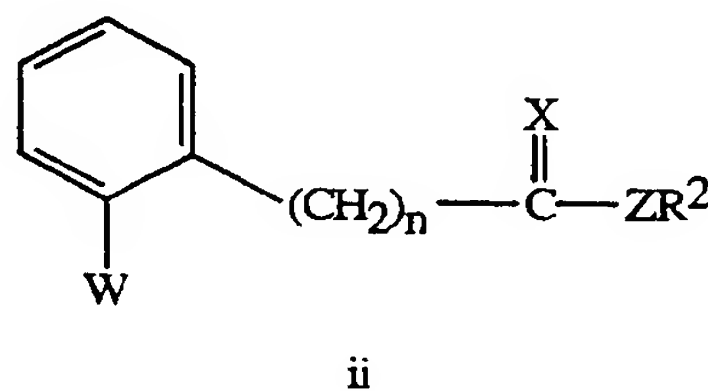
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wherein

R^1 and R^2 are each hydrogen, lower alkyl, or lower cycloalkyl.

All the compounds disclosed in EP-A-398,692 have an aryl moiety bonded to an
 acyclic alkoxyiminoacetamide group. The cyclic amides of the present invention are not
 15 disclosed therein.

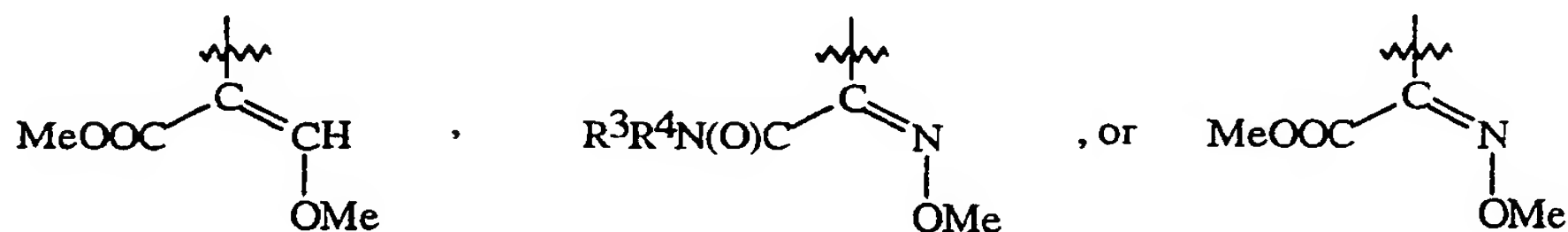
WO 93/07116 discloses compounds of Formula ii as fungicides for crop
 protection. Compounds of Formula ii are:



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wherein:

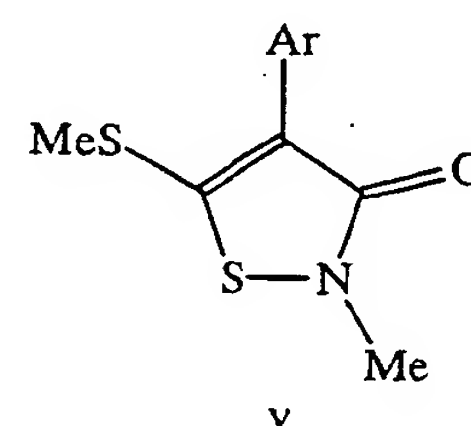
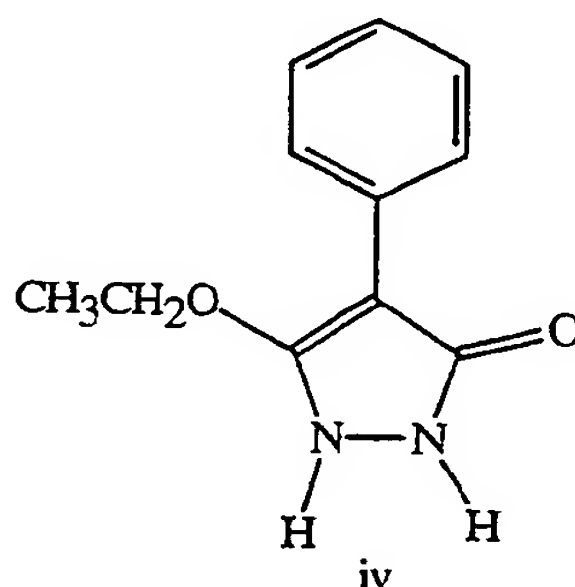
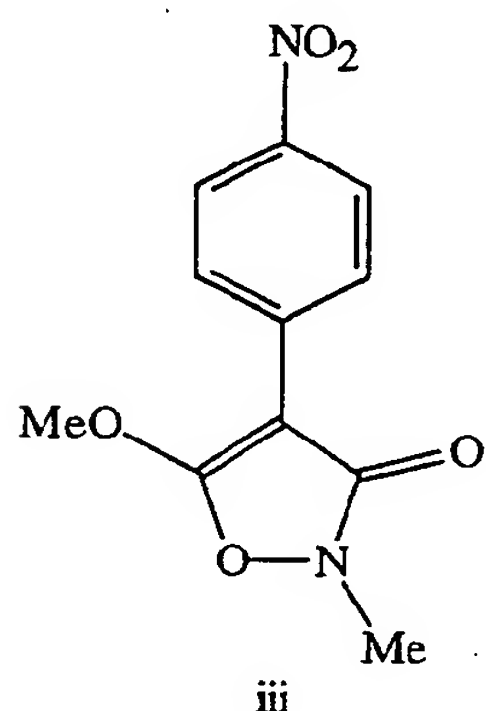
W is



Once again, the cyclic amides of this invention are not disclosed therein.

J. Heterocyclic Chem., (1987), 24, 465, *J. Heterocyclic Chem.*, (1988), 25, 1307, and *Australian J. Chem.*, (1977), 30 (8), 1815 disclose 4-nitrophenyl isoxazoles (iii), phenyl pyrazolones (iv), and aryl isothiazolinones (v) respectively.

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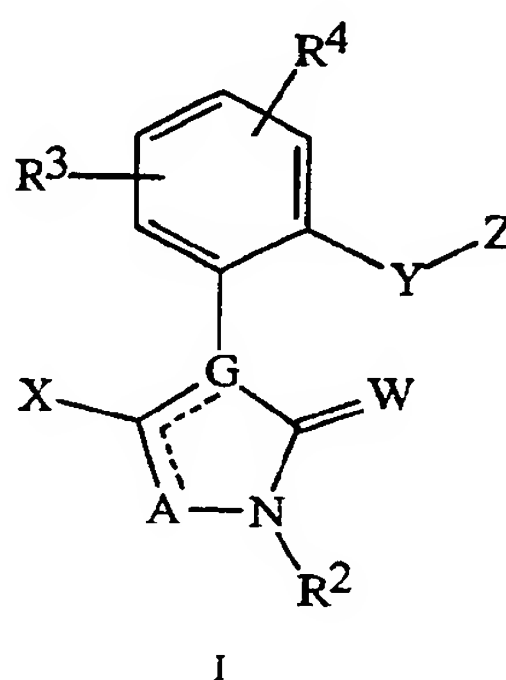


However, no utility as fungicides is alleged and no ortho-substituted compounds of the present invention are disclosed.

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SUMMARY OF THE INVENTION

This invention comprises compounds of Formula I including all geometric and stereoisomers, agriculturally suitable salts thereof, agricultural compositions containing them and their use as fungicides:



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wherein:

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;

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W is O or S;

X is OR¹; S(O)_mR¹; or halogen;

R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;

R² and R⁵ are each independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;

R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; or C₂-C₆ alkynyloxy;

Y is -O-; -S(O)_n-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR⁶O-; -OCHR⁶-; -CHR⁶S(O)_n-; -S(O)_nCHR⁶-; -CHR⁶O-N=C(R⁷)-; -(R⁷)C=N-OCH(R⁶)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR⁶OC(=O)N(R¹⁵)-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;

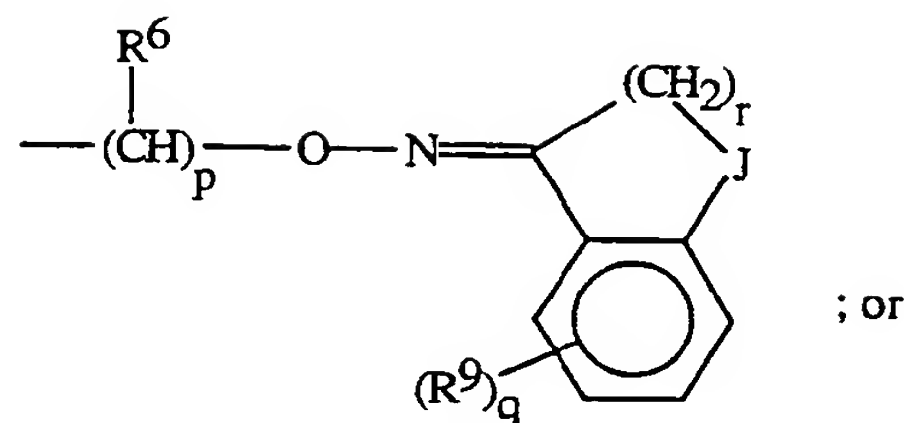
R⁶ is independently H or C₁-C₃ alkyl;

R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; cyano; or morpholinyl;

Z is C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each optionally substituted with R⁸; or Z is C₃-C₈ cycloalkyl or phenyl each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is a 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring system containing 1 to 6 heteroatoms independently selected from the group 1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or

R⁷ and Z are taken together to form CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, CH₂CH₂OCH₂CH₂, each CH₂ group optionally substituted with 1-2 halogen; or

Y and Z are taken together to form



R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; provided that when R³, Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R⁴, and A is S, W is O, X is SCH₃ and R² is CH₃, then R⁴ is other than H;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group optionally substituted with 1 to 2 CH₃;

R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; or nitro; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; or nitro; or R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

R¹⁰ is halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or R⁹ and R¹⁰, when attached to adjacent atoms, are taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group optionally substituted with 1-2 halogen;

R¹¹ and R¹² are each independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; nitro; or cyano;

R¹³ is halogen; C₁-C₃ alkyl; C₁-C₃ haloalkyl; C₁-C₃ alkoxy; C₁-C₃ haloalkoxy; nitro; or cyano;

R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

R^{15} , R^{16} , R^{17} , and R^{18} are each independently H; C_1 - C_3 alkyl; or phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

m , n and q are each independently 0, 1 or 2; and

5 p and r are each independently 0 or 1;

provided that

(a) when A is N, G is N, X is $S(O)_m R^1$ and m is 0, then the combination of Y and Z is other than alkyl, haloalkyl or alkoxy; and

10 (b) when A is NR^5 , G is C, X is OR^1 and R^1 is alkylcarbonyl, alkoxycarbonyl or optionally substituted benzoyl, then the combination of Y and Z is other than alkyl or alkoxy.

In the above recitations, the term "alkyl", used either alone or in compound words such as "haloalkyl" denotes straight-chain or branched alkyl; e.g., methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. "Alkenyl" denotes straight-chain or branched alkenes; e.g., 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and
15 hexenyl isomers. "Alkenyl" also denotes polyenes such as 1,3-hexadiene. "Alkynyl" denotes straight-chain or branched alkynes; e.g., ethynyl, 1-propynyl, 3-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also denote moieties comprised of multiple triple bonds; e.g., 2,4-hexadiyne. "Alkoxy" denotes, for example,
20 methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkenyloxy" denotes straight-chain or branched alkenyloxy moieties. Examples of alkenyloxy include $H_2C=CHCH_2O$, $(CH_3)_2C=CHCH_2O$, $(CH_3)CH=CHCH_2O$, $(CH_3)CH=C(CH_3)CH_2O$ and $CH_2=CHCH_2CH_2O$. "Alkynyloxy" denotes straight-chain or branched alkynyloxy moieties. Examples include $HC\equiv CCH_2O$,
25 $CH_3C\equiv CCH_2O$ and $CH_3C\equiv CCH_2CH_2O$. The term "halogen", either alone or in compound words such as "haloalkyl", denotes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The term "cycloalkyl" denotes
30 cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl moieties. The term "nonaromatic heterocyclic ring system" includes fully saturated heterocycles and partially aromatic heterocycles. The total number of carbon atoms in a substituent group is indicated by the " C_i - C_j " prefix where i and j are numbers from 1 to 10. For example, C_1 - C_3 alkyl designates methyl through propyl; C_2 alkoxy designates CH_3CH_2O ; and C_3 alkoxy
35 designates, for example, $CH_3CH_2CH_2O$ or $(CH_3)_2CHO$. In the above recitations, when a compound of Formula I is comprised of one or more aromatic nitrogen-containing rings (e.g., pyridinyl and pyrimidinyl), all bonds to these heterocycles are made through the carbon atom(s) of the moieties.

Preferred compounds, compositions containing them, and methods of their use for reasons of better activity and/or ease of synthesis are:

Preferred 1. Compounds of Formula I above wherein:

W is O;

R^1 is C_1 - C_3 alkyl or C_1 - C_3 haloalkyl;

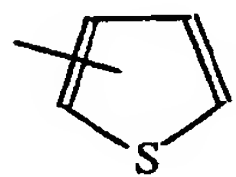
R^2 is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; or C_3 - C_6 cycloalkyl;

R^3 and R^4 are each independently H; halogen; cyano; nitro; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; or C_1 - C_6 haloalkoxy;

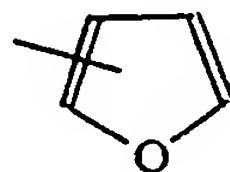
Y is -O-; -CH=CH-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -CH₂O-N=C(R^7)-; -C(R^7)=N-O-; -CH₂OC(O)NH-; or a direct bond;

R^7 is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 alkynyl; or cyano;

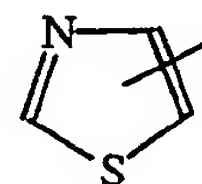
Z is C_1 - C_{10} alkyl optionally substituted with R^8 ; or C_3 - C_8 cycloalkyl or phenyl, each optionally substituted with one of R^9 , R^{10} , or both R^9 and R^{10} ; or Z is



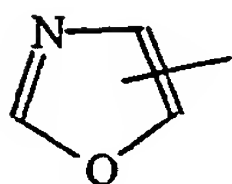
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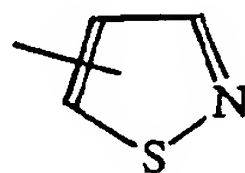
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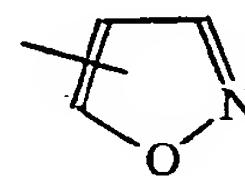
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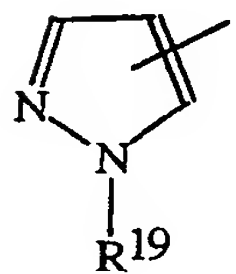
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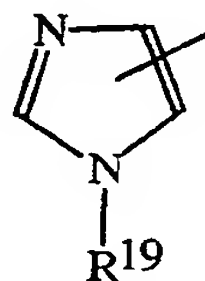
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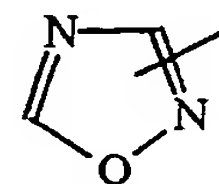
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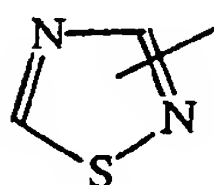
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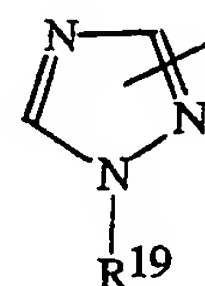
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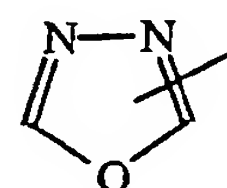
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Z-10

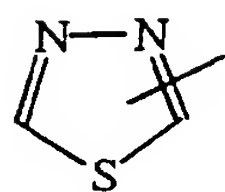


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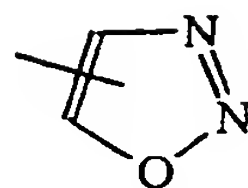


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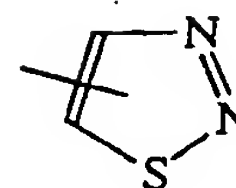
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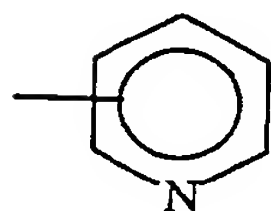
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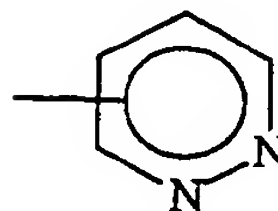
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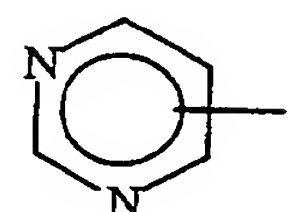
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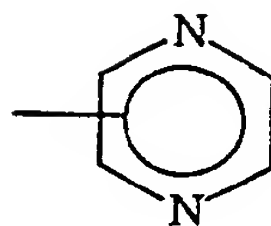


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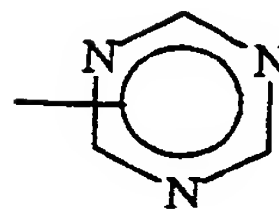


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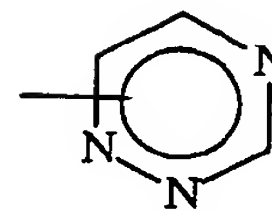
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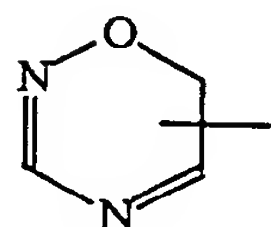
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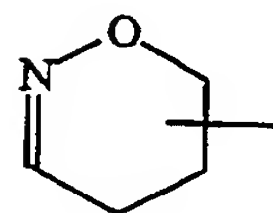
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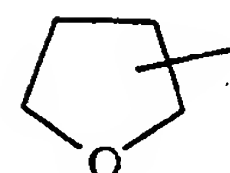
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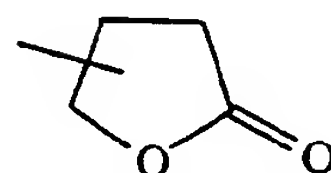
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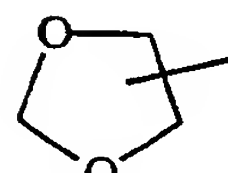
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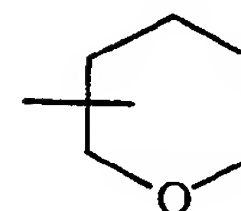
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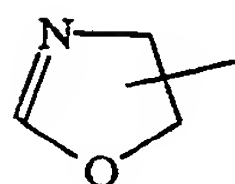


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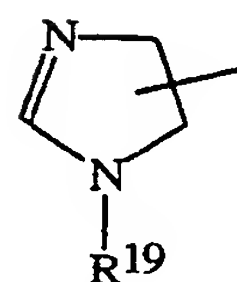


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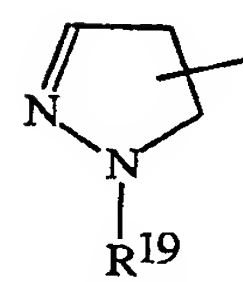
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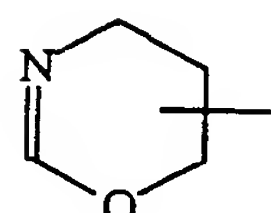
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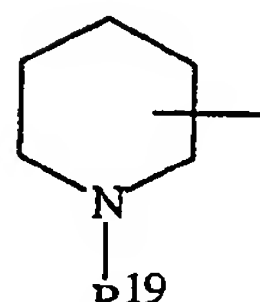
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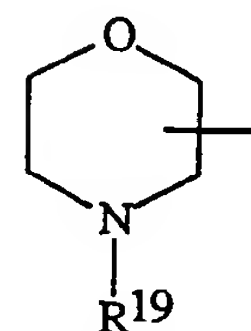
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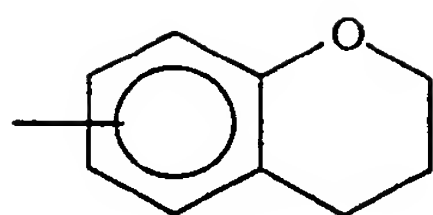


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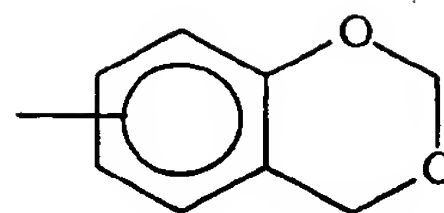


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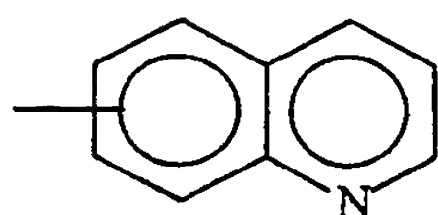
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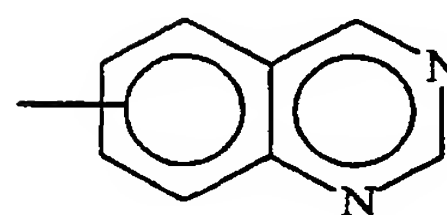
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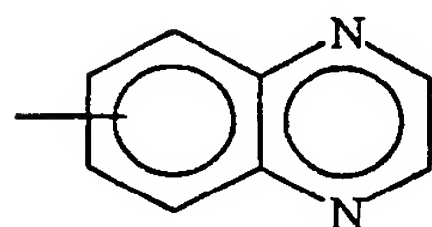


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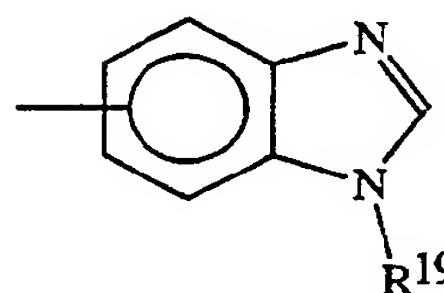


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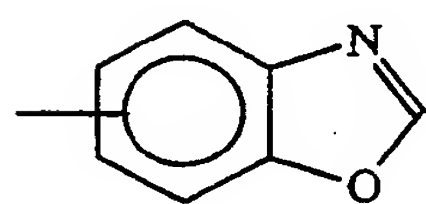
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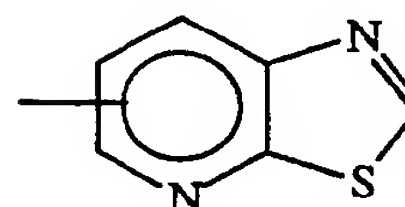
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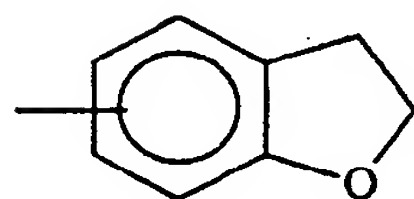
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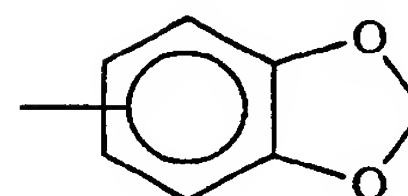
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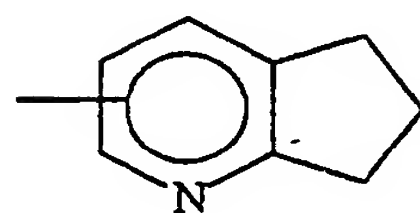


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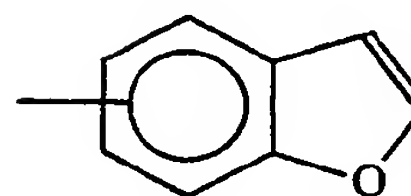


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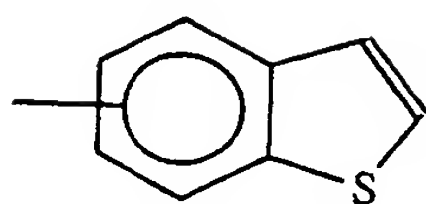


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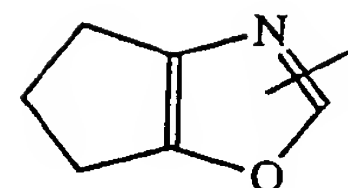


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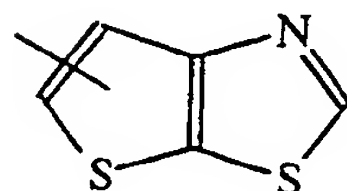
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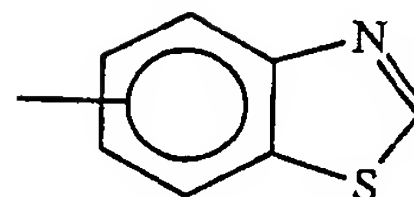


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Z-48

or

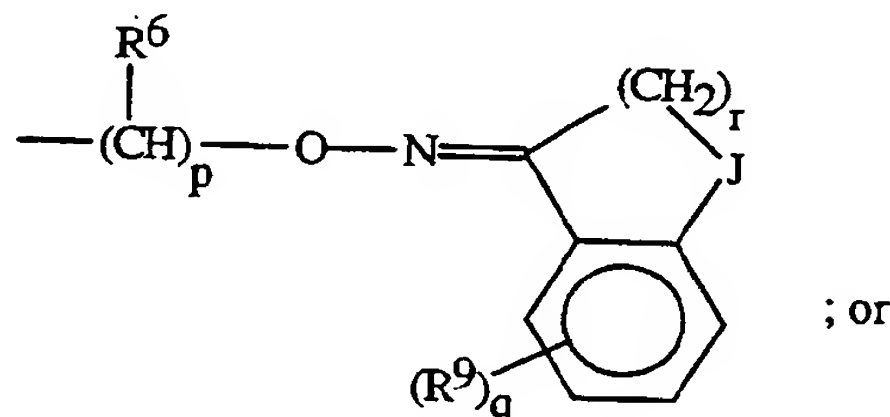


Z-49

5

each group optionally substituted with one R^9 , R^{10} , or both R^9 and R^{10} ,
or

R^3 , Y, and Z are taken together with the phenyl ring to form a
naphthalene ring substituted on either ring with a floating R^4 ; or
Y and Z are taken together to form



; or

10

R^8 is 1-6 halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; or R^8 is phenyl,
phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy
each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and
 R^{12} ;

15

R^9 is 1-2 halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6
haloalkoxy; C_1 - C_6 alkylthio; cyano; $CO_2(C_1$ - C_6 alkyl); $NH(C_1$ - C_6
alkyl); or $N(C_1$ - C_6 alkyl) $_2$; or R^9 is C_3 - C_6 cycloalkyl, phenyl,
phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy
each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and
 R^{12} ; and

20

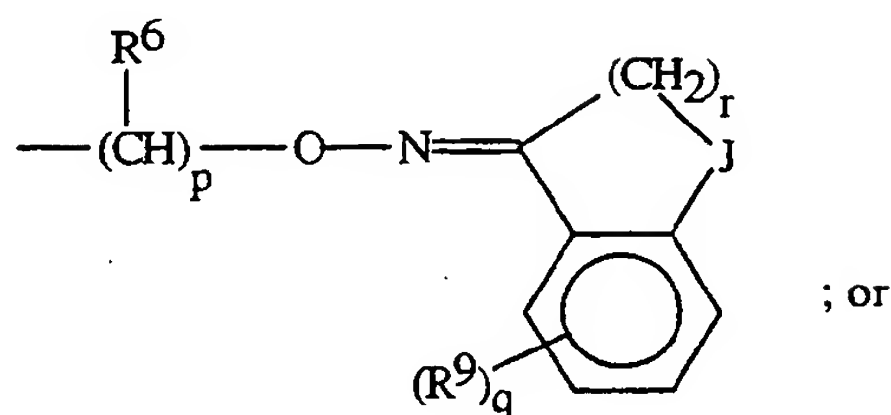
R^{19} is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; or phenyl optionally substituted
with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4
haloalkoxy, nitro or cyano.

Preferred 2. Compounds of Preferred 1 wherein:

25

Z is phenyl or Z-1 to Z-21, each optionally substituted with one of R^9 ,
 R^{10} , or both R^9 and R^{10} ; or

Y and Z are taken together to form



J is $-\text{CH}_2-$ or $-\text{CH}_2\text{CH}_2-$;

p is 0; and

r is 1.

Preferred 3. Compounds of Preferred 2 wherein:

A is O; N; NR^5 ; or CR^{14} ;

X is OR^1 ;

R^1 is C_1 - C_3 alkyl;

R^2 is H or C_1 - C_2 alkyl;

R^3 and R^4 are each H;

Y is $-\text{O}-$; $-\text{CH}=\text{CH}-$; $-\text{CH}_2\text{O}-$; $-\text{OCH}_2-$; $-\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$; or $-\text{CH}_2\text{OC}(=\text{O})\text{NH}-$;

R^7 is H; C_1 - C_3 alkyl; or C_1 - C_3 haloalkyl; and

Z is phenyl, pyridinyl, pyrimidinyl, or thienyl, each optionally substituted with one of R^9 , R^{10} , or both R^9 and R^{10} .

Preferred 4. Compounds of Preferred 3 wherein:

A is O or NR^5 ;

G is C;

Y is $-\text{O}-$; $-\text{CH}_2\text{O}-$; $-\text{OCH}_2-$; or $-\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$; and

R^7 is H; C_1 - C_2 alkyl; or C_1 - C_2 haloalkyl.

Preferred 5. Compounds of Preferred 3 wherein:

A is N or CR^{14} ;

G is N;

Y is $-\text{O}-$; $-\text{CH}_2\text{O}-$; $-\text{OCH}_2-$; or $-\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$; and

R^7 is H; C_1 - C_2 alkyl; or C_1 - C_2 haloalkyl.

Preferred 6. Compounds of Preferred 4 wherein:

R^1 is methyl;

R^2 is methyl; and

Z is phenyl optionally substituted with one of R^9 , R^{10} , or both R^9 and R^{10} .

Preferred 7. Compounds of Preferred 5 wherein:

R^1 is methyl;

R² is methyl; and

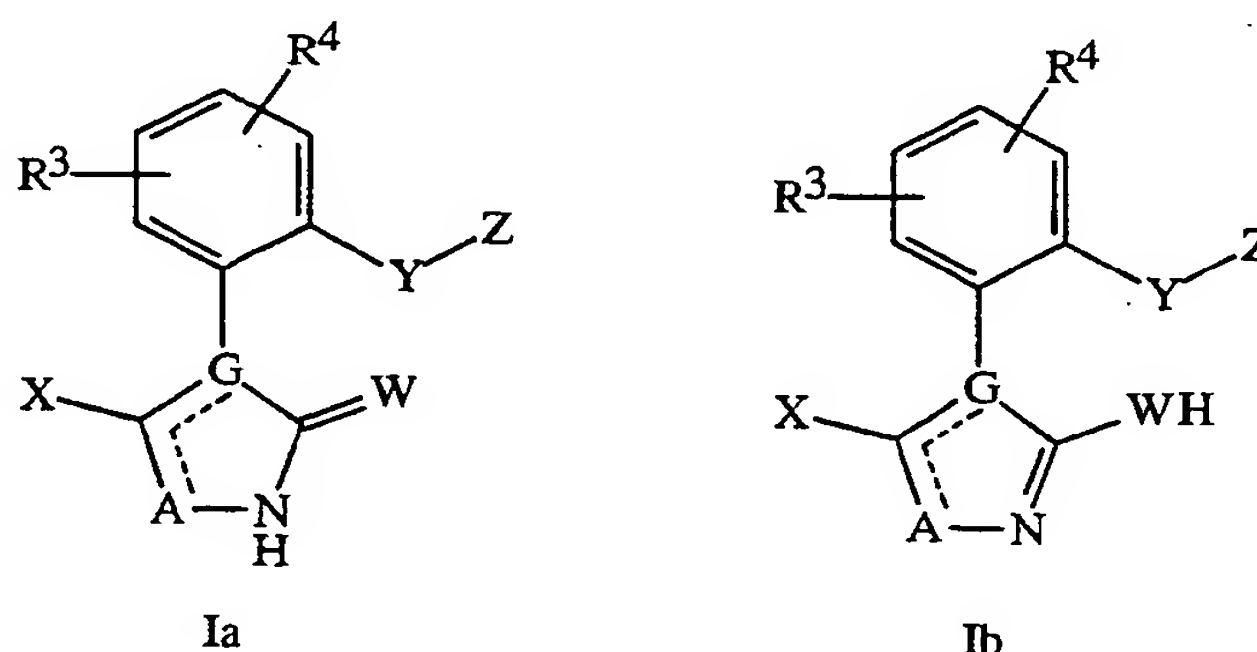
Z is phenyl optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰.

5 It is recognized that some reagents and reaction conditions described below for preparing compounds of Formula I may not be compatible with some functionalities claimed for R¹, R², R³, R⁴, A, G, W, X, Y, and Z. In these cases, the incorporation of protection/deprotection sequences into the synthesis may be necessary in order to obtain the desired products. The cases in which protecting groups are necessary, and which protecting group to use, will be apparent to one skilled in chemical synthesis.

10 In the following description of the preparation of compounds of Formula I, compounds denoted as Formula Ia through Ik are various subsets of the compounds of Formula I. All substituents for compounds of Formula Ia through Ik and Formulae 1-39 are as defined above for Formula I except where indicated otherwise.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active than the others and how to separate said stereoisomers. Accordingly, the present invention comprises mixtures, individual stereoisomers, and optically active mixtures of compounds of Formula I as well as agriculturally suitable salts thereof.

20 One skilled in the art will recognize that some compounds of Formula I can exist in one or more tautomeric forms. For example, a compound of Formula I wherein R² is H may exist as tautomer Ia or Ib, or both Ia and Ib. The present invention comprises all tautomeric forms of compounds of Formula I.



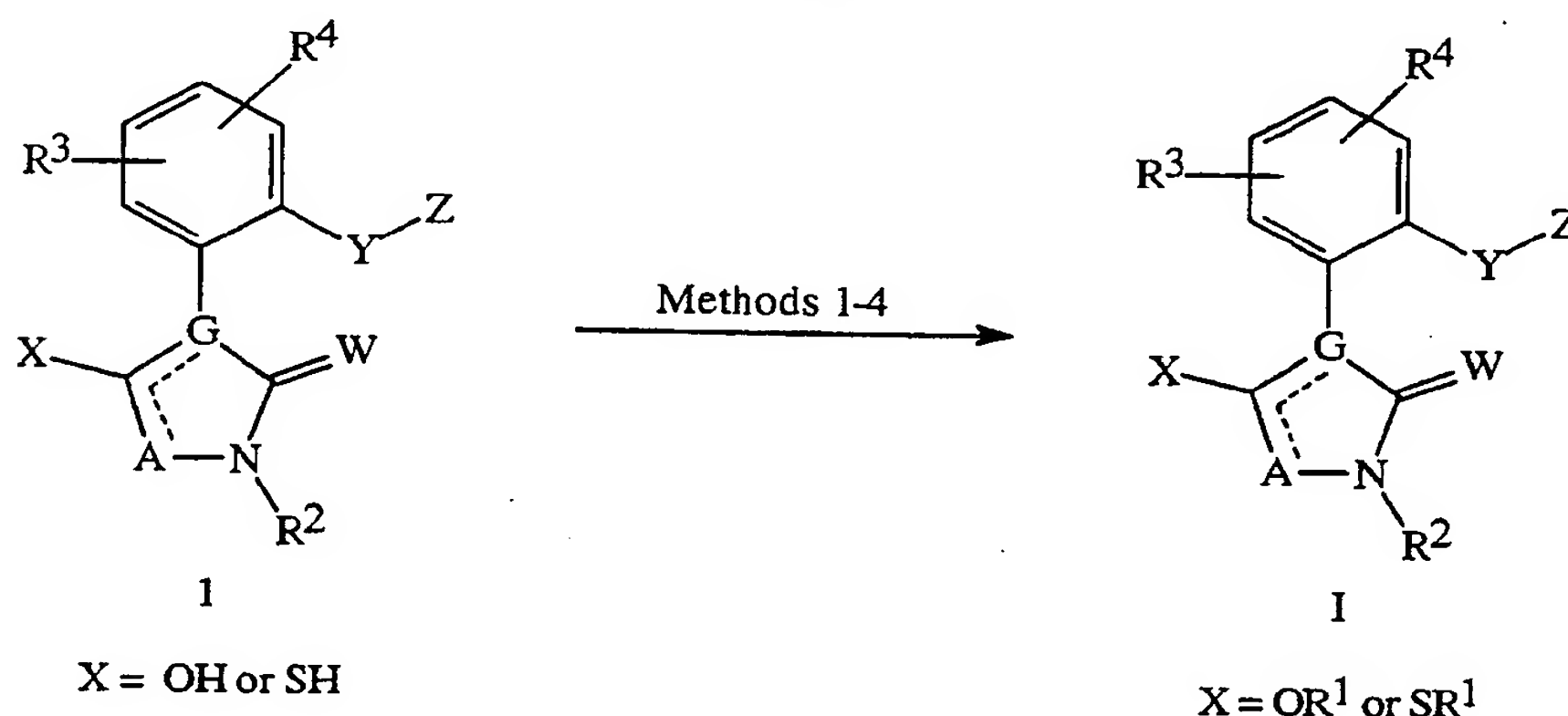
DETAILED DESCRIPTION OF THE INVENTION

The compounds of Formula I can be prepared as described below in Procedures 1) to 5). Procedures 1) to 4) describe syntheses involving construction of the amide ring after the formation of the aryl moiety. Procedure 5) describes syntheses of the aryl moiety with the amide ring already in place.

1) Alkylation Procedures

The compounds of Formula I are prepared by treating compounds of Formula 1 with an appropriate alkyl transfer reagent in an inert solvent with or without additional acidic or basic reagents or other reagents (Scheme 1). Suitable solvents are selected from the group consisting of polar aprotic solvents such as acetonitrile, dimethylformamide or dimethylsulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; and halocarbons such as dichloromethane or chloroform.

Scheme 1



Method 1: $\text{Q}-\underset{2}{\text{CH}}=\text{N}_2$ (Q = H or $(\text{CH}_3)_3\text{Si}$)

Method 2: $\text{Cl}_3\text{C}-\text{C}(\text{NH})=\text{OR}^1$; Lewis acid

Method 3: $(R^1)_3O^+ BF_4^-$

Method 4: $(R^1)_2SO_4$; R^1OSO_2Q ; or R^1 -hal;
optional base
(hal = F, Cl, Br, or I)
(Q = C_1 - C_6 alkyl, C_1 - C_6 haloalkyl)

10

For example, compounds of Formula I can be prepared by the action of diazoalkane reagents of Formula 2 such as diazomethane ($Q = H$) or trimethylsilyldiazomethane ($Q = (CH_3)_3Si$) on compounds of dicarbonyl compounds of Formula 1 (Method 1). Use of trimethylsilyldiazomethane requires a protic cosolvent such as methanol. For examples of these procedures, see *Chem. Pharm. Bull.*, (1984), 32, 3759.

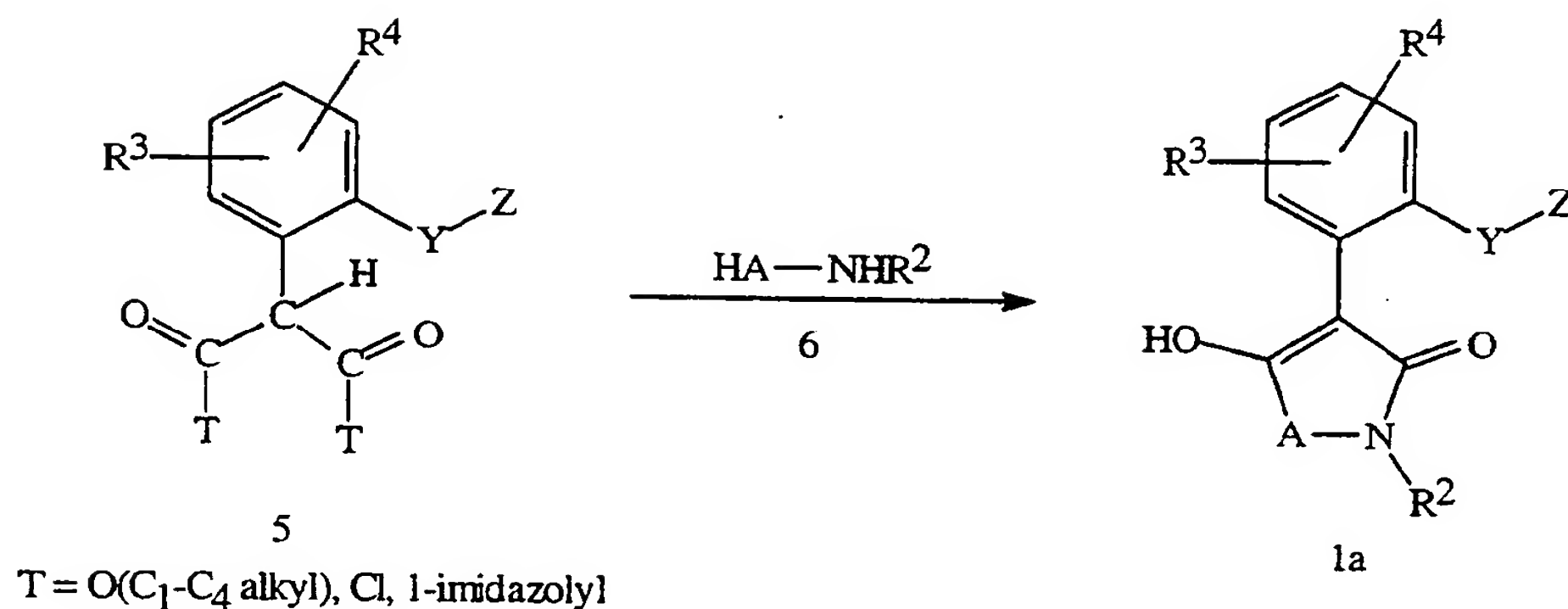
As indicated in Method 2, compounds of Formula I can also be prepared by contacting carbonyl compounds of Formula 1 with alkyl trichloroacetimidates of Formula 3 and a Lewis acid catalyst. Suitable Lewis acids include trimethylsilyl triflate and tetrafluoroboric acid. The alkyl trichloroacetimidates can be prepared from the appropriate alcohol and trichloroacetonitrile as described in the literature (J. Danklmaier and H. Hönig, *Synth. Commun.*, (1990), 20, 203).

Compounds of Formula I can also be prepared from compounds of Formula 1 by treatment with a trialkyloxonium tetrafluoroborate (i.e., Meerwein's salt) of Formula 4 (Method 3). The use of trialkyloxonium salts as powerful alkylating agents is well known in the art (see U. Schöllkopf, U. Groth, C. Deng, *Angew. Chem., Int. Ed. Engl.*, (1981), 20, 798).

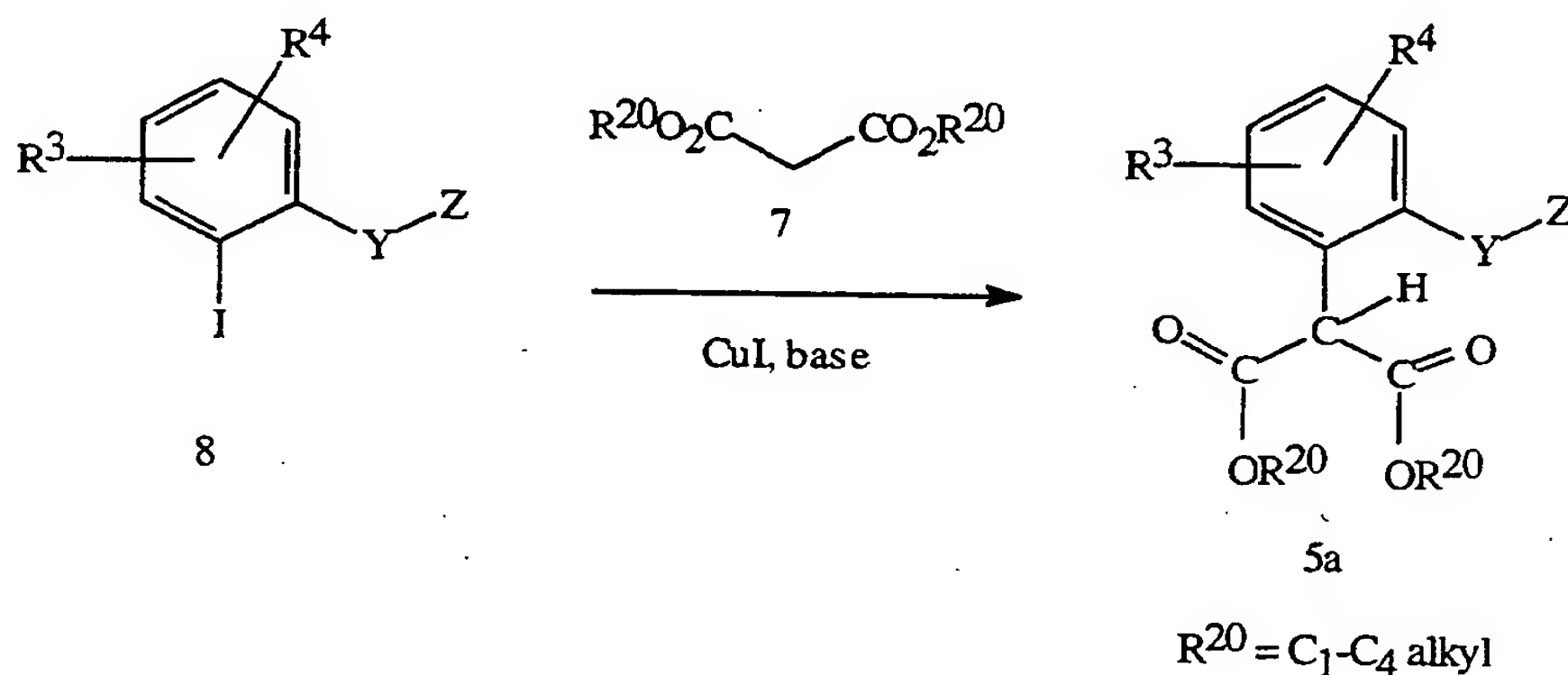
Other alkylating agents which can convert carbonyl compounds of Formula 1 to compounds of Formula I are dialkyl sulfates such as dimethyl sulfate, haloalkyl sulfonates such as methyl trifluoromethanesulfonate, and alkyl halides such as iodomethane and propargyl bromide (Method 4). These alkylations can be conducted with or without additional base. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and triethylenediamine. See R. E. Benson, T. L. Cairns, *J. Am. Chem. Soc.*, (1948), 70, 2115 for alkylation examples using agents of this type.

Compounds of Formula 1a (compounds of Formula 1 wherein G = C, W = O and X = OH) can be prepared by condensation of malonates or malonate derivatives of Formula 5 with an ambident nucleophile of Formula 6 (Scheme 2). The nucleophiles of Formula 6 are *N*-substituted hydroxylamines (HO-NHR²) and substituted hydrazines (HN(R⁵)-NHR²). Examples of such nucleophiles are *N*-methylhydroxylamine and methylhydrazine. The preparation of the malonate esters of Formula 5 can be prepared by methods described hereinafter. The esters of Formula 5 can also be activated by first hydrolyzing the ester to form the corresponding carboxylic acid, and then converting the acid into the acid chloride (T = Cl) using thionyl chloride or oxalyl chloride, or into the acyl imidazole (T = 1-imidazolyl) by treating with 1,1'-carbonyldiimidazole.

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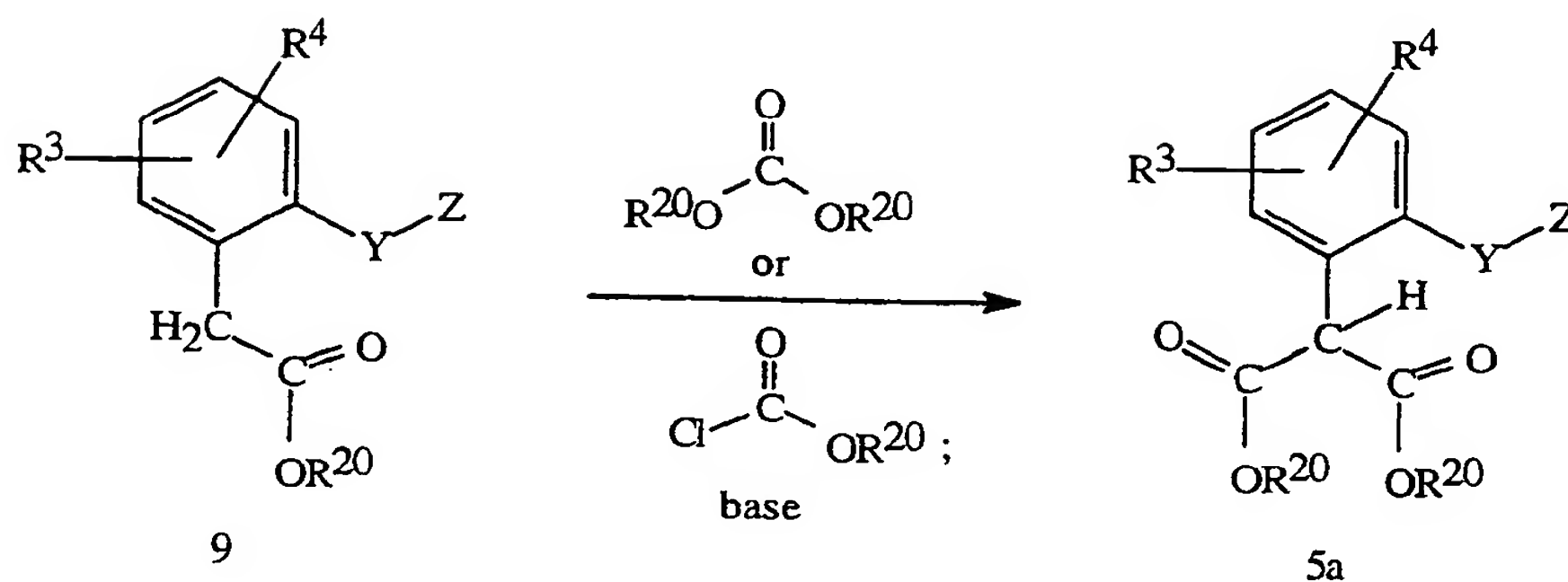
Scheme 2

5 Esters of Formula 5a can be prepared from copper (I)-catalyzed reaction of malonate esters of Formula 7 with substituted iodobenzenes of Formula 8 according to methods adapted from A. Osuka, T. Kobayashi and H. Suzuki, *Synthesis*, (1983), 67, and illustrated in Scheme 3.

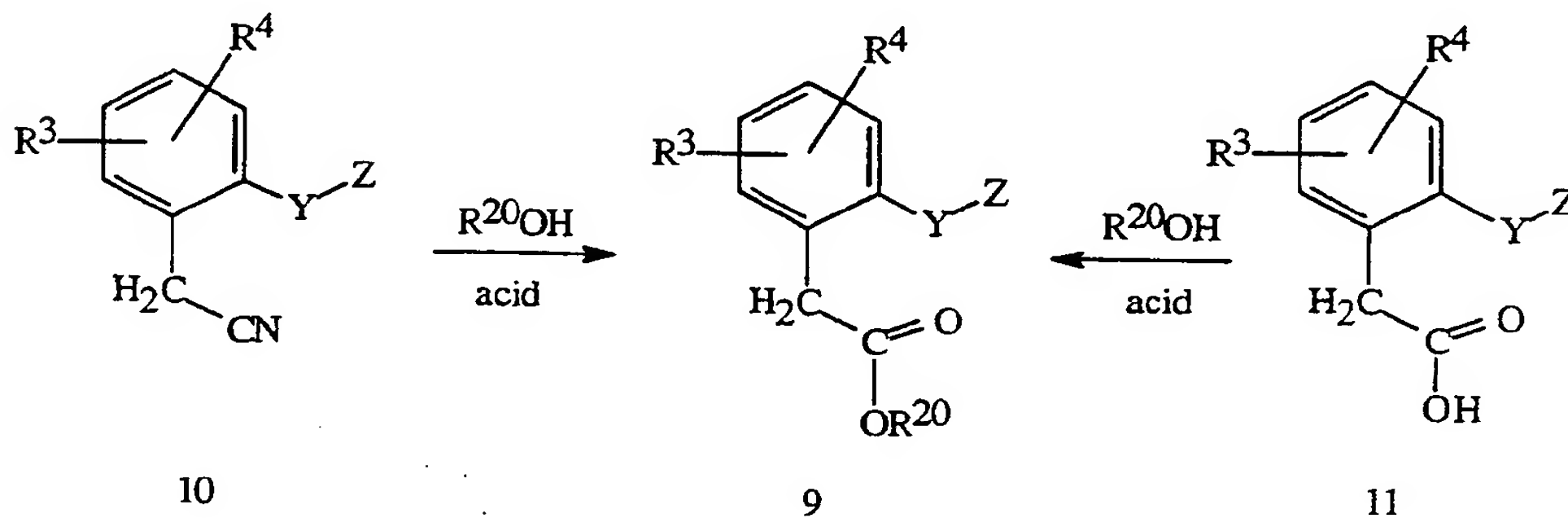
Scheme 3

10 Additionally, the malonate esters of Formula 5a can be prepared by treating phenyl acetic acid esters of Formula 9 with a dialkyl carbonate or alkyl chloroformate in the presence of a suitable base such as, but not limited to, sodium metal and sodium hydride (Scheme 4). For example, see *J. Am. Chem. Soc.*, (1928), 50, 2758.

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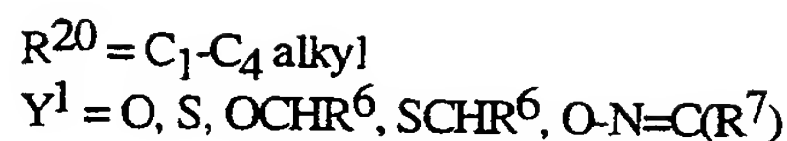
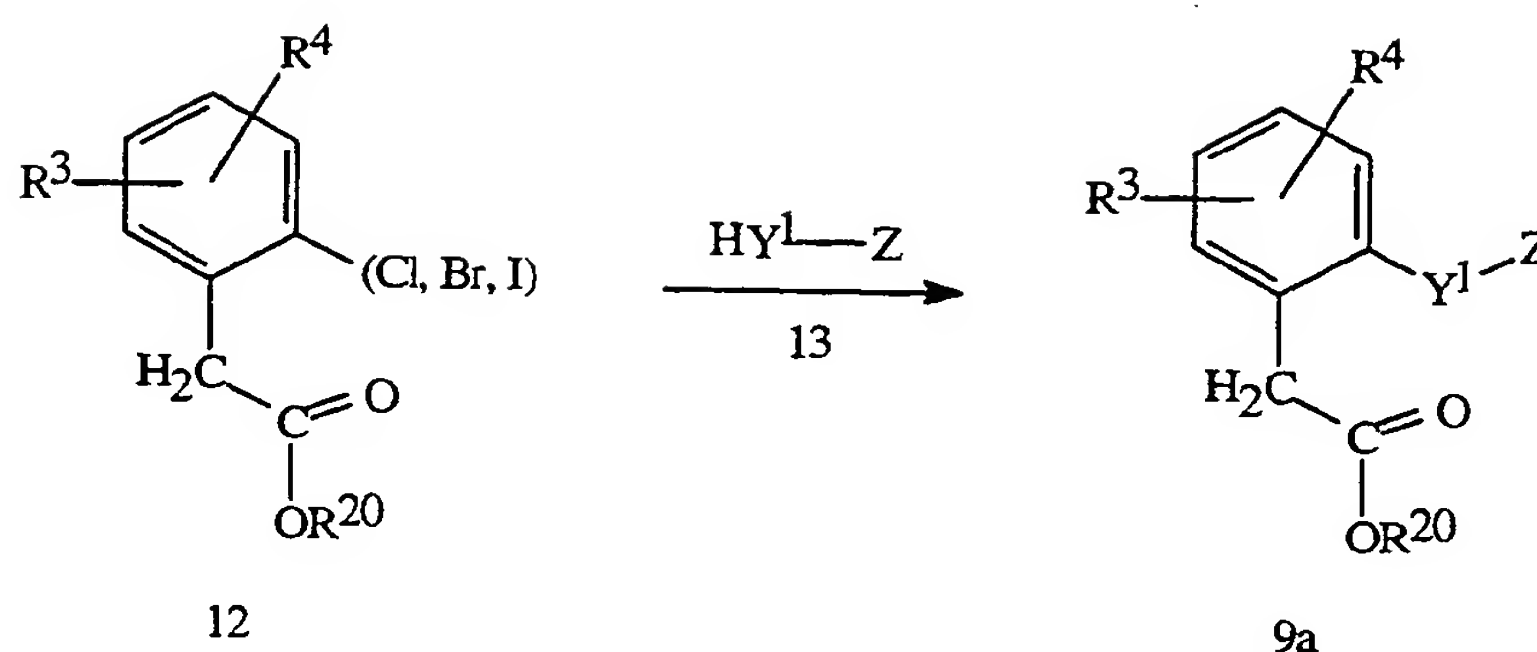
Scheme 4 $\text{R}^{20} = \text{C}_1\text{-C}_4 \text{ alkyl}$

5 Esters of Formula 9 can be prepared from acid-catalyzed alcoholysis of phenyl acetonitriles of Formula 10 or esterification of phenyl acetic acids of Formula 11 as illustrated in Scheme 5 (see *Org. Synth.*, Coll. Vol. I, (1941), 270).

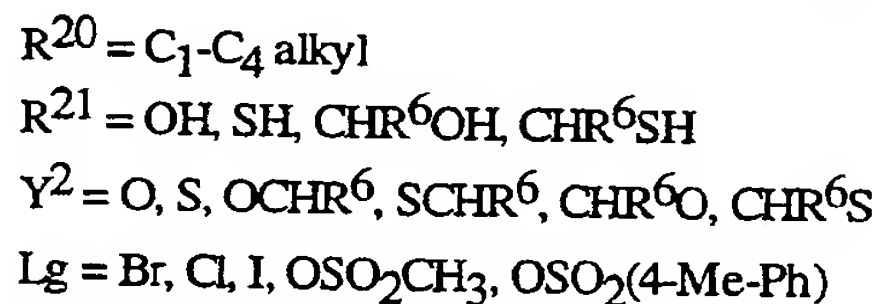
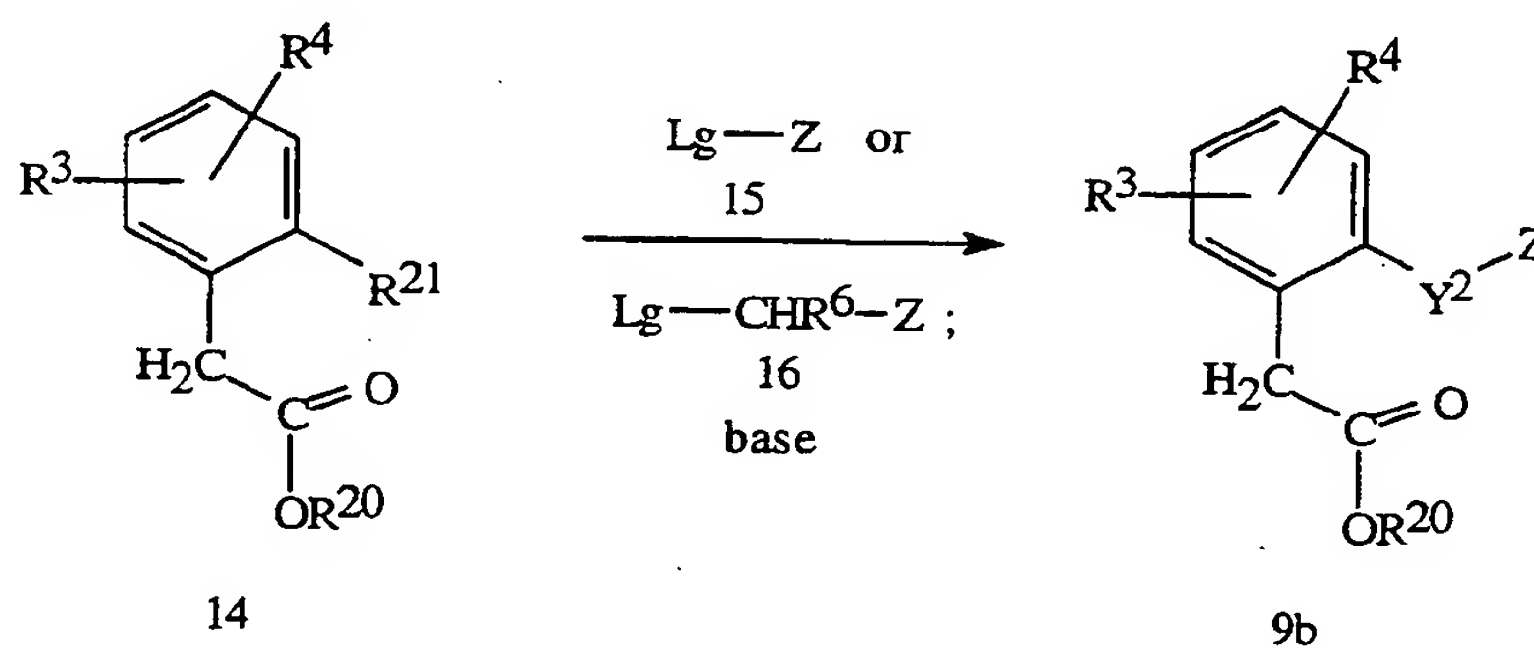
Scheme 5 $\text{R}^{20} = \text{C}_1\text{-C}_4 \text{ alkyl}$

10 Phenyl acetic acid esters of Formula 9a can also be prepared by copper (I)-catalyzed condensation of phenyl halides of Formula 12 with compounds of Formula 13 as described in EP-A-307,103 and illustrated below in Scheme 6.

16

Scheme 6

Some esters of Formula 9 (Formula 9b) can also be prepared by forming the Y^2 bridge using conventional nucleophilic substitution chemistry (Scheme 7). Displacement of an appropriate leaving group (Lg) in electrophiles of Formula 15 or 16 with a nucleophilic ester of Formula 14 affords compounds of Formula 9b. A base, for example sodium hydride, is used to generate the corresponding alkoxide or thioalkoxide of the compound of Formula 14.

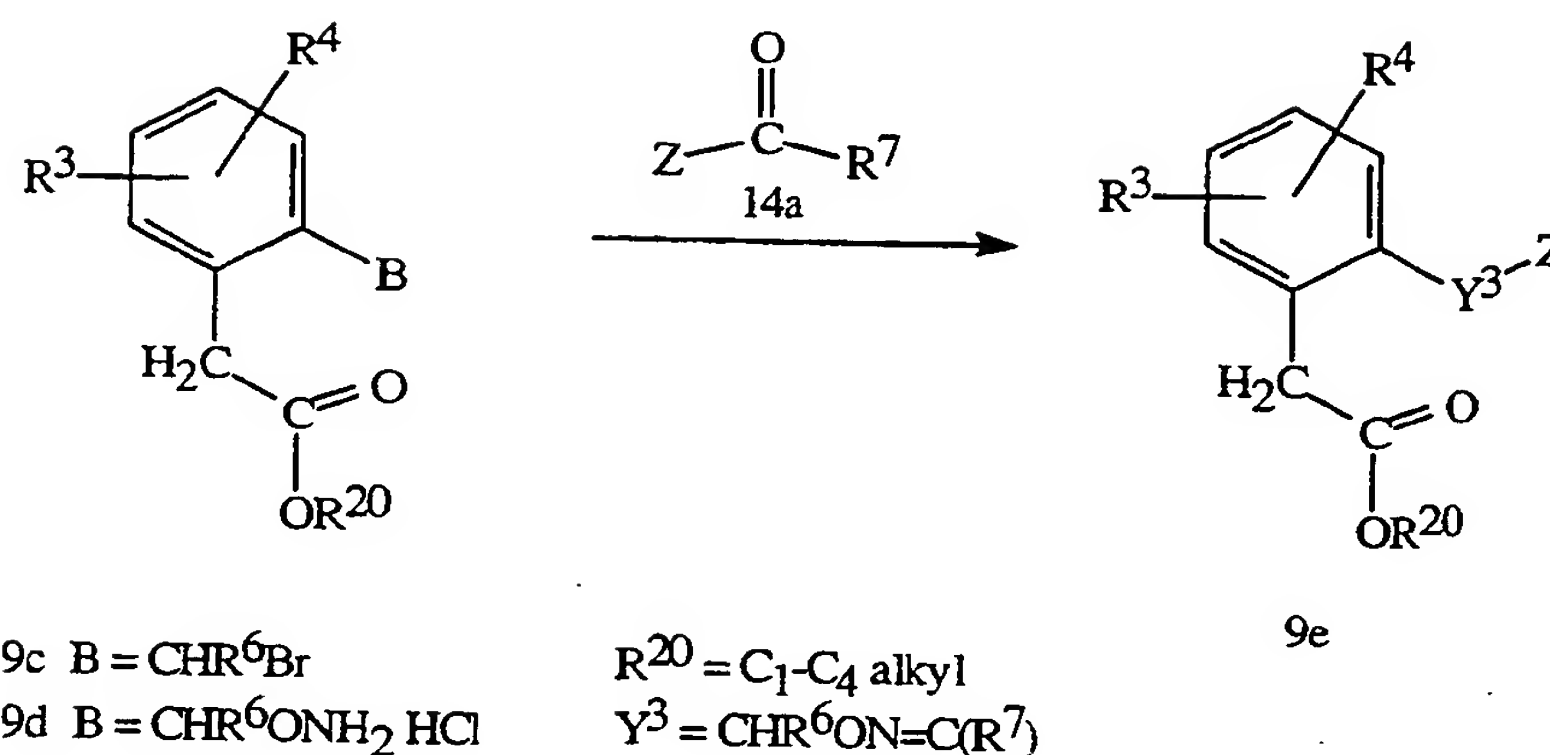
Scheme 7

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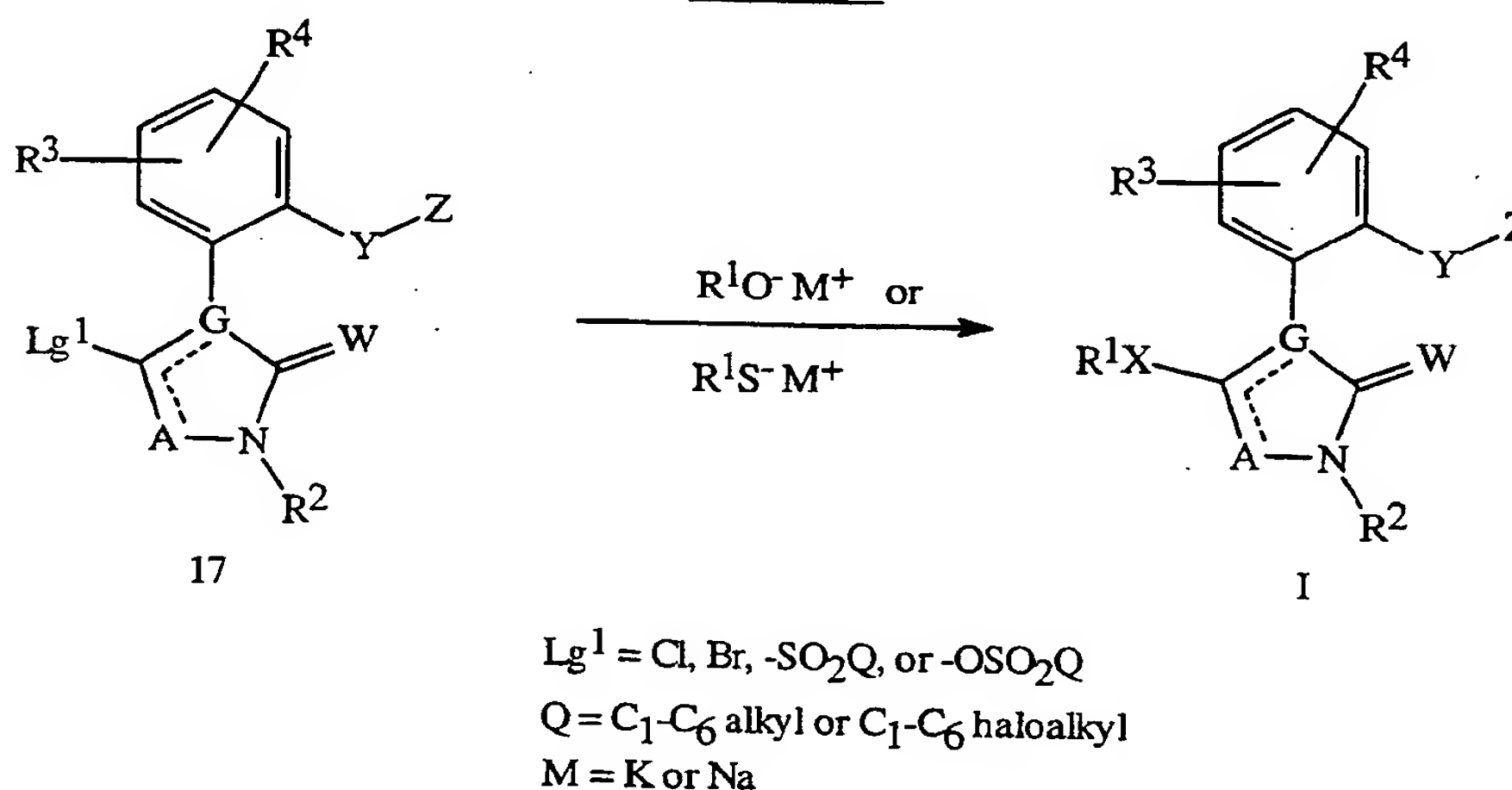
Some esters of Formula 9 (Formula 9e) can also be prepared by forming the Y^3 bridge from substituted hydroxylamine 9d and carbonyl compounds 14a. The hydroxylamine 9d is in turn prepared from esters 9c. This method has been described in EP-600,835 and illustrated in Scheme 8.

15

17

Scheme 82) Displacement and Conjugate Addition/Elimination Procedures

- Compounds of Formula I can also be prepared by reaction of Formula 17 compounds with alkali metal alkoxides ($\text{R}^1\text{O}^-\text{M}^+$) or alkali metal thioalkoxides ($\text{R}^1\text{S}^-\text{M}^+$) in a suitable solvent (Scheme 9). The leaving group Lg^1 in the amides of Formula 17 are any group known in the art to undergo a displacement reaction of this type. Examples of suitable leaving groups include chlorine, bromine, and sulfonyl and sulfonate groups. Examples of suitable inert solvents are dimethylformamide or dimethylsulfoxide.

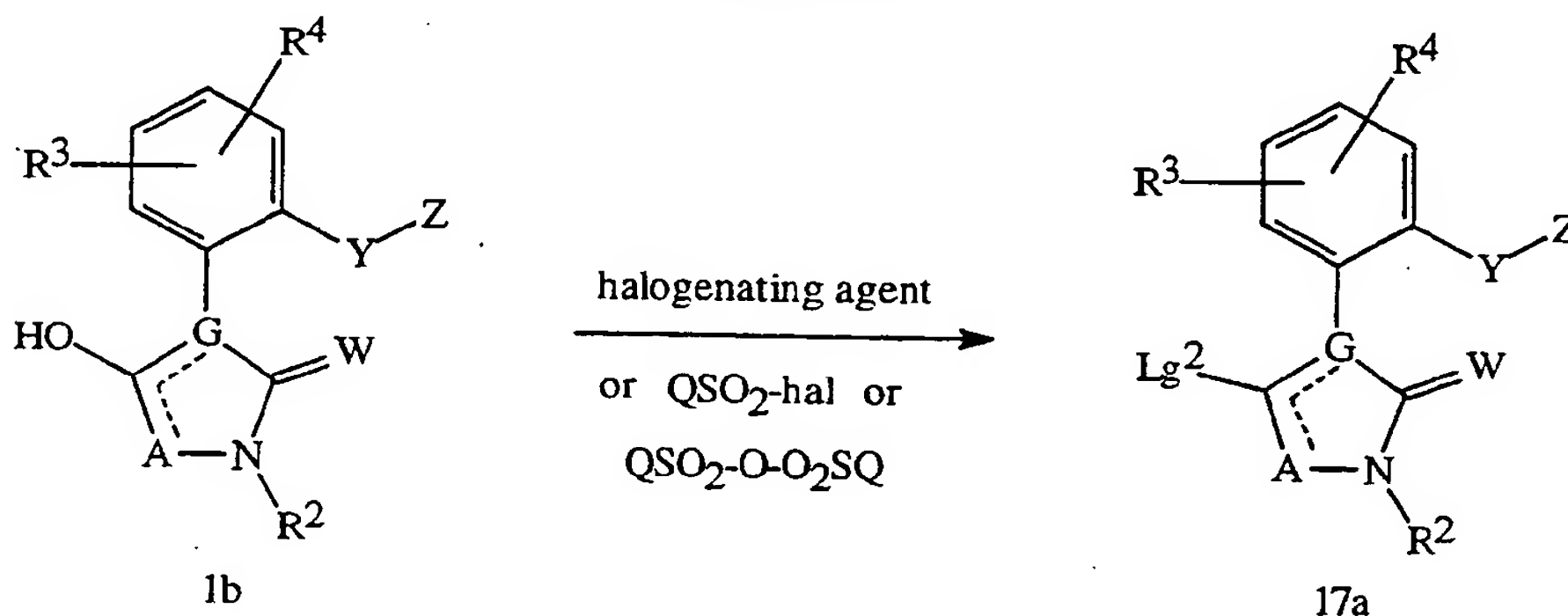
Scheme 9

- Compounds of Formula 17a can be prepared from compounds of Formula 1b (compounds of Formula 1 wherein X is OH) by reaction with halogenating agents such as thionyl chloride or phosphorus oxybromide to form the corresponding β -halo-substituted derivatives (Scheme 10). Alternatively, compounds of Formula 1b can be treated with an alkylsulfonyl halide or haloalkylsulfonyl anhydride, such as

methane sulfonyl chloride, *p*-toluenesulfonyl chloride, and trifluoromethanesulfonyl anhydride, to form the corresponding β -alkylsulfonate of Formula 17a. The reaction with the sulfonyl halides may be performed in the presence of a suitable base (e.g., triethylamine).

5

Scheme 10



$\text{Lg}^2 = \text{Cl, Br, or } -\text{OSO}_2\text{Q}$

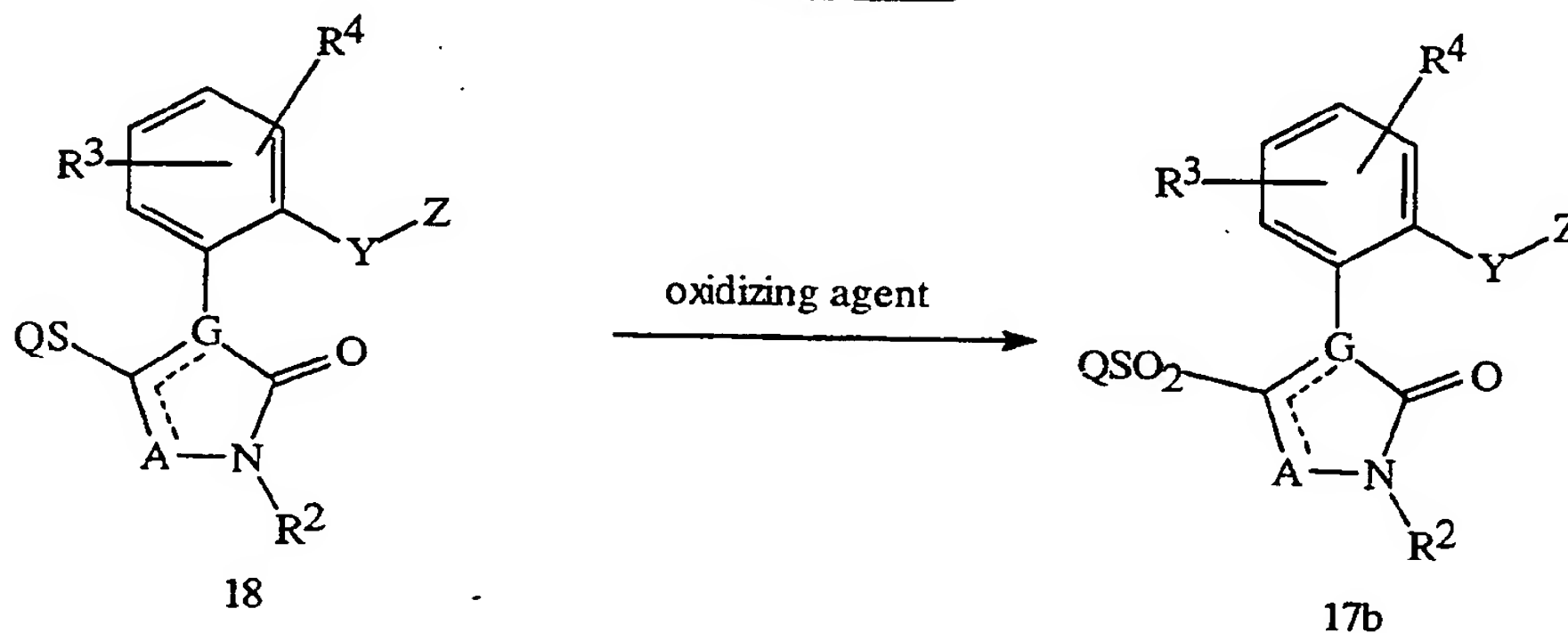
$\text{Q} = \text{C}_1\text{-C}_6 \text{ alkyl or C}_1\text{-C}_6 \text{ haloalkyl}$

$\text{hal} = \text{Br, Cl or F}$

As illustrated in Scheme 11, sulfonyl compounds of Formula 17b can be prepared by oxidation of the corresponding thio compound of Formula 18 using well-known methods for the oxidation of sulfur (see Schrenk, K. In *The Chemistry of Sulphones and Sulphoxides*; Patai, S. et al., Eds.; Wiley: New York, 1988). Suitable oxidizing reagents include meta-chloro-peroxybenzoic acid, hydrogen peroxide and Oxone[®] (KHSO₅).

10

Scheme 11



$\text{Q} = \text{C}_1\text{-C}_6 \text{ alkyl or C}_1\text{-C}_6 \text{ haloalkyl}$

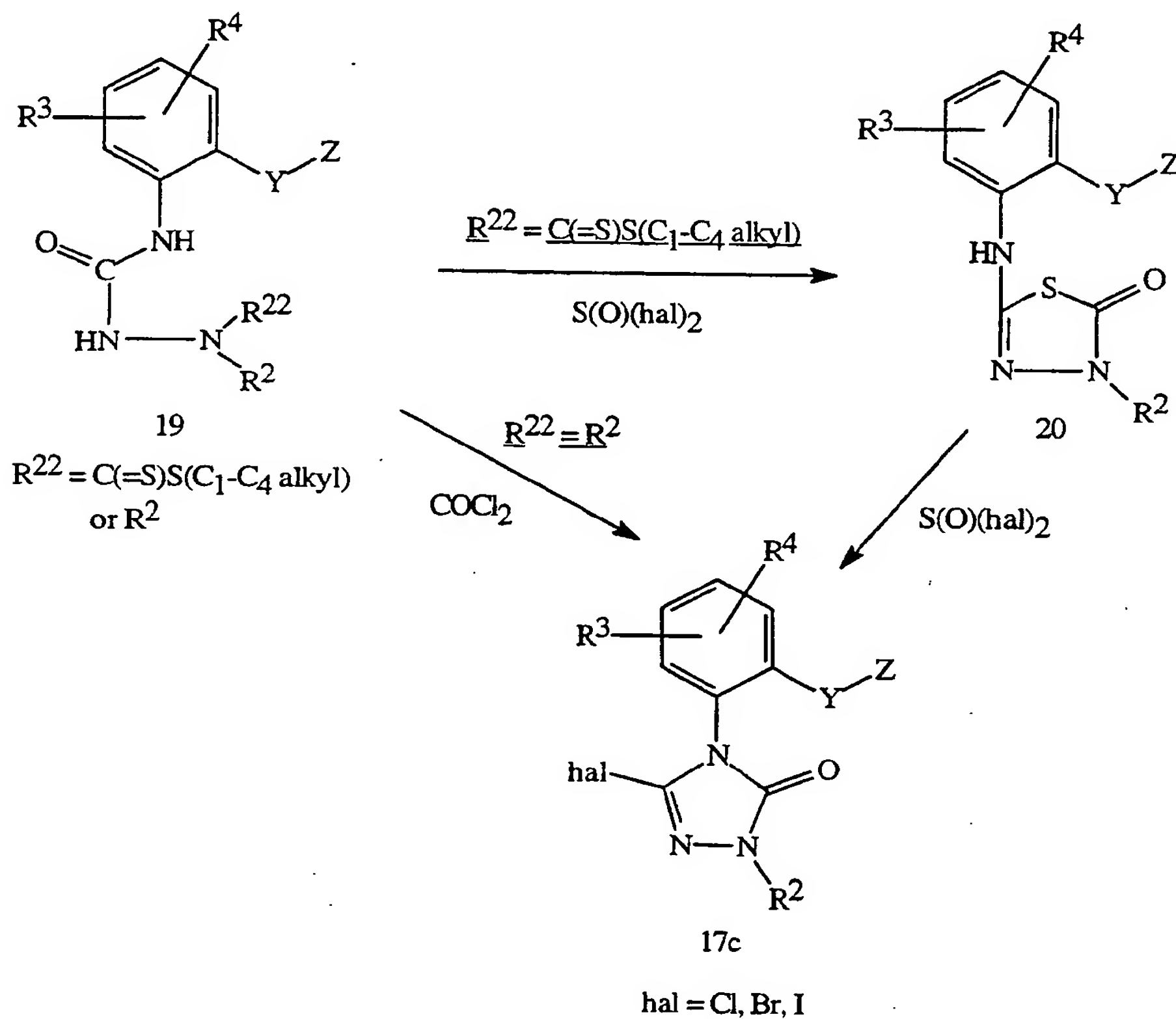
Alternatively, halo-compounds of Formula 17c (compounds of Formula 17a wherein $\text{A} = \text{N}$, $\text{G} = \text{N}$, and $\text{W} = \text{O}$) can be prepared from hydrazides of Formula 19 as illustrated in Scheme 12. When $\text{R}^{22} = \text{C}(=\text{S})\text{S}(\text{C}_1\text{-C}_4 \text{ alkyl})$, the diacyl compound of Formula 19 is treated with excess thionyl halide, for example excess thionyl chloride.

15

The product formed first is the ring-closed compound of Formula 20 which can be isolated or converted *in situ* to the compound of Formula 17c; see P. Molina, A. Tárraga, A. Espinosa, *Synthesis*, (1989), 923 for a description of this process.

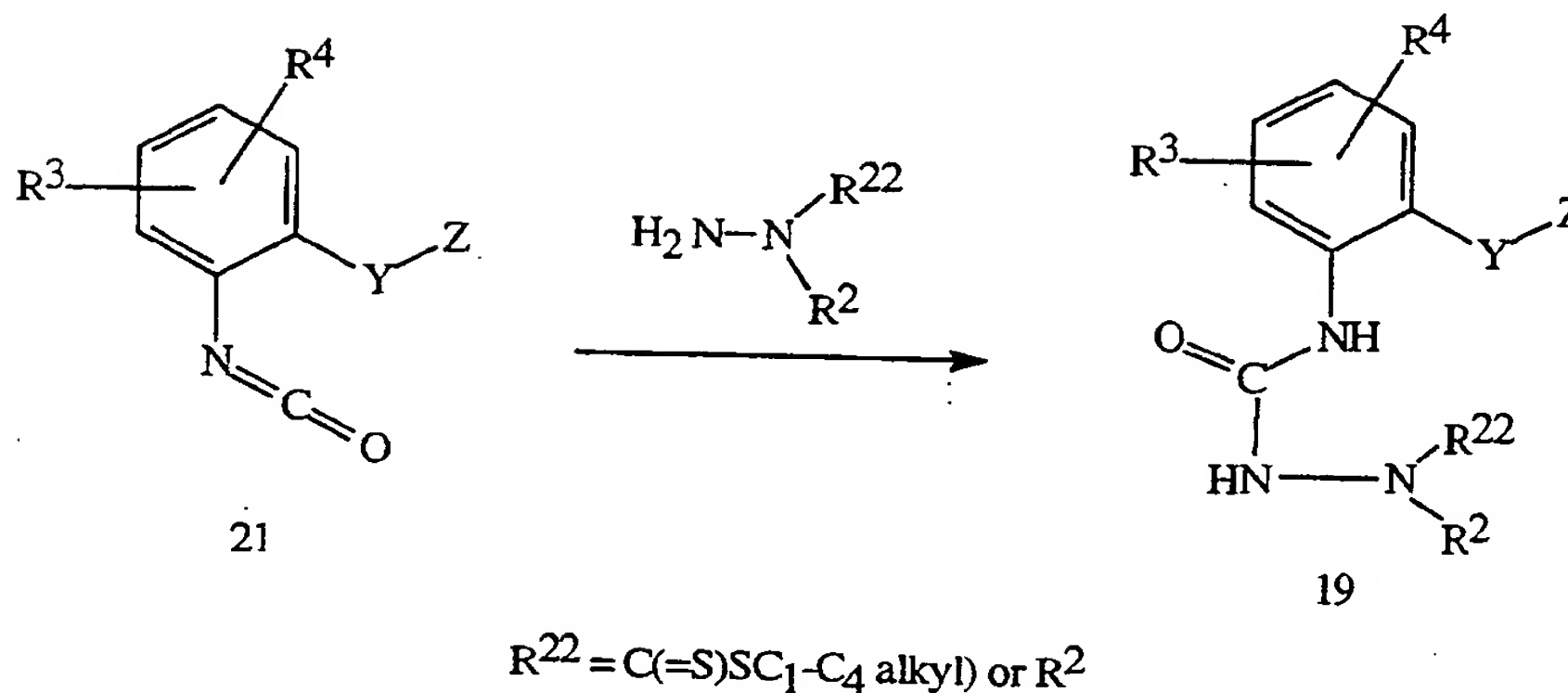
Alternatively, when $R^{22} = R^2$ as defined above, the hydrazide of Formula 19 is cyclized with phosgene to form the cyclic urea of Formula 17c wherein $\text{hal} = \text{Cl}$. This procedure is described in detail in *J. Org. Chem.*, (1989), 54, 1048.

Scheme 12



10 The hydrazides of Formula 19 can be prepared as illustrated in Scheme 13. Condensation of the isocyanate of Formula 21 with the hydrazine of Formula $\text{H}_2\text{NNR}^2\text{R}^{22}$ in an inert solvent such as tetrahydrofuran affords the hydrazide.

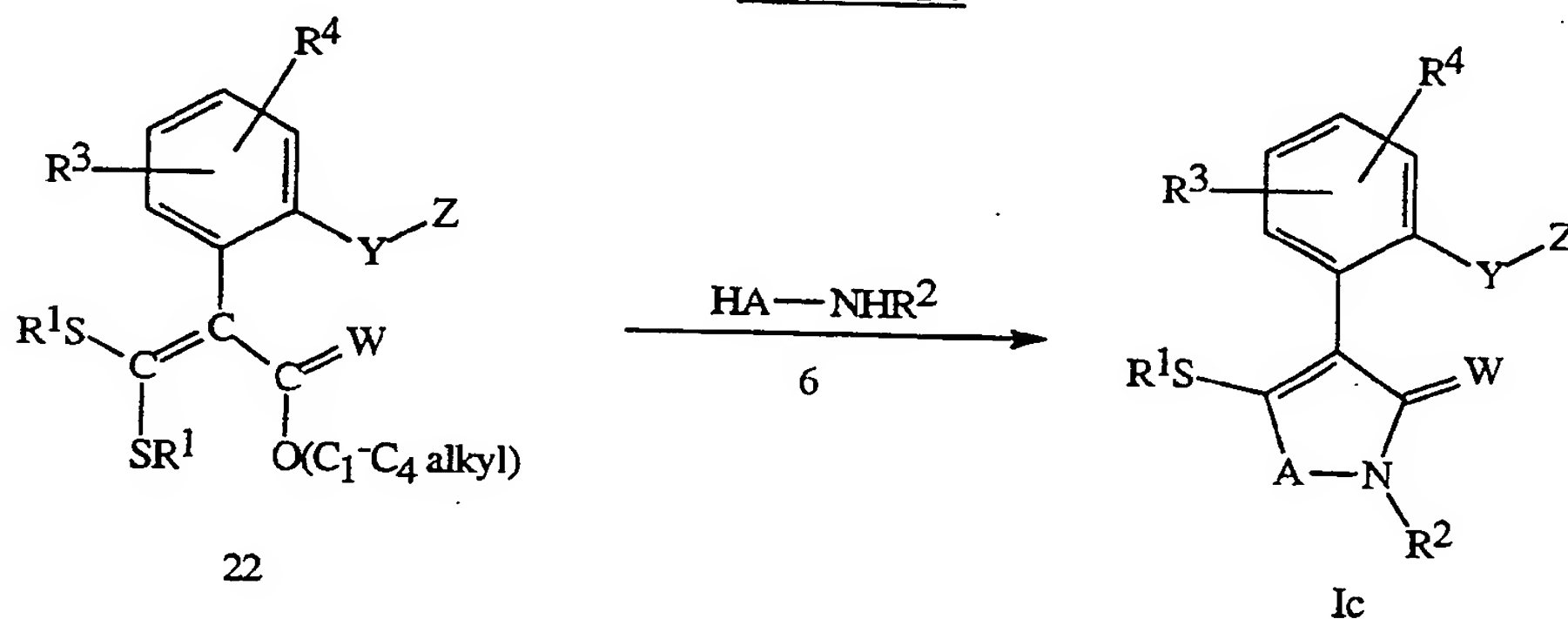
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Scheme 13



3) Conjugate Addition/Cyclization Procedures

- In addition to the methods disclosed above, compounds of Formula I wherein
 5 X = SR^1 and G = C (Formula Ic) can be prepared by treating a ketenedithioacetal of Formula 22 with an ambident nucleophile of Formula 6 (Scheme 14). The nucleophiles of Formula 6 are described above.

Scheme 14

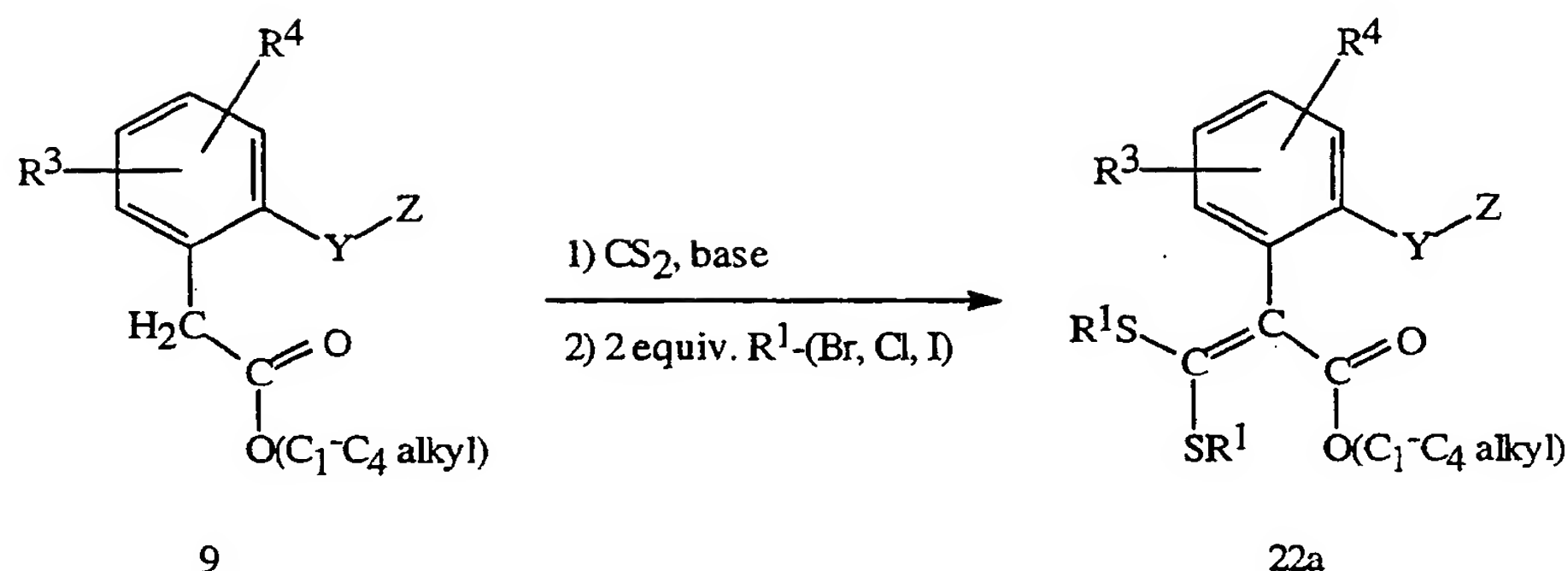


10

Ketene dithioacetals of Formula 22a can be prepared by condensing phenyl acetic acid esters of Formula 9 with carbon disulfide in the presence of a suitable base, followed by reaction with two equivalents of an R^1 -halide, such as iodomethane or propargyl bromide (Scheme 15).

21

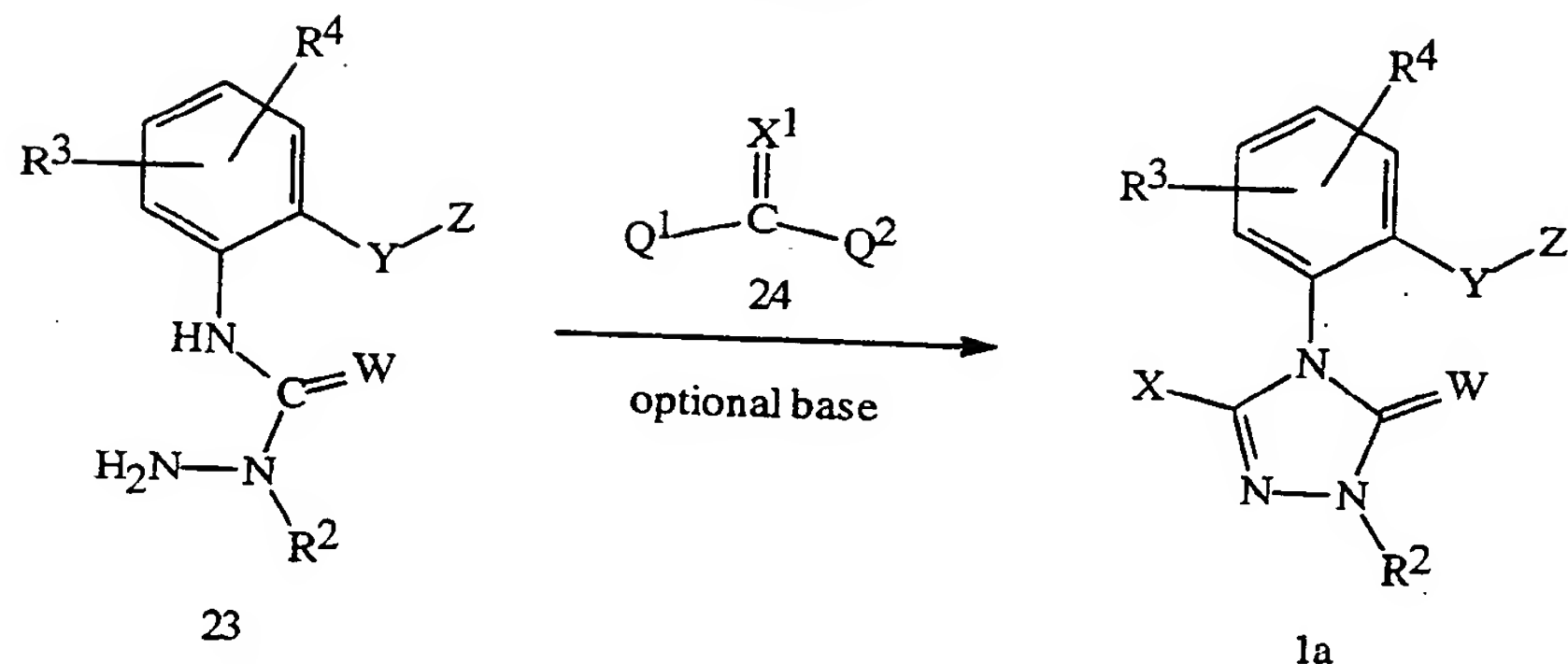
Scheme 15



- Compounds of Formula 1a (compounds of Formula 1 wherein A = N, G = N) can be prepared by condensation of *N*-amino-ureas of Formula 23 with a carbonylating agent of Formula 24 (Scheme 16). The carbonylating agents of Formula 24 are carbonyl or thiocarbonyl transfer reagents such as phosgene, thiophosgene, diphosgene (ClC(=O)OCCL₃), triphosgene (Cl₃COC(=O)OCCL₃), *N,N'*-carbonyldiimidazole, *N,N'*-thiocarbonyldiimidazole, and 1,1'-carbonyldi(1,2,4-triazole). Alternatively, the compounds of Formula 24 can be alkyl chloroformates or dialkyl carbonates. Some of these carbonylating reactions may require the addition of a base to effect reaction.
- Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), or triethylenediamine. Suitable solvents include polar aprotic solvents such as acetonitrile, dimethylformamide, or dimethylsulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; or halocarbons such as dichloromethane or chloroform. The reaction temperature can vary between 0°C and 150°C and the reaction time can be from 1 to 72 hours depending on the choice of base, solvent, temperature, and substrates.

22

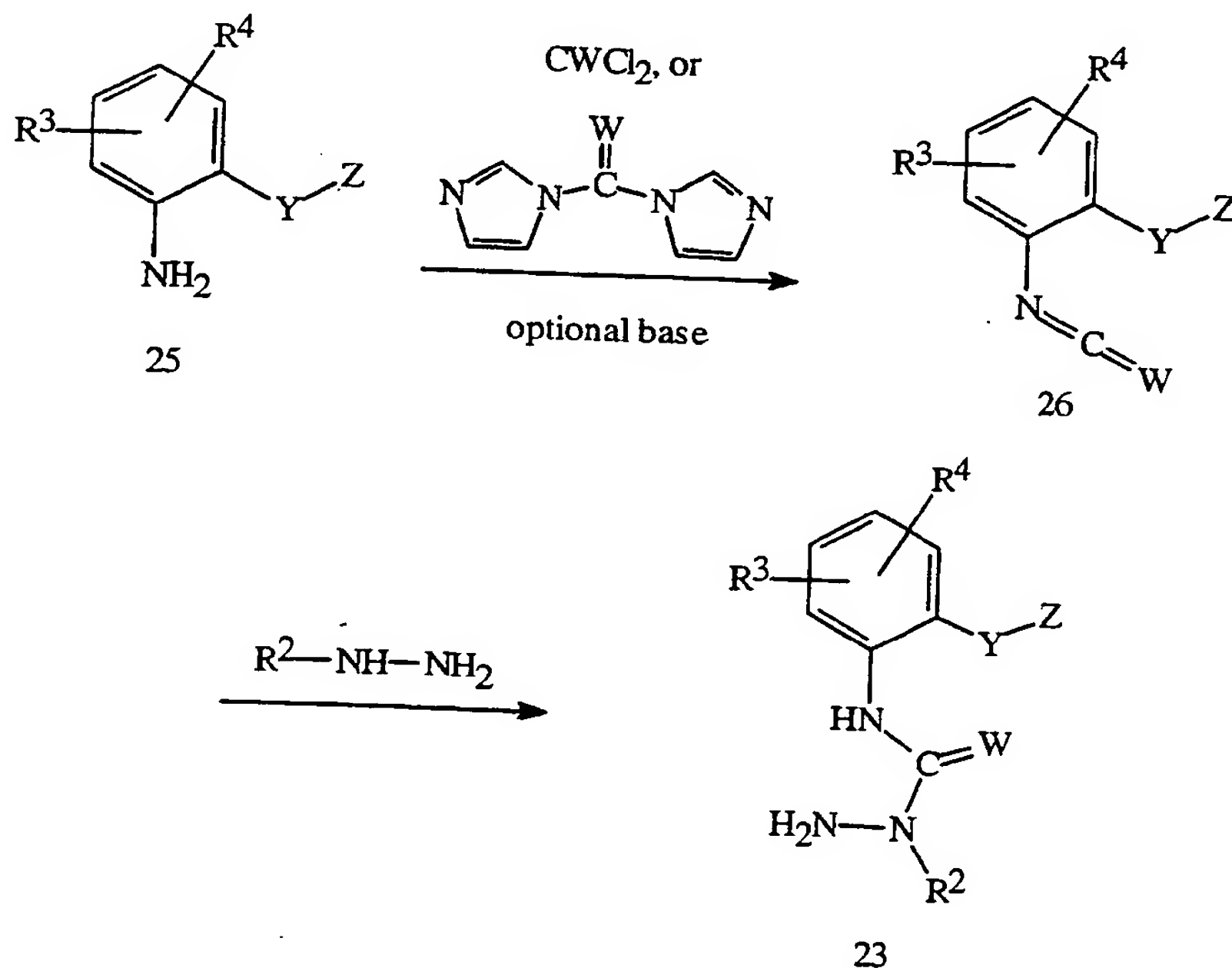
Scheme 16



Q¹ and Q² are independently Cl, OCCl₃, O(C₁-C₄ alkyl), 1-imidazolyl, 1,2,4-triazolyl
 X = OH or SH
 X¹ = O or S

- N*-Amino-ureas of Formula 23 can be prepared as illustrated in Scheme 17. Treatment of an aniline of Formula 25 with phosgene, thiophosgene, *N,N'*-carbonyldiimidazole, or *N,N'*-thiocarbonyldiimidazole produces the isocyanate or isothiocyanate of Formula 26. A base can be added for reactions with phosgene or thiophosgene. Subsequent treatment of the iso(thio)cyanate with an R²-substituted hydrazine produces the *N*-amino-urea of Formula 23.

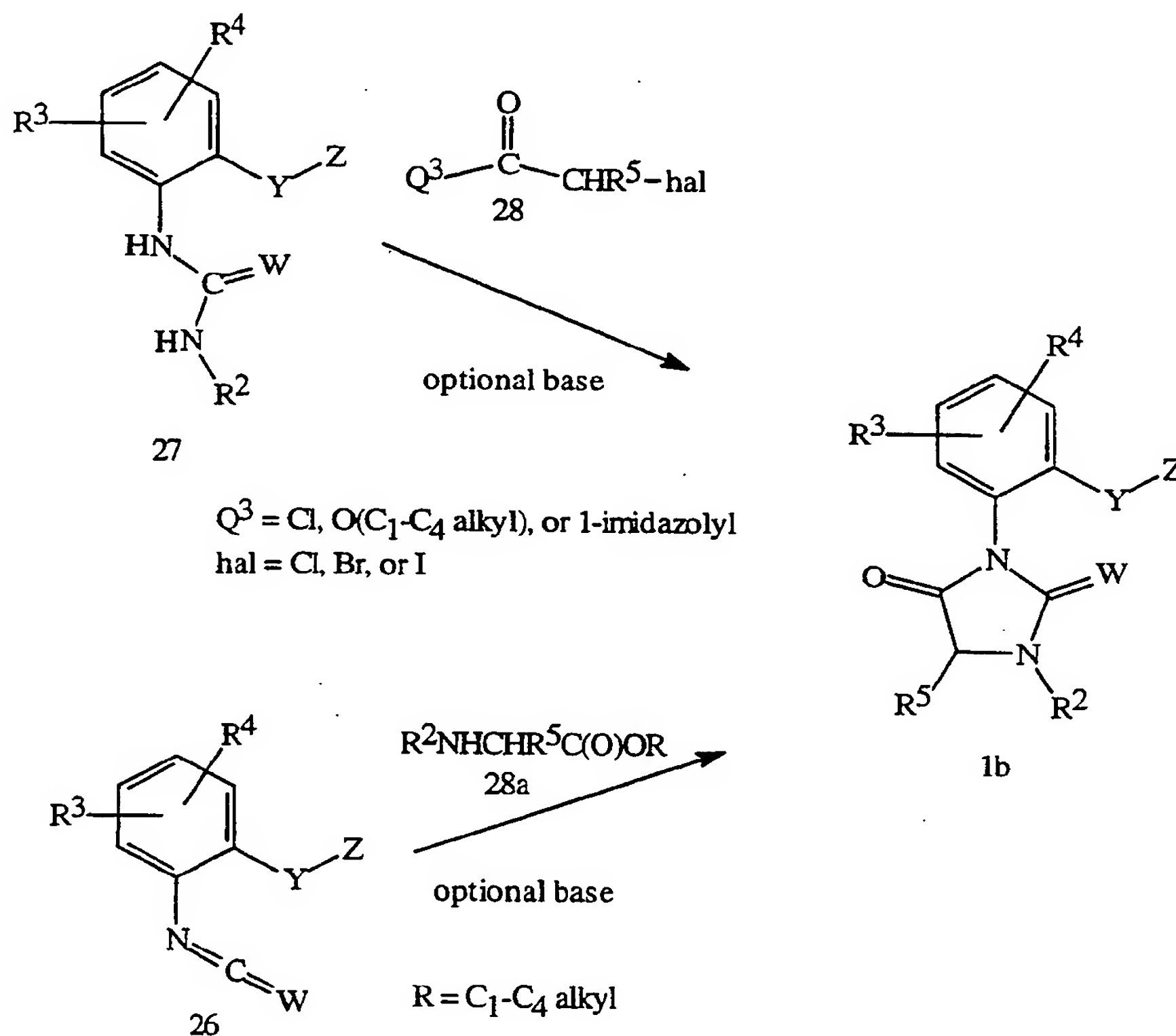
Scheme 17



Compounds of Formula 1b (compounds of Formula 1 wherein A = CR⁵, G = N, and X = O) can be prepared by either method illustrated in Scheme 18. Ureas of Formula 27 are reacted with activated 2-halocarboxylic acid derivatives such as 2-halocarboxylic acid chlorides, 2-halocarboxylic acid esters or 2-haloacyl imidazoles.

- 5 The initial acylation on the aniline nitrogen is followed by an intramolecular displacement of the 2-halo group to effect cyclization. Base may be added to accelerate the acylation and/or the subsequent cyclization. Suitable bases include triethylamine and sodium hydride. Alternatively, Formula 1b compounds can be prepared by reaction of Formula 26 isocyanates with Formula 28a esters. As described above, base may be added to
- 10 accelerate the reaction and subsequent cyclization to Formula 1b compounds.

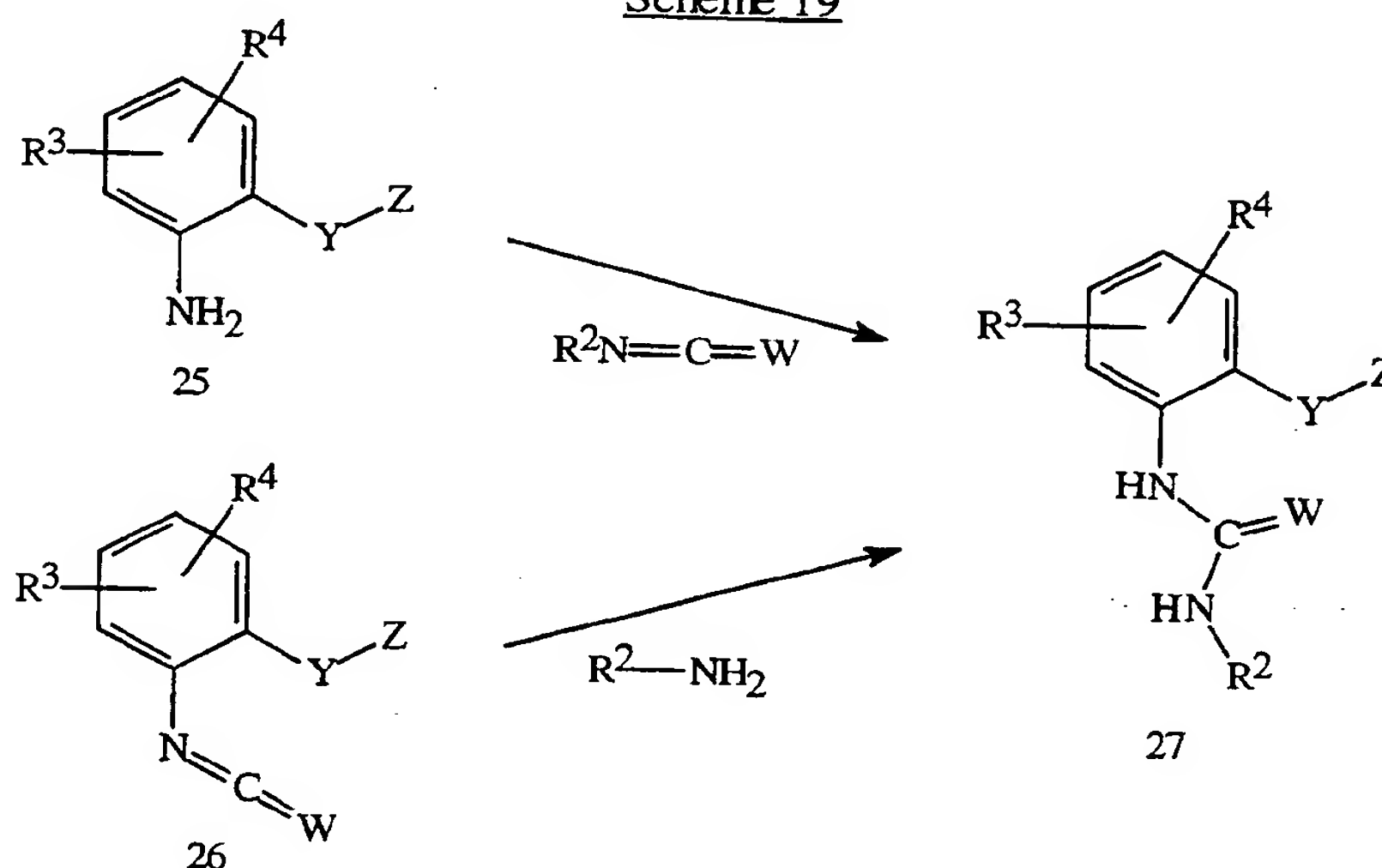
Scheme 18



- 15 The ureas of Formula 27 can be prepared by either of the methods illustrated in Scheme 19. The anilines of Formula 25 can be contacted with an isocyanate or isothiocyanate of Formula R²N=C=W as described above. Alternatively, an isocyanate or isothiocyanate of Formula 26 can be condensed with an amine of Formula R²-NH₂ to form the urea. The anilines and iso(thio)cyanates of Formulae 25 and 26, respectively, are commercially available or prepared by well-known methods. For example, isothiocyanates can be prepared by methods described in *J. Heterocycl. Chem.*, (1990),

27, 407. Isocyanates can be prepared as described in March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 944, 1166.

Scheme 19



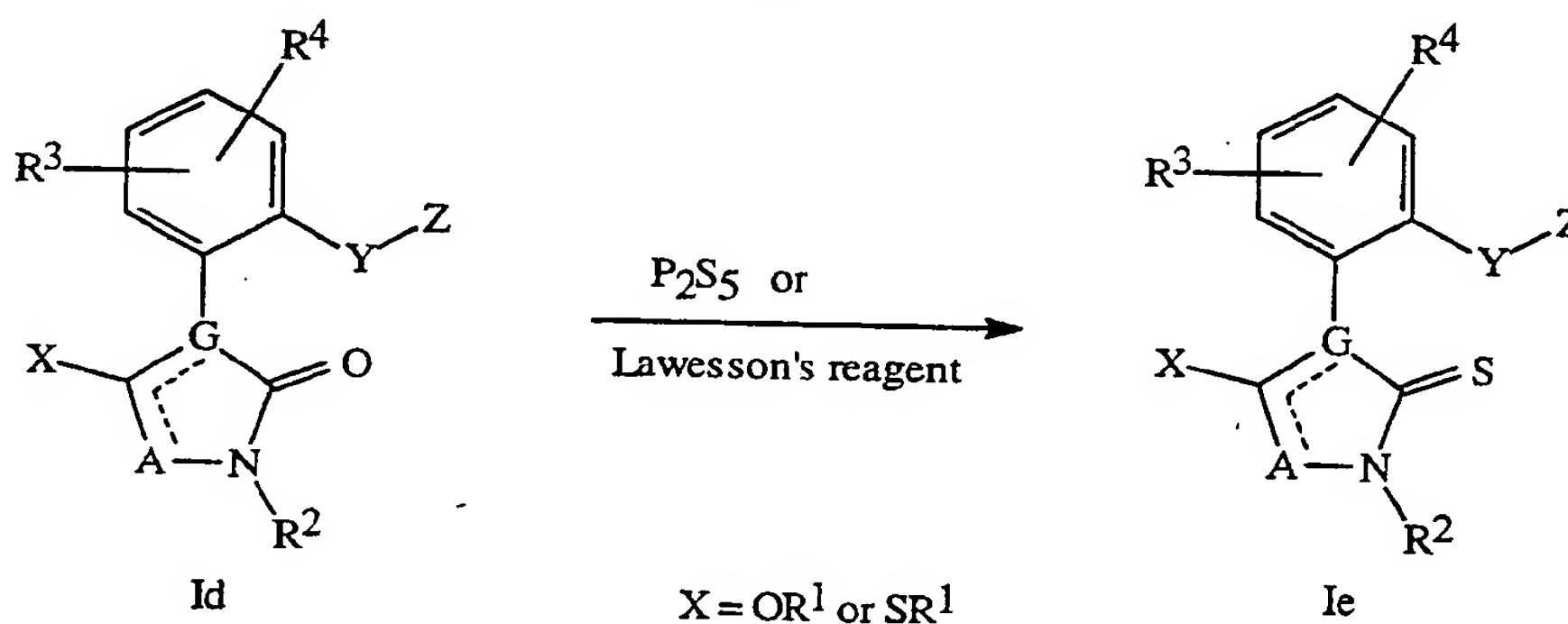
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4) Thionation Procedures

Compounds of Formula Ie, compounds of Formula I wherein W = S, can be prepared by treating compounds of Formula Id (I wherein W = O) with thionating reagents such as P₂S₅ or Lawesson's reagent [2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide] as illustrated in Scheme 20 (see *Bull. Soc. Chim. Belg.*, (1978), 87, 229; and *Tetrahedron Lett.*, (1983), 24, 3815).

10

Scheme 20

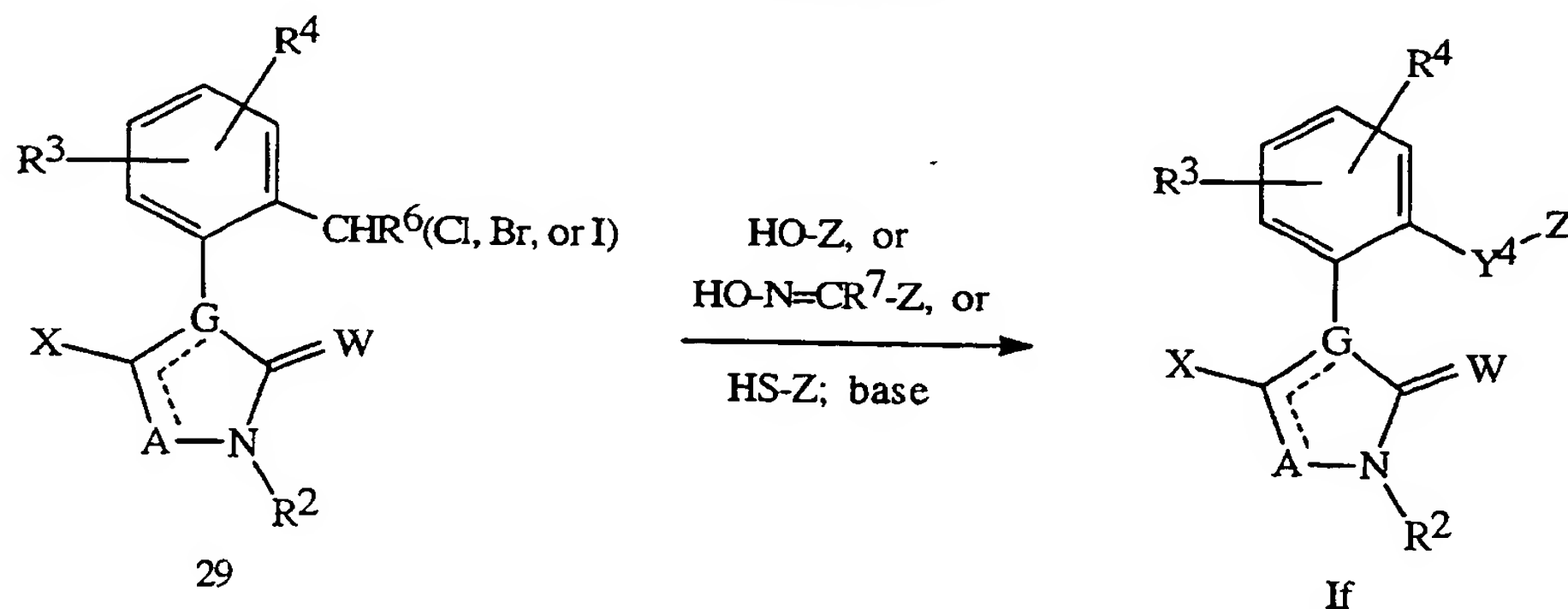


15 5) Aryl Moiety Synthesis Procedures

Compounds of Formula If (compounds of Formula I wherein Y is CHR⁶O, CHR⁶S, or CHR⁶O-N=CR⁷) can be prepared by contacting benzyl halides of Formula 29

with various nucleophiles (Scheme 21). The appropriate alcohol or thiol is treated with a base, for example sodium hydride, to form the corresponding alkoxide or thioalkoxide which acts as the nucleophile.

Scheme 21



5



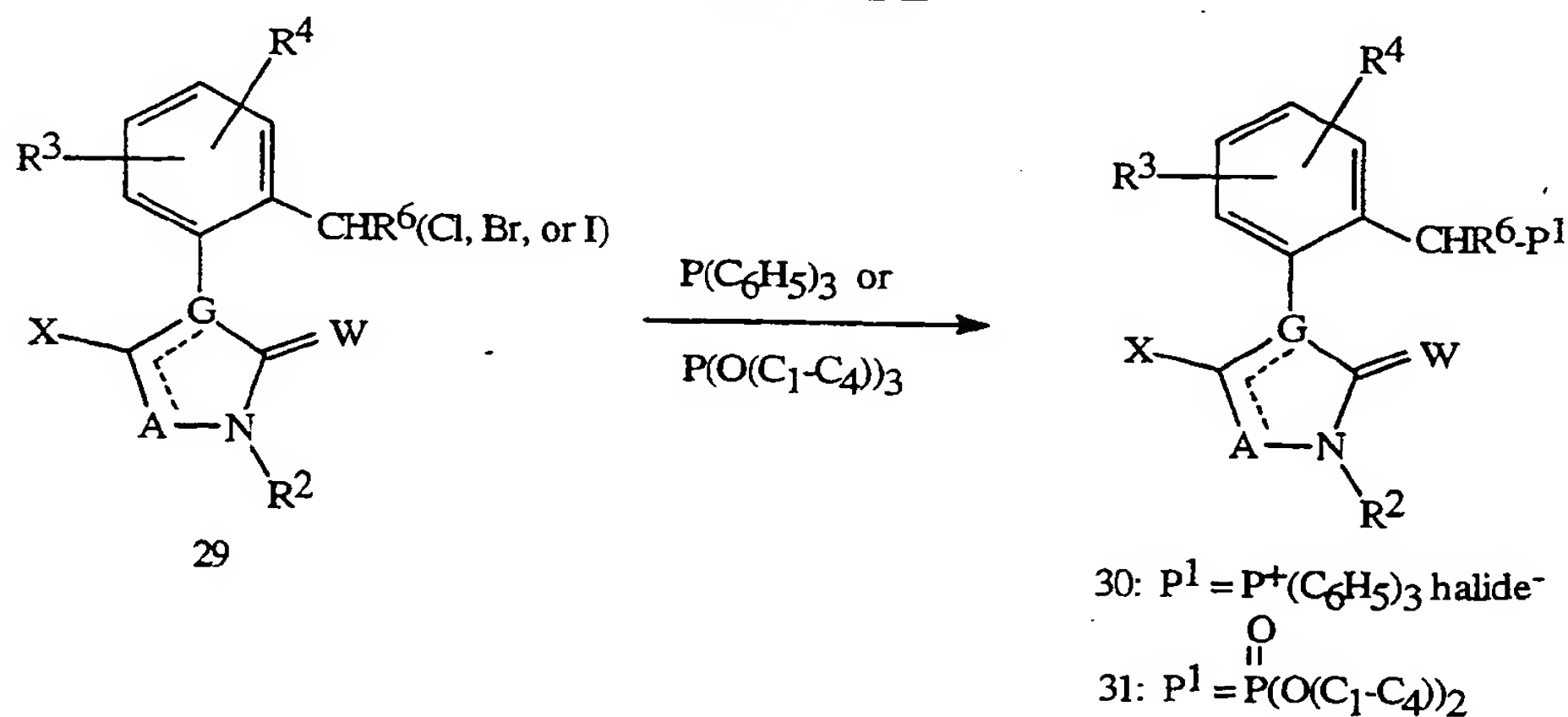
Benzyl halides of Formula 29 can be prepared by radical halogenation of the corresponding alkyl compound (i.e., H instead of halogen in Formula 29), or by acidic cleavage of the corresponding methylether (i.e., OMe instead of halogen in Formula 29).

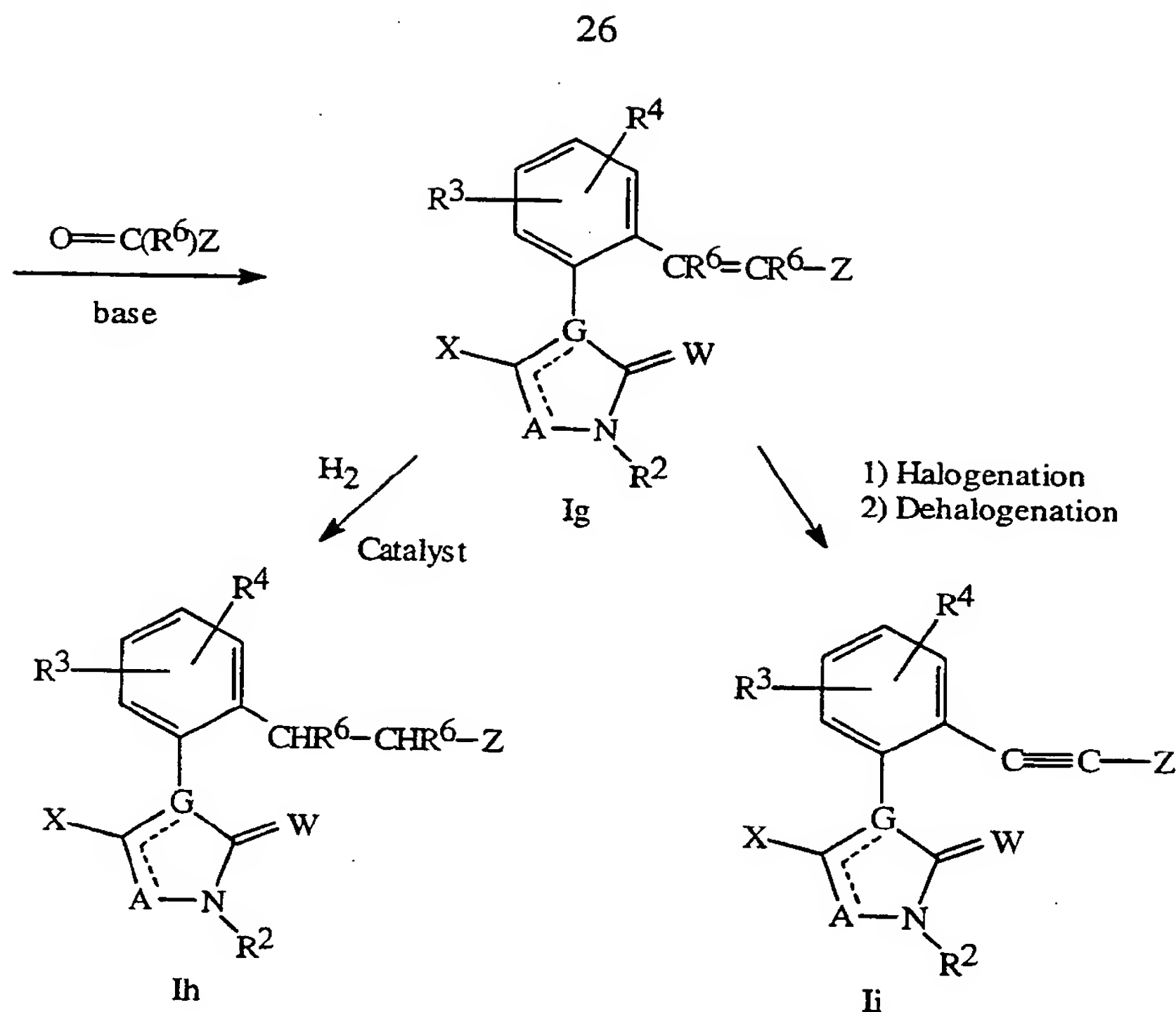
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Compounds of Formula I wherein Y is CR⁶=CR⁶ and CHR⁶-CHR⁶ (Formula Ig and Ih, respectively) can be prepared as illustrated in Scheme 22. Treatment of the benzyl halides of Formula 29 with triphenylphosphine or a trialkylphosphite produces the corresponding phosphonium salt (Formula 30) or phosphonate (Formula 31), respectively. Condensation of the phosphorus compound with a base and a carbonyl compound of Formula Z(R⁶)C=O affords the olefin of Formula Ig.

15

Scheme 22





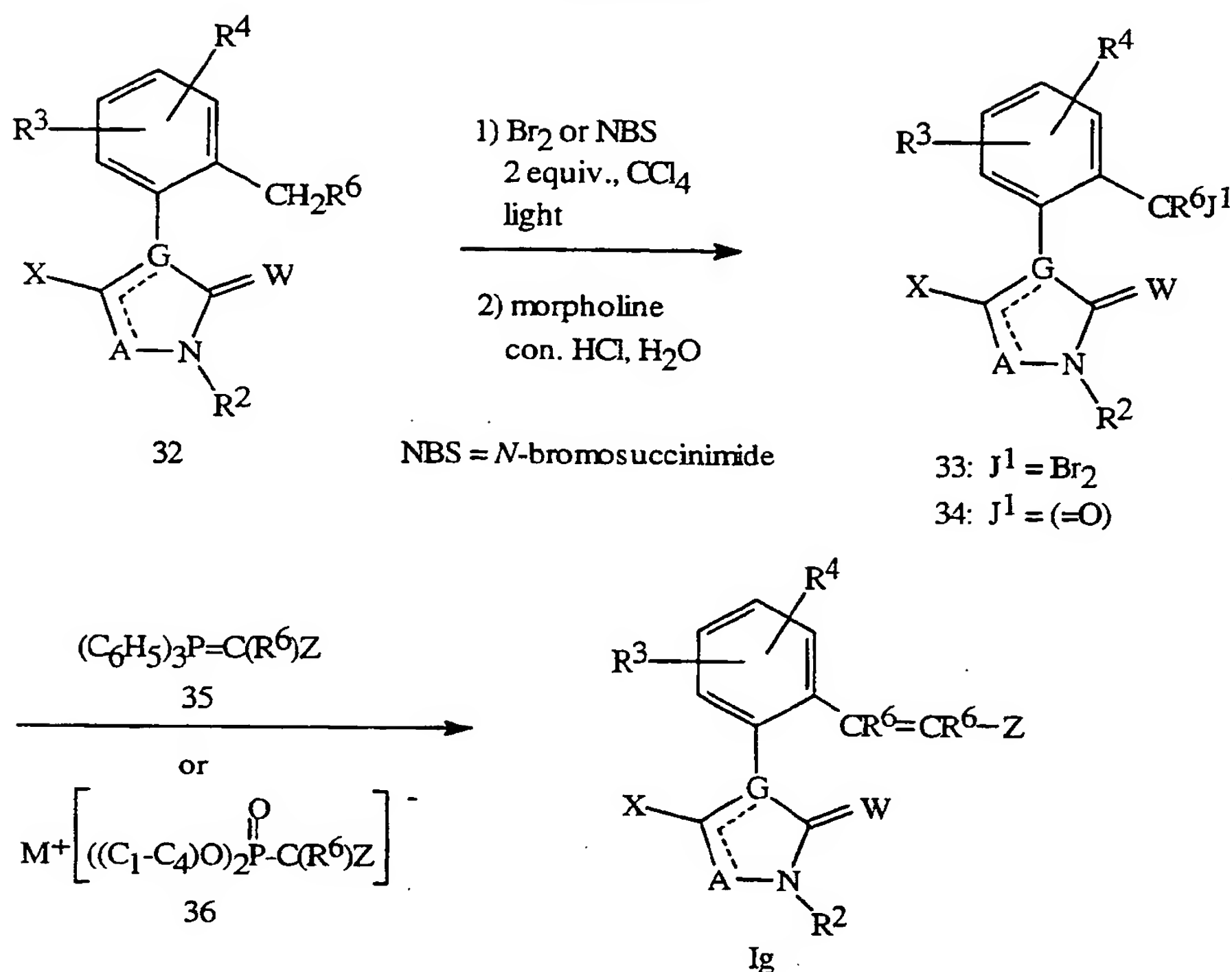
The olefins of Formula Ig can be converted to the saturated compounds of Formula Ih by hydrogenation over a metal catalyst such palladium on carbon as is well-known in the art (Rylander, *Catalytic Hydrogenation in Organic Synthesis*; Academic: New York, 1979).

Formula Li alkynes can be prepared by halogenation/dehalogenation of Formula Ig olefins using procedures well-known in the art (March, *J. Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 924). Additionally, Formula Li alkynes can be prepared by well-known reaction of aromatic halides with alkyne derivatives in the presence of catalysts such as nickel or palladium (see *J. Organomet. Chem.*, (1975), 93 253-257).

The olefin of Formula Ig can also be prepared by reversing the reactivity of the reactants in the Wittig or Horner-Emmons condensation. For example, 2-alkylphenyl derivatives of Formula 31 can be converted into the corresponding dibromo-compound of Formula 33 as illustrated in Scheme 23 (see *Synthesis*, (1988), 330). The dibromo-compound can be hydrolyzed to the carbonyl compound of Formula 34, which in turn can be condensed with a phosphorus-containing nucleophile of Formula 35 or 36 to afford the olefin of Formula Ig.

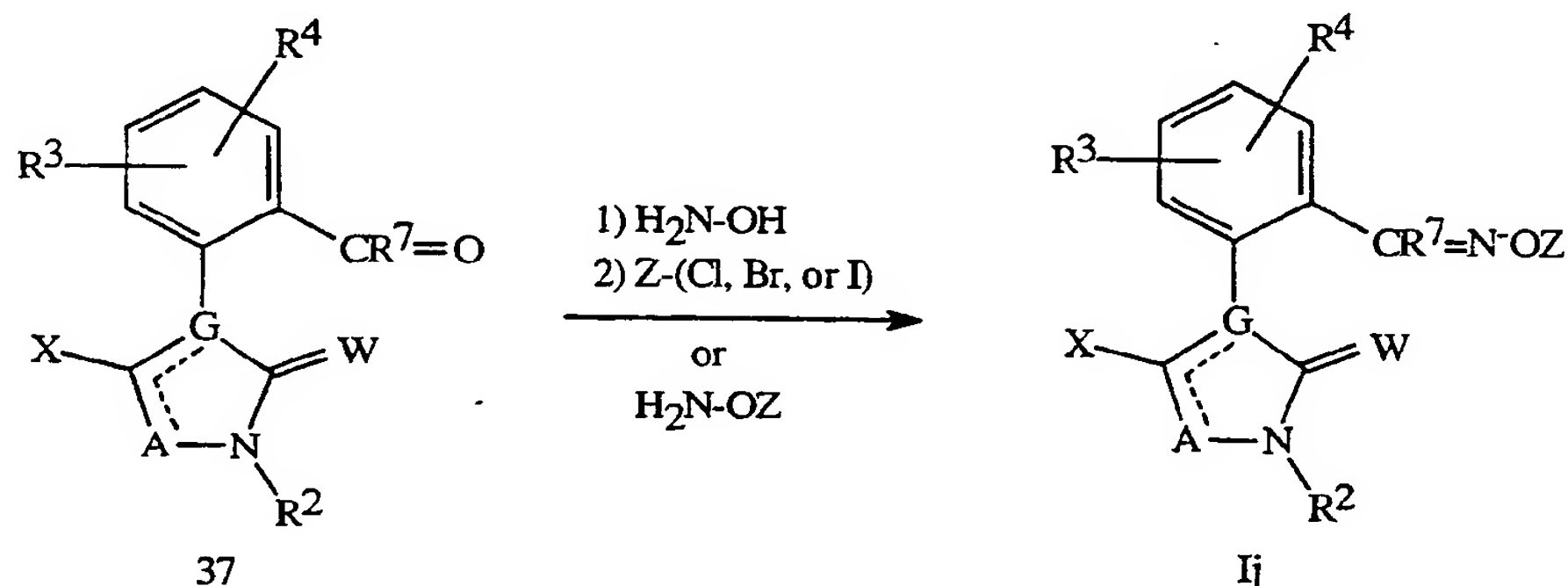
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Scheme 23



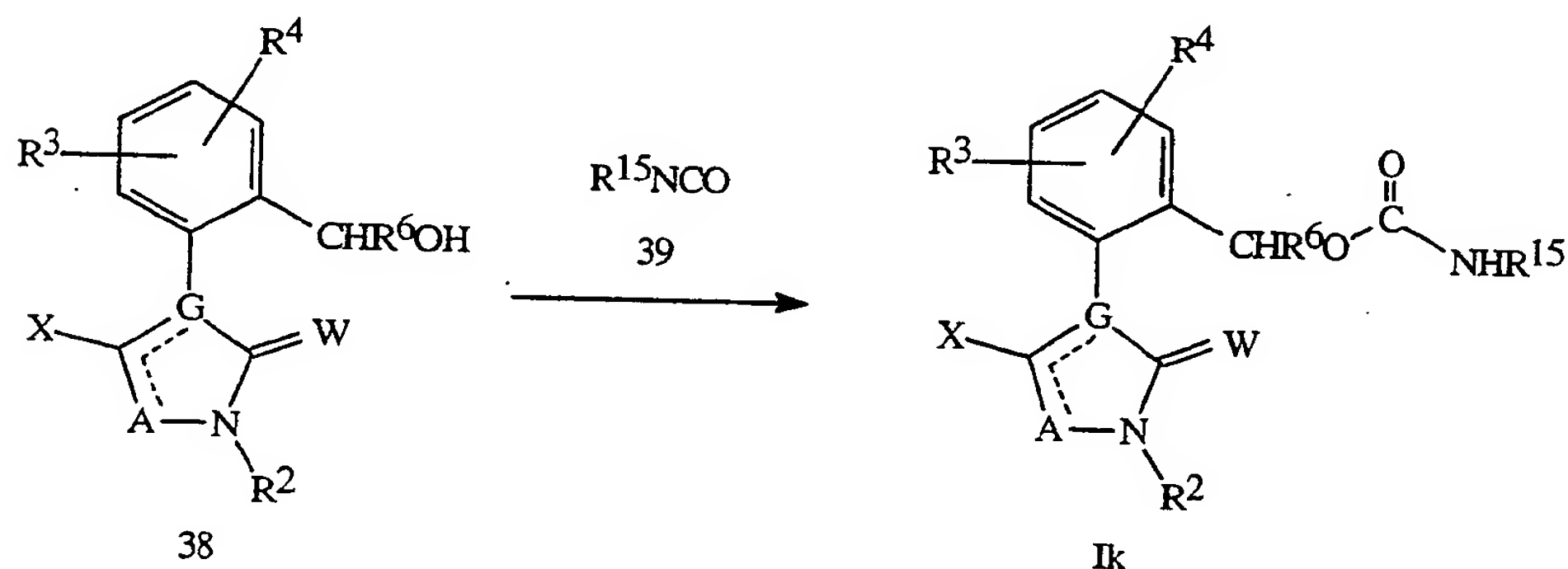
Oximes of Formula Ij (Formula I wherein Y is C(R⁷)=N-O) can be prepared from carbonyl compounds of Formula 37 by condensation with hydroxylamine, followed by *O*-alkylation with electrophiles of Formula Z-(Cl, Br, or I) (Scheme 24). Alternatively, the *O*-substituted hydroxylamine can be condensed with the carbonyl compound of Formula 37 to yield oximes of Formula Ij directly.

Scheme 24



Carbamates of Formula Ik can be prepared by reacting benzyl alcohols of Formula 38 with isocyanates of Formula 39 (Scheme 25). A base such as triethylamine can be added to catalyze the reaction.

Scheme 25



5

The following Examples are representative of the production of the novel cyclic amides of Formula I. ¹H NMR Spectra are reported in ppm downfield from tetramethylsilane; s = singlet, d = doublet, t = triplet, dt = doublet of triplets, td = triplet of doublets, m = multiplet.

10

EXAMPLE 1

Step A: Preparation of Methyl 2-(3-methoxyphenoxy)phenylacetate

(2-Chlorophenyl)acetic acid (60 g), 3-methoxyphenol (87 g), potassium carbonate (97.2 g) and copper (I) chloride (0.6 g) were combined and mechanically stirred to give a thick brown suspension. The suspension was heated for 4.5 h, then cooled to 70°C and 10 mL of *N,N*-dimethylformamide was added. The mixture was poured into ice water and acidified with concentrated aqueous HCl. The mixture was extracted with diethyl ether and the combined extracts were washed with water (4 times) dried (MgSO₄), filtered and concentrated under reduced pressure to provide 122 g of an oil. The crude material was dissolved in 73 mL of methanol and then 2.1 mL of concentrated sulfuric acid was added. The mixture was heated at reflux for 4 h. The mixture was poured into ice water and extracted with diethyl ether. The combined organic phases were washed with 10% aqueous NaOH solution (2 times), then water (4 times), then brine. The organic phase was dried (MgSO₄), filtered and concentrated under reduced pressure to yield 46.4 g (48%) of the title material of Step A as a reddish oil. ¹H NMR (CDCl₃): δ 6.45-7.4 (m, 8H), 3.76 (s, 3H), 3.69 (s, 2H), 3.62 (s, 3H).

20

25

Step B: Preparation of Dimethyl [2-(3-methoxyphenoxy)phenyl]propanedioate

Methyl 2-(3-methoxyphenoxy)phenylacetate (6.81 g) was dissolved in 11 mL of dimethyl carbonate and 600 mg of sodium was added. The mixture was heated at reflux

for 10 h, then cooled. The reaction mixture was quenched with water, acidified with concentrated aqueous HCl and extracted with dichloromethane. The combined organic extracts were dried (MgSO₄), filtered and concentrated under reduced pressure to give an oil. The desired material was separated from unreacted starting material by flash chromatography (4:1 hexane: ethyl acetate as eluant) to yield after concentration, 3.54 g (43%) of the title compound of Step B. ¹H NMR (CDCl₃): δ 7.46 (dd, J=1.5, 7.5 Hz, 1H), 7.29 (t, J=8 Hz, 1H), 7.2 (m, 2H), 6.92 (d, J=8 Hz, 1H), 6.65 (td, J=1.5, 7.5 Hz, 1H), 6.5 (m, 2H), 5.14 (s, 1H), 3.77 (s, 3H), 3.73 (s, 6H).

Step C: Preparation of 5-Hydroxy-4-[2-(3-methoxyphenoxy)phenyl]-2-methyl-3(2H)-isoxazolone

N-Methylhydroxylamine hydrochloride (2.79 g) was dissolved in 20 mL of methanol at reflux. The solution was cooled and treated with a solution of 3.76 g potassium hydroxide in 15 mL of methanol. The precipitated potassium chloride was removed by filtration and a solution of 3.54 g of dimethyl [2-(3-methoxyphenoxy)-phenyl]propanedioate in 25 mL of methanol was added dropwise. The mixture was stirred at room temperature overnight. The reaction mixture was concentrated under vacuum to a volume of about 30 mL and acidified with concentrated aqueous HCl, with cooling. The solvents were removed under reduced pressure and the residue was partitioned between water and dichloromethane. The combined organic phases were dried (MgSO₄), filtered and concentrated under reduced pressure to yield 2.95 g (88%) of the title compound of Step C. ¹H NMR (CDCl₃): δ 7.2-7.4 (m, 3H), 7.12 (dt, J=1, 7.5 Hz, 1H), 6.81 (d, J=8.5 Hz, 1H), 6.72 (d, J=8 Hz, 1H), 6.6 (m, 2H), 4.43 (s, 1H), 3.77 (s, 3H), 3.28 (s, 3H).

Step D: Preparation of 5-Methoxy-4-[2-(3-methoxyphenoxy)phenyl]-2-methyl-3(2H)-isoxazolone

5-Hydroxy-4-[2-(3-methoxyphenoxy)phenyl]-2-methyl-3(2H)-isoxazolone (2.5 g) was dissolved in 3 mL of methanol and 15 mL of toluene and cooled in an icebath. Trimethylsilyldiazomethane (5 mL of a 2.0 M solution in hexane) was added dropwise. Gas evolution was observed. The resulting yellow solution was stirred at room temperature overnight. The solvents were removed under reduced pressure and the residue was purified by flash chromatography (1:1 hexane:ethyl acetate as eluant). The second eluting component was collected to yield 950 mg (36%) of the title compound of Step D. ¹H NMR (CDCl₃): δ 7.51 (dd, J=1.7, 7.5 Hz, 1H), 7.27 (dt, J=1.7, 7.5 Hz, 1H), 7.17 (m, 2H), 6.97 (dd, J=1, 8 Hz, 1H), 6.5 (m, 3H), 3.92 (s, 3H), 3.74 (s, 3H), 3.33 (s, 3H).

EXAMPLE 2

Step A: Preparation of 1-(Bromomethyl)-2-iodobenzene

To a solution of 2-iodobenzyl alcohol (50 g) in diethyl ether (500 mL), cooled in an ice-water bath, was added dropwise phosphorus tribromide (28 mL). The reaction

5 mixture was chilled in a refrigerator for 3.5 h, then quenched by slow addition of methanol (50 mL). The mixture was washed with water, then saturated sodium bicarbonate, then water (100 mL each). The organic phase was dried (MgSO₄), filtered and concentrated under reduced pressure to a white solid, which was triturated in hexane and collected by filtration to yield 58 g (91%) of the title material of Step A as a solid, mp 55-57°C.

Step B: Preparation of 1-Iodo-2-[(2-methylphenoxy)methyl]benzene

10 Sodium hydride (60% oil dispersion) (7.8 g) was added portionwise to a ice-water cooled solution of *o*-cresol (21.1 g) in tetrahydrofuran (500 mL). The mixture was stirred 20 minutes and then 1-(bromomethyl)-2-iodobenzene (58 g) was added. The mixture was warmed to 60°C for 16 h. Additional sodium hydride (2 g) was added and the reaction mixture heated for an additional 3 h. The reaction mixture was cooled and carefully quenched with water and extracted with ethyl acetate (2 X 250 mL). The combined organic extracts were dried (Mg SO₄), filtered and concentrated under
15 reduced pressure to an oil, which was triturated with cold hexane to provide a solid which was collected by filtration to yield 59.1 g (94%) of the title compound of Step B as a white solid, mp 106-108°C.

Step C: Preparation of Dimethyl [2-[(2-methylphenoxy)methyl]phenyl]propanedioate

20 To a suspension of sodium hydride (60% oil dispersion) (15.4 g) in 90 mL of 1,3-dimethyl-3,4,5,6-tetrahydro-2 [1H]-pyrimidinone (DMPU), cooled in an ice-water bath, was added dropwise a solution of dimethyl malonate (44 mL) in DMPU (150 mL). The mixture was stirred 20 minutes after the addition was completed, and then 1-iodo-2-[(2-methylphenoxy)methyl]benzene (62.5 g) and cuprous iodide (73.3 g) were added. The resulting mixture was stirred at 100°C for 5 h, then stirred at 25°C overnight. The mixture
25 was diluted with 1 N. HCl (~150 mL) and extracted with diethyl ether (3 X 400 mL). The combined organic extracts were dried (Mg SO₄), filtered and concentrated under reduced pressure to a semi-solid, which was purified by flash chromatography on silica gel (5:2 hexane: ethyl acetate as eluant). The major material was collected and concentrated to a white solid, which was triturated in hexane and collected by filtration to yield 56.9 g
30 (79%) of the title compound of Step C as a white solid, mp 99-103°C.

Step D: Preparation of 5-Hydroxy-4-[2-[(2-methylphenoxy)methyl]phenyl]-3(2H)-isoxazolone

35 To a solution of *N*-methylhydroxylamine hydrochloride (34.7 g) in methanol (120 mL), cooled in an ice-water bath, was added dropwise a solution of potassium hydroxide (46.6 g) in methanol (80 mL). After the addition was complete, the mixture was stirred 10 minutes. The potassium chloride precipitate was removed by filtration and a solution of dimethyl [2-[(2-methylphenoxy)methyl]phenyl]propanedioate (44 g) in 100 mL of methanol was added to the *N*-methyl-hydroxylamine solution. The mixture

was stirred for 3 days and then cooled in an ice-water bath. Concentrated HCl (15 mL) was added and the solid was removed by filtration. The solvent was removed under vacuum and the residue diluted with ~100 mL of water and then extracted with dichloromethane (3 X 150 mL), then ethyl acetate (3 X 100 mL). The combined organic
5 extracts were dried (Mg SO₄), filtered and concentrated under reduced pressure to yield 31.3 g (75%) of the title compound of Step D as a semi-solid. ¹H NMR (DMSO-d₆): δ 7.4 (m,2H), 7.15 (m,2H), 7.10 (m,2H), 6.8 (m,2H), 5.16 (s,2H), 2.9 (s,3H), 2.23 (s,3H).

Step E: Preparation of 5-Methoxy-2-methyl-4-[2-[(2-methylphenoxy)methyl]phenyl]-3(2H)-isoxazolone

10 5-Hydroxy-4-[2-[(2-methylphenoxy)methyl]phenyl]-3(2H)-isoxazolone (31.3 g) was dissolved in 330 mL of 10:1 toluene:methanol and cooled in an ice-water bath. Trimethylsilyl-diazomethane (~2M in hexane) (55 mL) was added dropwise. Gas evolution was observed. The yellow solution was stirred at 25°C for 2 h. The solution was diluted with 100 mL of water and extracted with ethyl acetate (4 X 100 mL). The
15 combined organic extracts were dried (Mg SO₄), filtered and concentrated under reduced pressure to yield an oil, which was purified by flash chromatography(silica gel; 1:1 hexane:ethyl acetate as eluant). The second eluting component was collected to yield 4.35 g (13%) of the title compound of Step E as a white solid, mp 90-92°C. ¹H NMR (CDCl₃) δ 7.61(d,1H), 7.35(m,3H), 7.12(m,2H), 6.84(m,2H), 5.12(s,2H), 3.96(s,3H),
20 3.41(s,3H), 2.24(s, 3H).

EXAMPLE 3

Step A Preparation of 1-Methyl-N-(2-phenoxyphenyl)hydrazinecarboxamide

2-Phenoxyaniline (5.57 g) and triethylamine (4.2 mL) were dissolved in 100 mL of 1,2-dichloroethane. Triphosgene (Cl₃COC(=O)OCCl₃, 2.97 g) was added and a
25 precipitate formed. The mixture was heated to reflux and the solid redissolved. After 5.5 h, the solution was cooled and 1.6 mL of methyl hydrazine was added and a new precipitate formed. The mixture was stirred at room temperature overnight. The solvent was removed and the residue was partitioned between ethyl acetate and 1N aqueous HCl solution. The organic phases were dried (MgSO₄), filtered, and concentrated under
30 reduced pressure. The residue was purified by flash chromatography (1:1 hexane: ethyl acetate as eluant). The second-least polar component was collected, the eluant was removed under reduced pressure, and the residue was triturated with hexane to afford 3.86 g (50%) of the title compound of Step A, m.p. 117-119°C.

Step B Preparation of 2-Methyl-4-(2-phenoxyphenyl)-5-thioxo-1,2,4-triazolidin-3-one

35 A solution of 1.54 g of 1-methyl-N-(2-phenoxyphenyl)hydrazinecarboxamide in 50 mL of tetrahydrofuran, cooled in an ice bath, was treated with 0.46 mL of thiophosgene, and then 1.68 mL of triethylamine. A precipitate formed and the mixture was stirred at ambient temperature overnight. The precipitate was removed by filtration

and washed with tetrahydrofuran. The combined filtrate and washings were concentrated under reduced pressure to afford 1.8 g of an amber glassy oil. The crude material was used in the next step without further purification. ^1H NMR (CDCl_3): δ 6.8-7.4 (m, 9H), 3.57 (s, 3H).

5 Step C Preparation of 2,4-Dihydro-2-methyl-5-(methylthio)-4-(2-phenoxyphenyl)-3H-1,2,4-triazol-3-one

10 A solution of 900 mg of crude 2-methyl-4-(2-phenoxyphenyl)-5-thioxo-1,2,4-triazolidin-3-one in 50 mL of tetrahydrofuran was treated with 150 mg of sodium hydride (60% oil dispersion). After 5 minutes, 0.5 mL of iodomethane was added, and the mixture was stirred at ambient temperature overnight. The solid was removed by filtration and the filtrate concentrated to an oil. The oil was partitioned between ether and 1N hydrochloric acid solution. The organic phases were dried (MgSO_4), filtered and concentrated under reduced pressure. The residue was triturated in hexane/*n*-butyl chloride to afford 530 mg (56%) of the title compound of Step C, m.p. 129-130°C.

15 EXAMPLE 4

20 Step A: Preparation of 2,2-Dimethyl-N-(2-methylphenyl) hydrazine carboxamide

20 *o*-Tolyl isocyanate (10.0 g) was dissolved in 75 mL toluene under N_2 . The solution was cooled to 5°C and to this was slowly added a solution in toluene of 1,1-dimethylhydrazine (5.7 mL). After addition, the ice-bath was removed and the resulting slurry allowed to stir an additional 10 minutes. The solid was filtered off rinsing successively with hexane, a small amount of 20% diethylether/hexane, then hexanes again. This afforded 11.1 g (77%) of the title compound of Step A. ^1H NMR (CDCl_3) δ 8.1 (bs, 1H), 7.94 (d, 1H), 7.21-7.15 (m, 3H), 6.99 (t, 1H), 5.23 (bs, 1H), 2.63 (s, 6H), 2.27 (s, 3H).

25 Step B: Preparation of 5-Chloro 2,4-dihydro-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one

30 To a solution of 11.1 g 2,2-dimethyl-N-(2-methylphenyl) hydrazine carboxamide dissolved in 600 mL methylene chloride under N_2 was added 17.1 g triphosgene. The solution was heated at reflux overnight, cooled, then concentrated under reduced pressure. The resulting residue was dissolved in ethyl acetate and washed with water, then saturated aqueous NaCl. The organic phase was dried (MgSO_4), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (30-50% ethyl acetate/hexanes as eluent) to afford 8.25 g (64%) of the title compound of Step B. ^1H NMR (CDCl_3) δ 7.42-7.30 (m, 3H), 7.17 (d, 1H), 3.54 (s, 3H), 2.22 (s, 3H).

35 Step C: Preparation of 2,4-Dihydro-5-methoxy-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one

8.25 g 5-chloro-2,4-dihydro-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one was dissolved in 80 mL 1:1 dimethoxyethane/methanol under N_2 . 14.0 mL sodium

methoxide (30% solution in methanol) was added and the solution was heated at reflux for 3 h. The mixture was allowed to cool, diluted with ethyl acetate, washed with water, then saturated aqueous NaCl. The combined organic extracts were dried (MgSO₄), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (50-70% ethyl acetate/hexanes as eluent) and triturated with 50% diethylether/hexanes to afford 6.7 g of the title compound of Step C (95% pure).

¹H NMR (CDCl₃) δ 7.35-7.27 (m,3H), 7.18 (d,1H), 3.94 (s,3H), 3.46 (s,3H), 2.22 (s,3H).

Step D: Preparation of 4-[2-(Bromomethyl)phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution/suspension of 6.7 g 2,4-dihydro-5-methoxy-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one dissolved in 95 mL carbon tetrachloride under N₂ was added *N*-bromosuccinimide (6.53 g) followed by a catalytic amount of benzoyl peroxide. The solution was heated at reflux for 2 h. Another 1.63 g *N*-bromosuccinimide and a catalytic amount of benzoyl peroxide were added and the solution was heated at reflux for an hour. After cooling, methylene chloride was added and the organic layer was washed successively with water, then 0.1 N sodium thiosulfate solution, then saturated aqueous NaCl. The combined organic extracts were dried (MgSO₄), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (3-10% diethylether/methylene chloride as eluent) to afford 3.12 g of the title compound of Step D. ¹H NMR (CDCl₃) δ 7.5 (m,1H), 7.44 (m,2H), 7.22 (m,1H), 4.60 (d,1H), 4.36 (d,1H), 3.96 (s,3H), 3.47 (s,3H).

Step E: Preparation of 2,4-Dihydro-5-methoxy-2-methyl-4-[2-[[[(phenylmethylene)-amine]oxy]methyl]phenyl]-3H-1,2,4-triazol-3-one

0.40 g 4-[2-(bromomethyl)phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one was dissolved in approximately 5 mL *N,N*-dimethylformamide under N₂ and to this was added 0.20 g acetophenone oxime, followed by 0.07 g of 60% sodium hydride. The solution was allowed to stir 4 h at room temperature then was diluted with ethyl acetate, washed with water, then saturated aqueous NaCl. The organic phase was dried (MgSO₄), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (60% ethyl acetate/hexanes as eluent) to afford 0.38 g of the title compound of Step E. ¹H NMR (CDCl₃) δ 7.6 (m,3H), 7.44 (m,2H), 7.35 (m,3H), 7.25 (m,1H), 5.26 (d,1H), 5.22 (d,1H), 3.88 (s,3H), 3.40 (s,3H), 2.20 (s,3H).

By the general procedures described herein, or through obvious modifications thereof, the compound of the Tables 1-26 can be prepared.

The following abbreviations are used in the Tables which follow. All alkyl groups are the normal isomers unless indicated otherwise.

n = normal

i = iso

Me = methyl

Et = ethyl

MeO = methoxy

Pr = propyl

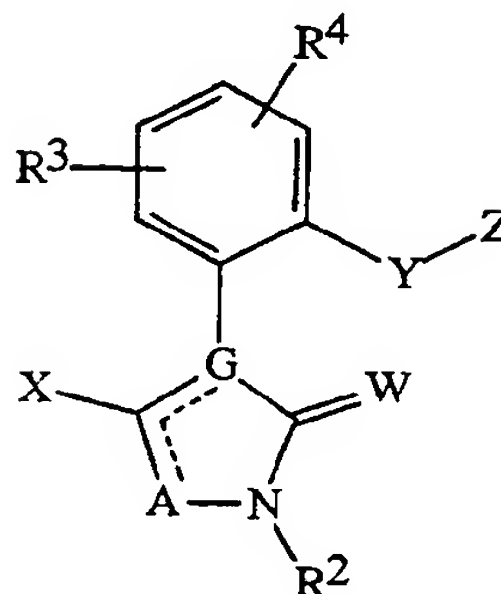
CN = cyano

c = cyclo

MeS = methylthio

Bu = butyl

Ph = phenyl

NO₂ = nitro

I

Table 1

Compounds of Formula I wherein: G = C, W = O, R³ = R⁴ = H, Y = CH₂ON=C(CH₃), Z = 3-CF₃-Ph, the floating double bond is attached to G, and

R² = Me

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

R² = Et

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

$R^2 = n\text{-Pr}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

 $R^2 = H$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

 $R^2 = Me$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | NH | MeS | NH | MeO | NMe | MeS | NMe |
| EtO | NH | EtS | NH | EtO | NMe | EtS | NMe |
| n-PrO | NH | n-PrS | NH | n-PrO | NMe | n-PrS | NMe |
| H ₂ C=CHCH ₂ O | NH | H ₂ C=CHCH ₂ S | NH | H ₂ C=CHCH ₂ O | NMe | H ₂ C=CHCH ₂ S | NMe |
| HC≡CCH ₂ O | NH | HC≡CCH ₂ S | NH | HC≡CCH ₂ O | NMe | HC≡CCH ₂ S | NMe |
| CF ₃ O | NH | CF ₃ S | NH | CF ₃ O | NMe | CF ₃ S | NMe |
| (c-propyl)O | NH | (c-propyl)S | NH | (c-propyl)O | NMe | (c-propyl)S | NMe |

 $R^2 = H$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | NH | MeS | NH | MeO | NMe | MeS | NMe |
| EtO | NH | EtS | NH | EtO | NMe | EtS | NMe |
| n-PrO | NH | n-PrS | NH | n-PrO | NMe | n-PrS | NMe |
| H ₂ C=CHCH ₂ O | NH | H ₂ C=CHCH ₂ S | NH | H ₂ C=CHCH ₂ O | NMe | H ₂ C=CHCH ₂ S | NMe |
| HC≡CCH ₂ O | NH | HC≡CCH ₂ S | NH | HC≡CCH ₂ O | NMe | HC≡CCH ₂ S | NMe |

| | | | | | | | |
|-------------------|----|-------------------|----|-------------------|-----|-------------------|-----|
| CF ₃ O | NH | CF ₃ S | NH | CF ₃ O | NMe | CF ₃ S | NMe |
| (c-propyl)O | NH | (c-propyl)S | NH | (c-propyl)O | NMe | (c-propyl)S | NMe |

Table 2

Compounds of Formula I wherein: G = N, W = O, R³ = R⁴ = H, Y = CH₂ON=C(CH₃), Z = 3-CF₃-Ph, the floating double bond is attached to A, and

R² = Me

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

R² = Et

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

R² = n-Pr

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

$R^2 = H$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

 $R^2 = Me$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | CMe | MeS | CMe | MeO | CEt | MeO | CEt |
| EtO | CMe | EtS | CMe | EtO | CEt | EtO | CEt |
| n-PrO | CMe | n-PrS | CMe | n-PrO | CEt | n-PrO | CEt |
| H ₂ C=CHCH ₂ O | CMe | H ₂ C=CHCH ₂ S | CMe | H ₂ C=CHCH ₂ O | CEt | H ₂ C=CHCH ₂ O | CEt |
| HC≡CCH ₂ O | CMe | HC≡CCH ₂ S | CMe | HC≡CCH ₂ O | CEt | HC≡CCH ₂ O | CEt |
| CF ₃ O | CMe | CF ₃ S | CMe | CF ₃ O | CEt | CF ₃ O | CEt |
| (c-propyl)O | CMe | (c-propyl)S | CMe | (c-propyl)O | CEt | (c-propyl)O | CEt |

 $R^2 = H$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | CEt | MeS | CEt | MeO | CMe | MeO | CMe |
| EtO | CEt | EtS | CEt | EtO | CMe | EtO | CMe |
| n-PrO | CEt | n-PrS | CEt | n-PrO | CMe | n-PrO | CMe |
| H ₂ C=CHCH ₂ O | CEt | H ₂ C=CHCH ₂ S | CEt | H ₂ C=CHCH ₂ O | CMe | H ₂ C=CHCH ₂ O | CMe |
| HC≡CCH ₂ O | CEt | HC≡CCH ₂ S | CEt | HC≡CCH ₂ O | CMe | HC≡CCH ₂ O | CMe |
| CF ₃ O | CEt | CF ₃ S | CEt | CF ₃ O | CMe | CF ₃ O | CMe |
| (c-propyl)O | CEt | (c-propyl)S | CEt | (c-propyl)O | CMe | (c-propyl)O | CMe |

Table 3

Compounds of Formula I wherein: G = C, W = O, R³ = R⁴ = H, Y = CH₂O, Z = 2-Me-Ph, the floating double bond is attached to G, and

 $R^2 = Me$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|----------|----------|----------|----------|----------|----------|----------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |

| | | | | | | | |
|--------------------------------------|---|--------------------------------------|---|--------------------------------------|---|--------------------------------------|---|
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

 $R^2 = \text{Et}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

 $R^2 = \text{n-Pr}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

 $R^2 = \text{H}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

$R^2 = \text{Me}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | NH | MeS | NH | MeO | NMe | MeS | NMe |
| EtO | NH | EtS | NH | EtO | NMe | EtS | NMe |
| n-PrO | NH | n-PrS | NH | n-PrO | NMe | n-PrS | NMe |
| H ₂ C=CHCH ₂ O | NH | H ₂ C=CHCH ₂ S | NH | H ₂ C=CHCH ₂ O | NMe | H ₂ C=CHCH ₂ S | NMe |
| HC≡CCH ₂ O | NH | HC≡CCH ₂ S | NH | HC≡CCH ₂ O | NMe | HC≡CCH ₂ S | NMe |
| CF ₃ O | NH | CF ₃ S | NH | CF ₃ O | NMe | CF ₃ S | NMe |
| (c-propyl)O | NH | (c-propyl)S | NH | (c-propyl)O | NMe | (c-propyl)S | NMe |

 $R^2 = \text{H}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | NH | MeS | NH | MeO | NMe | MeS | NMe |
| EtO | NH | EtS | NH | EtO | NMe | EtS | NMe |
| n-PrO | NH | n-PrS | NH | n-PrO | NMe | n-PrS | NMe |
| H ₂ C=CHCH ₂ O | NH | H ₂ C=CHCH ₂ S | NH | H ₂ C=CHCH ₂ O | NMe | H ₂ C=CHCH ₂ S | NMe |
| HC≡CCH ₂ O | NH | HC≡CCH ₂ S | NH | HC≡CCH ₂ O | NMe | HC≡CCH ₂ S | NMe |
| CF ₃ O | NH | CF ₃ S | NH | CF ₃ O | NMe | CF ₃ S | NMe |
| (c-propyl)O | NH | (c-propyl)S | NH | (c-propyl)O | NMe | (c-propyl)S | NMe |

Table 4

Compounds of Formula I wherein: G = N, W = O, R³ = R⁴ = H, Y = CH₂O, Z = 2-Me-Ph, the floating double bond is attached to A, and

 $R^2 = \text{Me}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

 $R^2 = \text{Et}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|----------|----------|----------|----------|----------|----------|----------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |

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| | | | | | | | |
|--------------------------------------|---|--------------------------------------|---|--------------------------------------|----|--------------------------------------|----|
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

 $R^2 = n\text{-Pr}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

 $R^2 = H$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

 $R^2 = Me$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | CMe | MeS | CMe | MeO | CEt | MeO | CEt |
| EtO | CMe | EtS | CMe | EtO | CEt | EtO | CEt |
| n-PrO | CMe | n-PrS | CMe | n-PrO | CEt | n-PrO | CEt |
| H ₂ C=CHCH ₂ O | CMe | H ₂ C=CHCH ₂ S | CMe | H ₂ C=CHCH ₂ O | CEt | H ₂ C=CHCH ₂ O | CEt |
| HC≡CCH ₂ O | CMe | HC≡CCH ₂ S | CMe | HC≡CCH ₂ O | CEt | HC≡CCH ₂ O | CEt |
| CF ₃ O | CMe | CF ₃ S | CMe | CF ₃ O | CEt | CF ₃ O | CEt |
| (c-propyl)O | CMe | (c-propyl)S | CMe | (c-propyl)O | CEt | (c-propyl)O | CEt |

$R^2 = H$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | CEt | MeS | CEt | MeO | CMe | MeO | CMe |
| EtO | CEt | EtS | CEt | EtO | CMe | EtO | CMe |
| n-PrO | CEt | n-PrS | CEt | n-PrO | CMe | n-PrO | CMe |
| H ₂ C=CHCH ₂ O | CEt | H ₂ C=CHCH ₂ S | CEt | H ₂ C=CHCH ₂ O | CMe | H ₂ C=CHCH ₂ O | CMe |
| HC≡CCH ₂ O | CEt | HC≡CCH ₂ S | CEt | HC≡CCH ₂ O | CMe | HC≡CCH ₂ O | CMe |
| CF ₃ O | CEt | CF ₃ S | CEt | CF ₃ O | CMe | CF ₃ O | CMe |
| (c-propyl)O | CEt | (c-propyl)S | CEt | (c-propyl)O | CMe | (c-propyl)O | CMe |

Table 5

Compounds of Formula I wherein: $G = C$, $W = S$, $R^3 = R^4 = H$, $Y = CH_2ON=C(CH_3)$, $Z = 3-CF_3-Ph$, the floating double bond is attached to G, and

 $R^2 = Me$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| MeO | NH | MeO | NMe | MeO | NEt | MeS | NPr |

Table 6

Compounds of Formula I wherein: $A = N$, $G = N$, $W = S$, $R^3 = R^4 = H$, $Y = CH_2ON=C(Me)$, $Z = 3-CF_3-Ph$, the floating double bond is attached to A, and

 $R^2 = Me$

| <u>X</u> | <u>X</u> | <u>X</u> | <u>X</u> |
|--------------------------------------|-----------------------|--------------------|--------------------------------------|
| MeO | EtO | n-PrO | H ₂ C=CHCH ₂ O |
| HC≡CCH ₂ O | CF ₃ O | OCF ₂ H | OCH ₂ CF ₃ |
| (c-propyl)O | MeS | EtS | n-PrS |
| H ₂ C=CHCH ₂ S | HC≡CCH ₂ S | CF ₃ S | (c-propyl)S |

Table 7

Compounds of Formula I wherein: $G = C$, $W = S$, $R^3 = R^4 = H$, $Y = CH_2O$, $Z = 2\text{-Me-Ph}$, the floating double bond is attached to G , and

$R^2 = Me$

| \underline{X} | \underline{A} | \underline{X} | \underline{A} | \underline{X} | \underline{A} | \underline{X} | \underline{A} |
|-------------------|-----------------|-------------------|-----------------|-------------------|-----------------|-------------------|-----------------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| $H_2C=CHCH_2O$ | O | $H_2C=CHCH_2S$ | O | $H_2C=CHCH_2O$ | S | $H_2C=CHCH_2S$ | S |
| $HC\equiv CCH_2O$ | O | $HC\equiv CCH_2S$ | O | $HC\equiv CCH_2O$ | S | $HC\equiv CCH_2S$ | S |
| CF_3O | O | CF_3S | O | CF_3O | S | CF_3S | S |
| MeO | NH | MeO | NMe | MeO | NEt | MeS | NPr |

Table 8

Compounds of Formula I wherein: $A = N$, $G = N$, $W = S$, $R^3 = R^4 = H$, $Y = CH_2O$, $Z = 2\text{-Me-Ph}$, the floating double bond is attached to A , and

$R^2 = Me$

| \underline{X} | \underline{X} | \underline{X} | \underline{X} |
|-------------------|-------------------|-----------------|-----------------|
| MeO | EtO | n-PrO | $H_2C=CHCH_2O$ |
| $HC\equiv CCH_2O$ | CF_3O | OCF_2H | OCH_2CF_3 |
| (c-propyl)O | MeS | EtS | n-PrS |
| $H_2C=CHCH_2S$ | $HC\equiv CCH_2S$ | CF_3S | (c-propyl)S |

Table 9

Compounds of Formula I wherein: $G = C$, $A = W = O$, $X = MeO$, $R^2 = Me$, $Y = CH_2ON=C(Me)$, $Z = 3\text{-CF}_3\text{-Ph}$, the floating double bond is attached to G , and

| \underline{R}^3 | \underline{R}^4 | \underline{R}^3 | \underline{R}^4 | \underline{R}^3 | \underline{R}^4 |
|-------------------|-------------------|---------------------|-------------------|---------------------|-------------------|
| 3-F | H | 5-NO ₂ | H | 3-F | 5-F |
| 5-F | H | 6-Me | H | 3-Cl | 5-Cl |
| 3-Cl | H | 3-Me | H | 4-Me | 5-Cl |
| 4-Cl | H | 4-MeO | H | 3-F | 5-CF ₃ |
| 5-Br | H | 5-CF ₃ O | H | 3-Cl | 5-NO ₂ |
| 4-CF ₃ | H | 5-allyl | H | 6-CF ₃ O | H |
| 5-CN | H | 4-propargyl | H | 5-Pr | H |

Table 10

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, Y = CH₂ON=C(Me), Z = 3-CF₃-Ph, the floating double bond is attached to A, and

| R ³ | R ⁴ | R ³ | R ⁴ | R ³ | R ⁴ |
|-------------------|----------------|---------------------|----------------|---------------------|-------------------|
| 3-F | H | 5-NO ₂ | H | 3-F | 5-F |
| 5-F | H | 6-Me | H | 3-Cl | 5-Cl |
| 3-Cl | H | 3-Me | H | 4-Me | 5-Cl |
| 4-Cl | H | 4-MeO | H | 3-F | 5-CF ₃ |
| 5-Br | H | 5-CF ₃ O | H | 3-Cl | 5-NO ₂ |
| 4-CF ₃ | H | 5-allyl | H | 6-CF ₃ O | H |
| 5-CN | H | 4-propargyl | H | 5-Pr | H |

Table 11

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R² = Me, the floating double bond is attached to G, and

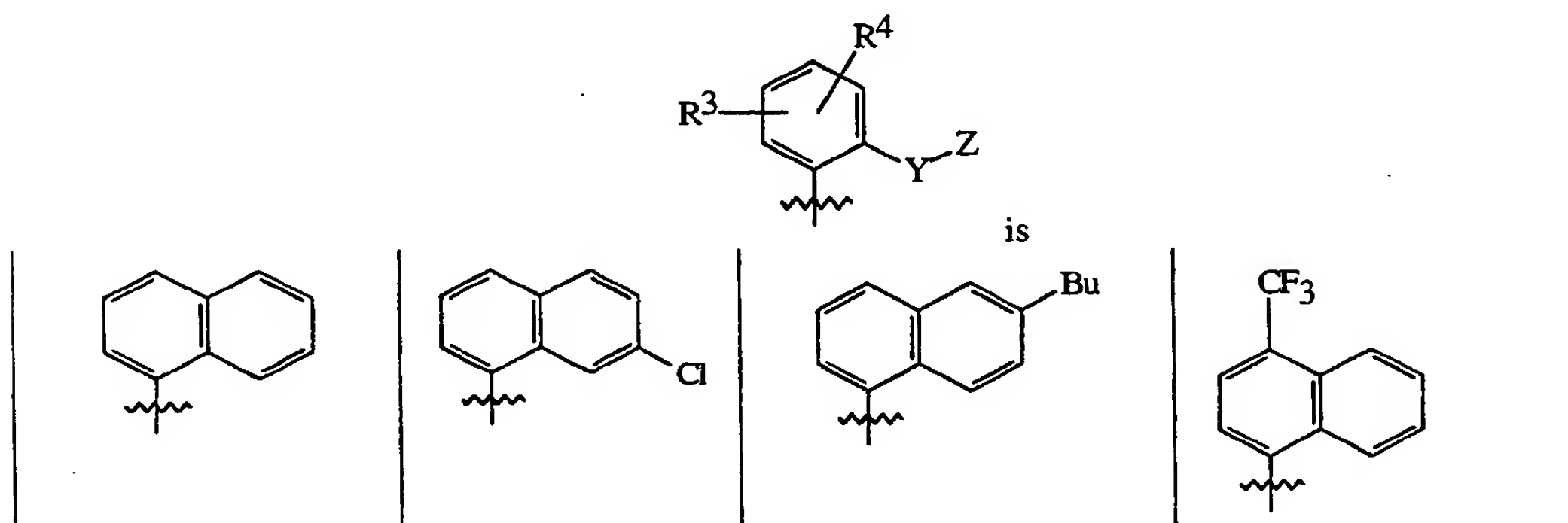


Table 12

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, the floating double bond is attached to A, and

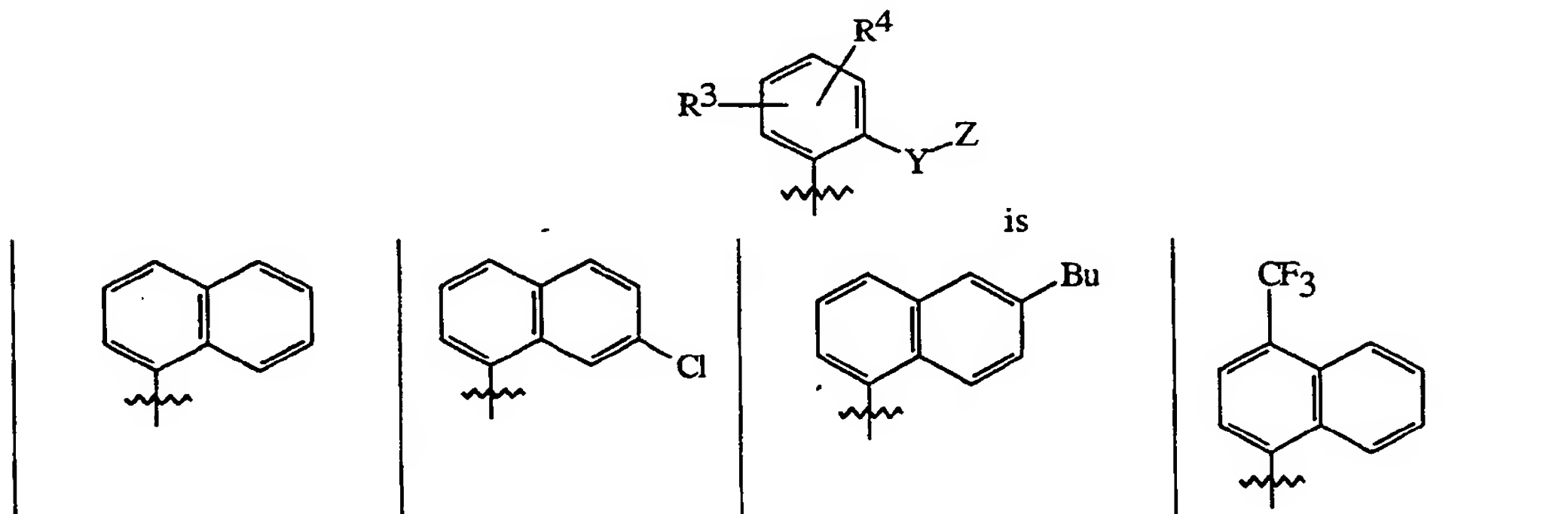


Table 13

Compounds of Formula I wherein: $G = C$, $W = O$, $X = MeO$, $R^2 = Me$, $R^3 = R^4 = H$, $Z = Ph$, the floating double bond is attached to G, and

A = O

| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
|-------------|---------------------------------|-------------------|---------------------------|------------------------|
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

A = S

| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
|-------------|---------------------------------|-------------------|---------------------------|------------------------|
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

A = NMe

| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
|-------------|---------------------------------|-------------------|---------------------------|------------------------|
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

Table 14

Compounds of Formula I wherein: $G = N$, $W = O$, $X = MeO$, $R^2 = Me$, $R^3 = R^4 = H$, $Z = Ph$, the floating double bond is attached to A, and

A = N

| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
|----------|---------------------------------|------------------|------------------------|-----------|
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |

| | | | | |
|-------------|-------------------|-------------------|---------------------------|------------------------|
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

A = S

| | | | | |
|-------------|---------------------------------|-------------------|---------------------------|------------------------|
| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

A = NMe

| | | | | |
|-------------|---------------------------------|-------------------|---------------------------|------------------------|
| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

Table 15

Compounds of Formula I wherein: G = C, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to G, and

Y = O, A = O

| | | | |
|------------------------------------|---------------------------|-------------------------------|-----------------------------|
| <u>Z</u> | <u>Z</u> | <u>Z</u> | <u>Z</u> |
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienyloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |

| | | | |
|---------------------------------|------------------------|--------------------------------|--|
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Y = CH₂O, A = O

| Z | Z | Z | Z |
|------------------------------------|---------------------------|--------------------------------|--|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienylloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |

| | | | |
|---------------------------|-----------------------|-------------------------|----------------------------------|
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Y = O, A = NMe

| <u>Z</u> | <u>Z</u> | <u>Z</u> | <u>Z</u> |
|------------------------------------|---------------------------|--------------------------------|--|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienylloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

$Y = CH_2O$, $A = NMe$

| Z | Z | Z | Z |
|------------------------------------|---------------------------|--------------------------------|--|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienylloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Table 16

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to G, and

$Y = CH_2ON=C(CH_3)$

| Z | Z | Z | Z |
|------------------------------------|------------------------|----------------------|---------------------------|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |

| | | | |
|-----------------------------------|---------------------------|--------------------------------|--|
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienylloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Table 17

Compounds of Formula I wherein: A = NMe, G = C, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to G, and

Y = CH₂ON=C(CH₃)

| Z | Z | Z | Z |
|------------------------------------|------------------------|----------------------|----------------------------|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |

| | | | |
|-----------------------------------|---------------------------|--------------------------------|--|
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinyloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienyloxy-Ph | 4-(3-Cl-2-pyridinyloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Table 18

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to A, and



| Z | Z | Z | Z |
|------------------------------------|---------------------------|-------------------------------|----------------------------|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinyloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienyloxy-Ph | 4-(3-Cl-2-pyridinyloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |

| | | | |
|---------------------------|------------------------|--------------------------------|--|
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Y = CH₂S

| <u>Z</u> | <u>Z</u> | <u>Z</u> | <u>Z</u> |
|------------------------------------|---------------------------|--------------------------------|--|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienylloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |

| | | | |
|---------------------------|-----------------------|-------------------------|--------------------------------|
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Table 19

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to A, and

Y = CH₂ON=C(H).

| <u>Z</u> | <u>Z</u> | <u>Z</u> | <u>Z</u> |
|----------|-----------------------|-----------------------|-------------|
| 2-Me-Ph | 3-Me-Ph | 3-CF ₃ -Ph | 3-Cl-Ph |
| 4-Cl-Ph | 4-CF ₃ -Ph | 2,5-diMe-Ph | 3,5-diCl-Ph |

Table 20

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, Z = 3-CF₃-Ph, R² = Me, R³ = R⁴ = H, the floating double bond is attached to A, and

Y = CH₂ON=C(R⁷).

| <u>R⁷</u> | <u>R⁷</u> | <u>R⁷</u> | <u>R⁷</u> |
|----------------------|----------------------------------|----------------------|----------------------|
| CF ₃ | OCH ₂ CF ₃ | Et | n-Pr |
| Cl | MeO | EtO | MeS |

Table 21

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R³ = R⁴ = H,

Y = CH₂ON=C(R⁷), the floating double bond is attached to G, and

R² = Me

| <u>R⁷</u> | <u>Z</u> | <u>R⁷</u> | <u>Z</u> |
|----------------------|---|----------------------|--------------------------------|
| c-propyl | 3,4-(OCH ₂ CH ₂ O)-Ph | c-propyl | 3,4-(OCHFCH ₂ O)-Ph |
| c-propyl | 3,4-(OCF ₂ O)-Ph | c-propyl | Ph |
| c-propyl | 4-CF ₃ -Ph | c-propyl | 3-CF ₃ -Ph |
| c-propyl | 4-Cl-Ph | c-propyl | 3-Cl-Ph |
| c-propyl | 2-Me-Ph | c-propyl | 3-OCF ₃ -Ph |
| CF ₃ | 3,4-(OCH ₂ CH ₂ O)-Ph | CF ₃ | 3,4-(OCHFCH ₂ O)-Ph |
| CF ₃ | 3,4-(OCF ₂ O)-Ph | CF ₃ | Ph |
| CF ₃ | 4-CF ₃ -Ph | CF ₃ | 3-CF ₃ -Ph |
| CF ₃ | 4-Cl-Ph | CF ₃ | 3-Cl-Ph |

| | | | |
|-----------------|---|-----------------|--|
| CF ₃ | 2-Me-Ph | CF ₃ | 3-OCF ₃ -Ph |
| Et | 3,4-(OCH ₂ CH ₂ O)-Ph | Et | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| Et | 3,4-(OCF ₂ O)-Ph | Et | Ph |
| Et | 4-CF ₃ -Ph | Et | 3-CF ₃ -Ph |
| Et | 4-Cl-Ph | Et | 3-Cl-Ph |
| Et | 2-Me-Ph | Et | 3-OCF ₃ -Ph |

Table 22

Compounds of Formula I wherein: A = NMe, G = C, W = O, X = MeO, R³ = R⁴ = H,
Y = CH₂ON=C(R⁷), the floating double bond is attached to G, and

R² = Me

| <u>R⁷</u> | <u>Z</u> | <u>R⁷</u> | <u>Z</u> |
|----------------------|---|----------------------|--|
| c-propyl | 3,4-(OCH ₂ CH ₂ O)-Ph | c-propyl | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| c-propyl | 3,4-(OCF ₂ O)-Ph | c-propyl | Ph |
| c-propyl | 4-CF ₃ -Ph | c-propyl | 3-CF ₃ -Ph |
| c-propyl | 4-Cl-Ph | c-propyl | 3-Cl-Ph |
| c-propyl | 2-Me-Ph | c-propyl | 3-OCF ₃ -Ph |
| CF ₃ | 3,4-(OCH ₂ CH ₂ O)-Ph | CF ₃ | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| CF ₃ | 3,4-(OCF ₂ O)-Ph | CF ₃ | Ph |
| CF ₃ | 4-CF ₃ -Ph | CF ₃ | 3-CF ₃ -Ph |
| CF ₃ | 4-Cl-Ph | CF ₃ | 3-Cl-Ph |
| CF ₃ | 2-Me-Ph | CF ₃ | 3-OCF ₃ -Ph |
| Et | 3,4-(OCH ₂ CH ₂ O)-Ph | Et | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| Et | 3,4-(OCF ₂ O)-Ph | Et | Ph |
| Et | 4-CF ₃ -Ph | Et | 3-CF ₃ -Ph |
| Et | 4-Cl-Ph | Et | 3-Cl-Ph |
| Et | 2-Me-Ph | Et | 3-OCF ₃ -Ph |

Table 23

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R³ = R⁴ = H,
Y = CH₂ON=C(R⁷), the floating double bond is attached to A, and

R² = Me

| <u>R⁷</u> | <u>Z</u> | <u>R⁷</u> | <u>Z</u> |
|----------------------|---|----------------------|--|
| c-propyl | 3,4-(OCH ₂ CH ₂ O)-Ph | c-propyl | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| c-propyl | 3,4-(OCF ₂ O)-Ph | c-propyl | Ph |
| c-propyl | 4-CF ₃ -Ph | c-propyl | 3-CF ₃ -Ph |
| c-propyl | 4-Cl-Ph | c-propyl | 3-Cl-Ph |
| c-propyl | 2-Me-Ph | c-propyl | 3-OCF ₃ -Ph |

| | | | |
|-----------------|---|-----------------|--|
| CF ₃ | 3,4-(OCH ₂ CH ₂ O)-Ph | CF ₃ | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| CF ₃ | 3,4-(OCF ₂ O)-Ph | CF ₃ | Ph |
| CF ₃ | 4-CF ₃ -Ph | CF ₃ | 3-CF ₃ -Ph |
| CF ₃ | 4-Cl-Ph | CF ₃ | 3-Cl-Ph |
| CF ₃ | 2-Me-Ph | CF ₃ | 3-OCF ₃ -Ph |
| Et | 3,4-(OCH ₂ CH ₂ O)-Ph | Et | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| Et | 3,4-(OCF ₂ O)-Ph | Et | Ph |
| Et | 4-CF ₃ -Ph | Et | 3-CF ₃ -Ph |
| Et | 4-Cl-Ph | Et | 3-Cl-Ph |
| Et | 2-Me-Ph | Et | 3-OCF ₃ -Ph |

Table 24

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R³ = R⁴ = H, the floating double bond is attached to G, and R² = Me

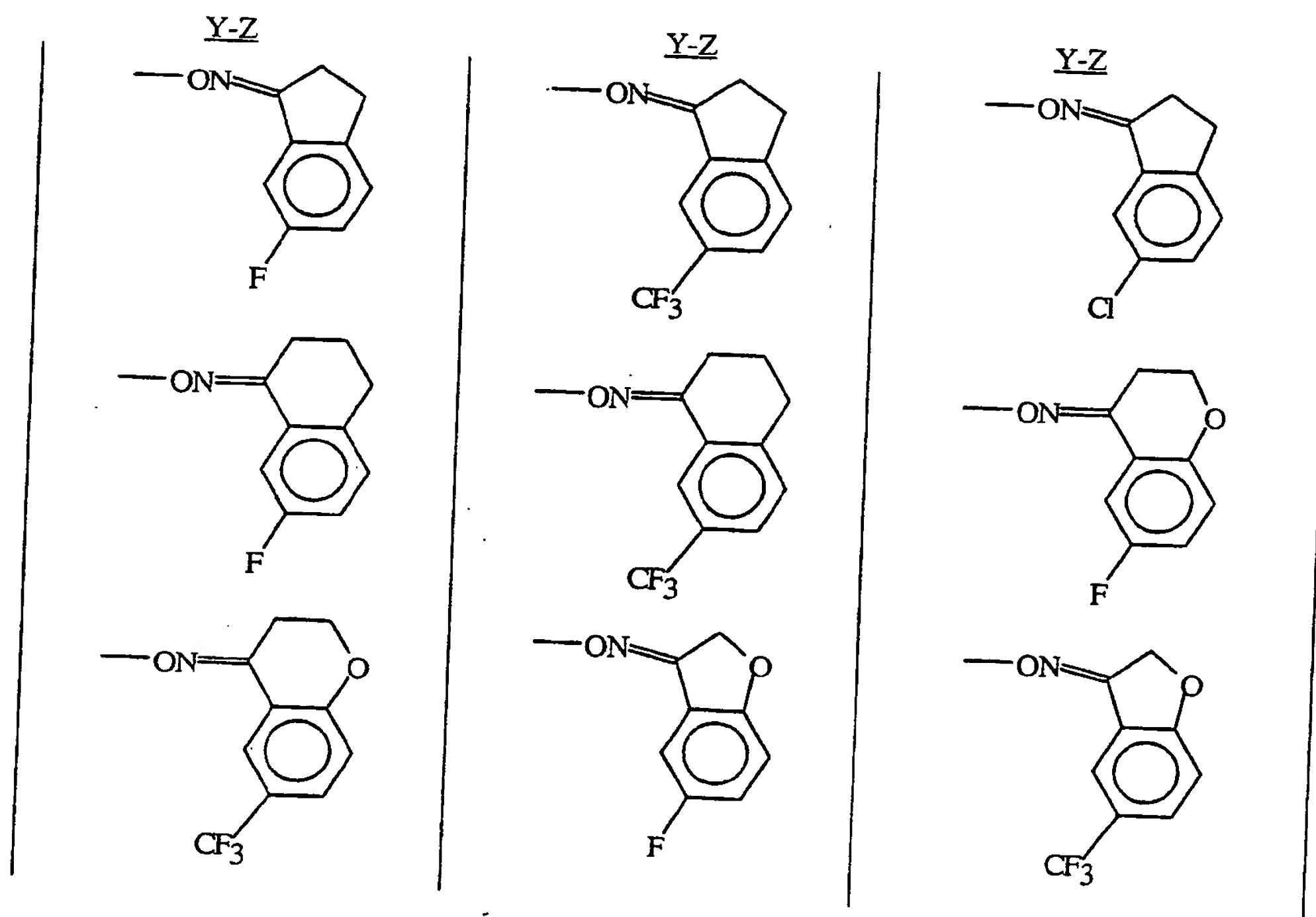


Table 25

Compounds of Formula I wherein: A = NMe, G = C, W = O, X = MeO, $R^3 = R^4 = H$,
the floating double bond is attached to G, and
 $R^2 = Me$

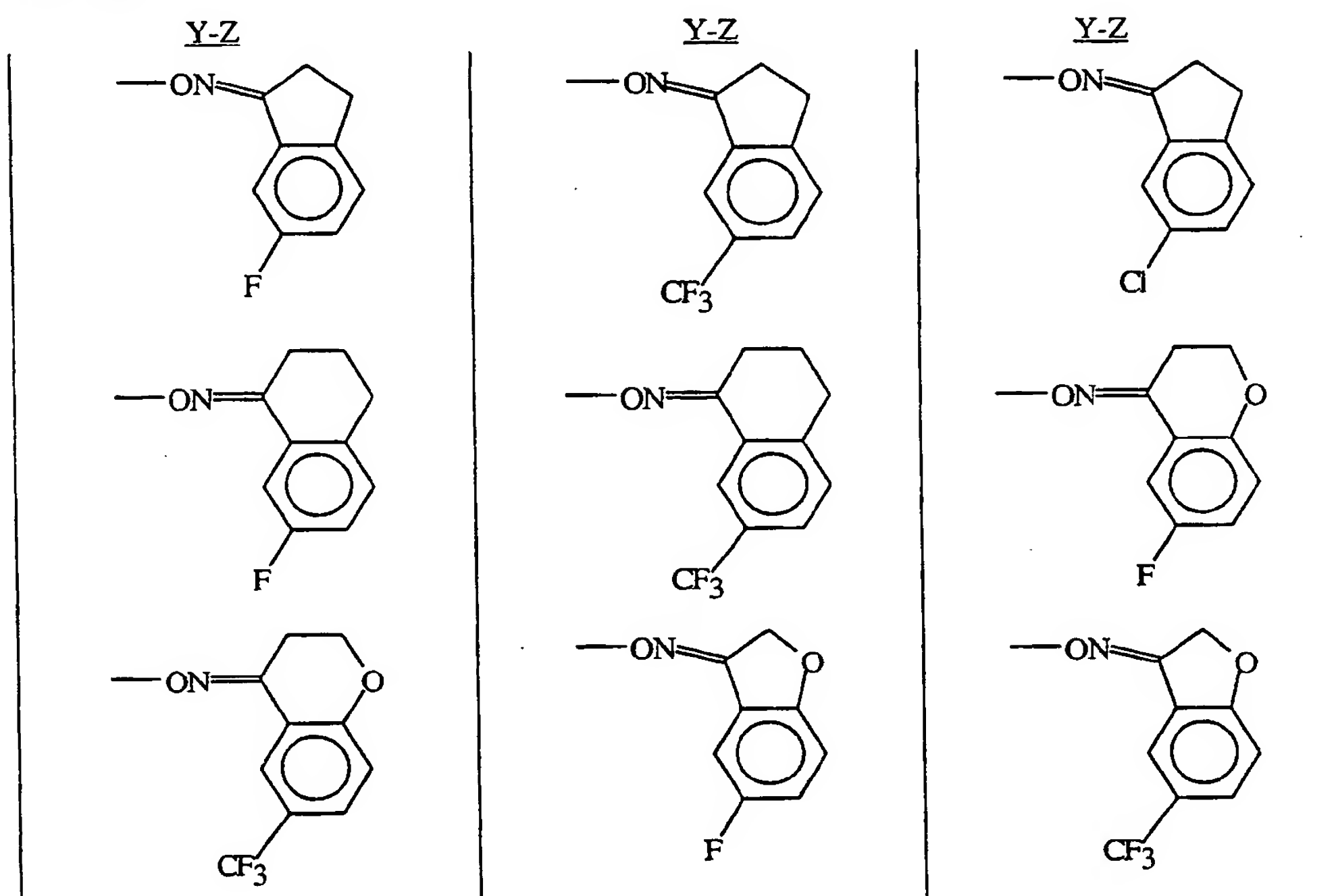
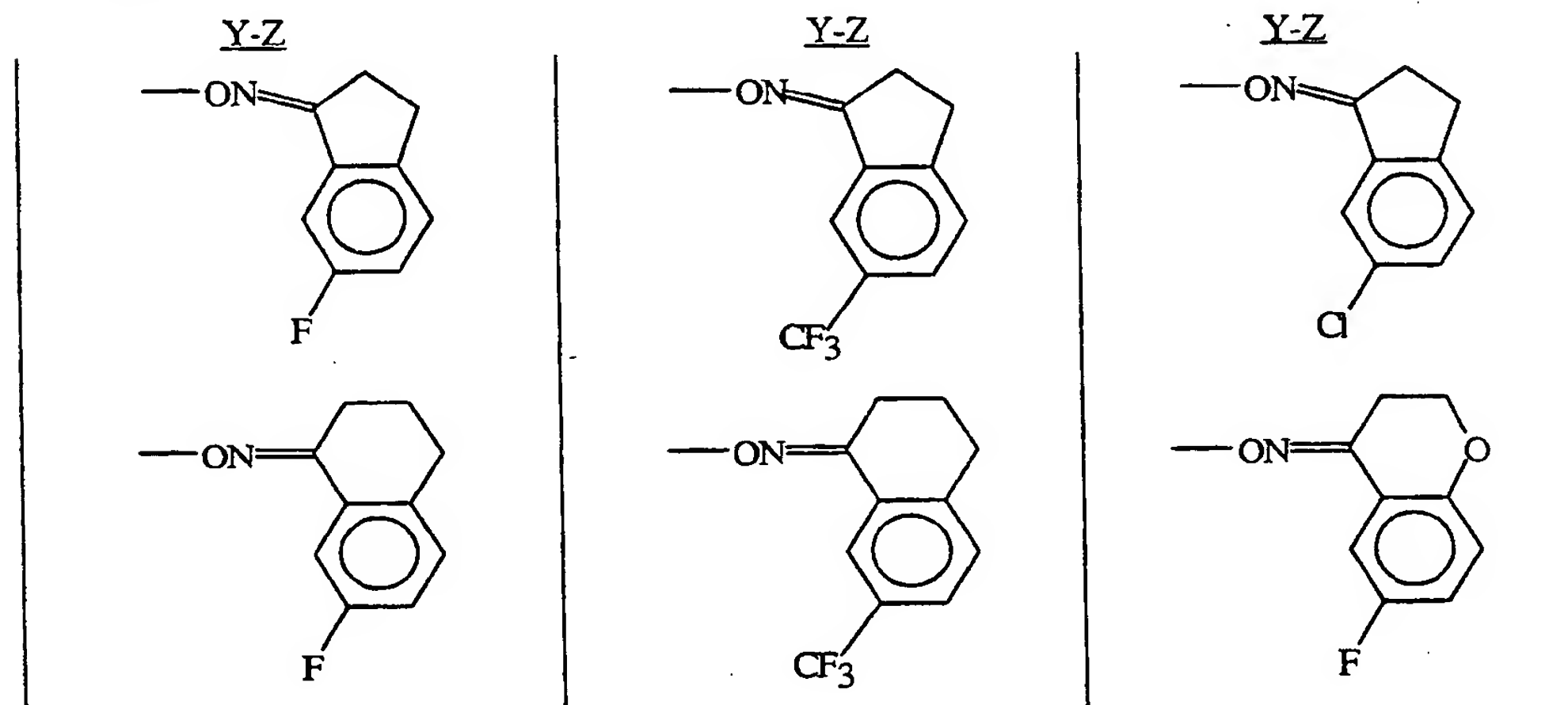
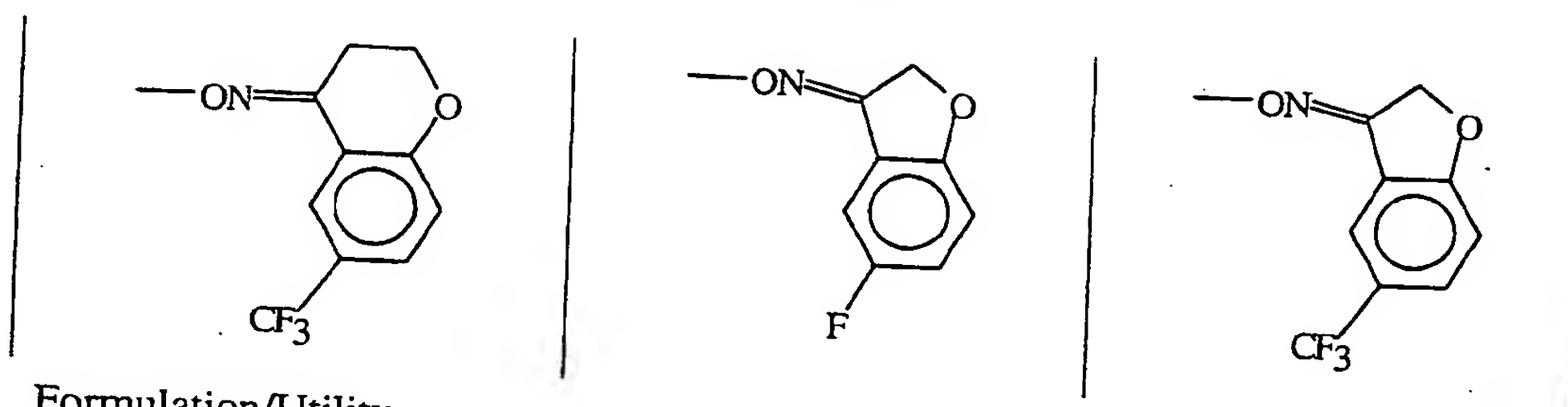


Table 26

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, $R^3 = R^4 = H$, the
floating double bond is attached to A, and
 $R^2 = Me$



56

Formulation/Utility

Compounds of this invention will generally be used in formulation with an agriculturally suitable composition. The fungicidal compositions of the present invention comprise an effective amount of at least one compound of Formula I as defined above and at least one of (a) a surfactant, (b) an organic solvent, and (c) at least one solid or liquid diluent. Useful formulations can be prepared in conventional ways. They include dusts, granules, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates, dry flowables and the like. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 weight percent.

| | Weight Percent | | |
|--|------------------------------|----------------|-------------------|
| | <u>Active Ingredient</u> | <u>Diluent</u> | <u>Surfactant</u> |
| Wettable Powders | 5-90 | 0-74 | 1-10 |
| Oil Suspensions, Emulsions, Solutions, (including Emulsifiable Concentrates) | 5-50 | 40-95 | 0-15 |
| Dusts | 1-25 | 70-99 | 0-5 |
| Granules, Baits and Pellets | 0.01-99 | 5-99.99 | 0-15 |
| High Strength Compositions | 90-99 | 0-10 | 0-2 |

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents and solvents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, (1950). *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, (1964), list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth, and the like.

Methods for formulating such compositions are well known. Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer mill or fluid energy mill. Water-dispersible granules can be produced by agglomerating a fine powder composition; see for example, 5 Cross et al., *Pesticide Formulations*, Washington, D.C., (1988), pp 251-259. Suspensions are prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-148, *Perry's Chemical Engineer's Handbook*, 10 4th Ed., McGraw-Hill, New York, (1963), pp 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in DE 3,246,493.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10 through 41; U.S. 3,309,192, Col. 5, 15 line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, (1961), pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, (1989).

20 In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound 1 refers to the compound in Index Table A hereinafter.

Example A

Wettable Powder

| | | |
|----|---|--------|
| 25 | Compound 1 | 65.0% |
| | dodecylphenol polyethylene glycol ether | 2.0% |
| | sodium ligninsulfonate | 4.0% |
| | sodium silicoaluminate | 6.0% |
| | montmorillonite (calcined) | 23.0%. |

30

Example B

Granule

| | | |
|--|---|--------|
| | Compound 1 | 10.0% |
| | attapulgate granules (low volative matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves) | 90.0%. |

35

Example C

Extruded Pellet

| | | |
|--|------------|-------|
| | Compound 1 | 25.0% |
|--|------------|-------|

| | | |
|---|-----------------------------------|--------|
| | anhydrous sodium sulfate | 10.0% |
| | crude calcium ligninsulfonate | 5.0% |
| | sodium alkyl naphthalenesulfonate | 1.0% |
| 5 | calcium/magnesium bentonite | 59.0%. |

Example DEmulsifiable Concentrate

| | | |
|----|---|--------|
| | Compound 1 | 20.0% |
| | blend of oil soluble sulfonates and polyoxyethylene ethers | 10.0% |
| 10 | isophorone | 70.0%. |

The compounds of this invention are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, an effective amount of a compound of Formula I or a fungicidal composition containing said compound. The compounds and compositions of this invention provide control of diseases caused by a broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, vegetable, field, cereal, and fruit crops. These pathogens include *Plasmopara viticola*, *Phytophthora infestans*, *Peronospora tabacina*, *Pseudoperonospora cubensis*, *Pythium aphanidermatum*, *Alternaria brassicae*, *Septoria nodorum*, *Cercosporidium personatum*, *Cercospora arachidicola*, *Pseudocercospora herpotrichoides*, *Cercospora beticola*, *Botrytis cinerea*, *Monilinia fructicola*, *Pyricularia oryzae*, *Podosphaera leucotricha*, *Venturia inaequalis*, *Erysiphe graminis*, *Uncinula necator*, *Puccinia recondita*, *Puccinia graminis*, *Hemileia vastatrix*, *Puccinia striiformis*, *Puccinia arachidis*, *Rhizoctonia solani*, *Sphaerotheca fuliginea*, *Fusarium oxysporum*, *Verticillium dahliae*, *Pythium aphanidermatum*, *Phytophthora megasperma* and other genera and species closely related to these pathogens.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, semiochemicals, repellants, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of other agricultural protectants with which compounds of this invention can be formulated are: insecticides such as acephate, avermectin B, azinphosmethyl, bifenthrin, biphenate, buprofezin, carbofuran, chlordimeform, chlorpyrifos, cyfluthrin, deltamethrin, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenpropathrin, fenvalerate, fipronil, flucythrinate, flufenprox, fluvalinate, fonophos, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl,

methoprene, methoxychlor, monocrotophos, oxamyl, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as benomyl, blasticidin S, bromuconazole, captan, 5 carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, dichloran, diclobutrazol, diclomezine, difenoconazole, diniconazole, dodine, edifenphos, epoxyconazole fenarimol, fenbuconazole, fenpropidine, fenpropimorph, fluquinconazole, flusilazol, flutolanil, flutriafol, folpet, furalaxyl, hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, 10 mancozeb, maneb, mepronil, metalaxyl, metconazole, myclobutanil, neo-asozin, oxadixyl, penconazole, pencycuron, phosethyl-Al, probenazole, prochloraz, propiconazole, pyrifenox, pyroquilon, sulfur, tebuconazole, tetraconazole, thiabendazole, thiophanate-methyl, thiuram, triadimefon, triadimenol, tricyclazole, uniconazole, validamycin and vinclozolin; nematocides such as aldoxycarb, fenamiphos and fosthietan; 15 bactericides such as oxytetracycline, streptomycin and tribasic copper sulfate; acaricides such as amitraz, binapacryl, chlorobenzilate, cyhexatin, dicofol, dienochlor, fenbutatin oxide, hexythiazox, oxythioquinox, propargite and tebufenpyrad; and biological agents such as *Bacillus thuringiensis* and baculovirus.

In certain instances, combinations with other fungicides having a similiar spectrum 20 of control but a different mode of action will be particularly advantageous for resistance management.

Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the 25 media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

Rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of 30 active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.

The following TESTS demonstrate the control efficacy of compounds of this invention on specific pathogens. The pathogen control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-D for 35 compound descriptions.

Test compounds were first dissolved in acetone in an amount equal to 3% of the final volume and then suspended at a concentration of 200 ppm in purified water containing 250 ppm of the surfactant Trem® 014 (polyhydric alcohol esters). The resulting test suspensions were then used in the following tests.

5

TEST A

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of *Erysiphe graminis* f. sp. *tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20°C for 7 days, after which disease ratings were made.

10

TEST B

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of *Puccinia recondita* (the causal agent of wheat leaf rust) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 6 days, after which disease ratings were made.

15

TEST C

The test suspension was sprayed to the point of run-off on rice seedlings. The following day the seedlings were inoculated with a spore suspension of *Pyricularia oryzae* (the causal agent of rice blast) and incubated in a saturated atmosphere at 27°C for 24 h, and then moved to a growth chamber at 30°C for 5 days, after which disease ratings were made.

20

TEST D

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

25

TEST E

The test suspension was sprayed to the point of run-off on grape seedlings. The following day the seedlings were inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h, moved to a growth chamber at 20°C for 6 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings were made.

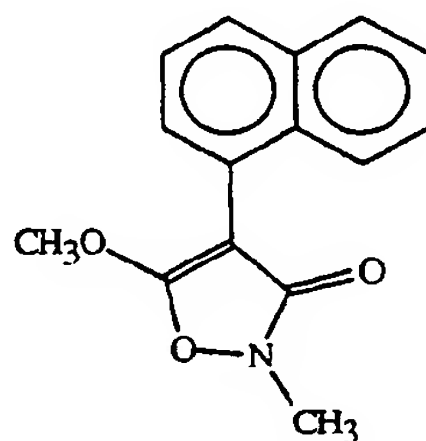
30

TEST F

The test suspension was sprayed to the point of run-off on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Botrytis cinerea* (the causal agent of gray mold on many crops) and incubated in a saturated atmosphere at 20°C for 48 h, and moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

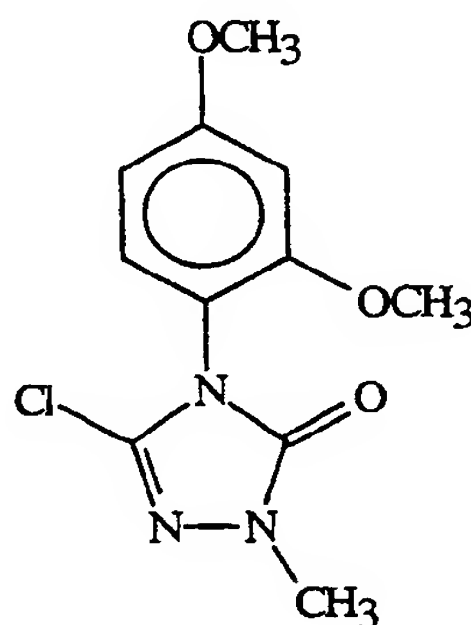
INDEX TABLE A

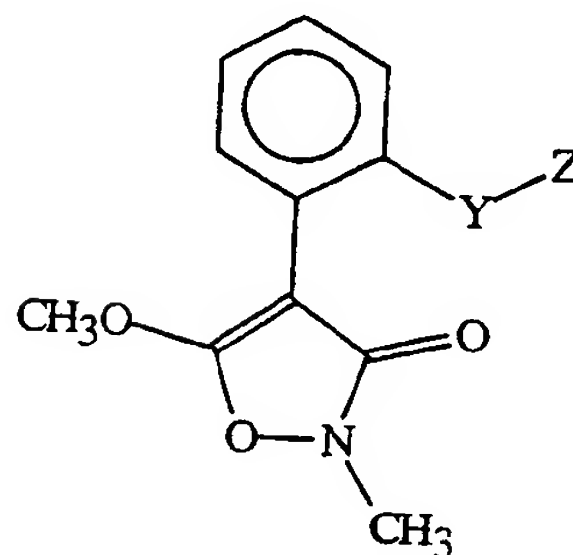
| Compound | m.p. (°C) |
|----------|-----------|
| 1 | 117-120 |



178

140-142



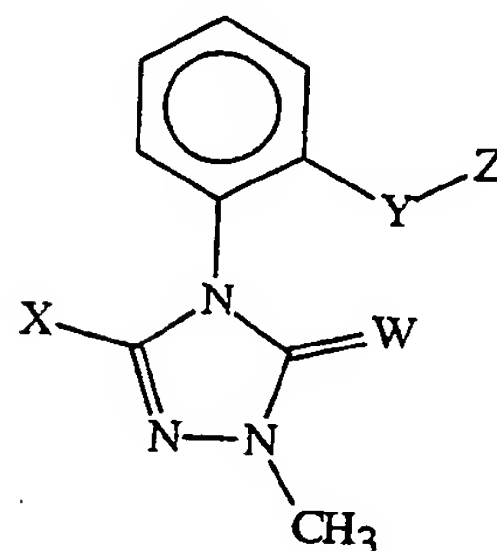
INDEX TABLE B

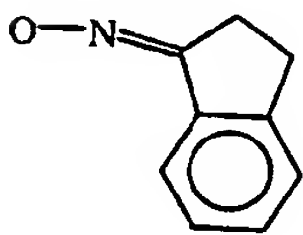
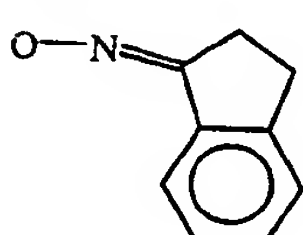
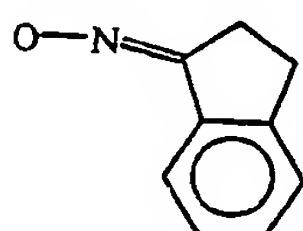
| <u>Compound</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|--------------------------|-------------------------------|------------------|
| 2 | O | 2-Me-Ph | oil* |
| 3 | O | CH ₂ -Ph | oil* |
| 4 | - | Me | oil* |
| 5 | CH ₂ O | 2-Me-Ph | oil* |
| 122 | CH ₂ ON=C(Me) | 3-CF ₃ -Ph | oil* |
| 123 | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | 59-61 |
| 124 | CH ₂ ON=C(Me) | Me | oil* |
| 125 | CH ₂ ON=C(Me) | 3-Cl-Ph | 71-73 |
| 126 | CH ₂ ON=C(Me) | 3-Br-Ph | oil* |
| 127 | CH ₂ ON=C(Me) | 4-Cl-Ph | oil* |
| 128 | CH ₂ ON=C(Me) | 4-Br-Ph | oil* |
| 129 | CH ₂ ON=C(Me) | 4-F-Ph | oil* |
| 130 | CH ₂ ON=C(Me) | 4-MeO-Ph | oil* |
| 131 | CH ₂ ON=C(Me) | 3-CN-Ph | oil* |
| 132 | CH ₂ ON=C(Me) | 4-CN-Ph | oil* |
| 133 | CH ₂ ON=C(Me) | 4-Me-Ph | oil* |
| 134 | CH ₂ ON=C(Me) | 4-Cl-3-Me-Ph | oil* |
| 135 | CH ₂ ON=C(Me) | 3,4-(-OCH ₂ O-)-Ph | oil* |
| 136 | CH ₂ ON=C(Me) | 3,4-diMe-Ph | oil* |
| 137 | CH ₂ ON=C(Me) | 3,4-diCl-Ph | oil* |
| 138 | CH ₂ ON=C(Me) | 4-Ph-Ph | oil* |
| 139 | CH ₂ ON=C(Me) | 3- <i>t</i> -Bu-Ph | oil* |
| 140 | CH ₂ ON=C(Me) | 3,5-diCF ₃ -Ph | oil* |
| 141 | CH ₂ ON=C(Me) | 3-MeO-Ph | oil* |
| 142 | CH ₂ ON=C(Me) | 3-Ph-Ph | oil* |
| 143 | CH ₂ ON=C(Me) | 4-PhO-Ph | oil* |
| 144 | CH ₂ ON=C(Me) | 2-pyridinyl | oil* |

| | | | |
|-----|---------------------------|-------------------------------------|---------|
| 145 | CH ₂ ON=C(Me) | 3-Me ₂ N-Ph | oil* |
| 146 | CH ₂ ON=C(Me) | 3-CF ₃ O-Ph | oil* |
| 147 | CH ₂ ON=C(Me) | 4-(4-MeO-PhO)-Ph | oil* |
| 148 | CH ₂ ON=C(Me) | 4-CF ₃ -2-pyridinyl | 94-96 |
| 149 | CH ₂ ON=C(Me) | 5-Cl-2-thienyl | 123-125 |
| 150 | CH ₂ ON=C(Me) | 4-Me-2-thienyl | 130-132 |
| 151 | CH ₂ ON=C(Me) | 2-thienyl | 124-126 |
| 152 | CH ₂ ON=C(Me) | 3-thienyl | 129-131 |
| 153 | CH ₂ ON=C(Me) | 3-PhO-Ph | oil* |
| 154 | CH ₂ ON=C(Me) | 3- <i>i</i> -PrO-Ph | oil* |
| 155 | CH ₂ ON=C(Me) | 3,5-diCl-Ph | oil* |
| 156 | CH ₂ ON=C(Et) | 3-CF ₃ -Ph | oil* |
| 157 | CH ₂ ON=C(Me) | <i>c</i> -hexyl | oil* |
| 158 | CH ₂ ON=C(Me) | 4- <i>t</i> -Bu- <i>c</i> -hexyl | oil* |
| 159 | CH ₂ ON=C(Me) | 3-(3-CF ₃ -Ph)-Ph | oil* |
| 160 | CH ₂ ON=C(Me) | 3-(3-CF ₃ -PhO)-Ph | oil* |
| 161 | CH ₂ ON=C(Me) | 3-F-5-CF ₃ -Ph | oil* |
| 162 | CH ₂ ON=C(Me) | 3,5-diMe-Ph | oil* |
| 163 | CH ₂ ON=C(Me) | 2-benzofuranyl | 101-104 |
| 164 | CH ₂ ON=C(Me) | 5-Me-2-furanyl | oil* |
| 165 | CH ₂ ON=C(Me) | 4,6-diMe-2-pyridinyl | oil* |
| 166 | CH ₂ ON=C(Me) | 4- <i>c</i> -hexyl-Ph | oil* |
| 167 | CH ₂ ON=C(Me) | 2-quinolinyl | 134-136 |
| 168 | CH ₂ ON=C(Me) | 4-Me-2-Ph-5-pyrimidinyl | oil* |
| 169 | CH ₂ ON=C(Me) | benzo[<i>b</i>]thiophen-3-yl | oil* |
| 170 | CH ₂ ON=C(Me) | 5-(3-CF ₃ -Ph)-2-thienyl | 135-138 |
| 171 | CH ₂ ON=C(Me) | 3,5-diBr-Ph | oil* |
| 172 | CH ₂ ON=C(Me) | 4-F-3-CF ₃ -Ph | oil* |
| 173 | CH ₂ ON=C(Me) | 2-Cl-6-MeO-4-pyridinyl | oil* |
| 174 | CH ₂ ON=C(Me) | 4,5-diMe-2-thiazolyl | 76-78 |
| 175 | CH ₂ ON=C(Me) | 1-Me-3-indolyl | 114-116 |
| 176 | CH ₂ ON=C(OMe) | 3,5-diCl-Ph | oil* |
| 177 | CH ₂ ON=C(Me) | 3-Et-Ph | oil* |

* See Index Table D for ¹H NMR data.

INDEX TABLE C



| Cmpd | W | X | Y | Z | m.p. (°C) |
|------|---|-----------------------|--------------------------|---|-----------|
| 6 | O | MeS | O | Ph | 129-130 |
| 7 | O | MeO | O | Me | 123-126 |
| 8 | O | MeO | - | Me | 95-97 |
| 9 | O | MeS | - | Me | 95-97 |
| 10 | O | Cl | - | Me | 99-100 |
| 11 | O | MeO | O | Ph | 88-91 |
| 12 | O | Cl | O | 2-Me-Ph | 88-96 |
| 13 | O | MeO | CH ₂ O | 2-Me-Ph | 110-113 |
| 14 | O | EtO | CH ₂ O | 2-Me-Ph | oil* |
| 15 | O | MeS | CH ₂ O | 2-Me-Ph | 80-88 |
| 16 | O | OCH ₂ C≡CH | CH ₂ O | 2-Me-Ph | 122-130 |
| 17 | O | Cl | CH ₂ ON=C(Me) | 4-Me-Ph | oil* |
| 18 | O | MeO | CH ₂ ON=C(Me) | 4-Me-Ph | 116-118 |
| 19 | O | MeS | CH ₂ ON=C(Me) | 4-Me-Ph | oil* |
| 20 | O | Cl | |  | oil |
| 21 | S | MeS | O | Ph | oil* |
| 22 | O | MeO | |  | 126-130 |
| 23 | O | Cl | CH ₂ ON=C(H) | Ph | oil* |
| 24 | O | MeS | |  | oil* |

| | | | | | |
|----|---|-----|--|--|-------------|
| 25 | O | Cl | CH ₂ O | 3-(OPh)-Ph | oil* |
| 26 | O | MeO | CH ₂ O | 3-(OPh)-Ph | oil* |
| 27 | O | MeO | CH ₂ ON=C(H) | Ph | 101-104 |
| 28 | O | MeS | CH ₂ O | 3-(OPh)-Ph | 95-100 |
| 29 | O | Cl | CH ₂ S | 2-Me-Ph | 106-109 |
| 30 | O | MeO | CH ₂ S | 2-Me-Ph | 115-118 |
| 31 | O | MeS | CH ₂ S | 2-Me-Ph | 82-86 |
| 32 | O | Cl | CH ₂ S | 2-benzthiazole | 95-97 |
| 33 | O | MeO | C≡C | Ph | 164-166 |
| 34 | O | MeO | CH ₂ ON=C(Me) | 4-Br-Ph | 115-120 |
| 35 | O | Cl | CH ₂ ON=C(Me) | 4-Br-Ph | gum* |
| 36 | O | Cl | CH ₂ O | 3-(benzoyl)-Ph | oil* |
| 37 | O | MeS | CH ₂ ON=C(Me) | 4-Br-Ph | 117-122 |
| 38 | O | MeO | CH ₂ O | 3-(benzoyl)-Ph | oil* |
| 39 | O | Cl | CH=NOCH ₂ | 4-Cl-Ph | oil* |
| 40 | O | Cl | CH ₂ ON=C(Me) | 3-piperonyl | oil* |
| 41 | O | MeO | CH=NOCH ₂ | 4-Cl-Ph | oil* |
| 42 | O | MeO | CH ₂ ON=C(Me) | 3-piperonyl | oil* |
| 43 | O | Cl | O | 4-(6-OPh)-1,3-pyrimidine | oil* |
| 44 | O | MeO | CH ₂ S | 2-benzthiazole | 95-97 |
| 45 | O | MeO | CH ₂ ON=C(Me) | 2-Me-Ph | oil* |
| 46 | O | MeO | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | 138-144 |
| 47 | O | MeO | CH ₂ ON=C(Me) | Ph | oil* |
| 48 | O | MeO | CH ₂ ON=C(Me) | Ph | oil* |
| 49 | O | MeO | CH ₂ ON=C(Me) | 3-Me-Ph | oil* |
| 50 | O | MeO | CH ₂ ON=C(Me) | 4-MeO-Ph | oil* |
| 51 | O | MeO | CH ₂ ON=C(Me) | 3-Cl-Ph | oil* |
| 52 | O | MeO | CH=NOCH(Me) | Ph | oil* |
| 53 | O | MeO | CH=NOCH ₂ | 2-Me-Ph | oil* |
| 54 | O | Cl | O | Ph | solid* |
| 55 | O | Cl | - | CH ₂ Cl:CH ₂ Br(60:40) | solid* |
| 56 | O | MeO | - | CH ₂ Br | solid* |
| 57 | O | Cl | O | Me | 152-154 |
| 58 | O | Cl | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | 111-118 |
| 59 | O | MeO | CH ₂ ON=C(Me) | 3-CF ₃ -Ph | 103.5-105.5 |
| 60 | O | MeS | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | oil* |
| 61 | O | MeO | CH ₂ ON=C(CF ₃) | 3-CF ₃ -Ph | oil* |

| | | | | | |
|----|---|-------------------|-------------------------------------|--|-------------|
| 62 | O | MeO | O | 6-(2-CN-PhO)-4-pyrimidinyl | solid/gum* |
| 63 | O | MeO | O | 6-Cl-4-pyrimidinyl | 133-136 |
| 64 | O | MeO | O | 6-(2-Me-PhO)-4-pyrimidinyl | solid/gum* |
| 65 | O | MeO | O | 6-PhO-4-pyrimidinyl | gum* |
| 66 | O | MeO | CH ₂ ON=C(Me) | 2-pyridinyl | 122-124 |
| 67 | O | Cl | CH ₂ ON=C(Me) | 4-pyridinyl | 153-155 |
| 68 | O | MeO | CH ₂ O | 2,5-diMe-Ph | 130-135 |
| 69 | O | MeO | CH ₂ ON=C(Me) | 4- <i>t</i> -Bu-Ph | gum* |
| 70 | O | MeO | CH ₂ ON=C(Me) | 3,4-diMe-Ph | gum* |
| 71 | O | MeO | OCH ₂ | 2,5-diMe-Ph | 119-122 |
| 72 | O | MeO | CH ₂ ON=C(Me) | 3,4-diCl-Ph | 128-129 |
| 73 | O | MeO | CH ₂ ON=C(Me) | 3-pyridinyl | 90-109 dec. |
| 74 | O | MeO | CH ₂ ON=C(Me) | 4-pyridinyl | 140-142 |
| 75 | O | Cl | O | 6-Cl-4-pyrimidinyl | solid* |
| 76 | O | MeO | CH ₂ ON=C(Me) | 4-Ph-Ph | ~ 55* |
| 77 | O | Cl | CH ₂ O | 2,5-diMe-Ph | solid* |
| 78 | O | Cl | CH ₂ ON=C(Me) | 1-Me-3-pyrrolyl | 124-131 |
| 79 | O | MeO | CH ₂ ON=C(Me) | 1-Me-3-pyrrolyl | 135-137.5 |
| 80 | O | Cl | CH ₂ ON=C(Me) | 2-pyrazinyl | 108-111 |
| 81 | O | MeO | CH ₂ ON=C(Me) | 2-pyrazinyl | 119-121 |
| 82 | O | Cl | CH ₂ ON=C(Me) | 3,5-diCF ₃ -Ph | oil* |
| 83 | O | MeO | CH ₂ ON=C(Me) | 3,5-diCF ₃ -Ph | 147-149 |
| 84 | O | MeO | CH ₂ ON=C(<i>c</i> -Pr) | 4-Cl-Ph | oil* |
| 85 | O | MeSO ₂ | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | 50-55 |
| 86 | O | MeS(O) | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | oil/gum* |
| 87 | O | MeO | CH ₂ ON=C(Me) | 6-Me-3-pyridinyl | 134-136 |
| 88 | O | MeO | CH ₂ ON=C(Me) | 3- <i>t</i> -Bu-Ph | oil* |
| 89 | O | MeO | CH ₂ ON=C(Me) | 3-Ph-Ph | oil* |
| 90 | O | MeO | CH ₂ ON=C(Me) | 3- <i>i</i> -PrO-Ph | oil* |
| 91 | O | MeO | CH ₂ ON=C(Me) | 4,6-diMe-2-pyrimidinyl | 119-121 |
| 92 | O | MeO | CH ₂ ON=C(Me) | 3-CF ₃ O-Ph | 90-92 |
| 93 | O | MeO | CH ₂ ON=C(Me) | 3-Me ₂ N-Ph | 106-110 |
| 94 | O | Cl | CH ₂ ON=C(Me) | 3,4-diCl-Ph | solid* |
| 95 | O | MeO | CH ₂ ON=C(Me) | 4-CF ₃ -2-pyridinyl | 144-145 |
| 96 | O | MeO | CH ₂ ON=C(Me) | 3- <i>n</i> -C ₄ F ₉ -Ph | oil* |
| 97 | O | MeO | CH ₂ ON=C(Me) | 4-CN-2-pyridinyl | 120-125 |
| 98 | O | MeO | CH ₂ ON=C(Me) | 3-PhO-Ph | oil* |
| 99 | O | MeO | CH ₂ ON=C(Et) | 3-CF ₃ -Ph | oil* |

| | | | | | |
|-----|---|-----|-------------------------------------|---|-----------|
| 100 | O | MeO | CH ₂ ON=C(Me) | 3-NO ₂ -Ph | gum* |
| 101 | O | MeO | CH ₂ ON=C(Me) | 4-Ph-2-pyridinyl | 115-117.5 |
| 102 | O | MeO | CH ₂ ON=C(Me) | 2-thienyl | 100-105 |
| 103 | O | MeO | CH ₂ ON=C(Me) | 4- <i>t</i> -Bu-2-pyridinyl | 103-105.5 |
| 104 | O | MeO | CH ₂ ON=C(Me) | 2-benzofuranyl | 149-154 |
| 105 | O | MeO | CH ₂ ON=C(Me) | 5-Cl-3-Me-benzo[<i>b</i>]thiophen-2-yl | 167-169 |
| 106 | O | MeO | CH ₂ ON=C(Me) | 3,5-diCl-Ph | 149-153 |
| 107 | O | MeO | CH ₂ ON=C(Me) | 2,4-diMe-5-thiazolyl | 123-124 |
| 108 | O | Cl | CH ₂ ON=C(Me) | 2-quinoxaliny | 173-174 |
| 109 | O | MeO | CH ₂ ON=C(Me) | 2-quinoxaliny | 225-227 |
| 110 | O | MeO | CH ₂ ON=C(Me) | 3,5-diMe-Ph | oil* |
| 111 | O | Cl | CH ₂ ON=C(Me) | 3-CF ₃ -Ph | oil* |
| 112 | O | Cl | CH ₂ ON=C(<i>c</i> -Pr) | 4-Cl-Ph | gum* |
| 113 | O | MeO | CH ₂ ON=C(Me) | 3-CN-Ph | gum* |
| 114 | O | Cl | CH ₂ O | 5-Me-2-(2-pyridinyl)-4-thiazolyl | oil* |
| 115 | O | MeO | CH ₂ ON=C(Me) | 3-F-5-CF ₃ -Ph | oil* |
| 116 | O | MeO | CH ₂ ON=C(CN) | 3-CF ₃ -Ph | 138-141 |
| 117 | O | MeO | CH ₂ ON=C(Me) | 6-Me-2-CF ₃ -thiazolo[2,3- <i>c</i>]-1,2,4-triazol-5-yl | 157-160 |
| 118 | O | MeO | CH ₂ ON=C(Me) | 3,5-diF-Ph | 103-106 |
| 119 | O | MeO | CH ₂ ON=C(Me) | 3,5-diBr-Ph | 139-141 |
| 120 | O | MeO | CH ₂ ON=C(Me) | 2-quinolinyl | 168-171 |
| 121 | O | Cl | CH ₂ ON=C(Me) | 3-CF ₃ O-Ph | oil* |

* See Index Table D for ¹H NMR data.

INDEX TABLE D

| Cmpd No. | ¹ H NMR Data (200 MHz, CDCl ₃ solution) |
|----------|--|
| 2 | δ 7.51(dd,1H),7.27(dt,1H),7.17(m,2H),6.97(dd,1h),6.6(m,3H),3.92(s,3H), 3.74 (s,3H),3.33 (s,3H) |
| 3 | δ 7.32(m,7H), 6.99(m,2H),5.08(s,2H),3.84(s,3H),3.42(s,3H) |
| 4 | δ 7.25(m,4H),3.98(s,3H),3.45(s,3H),2.30(s,3H) |
| 5 | δ 7.61(d,1H),7.35(m,3H),7.11(m,2H),6.84(t,2H),5.12(s,2H),3.96(s,3H), 3.415(s,3H),2.24(s,3H) |
| 14 | δ 7.65(d,1H),7.45(m,2H),7.23(m,1H),7.10(m,2H),6.82(t,1H),6.78(d,1H), 5.08(s,2H),4.29(m,2H),3.41(s,3H),2.24(s,3H),1.31(t,3H) |
| 17 | δ 7.6-7.45(m,5H),7.20(m,1H),7.14(d,2H),5.27(d,1H),5.16(d,1H), 3.46(s,3H),2.34(s,3H),2.16(s,3H) |

- 19 δ 7.6(d,1H),7.5(m,3H),7.4(t,1H),7.25(m,1H),7.15(d,2H),5.26(d,1H),
5.20(d,1H),3.48(s,3H),2.41(s,3H),2.43(s,3H),2.18(s,3H)
- 20 δ 7.62(m,2H),7.5(m,2H),7.35-7.2(m,4H),5.25(d,1H),5.15(d,1H),
3.48(s,3H),3.02(m,2H),2.85(m,2H)
- 21 δ 7.42(m,2H),7.10(m,1H),7.06(m,3H),6.99(t,1H),6.68(d,2H),3.37(s,3H),
2.51(s,3H)
- 23 δ 8.01(s,1H),7.61(d,1H),7.52(m,4H),7.35(m,3H),7.25(d,1H),5.23(d,1H),
5.15(d,1H),3.49(s,3H)
- 24 δ 7.6(m,2H),7.5-7.4(m,3H),7.3-7.2(m,3H),5.24(d,1H),5.20(d,1H),
3.48(s,3H),2.40(s,3H)
- 25 δ 7.6-7.4(m,4H),7.35(m,2H),7.2(m,2H),7.0(d,2H),6.6(m,3H),5.04(d,1H),
5.00(d,1H),3.45(s,3H)
- 26 δ 7.6(d,1H),7.45(m,2H),7.33(t,2H),7.19(m,2H),7.10(t,1H),7.01(d,2H),
6.6(m,3H),5.03(m,2H),3.87(s,3H),3.39(s,3H)
- 35 δ 7.6-7.4(m,7H),7.23(d,1H),5.28(d,1H),5.17(d,1H),3.46(s,3H),2.14(s,3H)
- 36 δ 7.80(d,2H),7.65-7.45(m,6H),7.36(d,2H),7.30(m,1H),7.25(m,1H),
7.10(t,1H),5.15(d,1H),5.10(d,1H),3.45(s,2H)
- 38 δ 7.77(d,2H),7.6(m,2H),7.47(m,4H),7.35(m,3H),7.25(m,1H),7.10 (m,1H),
5.13(d,1H),5.12(d,1H),3.89(s,3H),3.38(s,3H)
- 39 δ 8.03(s,1H),7.70(d,1H),7.53(m,2H),7.35-7.25(m,5H),5.06(s,2H),
3.46(s,3H)
- 40 δ 7.6-7.5(m,3H),7.24(m,1H),7.13(s,1H),7.02(d,1H),6.78(d,1H),5.96(s,2H),
5.26(d,1H),5.14(d,1H),3.48(s,3H),2.13(s,3H)
- 41 δ 8.04(s,1H),7.8(m,1H),7.45(m,2H)7.35-7.25(m,5H),5.10(s,2H),3.86(s,3H),
3.41(s,3H)
- 42 δ 7.58(m,1H),7.43(m,2H),7.25(m,1H),7.15(m,1H),7.02(d,1H),6.76(d,1H),
5.96(s,2H),5.22(d,1H),5.18(d,1H)3.89(s,3H),3.42(s,3H),2.15(s,3H)
- 43 δ 8.40(s,1H),7.6(m,1H),7.5-7.4(m,5H),7.3(d,1H),7.18(m,2H),6.38(s,1H),
3.45(s,3H)
- 45 δ 7.55(d,1H),7.40(m,3H),7.20(m,4H),5.21(d,1H),3.87(s,3H),3.42(s,3H),
2.24(s,3H)
- 47 δ 7.6-7.2(m,9H),5.4-5.2(m,2H),3.87,3.83(s,3H),3.41,3.40(s,3H)
- 48 δ 7.6(m,3H),7.44(m,2H),7.35(m,3H),7.25(m,1H),5.26(d,1H),5.22(d,1H),
3.88(s,3H),3.49(s,3H),2.20(s,3H)
- 49 δ 7.5(d,1H),7.40(m,4H),7.23(m,2H),7.18(d,1H),5.26(d,1H),5.21(d,1H),
3.88(s,3H)3.41(s,3H),2.36(s,3H),2.19(s,3H)
- 50 δ 7.56(m,3H),7.45(m,2H),7.25(m,1H),6.86(d,2H),5.24(d,1H),5.19(d,1H),
3.88(s,3H),3.81(s,3H),3.41(s,3H),2.17(s,3H)

- 51 δ 7.5(m,2H),7.45(m,3H),7.3(m,3H),5.27(d,1H),5.22(d,1H),3.89(s,3H)
- 52 δ 8.02,8.01(s,1H),7.8,7.7(m,1H),7.45(m,2H),7.35(m,4H),7.25(m,2H),5.25(m,1H),3.88,3.74(s,3H),3.45,3.39(s,3H),1.62-1.56(m,3H)
- 53 δ 8.04(s,1H),7.81(m,1H),7.45(m,2H),7.38-7.18(m,5H),5.18(s,2H),3.86(s,3H),3.42(s,3H),2.38(s,3H)
- 54 δ 7.35(m,4H),7.20(m,2H),7.05(d,2H),6.95(d,1H),3.46(s,3H)
- 55 δ 7.6-7.45(m,3H),7.2(m,1H),4.67(d,1H),4.48(d,1H),3.56(s,3H)
- 56 δ 7.5(m,1H),7.44(m,2H),7.22(m,1H),4.60(d,1H),4.36(d,1H),3.96(s,3H),3.47(s,3H)
- 60 δ 7.72(d,2H),7.58(d,3H),7.50(m,2H),7.26(m,1H),5.30(d,1H),5.24(d,1H),3.48(s,3H),2.42(s,3H),2.21(s,3H)
- 61 δ 7.70(m,2H),7.60(m,2H),7.43(m,3H),7.23(m,1H),5.30(d,1H),5.25(d,1H),3.85(s,3H),3.41(s,3H)
- 62 δ 8.40(s,1H),7.70(m,2H),7.6-7.3(m,6H),6.59(s,1H),3.80(s,3H),3.39(s,3H)
- 64 δ 8.40(s,1H),7.5-7.2(m,7H),7.02(s,1H),6.33(s,1H),3.78(s,3H),3.36(s,3H),2.18(s,3H)
- 65 δ 8.42(s,1H),7.55-7.26(m,7H),7.16(d,2H),6.36(s,1H),3.79(s,3H),3.36(s,3H)
- 69 δ 7.6-7.3(m,7H),7.25(m,1H),5.24(d,1H),5.21(d,1H),3.89(s,3H),3.41(s,3H),2.18(s,3H),1.31(s,9H)
- 70 δ 7.60(d,1H),7.45-7.38(m,3H),7.35-7.20(m,2H),7.11(d,1H),5.74(d,1H),5.21(d,1H),3.88(s,3H),3.41(s,3H),2.27(s,3H),2.26(s,3H),2.18(s,3H)
- 75 δ 8.56(s,1H),7.58(m,1H),7.40(m,3H),6.99(s,1H),3.43(s,3H)
- 76 δ 7.66(d,2H),7.58(m,5H),7.5-7.3(m,5H),7.25(m,1H),5.28(d,1H),5.24(d,1H),3.90(s,3H),3.47(s,3H),2.23(s,3H)
- 77 δ 7.68(d,1H),7.6-7.5(m,2H),7.25(m,1H),7.00(d,1H),6.68(d,1H),6.61(s,1H),5.05(d,1H),5.00(d,1H),3.49(s,3H),2.29(s,3H),2.16(s,3H)
- 82 δ 8.02(s,2H),7.82(s,1H),7.6-7.45(m,3H),7.25(m,1H),5.33(d,1H),5.21(d,1H),3.50(s,3H),2.23(s,3H)
- 84 δ 7.6(d,1H),7.5-7.4(m,2H),7.4-7.2(m,5H),5.20(d,2H),3.89(s,3H),3.40(s,3H),2.18(m,1H),0.90(m,2H),0.60(m,2H)
- 86 Two isomers: δ 7.75-7.40(m,8H),[5.29(s) and 5.22(m)](2H),[3.58(s) and 3.55(s)](3H),[2.88(s) and 2.83(s)](3H),[2.23(s) and 2.17(s)](3H)
- 88 δ 7.60(m,2H),7.40(m,4H),7.26(m,2H),5.25(d,1H),5.22(d,1H),3.88(s,3H),3.40(s,3H),2.20(s,3H),1.33(s,9H)
- 89 δ 7.80(s,1H),7.58(m,5H),7.40(m,6H),7.25(m,1H),5.25(m,2H),3.87(s,3H),3.39(s,3H),2.25(s,3H)
- 90 δ 7.58(d,1H),7.42(m,2H),7.24(m,2H),7.17(m,2H),6.85(d,1H),5.22(m,2H),

- 94 4.58(m,1H),3.89(s,3H),3.41(s,3H),2.17(s,3H),1.33(d,6H)
δ 7.67(s,1H),7.60-7.45(m,3H),7.41(s,2H),7.22(m,1H),5.30(d,1H),
5.16(d,1H),3.49(s,3H),2.14(s,3H)
- 96 δ 7.80(m,2H),7.58(m,2H),7.50(m,3H),7.25(m,1H),5.28(d,1H),5.25(d,1H),
3.89(s,3H),3.40(s,3H),2.22(s,3H)
- 99 δ 7.82(s,1H),7.79(d,1H),7.58(m,2H),7.45(m,3H),7.25(m,1H),5.22(m,2H),
3.89(s,3H),3.41(s,3H),2.77(q,2H),1.10(t,3H)
- 100 δ 8.45(s,1H),8.20(m,1H),7.95(d,1H),7.6-7.4(m,4H),7.25(m,1H),5.30(d,1H),
5.26(d,1H),3.90(s,3H),3.41(s,3H),2.24(s,3H)
- 110 δ 7.60(d,1H),7.45(m,2H),7.25(m,1H),7.20(s,2H),7.00(s,1H),5.25(d,1H),
5.21(d,1H),3.88(s,3H),3.41(s,3H),2.32(s,6H),2.18(s,3H)
- 111 δ 7.8(m,1H),7.75(m,1H),7.6-7.4(m,5H),7.2(m,1H),5.33(d,1H),5.17(d,1H),
3.45(s,3H),2.18(s,3H)
- 112 Major Isomer: δ 7.6-7.4(m,3H),7.34-7.20(m,5H),5.24(d,1H),5.14(d,1H),
3.46(s,3H),2.10(m,1H),0.90(m,2H),0.55(m,2H)
- 113 δ 7.89(s,1H),7.80(d,1H),7.60(m,2H),7.43(m,3H),7.25(m,1H),5.28(d,1H),
5.24(d,1H),3.90(s,3H),3.42(s,3H),2.19(s,3H)
- 114 δ 8.6(d,1H),8.0(d,1H),7.6(m,2H),7.5(m,3H),7.2(m,1H),5.48(d,1H),
4.6(d,1H),3.56(s,3H),3.4(s,3H)
- 115 δ 7.64(s,1H),7.58-7.42(m,4H),7.30(m,1H),7.25(m,1H),5.29(d,1H),
5.24(d,1H),3.90(s,3H),3.41(s,3H),2.19(s,3H)
- 121 δ 7.6-7.4(m,5H),7.36(t,1H),7.20(m,2H),5.30(d,1H),5.18(d,1H),3.47(s,3H),
2.17(s,3H)
- 123 δ 7.72(d,2H),7.58(d,2H),7.51(m,1H),7.34(m,3H),5.31(s,2H),3.94(s,3H),
3.43(s,3H),2.24(s,3H)
- 125 δ 7.62(m,1H),7.49(m,2H),7.32(m,5H),5.28(s,2H),3.95(s,3H),3.44(s,3H),
2.21(s,3H)
- 126 δ 7.77(t,1H),7.49(m,3H),7.34(m,3H),7.22(m,1H),5.28(s,2H),3.94(s,3H),
3.44(s,3H),2.2(s,3H)
- 127 δ 7.53(m,3H),7.32(m,5H),5.27(s,2H),3.93(s,3H),3.43(s,3H),2.20(s,3H)
- 128 δ 7.48(m,5H),7.33(m,3H),5.27(s,2H),3.93(s,3H),3.42(s,3H),2.2(s,3H)
- 129 δ 7.59(m,2H),7.52(m,1H),7.34(m,3H),7.02(m,2H),5.27(s,2H),3.94(s,3H),
3.43(s,3H),2.22(s,3H)
- 130 δ 7.56(m,3H),7.33(m,3H),6.86(m,2H),5.25(s,2H),3.93(s,3H),3.81(s,3H),
2.43(s,3H),2.21(s,3H)
- 131 δ 7.92(m,1H),7.84(d,1H),7.6(m,1H),7.47(m,2H),7.33(m,3H),5.30(s,2H),
3.98(s,3H),3.45(s,3H),2.23(s,3H)
- 132 δ 7.73(d,2H),7.62(d,2H),7.50(m,1H),7.35(m,3H),5.31(s,2H),3.96(s,3H),

- 3.44(s,3H),2.23(s,3H)
- 133 δ 7.5(m,3H),7.33(m,3H),7.14(d,2H),5.26(s,2H),3.92(s,3H),3.43(s,3H),
2.34(s,3H),2.21(s,3H)
- 134 δ 7.51(m,2H),7.34(m,5H),5.27(s,2H),3.94(s,3H),3.43(s,3H),2.37(s,3H),
2.2(s,3H)
- 135 δ 7.51(m,1H),7.33(m,3H),7.18(d,1H),7.06(m,1H),6.76(d,1H),5.95(s,2H),
5.24(s,2H),3.94(s,3H),3.43(s,3H),2.18(s,3H)
- 136 δ 7.53(m,1H),7.40(s,1H),7.34(m,4H),7.1(d,1H),5.26(s,2H),3.93(s,3H),
3.43(s,3H),2.26(s,3H),2.25(s,3H),2.21(s,3H)
- 137 δ 7.72(d,1H),7.44(m,3H),7.33(m,3H),5.28(s,2H),3.96(s,3H),3.44(s,3H),
2.19(s,3H)
- 138 δ 7.71(m,2H),7.58(m,5H),7.44(m,2H),7.34(m,4H),5.3(s,2H),3.93(s,3H),
3.43(s,3H),2.26(s,3H)
- 139 7.63(m,1H),7.54(m,1H),7.37(m,3H),7.3(m,3H),5.28(s,2H),3.92(s,3H),
3.43(s,3H),2.24(s,3H),1.33(s,9H)
- 140 δ 8.07(s,2H),7.83(s,1H),7.51(m,1H),7.35(m,3H),5.35(s,2H),3.96(s,3H),
3.44(s,3H),2.27(s,3H)
- 141 δ 7.53(d,1H),7.34(m,3H),7.24(m,1H),7.18(m,2H),6.89(m,1H),5.28(s,2H),
3.94(s,3H),3.82(s,3H),3.44(s,3H),2.22(s,3H)
- 142 δ 7.83(t,1H),7.58(m,5H),7.43(m,3H),7.34(m,4H),5.3(s,2H),3.91(s,3H),
3.42(s,3H),2.28(s,3H)
- 143 δ 7.56(m,3H),7.33(m,5H),7.13(m,1H),6.99(m,4H),5.26(s,2H),3.94(s,3H),
3.43(s,3H),2.22(s,3H)
- 144 δ 8.57(d,1H),7.85(d,1H),7.65(t,1H),7.53(d,1H),7.3-7.4(m,3H),7.22(t,1H),
5.32(s,2H),3.95(s,3H),3.44(s,3H),2.3(s,3H)
- 145 δ 7.54(m,1H),7.32(m,3H),7.2(t,1H),6.95(m,2H),6.73(m,1H),5.27(s,2H),
3.91(s,3H),3.43(s,3H),2.95(s,6H),2.22(s,3H)
- 146 δ 7.52(m,3H),7.34(m,4H),7.18(m,1H),5.29(s,2H),3.94(s,3H),3.43(s,3H),
2.22(s,3H)
- 147 δ 7.54(m,3H),7.33(m,3H),6.96(m,2H),6.88(m,4H),5.25(s,2H),3.93(s,3H),
3.8(s,3H),3.43(s,3H),2.21(s,3H)
- 153 δ 7.5(m,1H),7.34(m,7H),7.26(m,1H),7.11(m,1H),6.97(m,2H),5.25(s,2H),
3.92(s,3H),3.42(s,3H),2.2(s,3H)
- 154 δ 7.53(m,1H),7.33(m,3H),7.24(m,1H),7.15(m,2H),6.86(m,1H),5.27(s,2H),
4.57(m,1H),3.92(s,3H),3.43(s,3H),2.21(s,3H),1.33(d,6H)
- 155 δ 7.49(m,3H),7.34(m,4H),5.29(s,2H),3.95(s,3H),3.44(s,3H),2.18(s,3H)
- 156 δ 7.87(d,1H),7.78(d,1H),7.6(m,1H),7.5(m,2H),7.33(m,3H),5.3(s,2H),
3.95(s,3H),3.44(s,3H),2.77(quant,2H),1.12(t,3H)

- 157 δ 7.47-7.45(m,1H),7.39-7.27(m,3H),5.09(s,2H),3.95(s,3H),3.43(s,3H),
1.79-1.68(m,9H),1.31-1.20(m,5H)
- 158 δ 7.48-7.28(m,4H),5.10 and 5.08(2s,2H total),3.95 and 3.81(2s,2H
total),3.44 and 3.35(2s,3H total),1.85-1.79(m,8H),1.26-0.84(m,14H)
- 159 δ 7.82(d,2H),7.77(d,1H),7.58(m,5H),7.45(t,1H),7.34(m,3H),5.31(s,2H),
3.92(s,3H),3.42(s,3H)2.29(s,3H)
- 160 δ 7.48(m,3H),7.33(m,6H),7.23(m,1H),7.14(d,1H),7.00(d,1H),5.26(s,2H),
3.93(s,3H),3.42(s,3H),2.2(s,3H)
- 161 δ 7.66(s,1H),7.51(m,2H),7.33(m,4H),5.32(s,2H),3.96(s,3H),3.44(s,3H),
2.22(s,3H)
- 162 δ 7.53(d,1H),7.35(m,3H),7.24(m,2H),6.98(s,1H),5.27(s,2H),3.92(s,3H),
3.43(s,3H),2.31(s,6H),2.21(s,3H)
- 164 δ 7.45-7.55(d,1H),7.30-7.35(m,3H),6.45(d,1H),6.05(d,1H),5.26(s,2H),
3.96(s,3H),3.43(s,3H),2.33(s,3H),2.13(s,3H)
- 165 δ 7.52(d,1H),7.45(s,1H),7.37-7.31(m,3H),6.92(s,1H),5.30(s,2H),3.95(s,3H),
3.44(s,3H),2.50(s,3H),2.32(s,3H),2.30(s,3H)
- 166 δ 7.53(m,3H),7.34(m,3H),7.18(d,2H),5.26(s,2H),3.93(s,3H),3.43(s,3H),
2.5(broad,1H),2.22(s,3H),1.78(m,6H),1.41(m,4H)
- 168 δ 8.57(s,1H),8.40-8.50(m,2H),7.43-7.50(m,4H),7.35-7.40(m,3H),
5.30(s,2H),3.96(s,3H),3.44(m,3H),2.55(s,3H),2.24(s,3H)
- 169 δ 8.45(t,1H),7.80(t,1H),7.57(s,1H),7.33-7.50(m,6H),5.35(s,2H),3.89(s,3H),
3.43(s,3H),2.33(s,3H)
- 171 δ 7.69(d,2H),7.62(m,1H),7.49(m,1H),7.34(m,3H),5.29(s,2H),3.96(s,3H),
3.45(s,3H),2.17(s,3H)
- 172 δ 7.86(m,2H),7.5(m,1H),7.33(m,3H),7.18(m,1H),5.29(s,2H),3.96(s,3H),
3.44(s,3H),2.23(s,3H)
- 173 δ 7.47(d,1H),7.30-7.39(m,3H),7.19(s,1H),6.79(s,1H),5.30(s,2H),3.97(s,3H),
3.91(s,3H),3.45(s,3H),2.15(s,3H)
- 176 Major isomer: δ 7.69(t,1H),7.57(d,2H),7.35(m,4H),5.17(s,2H),4.03(s,3H),
3.97(s,3H),3.45(s,3H)
Minor isomer: δ 7.7(t,1H),7.6(d,2H),7.50(m,4H),5.11(s,2H),3.88(s,3H),
3.73(s,3H),3.43(s,3H)
- 177 δ 7.53(m,1H),7.45(m,1H),7.42(m,1H),7.34(m,3H),7.24(m,1H),7.17(m,1H),
5.28(s,2H),3.91(s,3H),3.42(s,3H),2.65(q,2H),2.23(s,3H),1.23(t,3H)

Results for Tests A-F are given in Table 1. In the table, a rating of 100 indicates 100% disease control and a rating of 0 indicates no disease control (relative to the controls).

Table 1

| <u>Cmpd No.</u> | <u>Test</u> <u>A</u> | <u>Test</u> <u>B</u> | <u>Test</u> <u>C</u> | <u>Test</u> <u>D</u> | <u>Test</u> <u>E</u> | <u>Test</u> <u>F</u> |
|-----------------|-------------------------|-------------------------|-------------------------|-------------------------|-------------------------|-------------------------|
| 1 | 57 | 79 | 0 | 0 | 17 | 68 |
| 2 | 86 | 93 | 0 | 0 | 100 | 0 |
| 3 | 57 | 79 | 0 | 61 | 100 | 68 |
| 4 | 0 | 0 | 0 | 0 | 100 | 0 |
| 5 | 99 | 100 | 0 | 46 | 100 | 43 |
| 6 | 98 | 100 | 36 | 85 | 100 | 42 |
| 7 | 73 | 9 | 0 | 33 | 5 | 3 |
| 8 | 0 | 0 | 0 | 0 | 35 | 46 |
| 9 | 0 | 0 | 0 | 0 | 35 | 0 |
| 10 | 35 | 3 | 0 | 43 | 78 | 0 |
| 11 | 100 | 100 | 0 | 64 | 100 | 50 |
| 12 | 95 | 97 | 0 | 47 | 92 | 71 |
| 13 | 98 | 100 | 0 | 0 | 69* | 63 |
| 14 | 78 | 81 | 0 | 0 | 0 | 0 |
| 15 | 100 | 100 | 0 | 63 | 100 | 36 |
| 16 | 92 | 57 | 0 | 0 | 0 | 0 |
| 17* | 78 | 91 | 0 | 0 | 36 | 44 |
| 18 | 52 | 0 | 0 | 0 | 0 | 0 |
| 19 | 0 | 0 | 0 | 0 | 0 | 62 |
| 20 | 63 | 84 | 0 | 26 | 99 | 65 |
| 21 | - | - | - | - | - | - |
| 22 | 38 | 100 | 0 | 47 | 100 | 47 |
| 23 | 38 | 89 | 0 | 0 | 100 | 0 |
| 24 | 0 | 98 | 97 | 47 | 100 | 70 |
| 25 | 0 | 84 | 88 | 16 | 100 | 0 |
| 26 | 72 | 100 | 0 | 73 | 100 | 44 |
| 27 | 72 | 93 | 0 | 16 | 100 | 2 |
| 28 | 83 | 97 | 19 | 59 | 100 | 0 |
| 29 | 16 | 97 | 0 | 25 | 8 | 0 |
| 30 | 95 | 96 | 0 | 47 | 100 | 47 |
| 31 | 89 | 99 | 0 | 46 | 100 | 0 |
| 32 | 60 | 53 | 0 | 0 | 100 | 47 |
| 33 | 95 | 98 | 0 | 77 | 100 | 0 |
| 34 | 90 | 100 | 88 | 64 | 100 | 0 |

74

| | | | | | | |
|----|-----|-----|-----|----|------------------|----|
| 35 | 0 | 97 | 0 | 0 | 99 | 9 |
| 36 | 63 | 93 | 62 | 46 | 100 | 0 |
| 37 | 98 | 100 | 93 | 63 | 100 | 48 |
| 38 | 0 | 99 | 73 | 26 | 100 | 0 |
| 39 | 32 | 85 | 73 | 0 | 45 | 0 |
| 40 | 59 | 97 | 93 | 0 | 76 | 49 |
| 41 | 97 | 100 | 0 | 0 | 100 | 0 |
| 42 | 92 | 100 | 62 | 64 | 100 | 68 |
| 43 | 97 | 99 | 50 | 26 | 97 | 0 |
| 44 | 73 | 100 | 0 | 47 | 100 | 69 |
| 45 | 94 | 100 | 0 | 0 | 100 | 47 |
| 46 | 100 | 100 | 100 | 93 | 100 | 0 |
| 47 | 96 | 100 | 0 | 0 | 100 | 0 |
| 48 | 100 | 100 | 0 | 47 | 100 | 0 |
| 49 | 100 | 100 | 88 | 86 | 100 | 47 |
| 50 | 92 | 100 | 97 | 77 | 100* | 45 |
| 51 | 100 | 100 | 100 | 97 | 100* | 89 |
| 52 | 99 | 100 | 0 | 22 | 100* | 66 |
| 53 | 94 | 99 | 32 | 0 | 57* | 66 |
| 54 | 86 | 66 | 0 | 84 | 45 | 63 |
| 55 | 0 | 4 | 0 | 0 | 11 | 0 |
| 56 | 35 | 0 | 0 | 0 | 0 | 0 |
| 57 | 67 | 0 | 0 | 0 | - | 0 |
| 58 | 100 | 97 | 74 | 26 | 43 ^a | 40 |
| 59 | 100 | 100 | 99 | 93 | 100* | 9 |
| 60 | 100 | 100 | 53 | 46 | 47 ^a | 69 |
| 61 | 99 | 93 | 0 | 63 | 4 ^a | 0 |
| 62 | 98 | 100 | 53 | 93 | 100 ^a | 0 |
| 63 | 80 | 14 | 0 | 0 | 45 ^a | 0 |
| 64 | 99 | 100 | 53 | 62 | 100 ^a | 56 |
| 65 | 98 | 100 | 0 | 99 | 91 ^a | 56 |
| 66 | 91 | 93 | 32 | 22 | 0 ^a | 56 |
| 67 | 0 | 24 | 0 | 0 | 0 ^a | 0 |
| 68 | 99 | 100 | 32 | 44 | 67 ^a | 0 |
| 69 | 100 | 100 | 86 | 77 | 96 ^a | 0 |
| 70 | 99 | 100 | 91 | 64 | 99 ^a | 0 |
| 71 | 85 | 97 | 0 | 0 | 4 ^a | 0 |
| 72 | 100 | 100 | 91 | 77 | 100 ^a | 0 |

75

| | | | | | | |
|-----|------------------|-----|-----|-----------------|------------------|----|
| 73 | 0 | 93 | 0 | 0 | 32 ^a | 0 |
| 74 | 0 | 85 | 0 | 0 | 20 ^a | 0 |
| 75 | 97 | 25 | 0 | 0 | - | 0 |
| 76 | 97 | 99 | 100 | 47 | 83 ^a | 0 |
| 77 | 100 | 100 | 74 | 15 | 18 [*] | 68 |
| 78 | 36 | 84 | 0 | 0 | 0 ^a | 0 |
| 79 | 61 | 99 | 0 | 39 | 0 ^a | 0 |
| 80 | 36 | 93 | 0 | 24 | 26 ^a | 42 |
| 81 | 95 | 99 | 0 | 24 | 0 ^a | 42 |
| 82 | 100 | 97 | 53 | 46 | 6 ^a | 77 |
| 83 | 100 | 99 | 91 | 45 | 72 ^a | 0 |
| 84 | 99 | 100 | 94 | 85 | 98 ^a | 0 |
| 85 | 77 | 84 | 0 | 0 | 0 ^a | 42 |
| 86 | 0 | 93 | 0 | 24 | 9 ^a | 0 |
| 87 | 93 | 93 | 53 | 0 | 10 ^a | 64 |
| 88 | 100 | 100 | 97 | 85 | 84 ^a | 0 |
| 89 | 97 | 100 | 97 | 46 | 97 ^a | 97 |
| 90 | 99 | 100 | 74 | 86 | 95 ^a | 53 |
| 91 | 28 | 66 | 0 | 0 | 2 ^a | 73 |
| 92 | 100 | 100 | 99 | 46 | 100 ^a | 53 |
| 93 | 94 | 99 | 53 | 86 | 9 ^a | 53 |
| 94 | 84 | 97 | 74 | 0 | 25 [*] | 0 |
| 95 | 100 | 100 | 97 | 25 | 98 ^a | 73 |
| 96 | 100 | 100 | 91 | 25 | 53 ^a | 97 |
| 97 | 99 | 100 | 74 | 43 | 2 ^a | 60 |
| 98 | 100 | 100 | 94 | 75 | 88 ^a | 60 |
| 99 | 100 | 100 | 91 | 75 | 72 ^a | 0 |
| 100 | 100 | 100 | 90 | 74 | 61 ^a | 44 |
| 101 | 99 | 100 | 100 | 96 | 99 ^a | 81 |
| 102 | 87 | 100 | 90 | 0 | 8 ^a | 68 |
| 103 | 100 | 100 | 100 | ND ^b | 46 ^a | 44 |
| 104 | 100 | 100 | 100 | 99 | 100 ^a | 68 |
| 105 | 99 | 100 | 100 | 85 | 74 ^a | 89 |
| 106 | 100 | 100 | 97 | 99 | 100 ^a | 41 |
| 107 | 90 | 98 | 32 | 20 | 22 ^a | 89 |
| 108 | 33 ^a | 89 | 29 | 20 | 100 [*] | 39 |
| 109 | 100 ^a | 89 | 0 | 43 | 17 ^a | 65 |
| 110 | 98 | 100 | 97 | 97 | 100 ^a | 5 |

76

| | | | | | | |
|-----|-----|-----|----|-----|------|----|
| 111 | - | - | - | - | - | - |
| 112 | 85 | 98 | 86 | 0 | 39* | 94 |
| 113 | 100 | 100 | 53 | 82 | 87a | 40 |
| 114 | - | - | - | - | - | - |
| 115 | 97 | 100 | 99 | 76 | 98a | 0 |
| 116 | 100 | 100 | 32 | 86 | 98a | 66 |
| 117 | 85 | 100 | 0 | 85 | 100a | 74 |
| 118 | 100 | 100 | 86 | - | 99a | 0 |
| 119 | 97 | 100 | 94 | 46 | 100a | 0 |
| 120 | 99a | 99a | 0 | - | 66a | - |
| 121 | 100 | 100 | 86 | 26 | - | 49 |
| 122 | 100 | 100 | 74 | 99 | 100* | 83 |
| 123 | 100 | 100 | 53 | 63 | 98* | 70 |
| 124 | 99 | 20 | 0 | 0 | 0a | 0 |
| 125 | 97 | 99 | 74 | 100 | 96a | 95 |
| 126 | 99 | 100 | 91 | 92 | 100a | 56 |
| 127 | 99 | 99 | 86 | 85 | 95a | 75 |
| 128 | 97 | 100 | 94 | 92 | 83a | 85 |
| 129 | 98 | 100 | 74 | 62 | 47a | 75 |
| 130 | 94 | 100 | 53 | 44 | 29a | 95 |
| 131 | 97 | 100 | 32 | 76 | 16a | 23 |
| 132 | 58 | 99 | 53 | 64 | 29a | 11 |
| 133 | 97 | 100 | 53 | 77 | 74a | 49 |
| 134 | 100 | 100 | 86 | 62 | 81a | 38 |
| 135 | 93 | 100 | 74 | 85 | 26a | 38 |
| 136 | 98 | 100 | 86 | 76 | 63a | 38 |
| 137 | 98 | 99 | 53 | 76 | 69a | 0 |
| 138 | 96 | 99 | 53 | 85 | 51a | 64 |
| 139 | 99a | 100 | 74 | - | 69a | 89 |
| 140 | 99a | 100 | 74 | - | 4a | 66 |
| 141 | 97 | 100 | 53 | 86 | 47a | 53 |
| 142 | 90 | 99 | 74 | 46 | 54a | 73 |
| 143 | 90 | 97 | 86 | 46 | 55a | 53 |
| 144 | 98 | 99 | 0 | 22 | 9a | 64 |
| 145 | 28 | 85 | 0 | 0 | 9a | 84 |
| 146 | 98 | 100 | 94 | 25 | 30a | 95 |
| 147 | 84 | 97 | 74 | 25 | 9a | 91 |
| 148 | 99 | 100 | 74 | 63 | 89a | 73 |

77

| | | | | | | |
|-----|-----------------|-----------------|-----|----|------------------|----|
| 149 | 84 | 100 | 94 | 63 | 47 ^a | 95 |
| 150 | 90 | 99 | 53 | 25 | 21 ^a | 97 |
| 151 | 57 | 99 | 86 | 25 | 0 ^a | 98 |
| 152 | 90 | 99 | 53 | 25 | 18 ^a | 84 |
| 153 | 99 | 96 | 74 | 20 | 28 ^a | 77 |
| 154 | 99 | 100 | 74 | 43 | 50 ^a | 31 |
| 155 | 100 | 100 | 90 | 93 | 56 ^a | 41 |
| 156 | 100 | 100 | 94 | 42 | 15 ^a | 68 |
| 157 | 100 | 100 | 51 | 74 | 18 ^a | 96 |
| 158 | 98 | 99 | 51 | 60 | 18 ^a | 68 |
| 159 | 96 | 100 | 74 | 24 | 17 ^a | 66 |
| 160 | 100 | 100 | 74 | 24 | 16 ^a | 0 |
| 161 | 100 | 100 | 100 | 24 | 60 ^a | 0 |
| 162 | 99 | 100 | 97 | 46 | 28 ^a | 89 |
| 163 | 96 | 100 | 94 | 46 | 66 ^a | 66 |
| 164 | 84 | 97 | 74 | 0 | 7 ^a | 66 |
| 165 | 94 | 100 | 91 | 24 | 22 ^a | 41 |
| 166 | 86 ^a | 95 | 73 | 20 | 63 ^a | 65 |
| 167 | 100 | 98 ^a | 94 | 75 | 62 ^a | 81 |
| 168 | 100 | 65 ^a | 74 | 75 | 35 ^a | 89 |
| 169 | 52 ^a | 100 | 85 | 75 | 100 ^a | 65 |
| 170 | 93 | 92 | 0 | 0 | 0 ^a | 66 |
| 171 | 100 | 100 | 53 | 93 | 76 ^a | 66 |
| 172 | 100 | 100 | 86 | 85 | 53 ^a | 74 |
| 173 | 95 | 100 | 74 | 93 | 73 ^a | 16 |
| 174 | 60 | 82 | 0 | 0 | 34 ^a | 16 |
| 175 | 85 | 100 | 53 | 63 | 18 ^a | 51 |
| 176 | 97 | 98 | 86 | 47 | 61 ^a | 51 |
| 177 | 97 | 100 | 86 | 64 | 61 ^a | 37 |
| 178 | 61 | 3 | 0 | 61 | 100 | 0 |

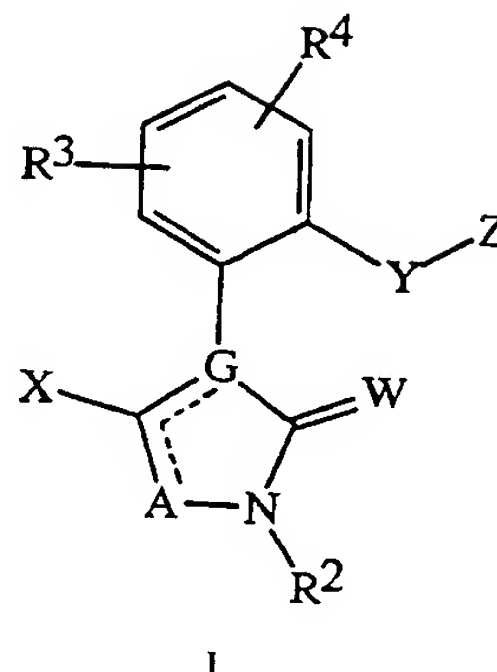
* Tested at 40 ppm (equivalent to 100 g/ha).

^a Tested at 10 ppm (equivalent to 25 g/ha).

^b Disease control not determined due to phytotoxicity.

What is claimed is:

1. A compound of Formula I



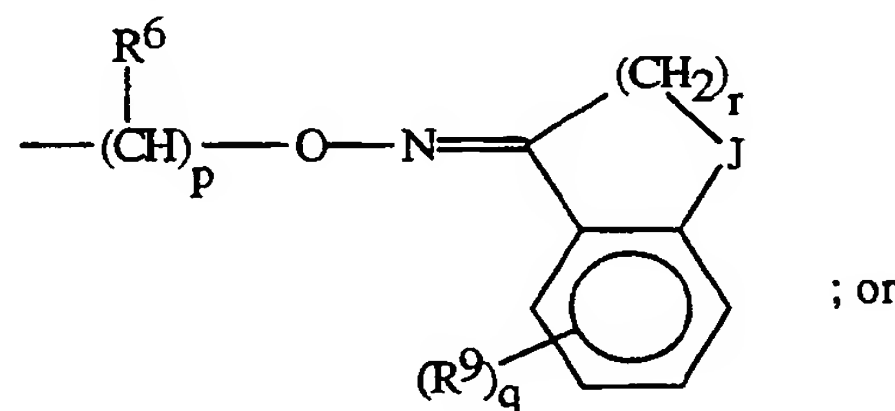
wherein:

- 5 A is O; S; N; NR⁵; or CR¹⁴;
 G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;
 W is O or S;
 10 X is OR¹; S(O)_mR¹; or halogen;
 R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;
 15 R² and R⁵ are each independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;
 20 R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; or C₂-C₆ alkynyloxy;
 Y is -O-; -S(O)_n-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR⁶O-; -OCHR⁶-; -CHR⁶S(O)_n-; -S(O)_nCHR⁶-; -CHR⁶O-N=C(R⁷)-; -(R⁷)C=N-OCH(R⁶)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR⁶OC(=O)N(R¹⁵)-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;
 25 R⁶ is independently H or C₁-C₃ alkyl;
 R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆

cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; cyano; or morpholinyl;

Z is C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each optionally substituted with R⁸; or Z is C₃-C₈ cycloalkyl or phenyl each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring system containing 1 to 6 heteroatoms independently selected from the group 1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or R⁷ and Z are taken together to form CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, CH₂CH₂OCH₂CH₂, each CH₂ group optionally substituted with 1-2 halogen; or

Y and Z are taken together to form



R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; provided that when R³, Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R⁴, and A is S, W is O, X is SCH₃ and R² is CH₃, then R⁴ is other than H;

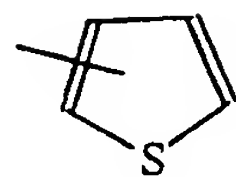
J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group optionally substituted with 1 to 2 CH₃;

R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; or nitro; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

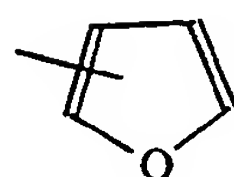
R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl;

- C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl);
 N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; or nitro; or R⁹ is phenyl, benzyl,
 benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl,
 pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹,
 5 R¹², or both R¹¹ and R¹²;
 R¹⁰ is halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or
 R⁹ and R¹⁰, when attached to adjacent atoms, are taken together as -OCH₂O- or
 -OCH₂CH₂O-; each CH₂ group optionally substituted with 1-2 halogen;
 10 R¹¹ and R¹² are each independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄
 alkoxy; C₁-C₄ haloalkoxy; nitro; or cyano;
 R¹³ is halogen; C₁-C₃ alkyl; C₁-C₃ haloalkyl; C₁-C₃ alkoxy; C₁-C₃ haloalkoxy;
 nitro; or cyano;
 R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl;
 C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;
 15 R¹⁵, R¹⁶, R¹⁷, and R¹⁸ are each independently H; C₁-C₃ alkyl; or phenyl
 optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄
 alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
 m, n and q are each independently 0, 1 or 2; and
 p and r are each independently 0 or 1;
 20 provided that
 (a) when A is N, G is N, X is S(O)_mR¹ and m is 0, then the combination of Y and
 Z is other than alkyl, haloalkyl or alkoxy; and
 (b) when A is NR⁵, G is C, X is OR¹ and R¹ is alkylcarbonyl, alkoxy carbonyl or
 25 optionally substituted benzoyl, then the combination of Y and Z is other than
 alkyl or alkoxy.
 2. A compound of Claim 1 wherein
 W is O;
 R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;
 R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;
 30 R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl;
 C₁-C₆ haloalkyl; C₁-C₆ alkoxy; or C₁-C₆ haloalkoxy;
 Y is -O-; -CH=CH-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -CH₂O-N=C(R⁷)-;
 -C(R⁷)=N-O-; -CH₂OC(O)NH-; or a direct bond;
 R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ alkynyl; or
 35 cyano;
 Z is C₁-C₁₀ alkyl optionally substituted with R⁸; or C₃-C₈ cycloalkyl or
 phenyl, each optionally substituted with one of R⁹, R¹⁰, or both R⁹
 and R¹⁰; or Z is

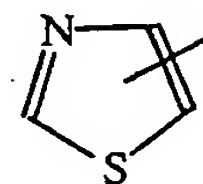
81



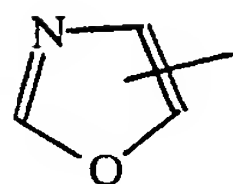
Z-1



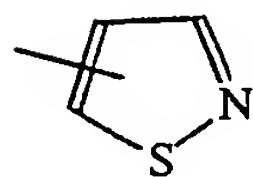
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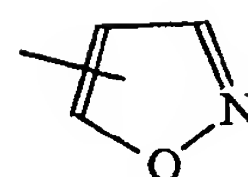
Z-3



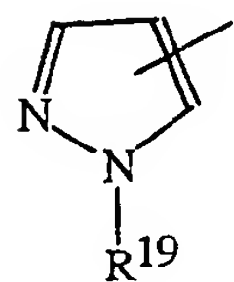
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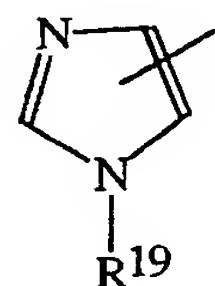
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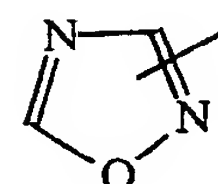
Z-6



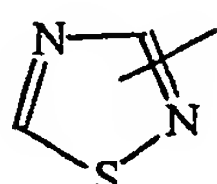
Z-7



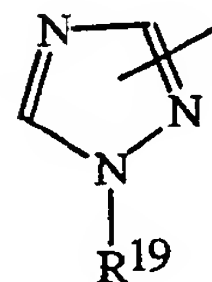
Z-8



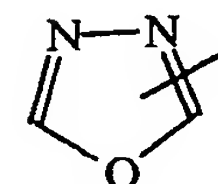
Z-9



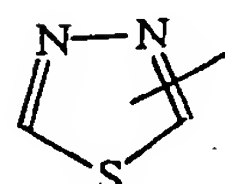
Z-10



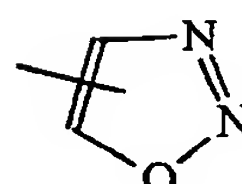
Z-11



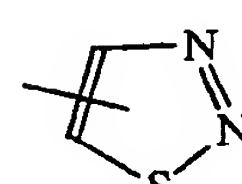
Z-12



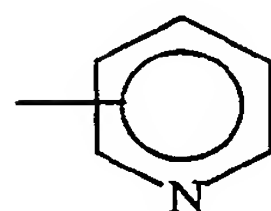
Z-13



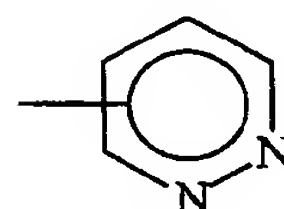
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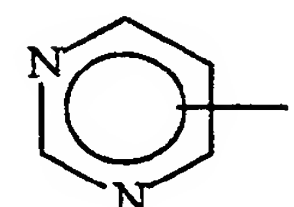
Z-15



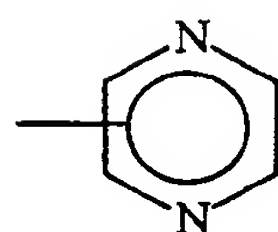
Z-16



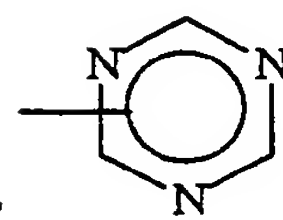
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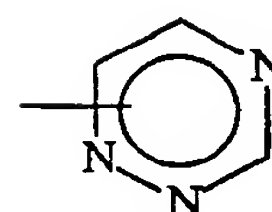
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Z-20

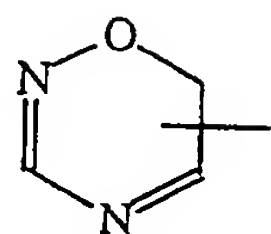


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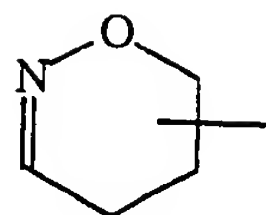
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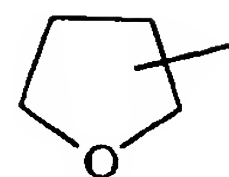
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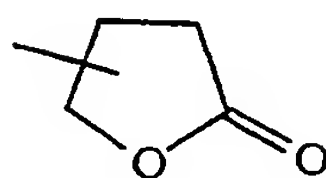
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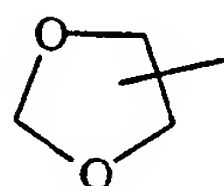
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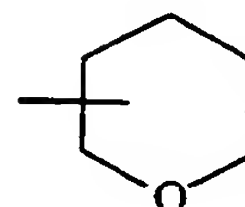
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Z-25

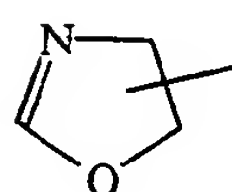


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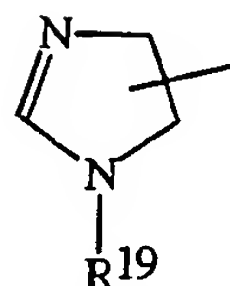


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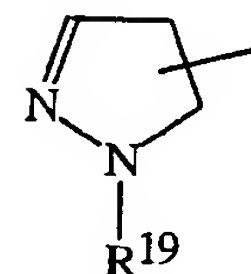
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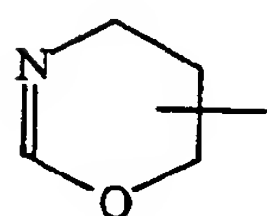
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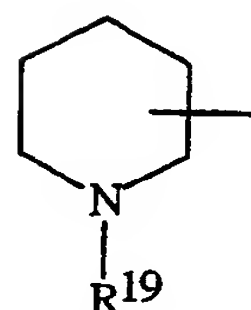
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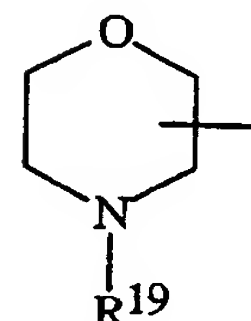
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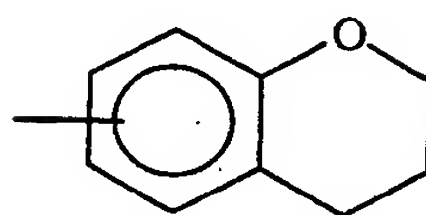
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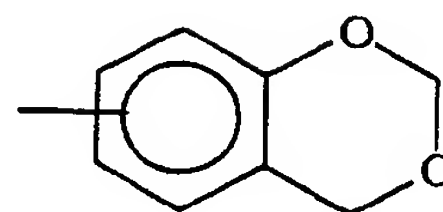
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Z-33

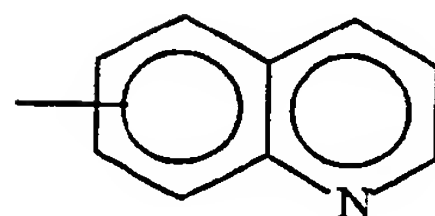


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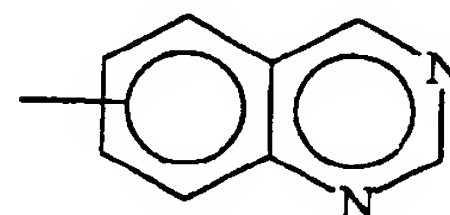


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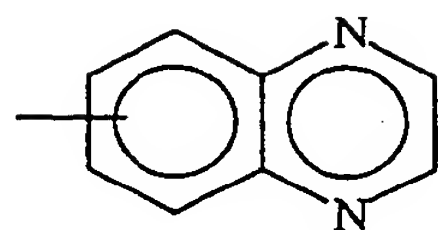


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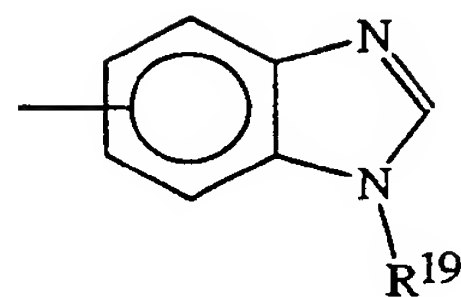


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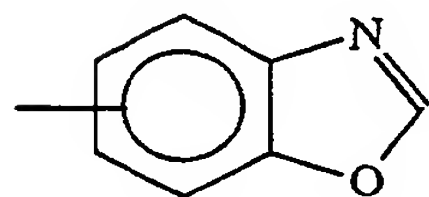
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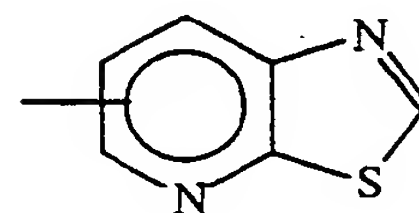
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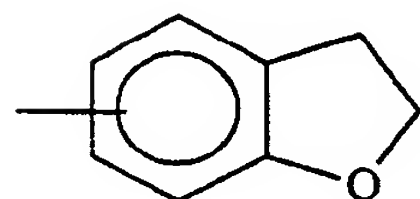
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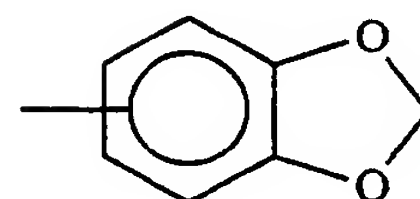
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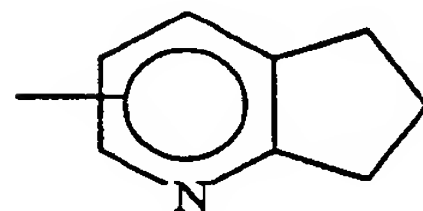
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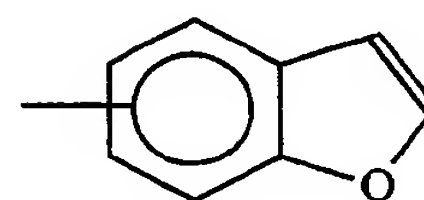
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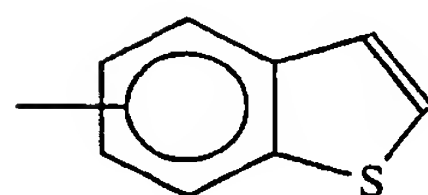
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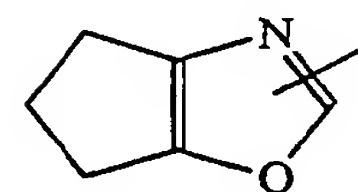
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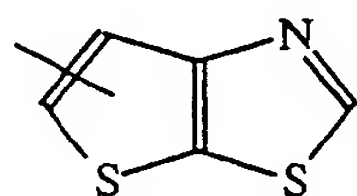
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Z-46

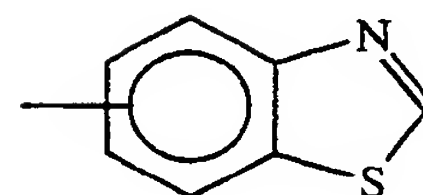


Z-47



Z-48

or



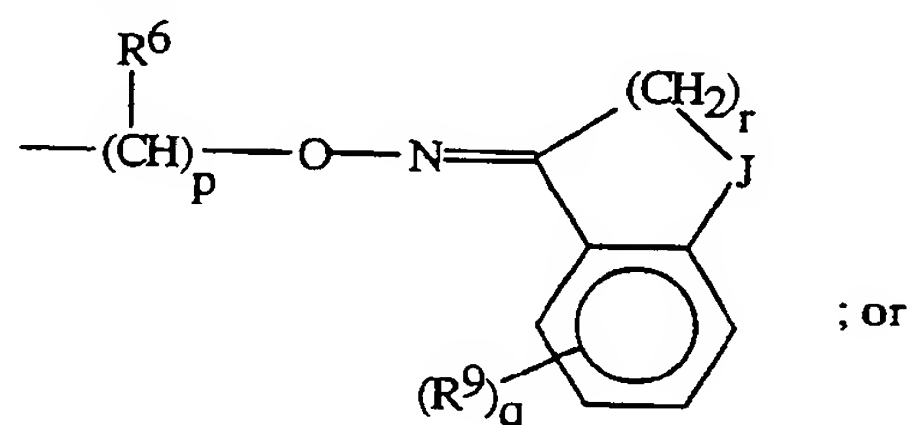
Z-49

each group optionally substituted with one R⁹, R¹⁰, or both R⁹ and R¹⁰;
or

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R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; or Y and Z are taken together to form



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R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

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R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; cyano; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); or N(C₁-C₆ alkyl)₂; or R⁹ is C₃-C₆ cycloalkyl, phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²; and

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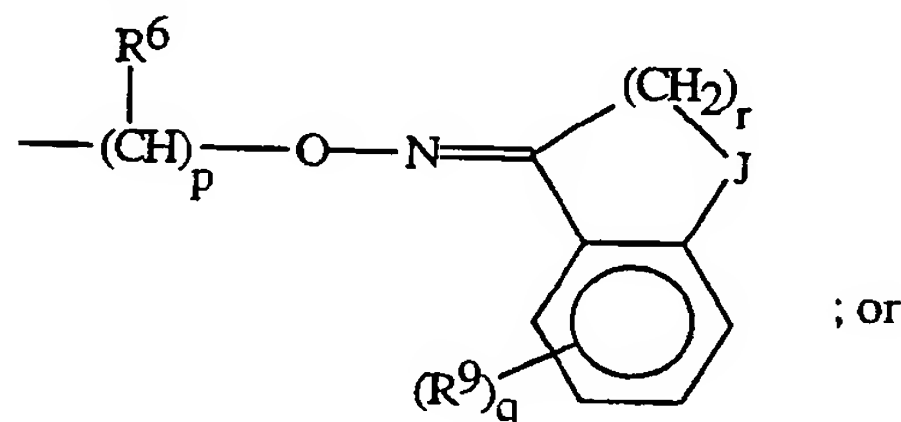
R¹⁹ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano.

3. A compound of Claim 2 wherein

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Z is phenyl or Z-1 to Z-21, each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or

Y and Z are taken together to form



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J is -CH₂- or -CH₂CH₂-;

p is 0; and

r is 1.

4. A compound of Claim 3 wherein

A is O; N; NR⁵; or CR¹⁴;

X is OR¹;

R^1 is C_1 - C_3 alkyl;

R^2 is H or C_1 - C_2 alkyl;

R^3 and R^4 are each H;

Y is -O-; -CH=CH-; -CH₂O-; -OCH₂-; -CH₂O-N=C(R^7)-; or
-CH₂OC(=O)NH-;

R^7 is H; C_1 - C_3 alkyl; or C_1 - C_3 haloalkyl; and

Z is phenyl, pyridinyl, pyrimidinyl, or thienyl, each optionally substituted
with one of R^9 , R^{10} , or both R^9 and R^{10} ;

5. A compound of Claim 4 wherein

A is O or NR^5 ;

G is C;

Y is -O-; -CH₂O-; -OCH₂-; or -CH₂O-N=C(R^7)-; and

R^7 is H; C_1 - C_2 alkyl; or C_1 - C_2 haloalkyl.

6. A compound of Claim 4 wherein

A is N or CR^{14} ;

G is N;

Y is -O-; -CH₂O-; -OCH₂-; or -CH₂O-N=C(R^7)-;

R^7 is H; C_1 - C_2 alkyl; or C_1 - C_2 haloalkyl.

7. A compound of Claim 5 wherein

R^1 is methyl;

R^2 is methyl; and

Z is phenyl optionally substituted with one of R^9 , R^{10} , or both R^9 and
 R^{10} .

8. A compound of Claim 6 wherein

R^1 is methyl;

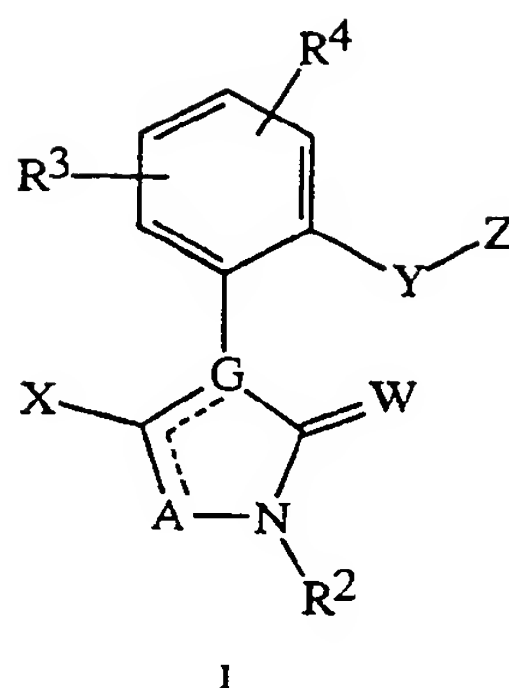
R^2 is methyl; and

Z is phenyl optionally substituted with one of R^9 , R^{10} , or both R^9 and
 R^{10} .

9. A fungicidal composition comprising an effective amount of a compound of

Formula I

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wherein:

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;

W is O or S;

X is OR¹; S(O)_mR¹; or halogen;

R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;

R² and R⁵ are each independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl; or benzoyl optionally substituted with R¹³;

R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; or C₂-C₆ alkynyloxy;

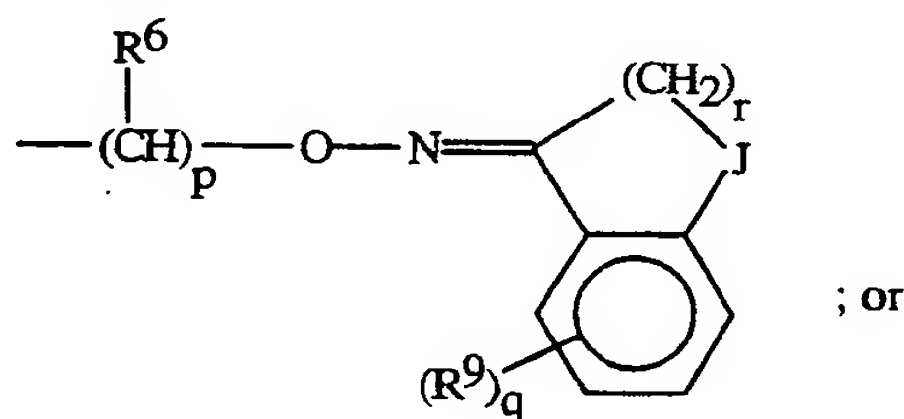
Y is -O-; -S(O)_n-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR⁶O-; -OCHR⁶-; -CHR⁶S(O)_n-; -S(O)_nCHR⁶-; -CHR⁶O-N=C(R⁷)-; -(R⁷)C=N-OCH(R⁶)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR⁶OC(=O)N(R¹⁵)-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;

R⁶ is independently H or C₁-C₃ alkyl;

R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; cyano; or morpholinyl;

Z is C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each optionally substituted with R⁸; or Z is C₃-C₈ cycloalkyl or phenyl each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is a 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring system containing 1 to 6 heteroatoms independently selected from the group 1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or R⁷ and Z are taken together to form CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, CH₂CH₂OCH₂CH₂, each CH₂ group optionally substituted with 1-2 halogen; or

Y and Z are taken together to form



R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; provided that when R³, Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R⁴, and A is S, W is O, X is SCH₃ and R² is CH₃, then R⁴ is other than H;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group optionally substituted with 1 to 2 CH₃;

R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; or nitro; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; or nitro; or R⁹ is phenyl, benzyl,

benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ;

R^{10} is halogen; C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_1 - C_4 alkoxy; nitro; or cyano; or R^9 and R^{10} , when attached to adjacent atoms, are taken together as $-OCH_2O-$ or $-OCH_2CH_2O-$; each CH_2 group optionally substituted with 1-2 halogen;

R^{11} and R^{12} are each independently halogen; C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_1 - C_4 alkoxy; C_1 - C_4 haloalkoxy; nitro; or cyano;

R^{13} is halogen; C_1 - C_3 alkyl; C_1 - C_3 haloalkyl; C_1 - C_3 alkoxy; C_1 - C_3 haloalkoxy; nitro; or cyano;

R^{14} is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; or C_3 - C_6 cycloalkyl;

R^{15} , R^{16} , R^{17} , and R^{18} are each independently H; C_1 - C_3 alkyl; or phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

m , n and q are each independently 0, 1 or 2; and

p and r are each independently 0 or 1;

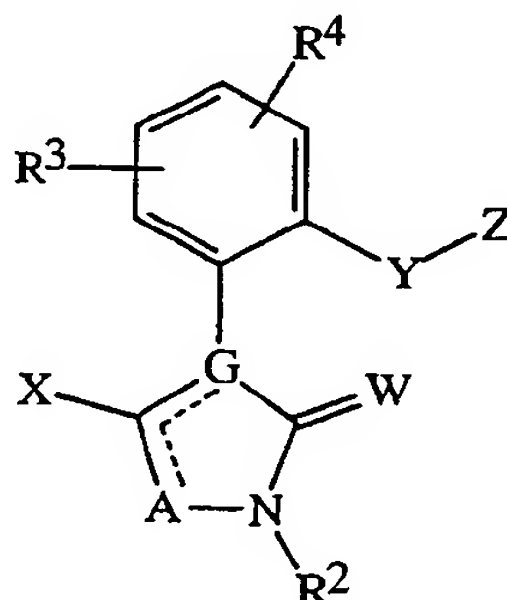
provided that

(a) when A is N, G is N, X is $S(O)_mR^1$ and m is 0, then the combination of Y and Z is other than alkyl, haloalkyl or alkoxy; and

(b) when A is NR^5 , G is C, X is OR^1 and R^1 is alkylcarbonyl, alkoxycarbonyl or optionally substituted benzoyl, then the combination of Y and Z is other than alkyl or alkoxy;

and at least one of (a) a surfactant, (b) an organic solvent, and (c) at least one solid or liquid diluent.

10. A method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, an effective amount of a compound of Formula I



wherein:

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;

W is O or S;

X is OR¹; S(O)_mR¹; or halogen;

R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxycarbonyl; or benzoyl optionally substituted with R¹³;

R² and R⁵ are each independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxycarbonyl; or benzoyl optionally substituted with R¹³;

R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; or C₂-C₆ alkynyloxy;

Y is -O-; -S(O)_n-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR⁶O-; -OCHR⁶-; -CHR⁶S(O)_n-; -S(O)_nCHR⁶-; -CHR⁶O-N=C(R⁷)-; -(R⁷)C=N-OCH(R⁶)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR⁶OC(=O)N(R¹⁵)-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;

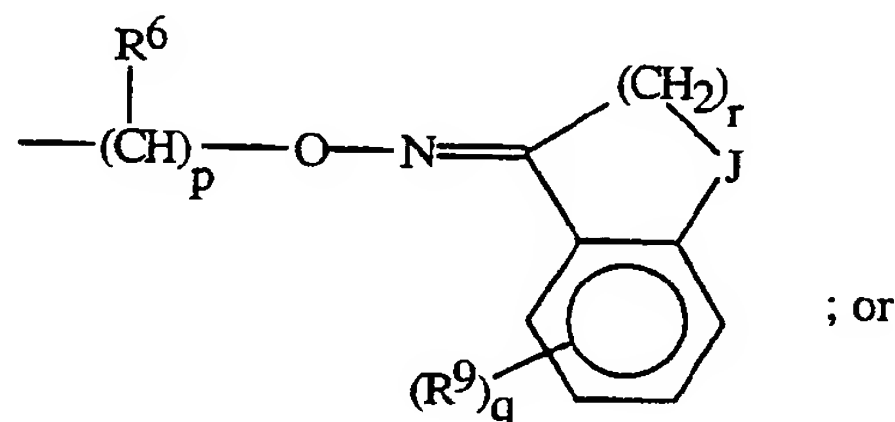
R⁶ is independently H or C₁-C₃ alkyl;

R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; cyano; or morpholinyl;

Z is C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each optionally substituted with R⁸; or Z is C₃-C₈ cycloalkyl or phenyl each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is a 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring system containing 1 to 6 heteroatoms independently selected from the group

1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R^9 , R^{10} , or both R^9 and R^{10} ; or R^7 and Z are taken together to form $CH_2CH_2CH_2$, $CH_2CH_2CH_2CH_2$, $CH_2CH_2OCH_2CH_2$, each CH_2 group optionally substituted with 1-2 halogen; or

Y and Z are taken together to form



R^3 , Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R^4 ; provided that when R^3 , Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R^4 , and A is S, W is O, X is SCH_3 and R^2 is CH_3 , then R^4 is other than H;

J is $-CH_2-$; $-CH_2CH_2-$; $-OCH_2-$; $-CH_2O-$; $-SCH_2-$; or $-CH_2S-$; $-N(R^{16})CH_2-$; or $-CH_2N(R^{16})-$; each CH_2 group optionally substituted with 1 to 2 CH_3 ;

R^8 is 1-6 halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_1-C_6 alkylthio; C_1-C_6 haloalkylthio; C_1-C_6 alkylsulfinyl; C_1-C_6 alkylsulfonyl; C_3-C_6 cycloalkyl; C_3-C_6 alkenyloxy; $CO_2(C_1-C_6$ alkyl); $NH(C_1-C_6$ alkyl); $N(C_1-C_6$ alkyl) $_2$; cyano; or nitro; or R^8 is phenyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ;

R^9 is 1-2 halogen; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_1-C_6 alkylthio; C_1-C_6 haloalkylthio; C_1-C_6 alkylsulfinyl; C_1-C_6 alkylsulfonyl; C_3-C_6 cycloalkyl; C_3-C_6 alkenyloxy; $CO_2(C_1-C_6$ alkyl); $NH(C_1-C_6$ alkyl); $N(C_1-C_6$ alkyl) $_2$; $-C(R^{18})=NOR^{17}$; cyano; or nitro; or R^9 is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ;

R^{10} is halogen; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_1-C_4 alkoxy; nitro; or cyano; or R^9 and R^{10} , when attached to adjacent atoms, are taken together as $-OCH_2O-$ or $-OCH_2CH_2O-$; each CH_2 group optionally substituted with 1-2 halogen;

R^{11} and R^{12} are each independently halogen; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_1-C_4 alkoxy; C_1-C_4 haloalkoxy; nitro; or cyano;

R^{13} is halogen; C_1 - C_3 alkyl; C_1 - C_3 haloalkyl; C_1 - C_3 alkoxy; C_1 - C_3 haloalkoxy; nitro; or cyano;

R^{14} is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; or C_3 - C_6 cycloalkyl;

5 R^{15} , R^{16} , R^{17} , and R^{18} are each independently H; C_1 - C_3 alkyl; or phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

m , n and q are each independently 0, 1 or 2; and

p and r are each independently 0 or 1;

10 provided that

(a) when A is N, G is N, X is $S(O)_m R^1$ and m is 0, then the combination of Y and Z is other than alkyl, haloalkyl or alkoxy; and

(b) when A is NR^5 , G is C, X is OR^1 and R^1 is alkylcarbonyl, alkoxycarbonyl or optionally substituted benzoyl, then the combination of Y and Z is other than
15 alkyl or alkoxy.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 95/05847

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D249/12 C07D261/12 C07D275/03 C07D233/30 C07D231/14
A01N43/74 A01N43/56 A01N43/653 A01N43/50

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|-----------------------|
| A | WO,A,93 07116 (IMPERIAL CHEMICAL INDUSTRIES PLC) 15 April 1993 cited in the application see the whole document --- | 1-10 |
| A | US,A,4 098 896 (L.H. EDWARDS) 4 July 1978 see the whole document --- | 1-10 |
| A | EP,A,0 508 126 (BAYER AG) 14 October 1992 see the whole document --- | 1-10 |
| A | DE,A,44 13 669 (BAYER AG) 12 January 1995 see the whole document --- | 1-10 |
| E | WO,A,95 14009 (E.I. DU PONT DE NEMOURS AND COMPANY) 26 May 1995 see the whole document ----- | 1-10 |

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

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- "O" document referring to an oral disclosure, use, exhibition or other means
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- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the international search

4 January 1996

Date of mailing of the international search report

16.01.96

Name and mailing address of the ISA

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Fax (+31-70) 340-3016

Authorized officer

Allard, M

INTERNATIONAL SEARCH REPORT

national application No.

PCT/US 95/05847

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
For economical reasons (see PCT-Search Guidelines, C-III 2.1) the search has been limited to the classification units governed by the compounds listed in index tables A-C of the application.
Claims searched incompletely: 1-10
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest.

☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Int'l Application No
PCT/US 95/05847

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
|---|---------------------|---|--|
| WO-A-9307116 | 15-04-93 | AU-B- 660711 AU-B- 2513992 EP-A- 0606251 JP-T- 7502985 | 06-07-95 03-05-93 20-07-94 30-03-95 |
| US-A-4098896 | 04-07-78 | NONE | |
| EP-A-508126 | 14-10-92 | DE-A- 4109208 JP-A- 5117240 US-A- 5474974 US-A- 5332720 US-A- 5358924 | 24-09-92 14-05-93 12-12-95 26-07-94 25-10-94 |
| DE-A-4413669 | 12-01-95 | AU-B- 7072694 WO-A- 9501971 | 06-02-95 19-01-95 |
| WO-A-9514009 | 26-05-95 | AU-B- 7953594 | 06-06-95 |

PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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233/30, A01N 43/74, 43/56, 43/653, 43/50

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(21) International Application Number: PCT/US94/09525

(22) International Filing Date: 30 August 1994 (30.08.94)

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| 08/155,963 | 19 November 1993 (19.11.93) | US |
| 08/155,970 | 19 November 1993 (19.11.93) | US |

(60) Parent Applications or Grants

(63) Related by Continuation

| | |
|----------|-----------------------------|
| US | 08/155,963 (CIP) |
| Filed on | 19 November 1993 (19.11.93) |
| US | 08/155,970 (CIP) |
| Filed on | 19 November 1993 (19.11.93) |

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(72) Inventors; and

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[US/US]; 15 Henry Court, Wilmington, DE 19808-2017 (US).

(74) Agents: MAYER, Nancy, S. et al.; E.I. du Pont de Nemours and Company, Legal/Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).

(81) Designated States: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG), ARIPO patent (KE, MW, SD).

Published

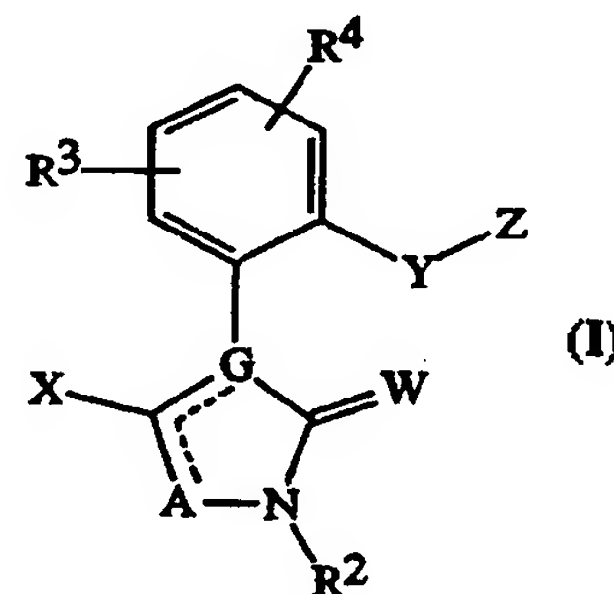
With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: FUNGICIDAL CYCLIC AMIDES

(57) Abstract

Cyclic amides of Formula (I) which are useful as fungicides wherein: A is O; S; N; NR⁵; or CR¹⁴; G is C or N; W is O or S; X is OR¹, S(O)_mR¹ or halogen; R¹, R², and R⁵ are independently, in part, C₁-C₆ alkyl; Y is, in part, -O-, -S(O)_n-, -CHR⁶O-, -OCHR⁶-, or -CHR⁶O-N=C(R⁷)-; Z is, in part, optionally substituted cycloalkyl, phenyl, pyridinyl, pyrimidinyl, or naphthyl; and R³, R⁴, R⁶, R⁷, R¹⁴, m, and n are defined in the disclosure, are disclosed.



(I)

FOR THE PURPOSES OF INFORMATION ONLY

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| GA | Gabon | | | | |

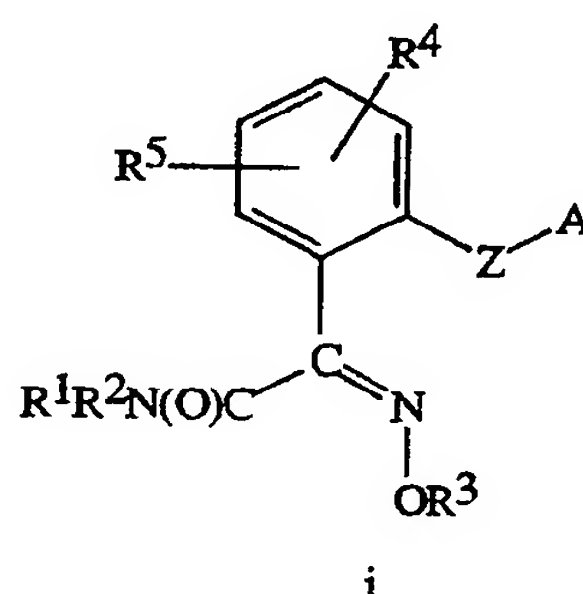
TITLE

FUNGICIDAL CYCLIC AMIDES

BACKGROUND OF THE INVENTION

This invention relates to cyclic amides substituted at the α -position with various
 5 aryl groups, their agriculturally suitable salts and compositions, and methods of their use
 as general or selective fungicides.

EP-A-398,692 discloses amides of Formula i as fungicides for crop protection.
 Compounds of Formula i are:



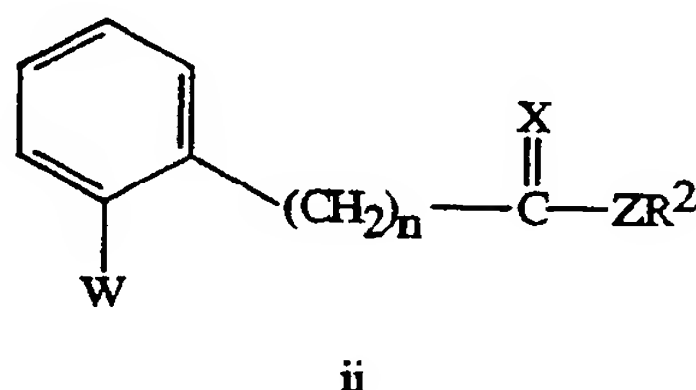
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wherein

R^1 and R^2 are each hydrogen, lower alkyl, or lower cycloalkyl.

All the compounds disclosed in EP-A-398,692 have an aryl moiety bonded to an
 acyclic alkoxyiminoacetamide group. The cyclic amides of the present invention are not
 15 disclosed therein.

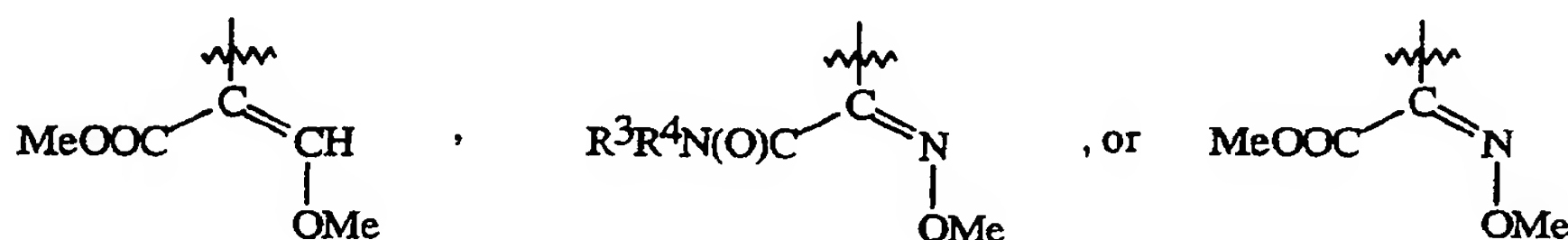
WO 93/07116 discloses compounds of Formula ii as fungicides for crop
 protection. Compounds of Formula ii are:



20

wherein:

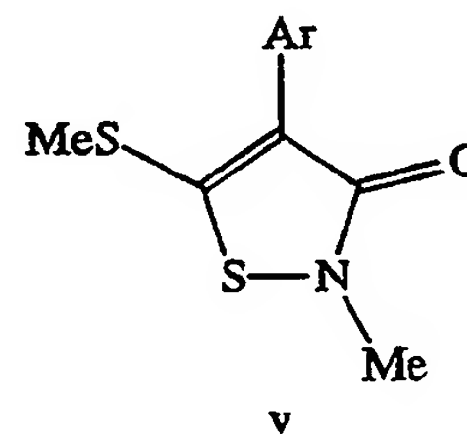
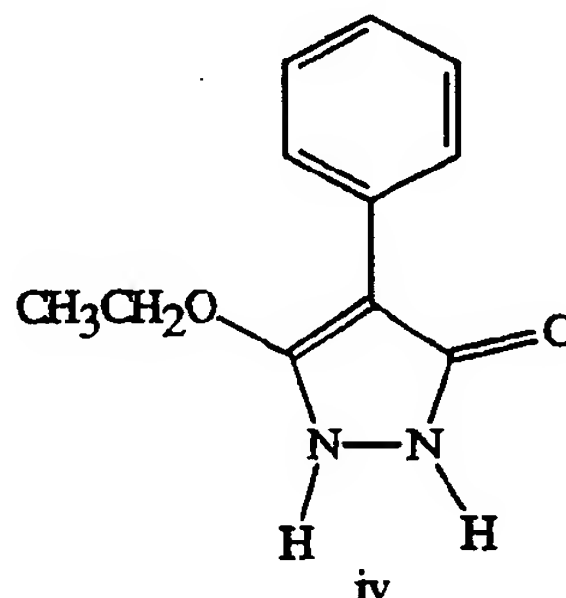
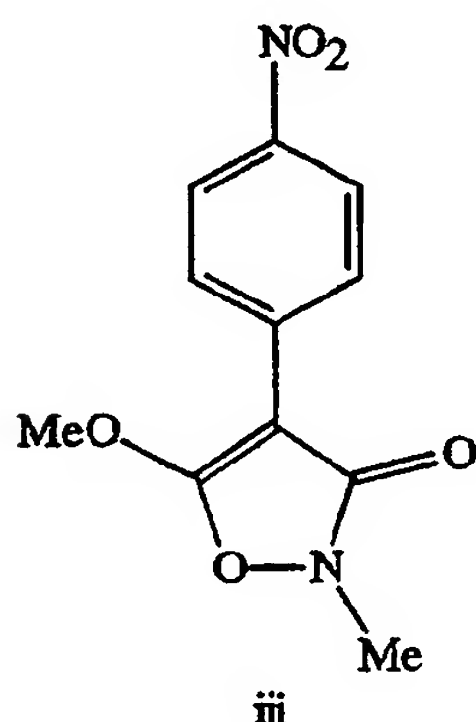
W is



Once again, the cyclic amides of this invention are not disclosed therein.

J. Heterocyclic Chem., (1987), 24, 465, *J. Heterocyclic Chem.*, (1988), 25, 1307, and *Australian J. Chem.*, (1977), 30 (8), 1815 disclose 4-nitrophenyl isoxazoles (iii), phenyl pyrazolones (iv), and aryl isothiazolinones (v) respectively.

5

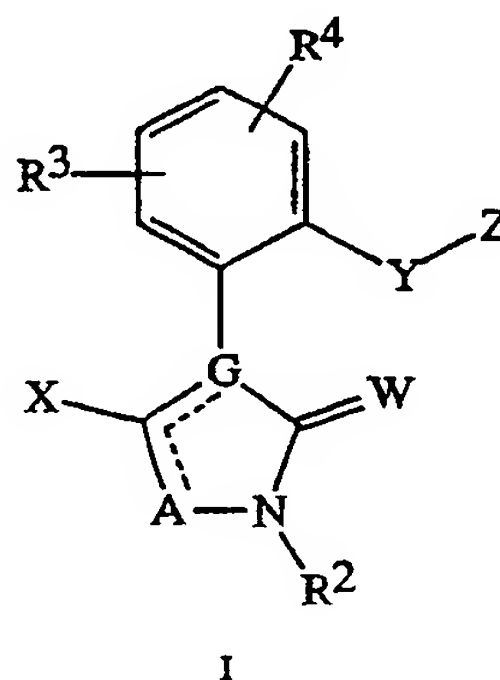


However, no utility as fungicides is alleged and no ortho-substituted compounds of the present invention are disclosed.

10

SUMMARY OF THE INVENTION

This invention comprises compounds of Formula I including all geometric and stereoisomers, agriculturally suitable salts thereof, agricultural compositions containing them and their use as fungicides:



15

wherein:

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;

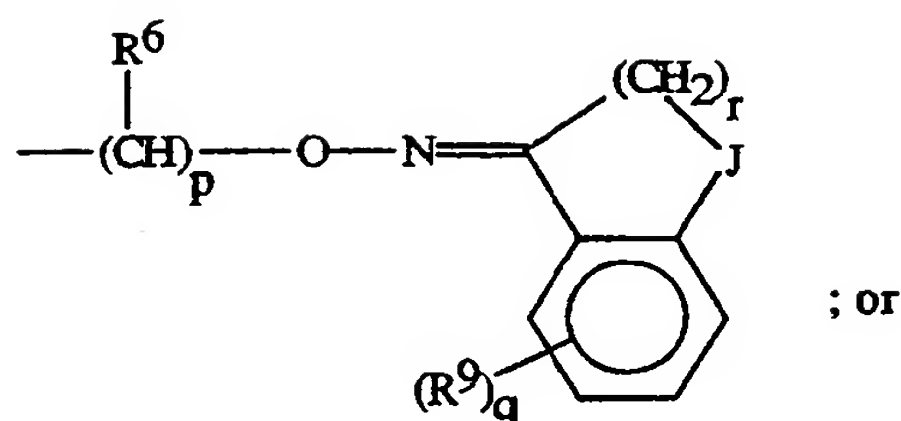
20

W is O or S;

X is OR¹; S(O)_mR¹; or halogen;

- R^1 , R^2 , and R^5 are each independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; C_2 - C_4 alkylcarbonyl, C_2 - C_4 alkoxy carbonyl; or benzoyl optionally substituted with R^{13} ;
- 5 R^3 and R^4 are each independently H; halogen; cyano; nitro; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_2 - C_6 alkenyloxy; or C_2 - C_6 alkynyloxy;
- 10 Y is -O-; -S(O)_n-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR⁶O-; -OCHR⁶-; -CHR⁶S(O)_n-; -S(O)_nCHR⁶-; -CHR⁶O-N=C(R⁷)-; -(R⁷)C=N-OCH(R⁶)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR⁶OC(=O)N(R¹⁵)-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;
- 15 R^6 is independently H or C_1 - C_3 alkyl;
- R^7 is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; C_2 - C_4 alkylcarbonyl; C_2 - C_4 alkoxy carbonyl; cyano; or morpholinyl;
- 20 Z is C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, or C_2 - C_{10} alkynyl each optionally substituted with R^8 ; or Z is C_3 - C_8 cycloalkyl or phenyl each optionally substituted with one of R^9 , R^{10} , or both R^9 and R^{10} ; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is a 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring system containing 1 to 6 heteroatoms independently selected from the group
- 25 1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R^9 , R^{10} , or both R^9 and R^{10} ; or
- 30 R^7 and Z are taken together to form $CH_2CH_2CH_2$, $CH_2CH_2CH_2CH_2$, $CH_2CH_2OCH_2CH_2$, each CH_2 group optionally substituted with 1-2 halogen; or
- Y and Z are taken together to form

4



R^3 , Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R^4 ; provided that when R^3 , Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R^4 , and A is S, W is O, X is SCH₃ and R^2 is CH₃, then R^4 is other than H;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R^{16})CH₂-; or -CH₂N(R^{16})-; each CH₂ group optionally substituted with 1 to 2 CH₃;

R^8 is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; or nitro; or R^8 is phenyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ;

R^9 is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; -C(R^{18})=NOR¹⁷; cyano; or nitro; or R^9 is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ;

R^{10} is halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or R^9 and R^{10} , when attached to adjacent atoms, are taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group optionally substituted with 1-2 halogen;

R^{11} and R^{12} are each independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; nitro; or cyano;

R^{13} is halogen; C₁-C₃ alkyl; C₁-C₃ haloalkyl; C₁-C₃ alkoxy; C₁-C₃ haloalkoxy; nitro; or cyano;

R^{14} is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

R^{15} , R^{16} , R^{17} , and R^{18} are each independently H; C_1 - C_3 alkyl; or phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

m , n and q are each independently 0, 1 or 2; and

5 p and r are each independently 0 or 1.

In the above recitations, the term "alkyl", used either alone or in compound words such as "haloalkyl" denotes straight-chain or branched alkyl; e.g., methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. "Alkenyl" denotes straight-chain or branched alkenes; e.g., 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and
10 hexenyl isomers. "Alkenyl" also denotes polyenes such as 1,3-hexadiene. "Alkynyl" denotes straight-chain or branched alkynes; e.g., ethynyl, 1-propynyl, 3-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also denote moieties comprised of multiple triple bonds; e.g., 2,4-hexadiyne. "Alkoxy" denotes, for example,
15 methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkenyloxy" denotes straight-chain or branched alkenyloxy moieties. Examples of alkenyloxy include $H_2C=CHCH_2O$, $(CH_3)_2C=CHCH_2O$, $(CH_3)CH=CHCH_2O$, $(CH_3)CH=C(CH_3)CH_2O$ and $CH_2=CHCH_2CH_2O$. "Alkynyloxy" denotes straight-chain or branched alkynyloxy moieties. Examples include $HC\equiv CCH_2O$, $CH_3C\equiv CCH_2O$ and $CH_3C\equiv CCH_2CH_2O$. The term "halogen", either alone or in
20 compound words such as "haloalkyl", denotes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The term "cycloalkyl" denotes cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl moieties. The term "nonaromatic
25 heterocyclic ring system" includes fully saturated heterocycles and partially aromatic heterocycles. The total number of carbon atoms in a substituent group is indicated by the " C_i - C_j " prefix where i and j are numbers from 1 to 10. For example, C_1 - C_3 alkyl designates methyl through propyl; C_2 alkoxy designates CH_3CH_2O ; and C_3 alkoxy designates, for example, $CH_3CH_2CH_2O$ or $(CH_3)_2CHO$. In the above recitations, when
30 a compound of Formula I is comprised of one or more aromatic nitrogen-containing rings (e.g., pyridinyl and pyrimidinyl), all bonds to these heterocycles are made through the carbon atom(s) of the moieties.

Preferred compounds, compositions containing them, and methods of their use for reasons of better activity and/or ease of synthesis are:

35 Preferred 1. Compounds of Formula I above wherein:

W is O;

R^1 is C_1 - C_3 alkyl or C_1 - C_3 haloalkyl;

R^2 is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; or C_3 - C_6 cycloalkyl;

6

R^3 and R^4 are each independently H; halogen; cyano; nitro; C_1 - C_6 alkyl;
 C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; or C_1 - C_6 haloalkoxy;

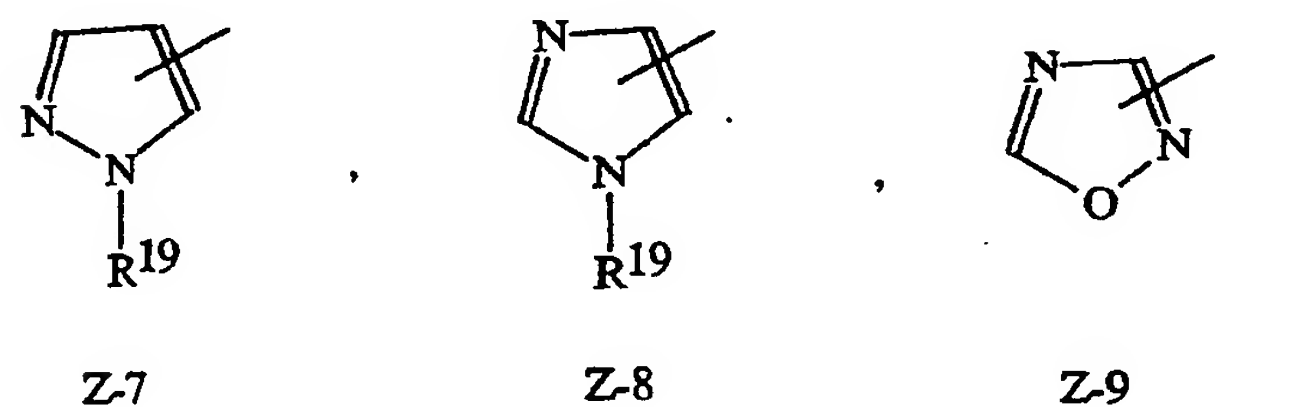
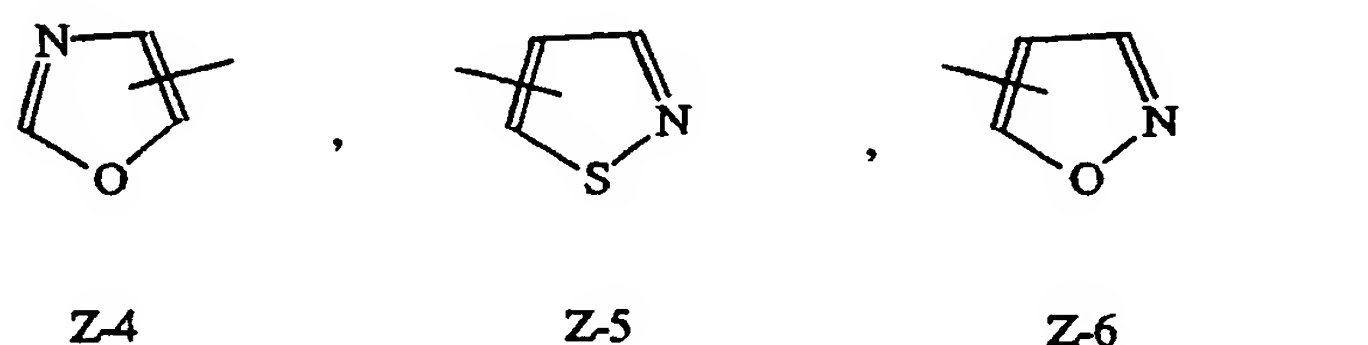
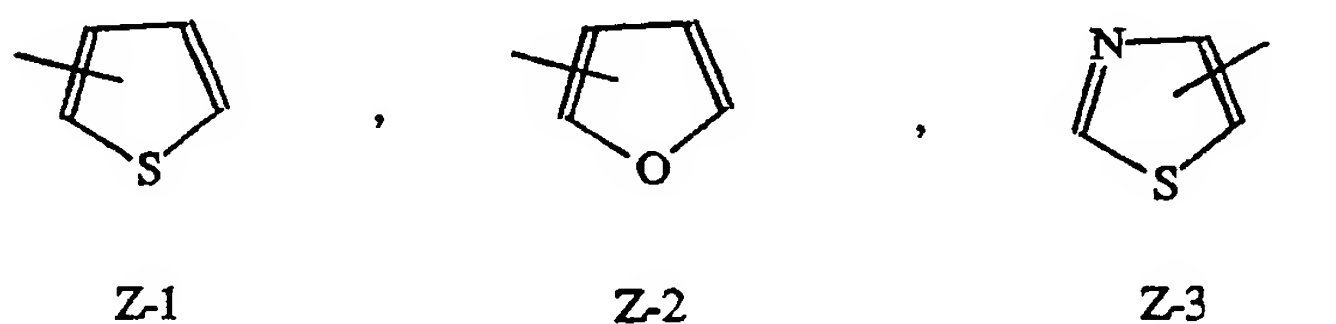
Y is -O-; -CH=CH-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -CH₂O-N=C(R^7)-;
 -C(R^7)=N-O-; -CH₂OC(O)NH-; or a direct bond;

5

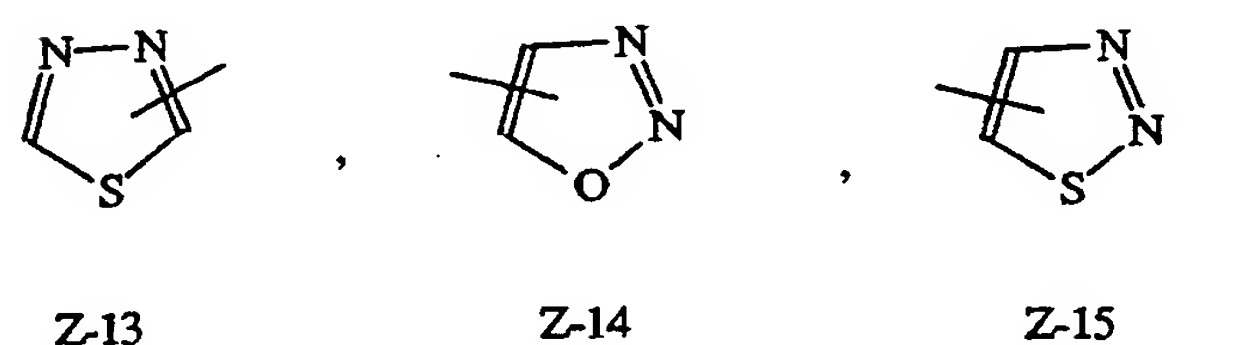
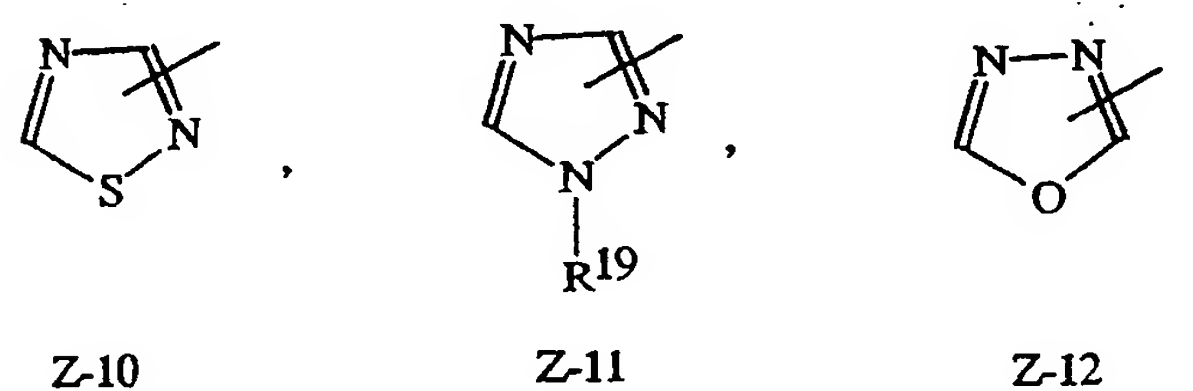
R^7 is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 alkynyl; or
 cyano;

Z is C_1 - C_{10} alkyl optionally substituted with R^8 ; or C_3 - C_8 cycloalkyl or
 phenyl, each optionally substituted with one of R^9 , R^{10} , or both R^9
 and R^{10} ; or Z is

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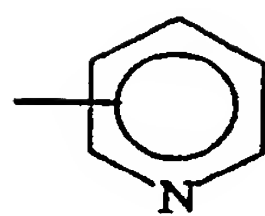


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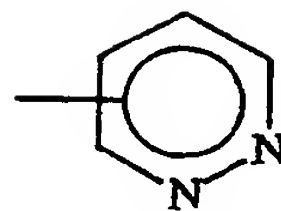


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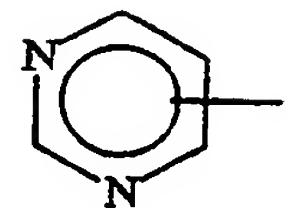
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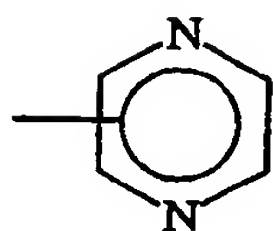
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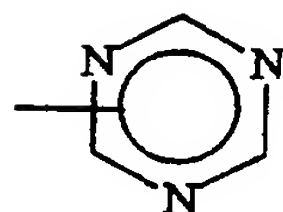
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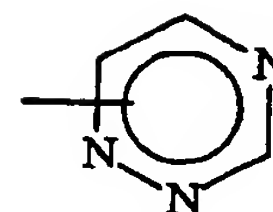
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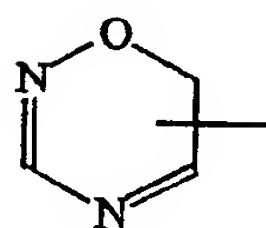
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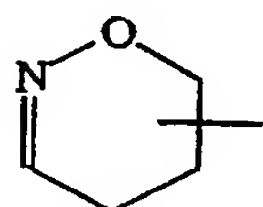
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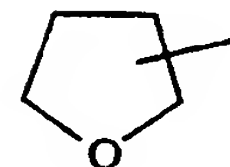
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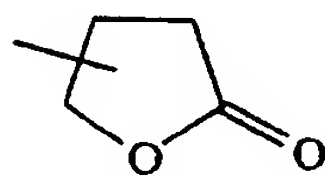
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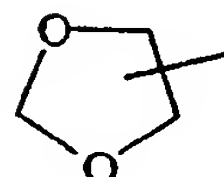
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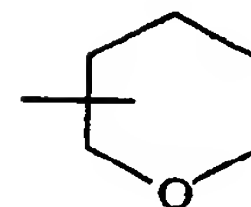
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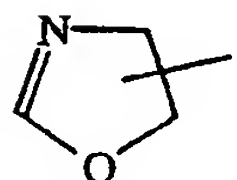
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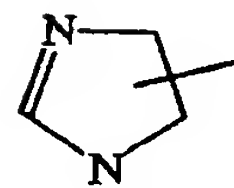
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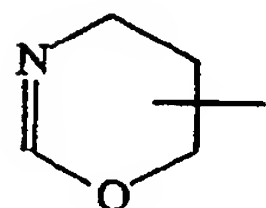
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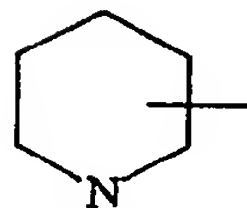
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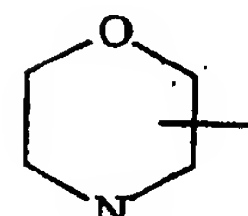
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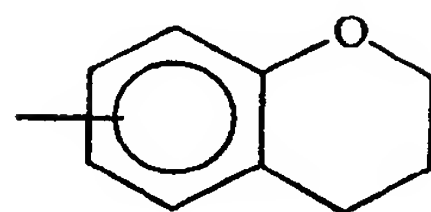
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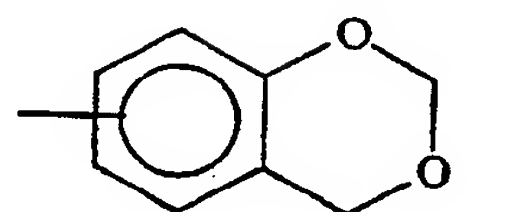
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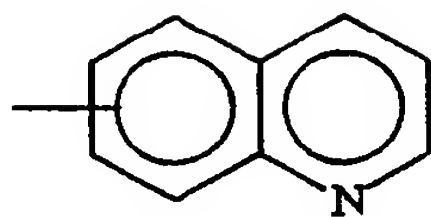


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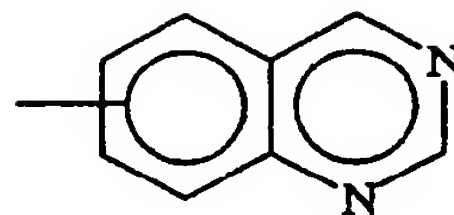
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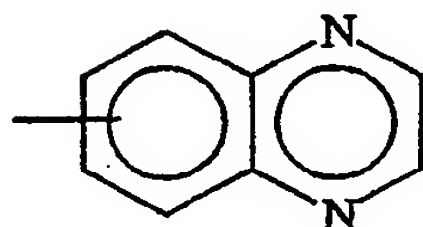
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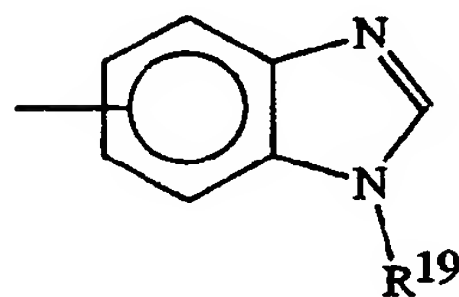
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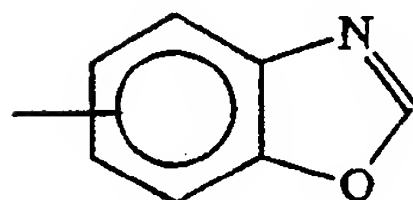


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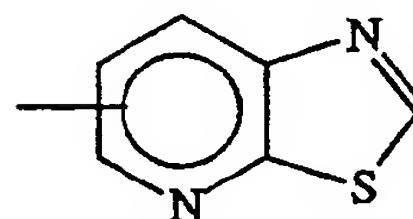


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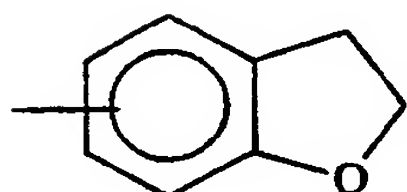
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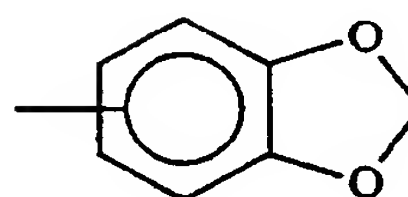
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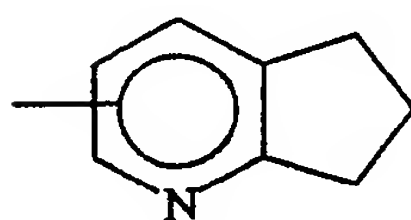
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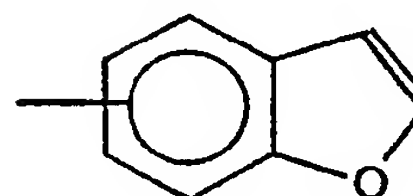
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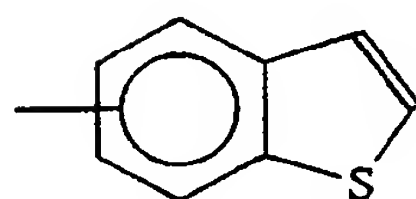


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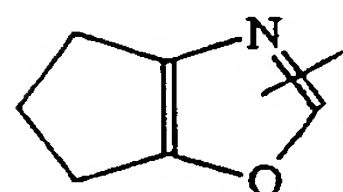


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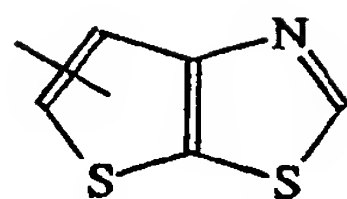


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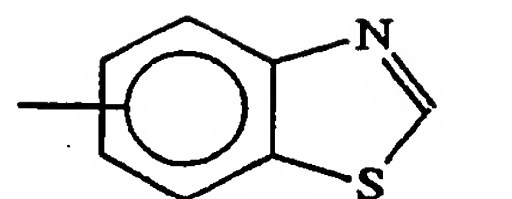
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or

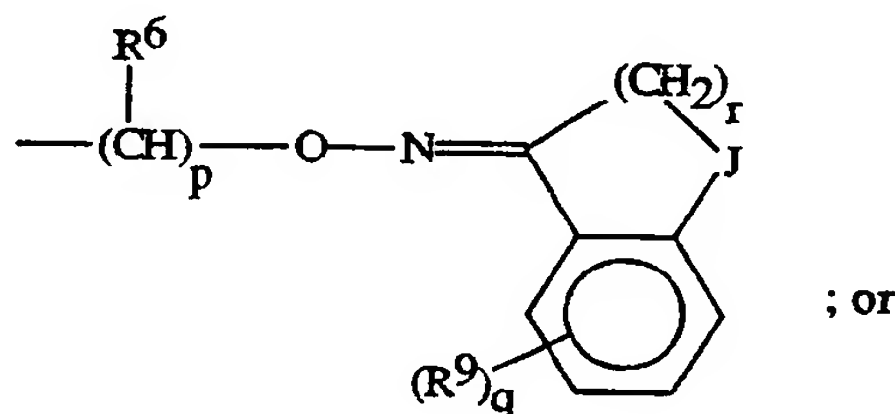


Z-49

each group optionally substituted with one R^9 , R^{10} , or both R^9 and R^{10} ;
or

5

R^3 , Y, and Z are taken together with the phenyl ring to form a
naphthalene ring substituted on either ring with a floating R^4 ; or
Y and Z are taken together to form



10

R^8 is 1-6 halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; or R^8 is phenyl,
phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy
each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and
 R^{12} ;

15

R^9 is 1-2 halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6
haloalkoxy; C_1 - C_6 alkylthio; cyano; $CO_2(C_1$ - C_6 alkyl); $NH(C_1$ - C_6
alkyl); or $N(C_1$ - C_6 alkyl) $_2$; or R^9 is C_3 - C_6 cycloalkyl, phenyl,
phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy
each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and
 R^{12} ; and

20

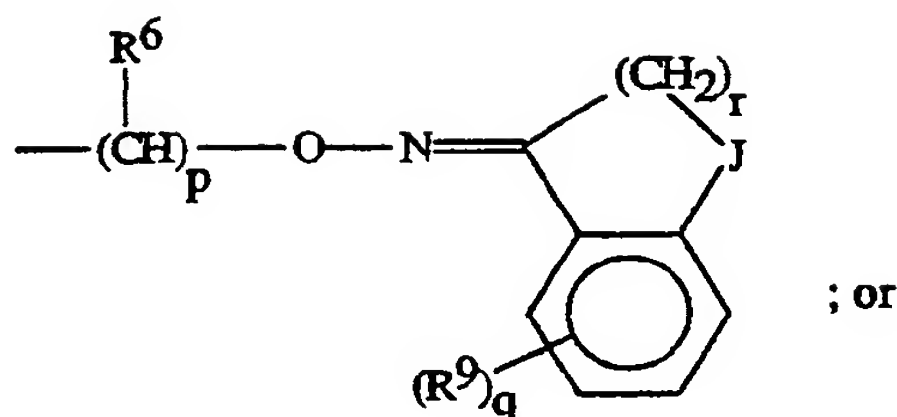
R^{19} is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; or phenyl optionally substituted
with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4
haloalkoxy, nitro or cyano.

Preferred 2. Compounds of Preferred 1 wherein:

25

Z is phenyl or Z-1 to Z-21, each optionally substituted with one of R^9 ,
 R^{10} , or both R^9 and R^{10} ; or
Y and Z are taken together to form

10



J is $-\text{CH}_2-$ or $-\text{CH}_2\text{CH}_2-$;

p is 0; and

r is 1.

5 Preferred 3. Compounds of Preferred 2 wherein:

A is O; N; NR^5 ; or CR^{14} ;

X is OR^1 ;

R^1 is C_1 - C_3 alkyl;

R^2 is H or C_1 - C_2 alkyl;

10 R^3 and R^4 are each H;

Y is $-\text{O}-$; $-\text{CH}=\text{CH}-$; $-\text{CH}_2\text{O}-$; $-\text{OCH}_2-$; $-\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$; or

$-\text{CH}_2\text{OC}(=\text{O})\text{NH}-$;

R^7 is H; C_1 - C_3 alkyl; or C_1 - C_3 haloalkyl; and

Z is phenyl, pyridinyl, pyrimidinyl, or thienyl, each optionally substituted

15 with one of R^9 , R^{10} , or both R^9 and R^{10} .

Preferred 4. Compounds of Preferred 3 wherein:

A is O or NR^5 ;

G is C;

Y is $-\text{O}-$; $-\text{CH}_2\text{O}-$; $-\text{OCH}_2-$; or $-\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$; and

20 R^7 is H; C_1 - C_2 alkyl; or C_1 - C_2 haloalkyl.

Preferred 5. Compounds of Preferred 3 wherein:

A is N or CR^{14} ;

G is N;

Y is $-\text{O}-$; $-\text{CH}_2\text{O}-$; $-\text{OCH}_2-$; or $-\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$; and

25 R^7 is H; C_1 - C_2 alkyl; or C_1 - C_2 haloalkyl.

Preferred 6. Compounds of Preferred 4 wherein:

R^1 is methyl;

R^2 is methyl; and

Z is phenyl optionally substituted with one of R^9 , R^{10} , or both R^9 and R^{10} .

30

Preferred 7. Compounds of Preferred 5 wherein:

R^1 is methyl;

R^2 is methyl; and

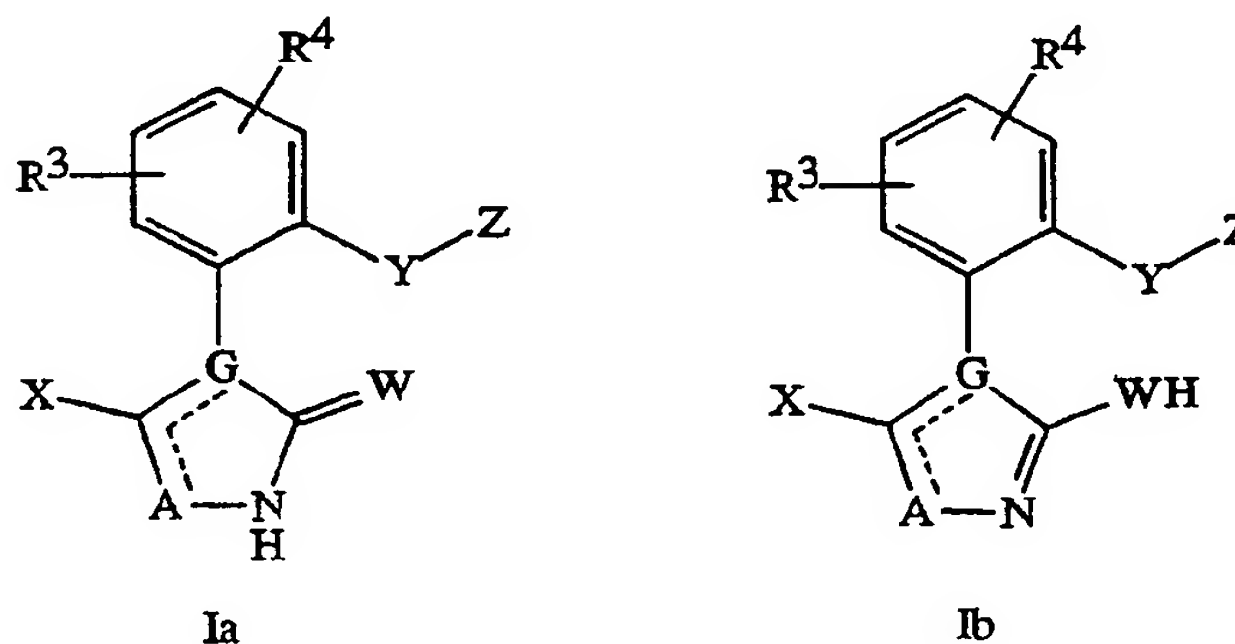
Z is phenyl optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰.

It is recognized that some reagents and reaction conditions described below for preparing compounds of Formula I may not be compatible with some functionalities claimed for R¹, R², R³, R⁴, A, G, W, X, Y, and Z. In these cases, the incorporation of protection/deprotection sequences into the synthesis may be necessary in order to obtain the desired products. The cases in which protecting groups are necessary, and which protecting group to use, will be apparent to one skilled in chemical synthesis.

In the following description of the preparation of compounds of Formula I, compounds denoted as Formula Ia through Ik are various subsets of the compounds of Formula I. All substituents for compounds of Formula Ia through Ik and Formulae 1-39 are as defined above for Formula I except where indicated otherwise.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active than the others and how to separate said stereoisomers. Accordingly, the present invention comprises mixtures, individual stereoisomers, and optically active mixtures of compounds of Formula I as well as agriculturally suitable salts thereof.

One skilled in the art will recognize that some compounds of Formula I can exist in one or more tautomeric forms. For example, a compound of Formula I wherein R² is H may exist as tautomer Ia or Ib, or both Ia and Ib. The present invention comprises all tautomeric forms of compounds of Formula I.



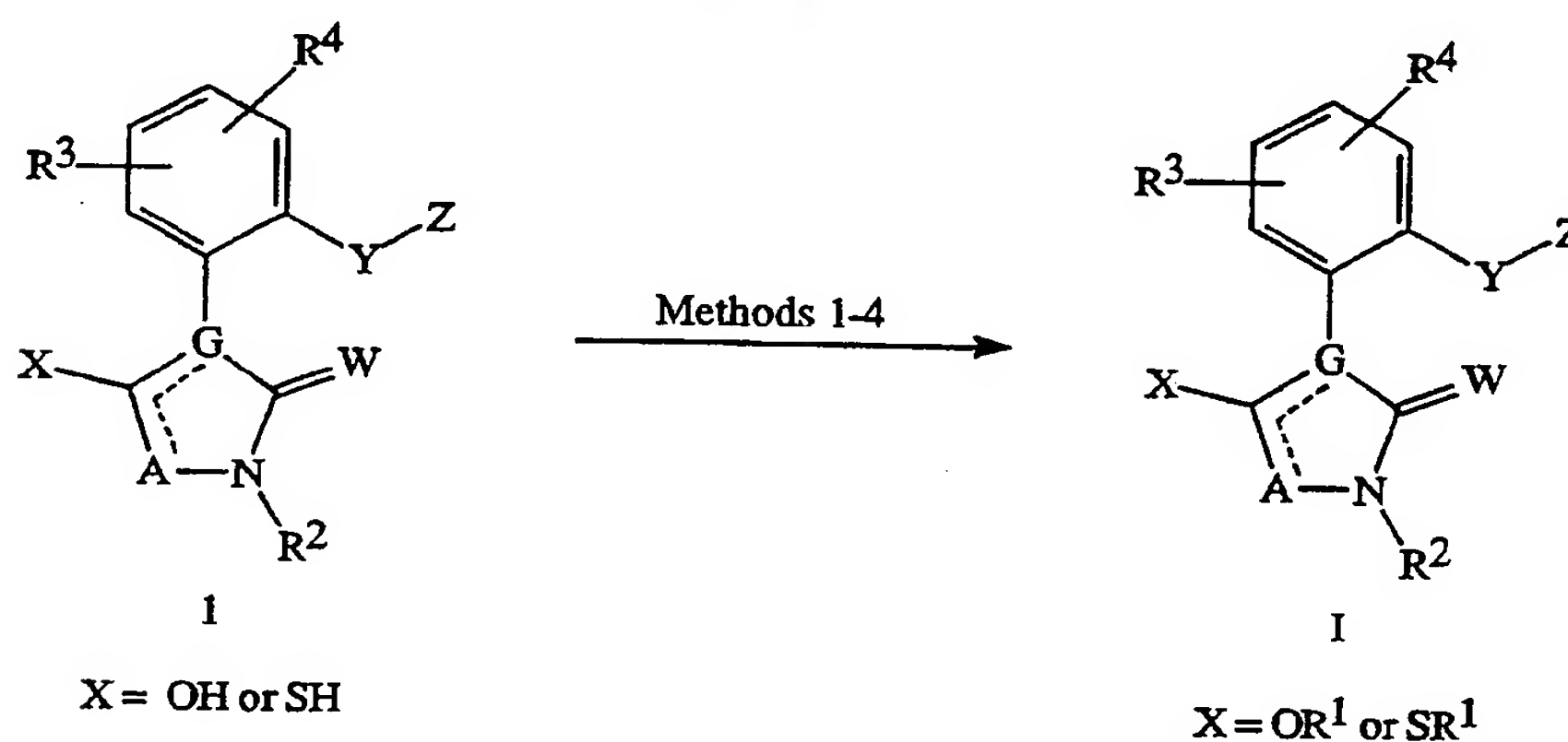
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DETAILED DESCRIPTION OF THE INVENTION

The compounds of Formula I can be prepared as described below in Procedures 1) to 5). Procedures 1) to 4) describe syntheses involving construction of the amide ring after the formation of the aryl moiety. Procedure 5) describes syntheses of the aryl moiety with the amide ring already in place.

1) Alkylation Procedures

The compounds of Formula I are prepared by treating compounds of Formula 1 with an appropriate alkyl transfer reagent in an inert solvent with or without additional acidic or basic reagents or other reagents (Scheme 1). Suitable solvents are selected from the group consisting of polar aprotic solvents such as acetonitrile, dimethylformamide or dimethylsulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; and halocarbons such as dichloromethane or chloroform.

Scheme 1

Method 1: Q-CH=N_2 (Q = H or $(\text{CH}_3)_3\text{Si}$)
2

Method 2: $\text{Cl}_3\text{C-C(=NH)OR}^1$; Lewis acid
3

Method 3: $(\text{R}^1)_3\text{O}^+ \text{BF}_4^-$
4

Method 4: $(\text{R}^1)_2\text{SO}_4$; $\text{R}^1\text{OSO}_2\text{Q}$; or $\text{R}^1\text{-hal}$;
optional base
(hal = F, Cl, Br, or I)
(Q = C₁-C₆ alkyl, C₁-C₆ haloalkyl)

10

For example, compounds of Formula I can be prepared by the action of diazoalkane reagents of Formula 2 such as diazomethane (Q = H) or trimethylsilyldiazomethane (Q = $(\text{CH}_3)_3\text{Si}$) on compounds of dicarbonyl compounds of Formula 1 (Method 1). Use of trimethylsilyldiazomethane requires a protic cosolvent such as methanol. For examples of these procedures, see *Chem. Pharm. Bull.*, (1984), 32, 3759.

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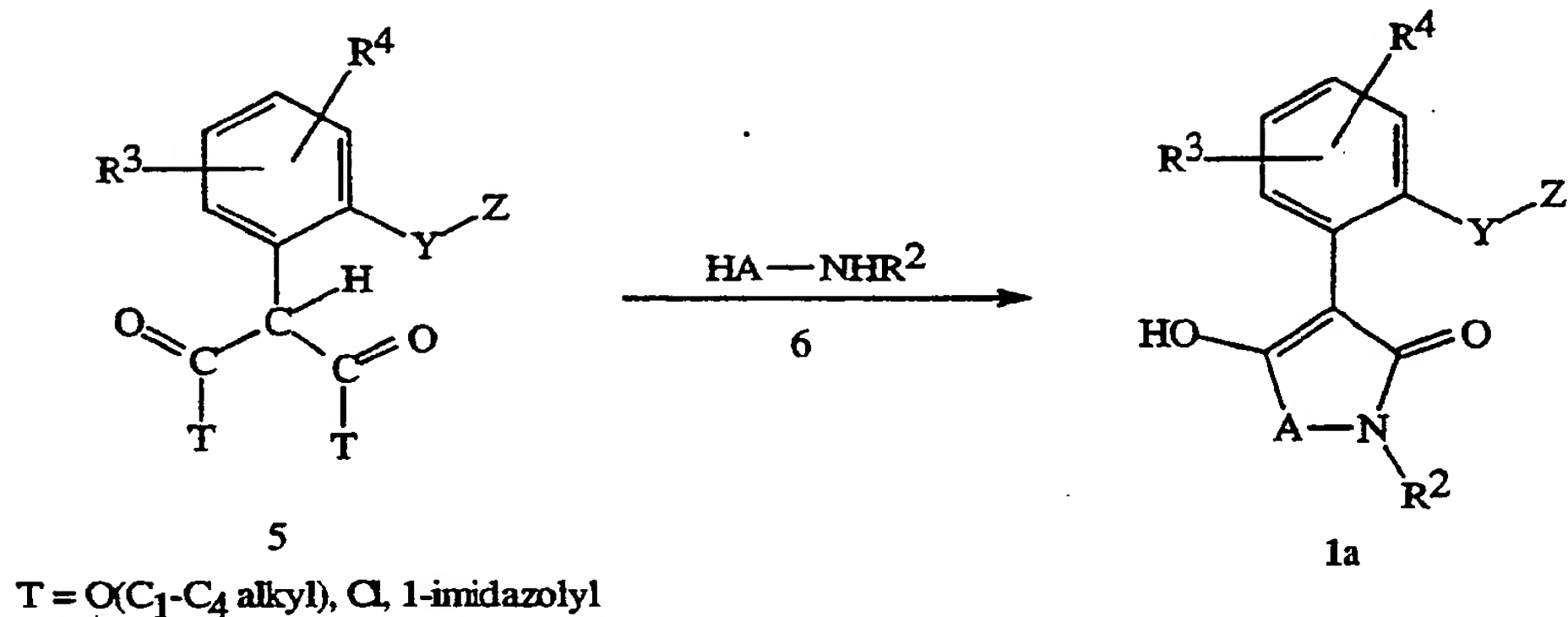
As indicated in Method 2, compounds of Formula I can also be prepared by contacting carbonyl compounds of Formula 1 with alkyl trichloroacetimidates of Formula 3 and a Lewis acid catalyst. Suitable Lewis acids include trimethylsilyl triflate and tetrafluoroboric acid. The alkyl trichloroacetimidates can be prepared from the appropriate alcohol and trichloroacetonitrile as described in the literature (J. Danklmaier and H. Hönig, *Synth. Commun.*, (1990), 20, 203).

Compounds of Formula I can also be prepared from compounds of Formula 1 by treatment with a trialkyloxonium tetrafluoroborate (i.e., Meerwein's salt) of Formula 4 (Method 3). The use of trialkyloxonium salts as powerful alkylating agents is well known in the art (see U. Schöllkopf, U. Groth, C. Deng, *Angew. Chem., Int. Ed. Engl.*, (1981), 20, 798).

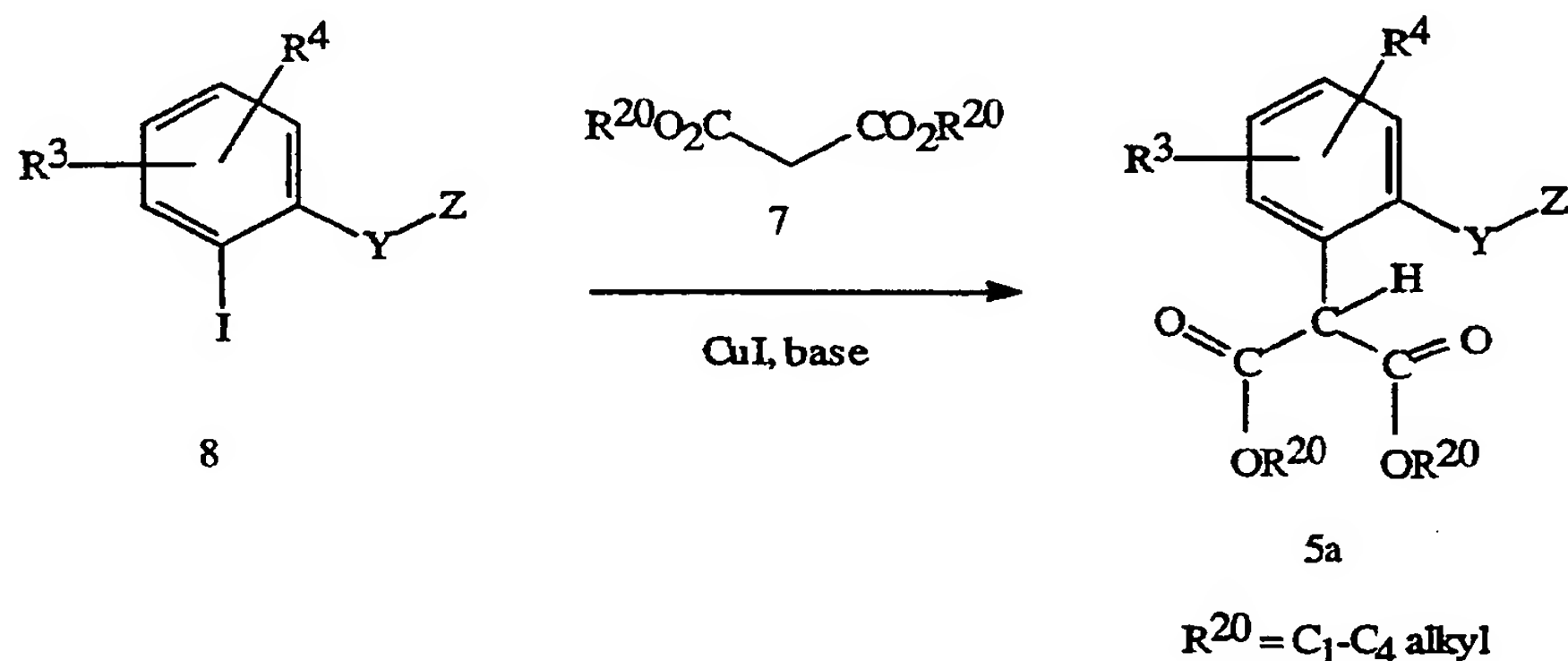
Other alkylating agents which can convert carbonyl compounds of Formula 1 to compounds of Formula I are dialkyl sulfates such as dimethyl sulfate, haloalkyl sulfonates such as methyl trifluoromethanesulfonate, and alkyl halides such as iodomethane and propargyl bromide (Method 4). These alkylations can be conducted with or without additional base. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and triethylenediamine. See R. E. Benson, T. L. Cairns, *J. Am. Chem. Soc.*, (1948), 70, 2115 for alkylation examples using agents of this type.

Compounds of Formula 1a (compounds of Formula 1 wherein G = C, W = O and X = OH) can be prepared by condensation of malonates or malonate derivatives of Formula 5 with an ambident nucleophile of Formula 6 (Scheme 2). The nucleophiles of Formula 6 are *N*-substituted hydroxylamines (HO-NHR²) and substituted hydrazines (HN(R⁵)-NHR²). Examples of such nucleophiles are *N*-methylhydroxylamine and methylhydrazine. The preparation of the malonate esters of Formula 5 can be prepared by methods described hereinafter. The esters of Formula 5 can also be activated by first hydrolyzing the ester to form the corresponding carboxylic acid, and then converting the acid into the acid chloride (T = Cl) using thionyl chloride or oxalyl chloride, or into the acyl imidazole (T = 1-imidazolyl) by treating with 1,1'-carbonyldiimidazole.

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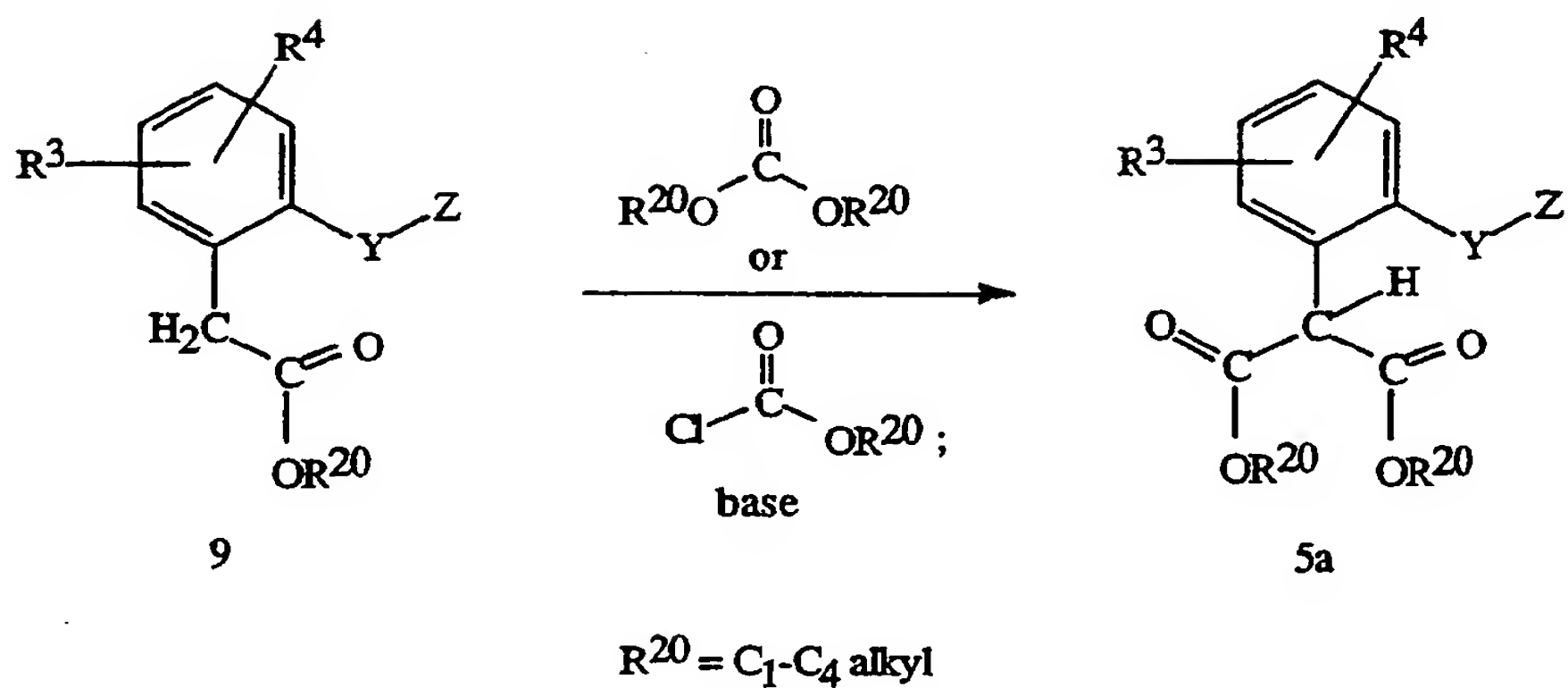
Scheme 2

5 Esters of Formula 5a can be prepared from copper (I)-catalyzed reaction of malonate esters of Formula 7 with substituted iodobenzenes of Formula 8 according to methods adapted from A. Osuka, T. Kobayashi and H. Suzuki, *Synthesis*, (1983), 67, and illustrated in Scheme 3.

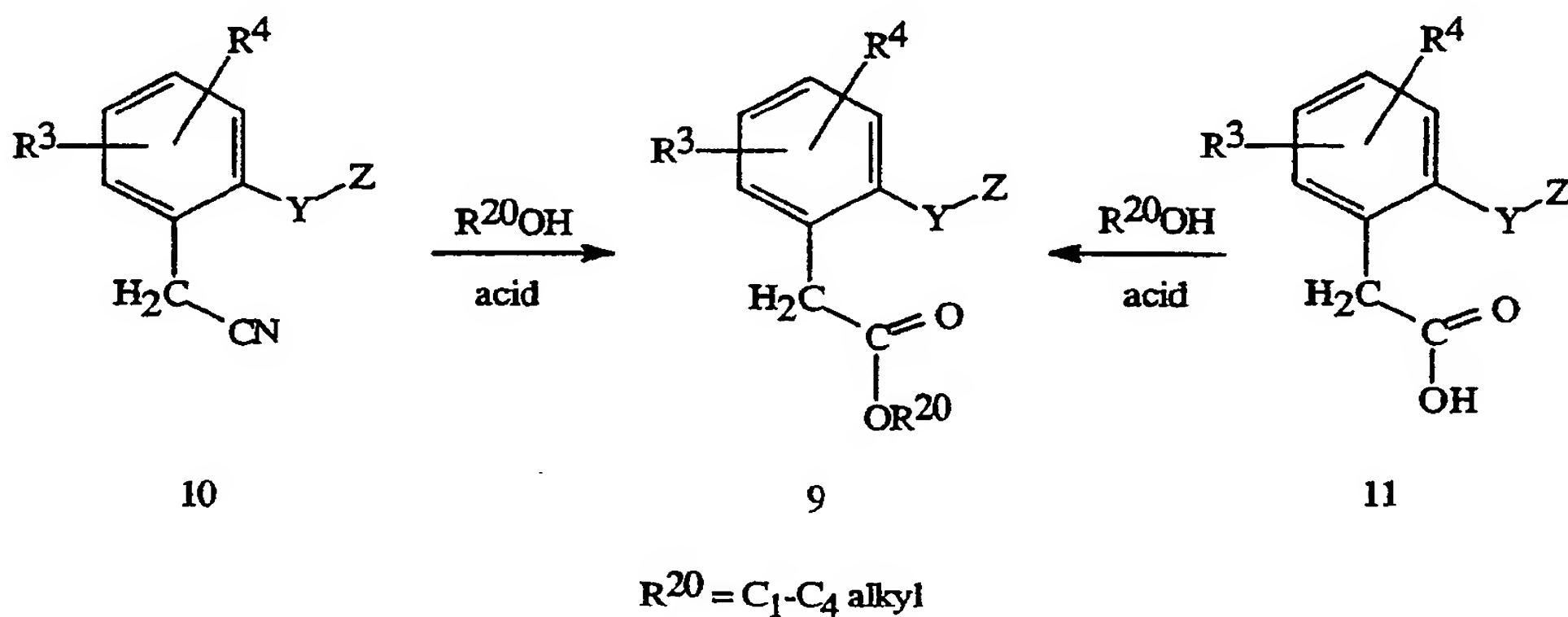
Scheme 3

10 Additionally, the malonate esters of Formula 5a can be prepared by treating phenyl acetic acid esters of Formula 9 with a dialkyl carbonate or alkyl chloroformate in the presence of a suitable base such as, but not limited to, sodium metal and sodium hydride (Scheme 4). For example, see *J. Am. Chem. Soc.*, (1928), 50, 2758.

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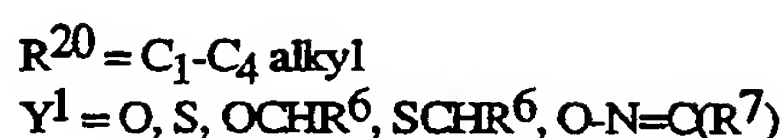
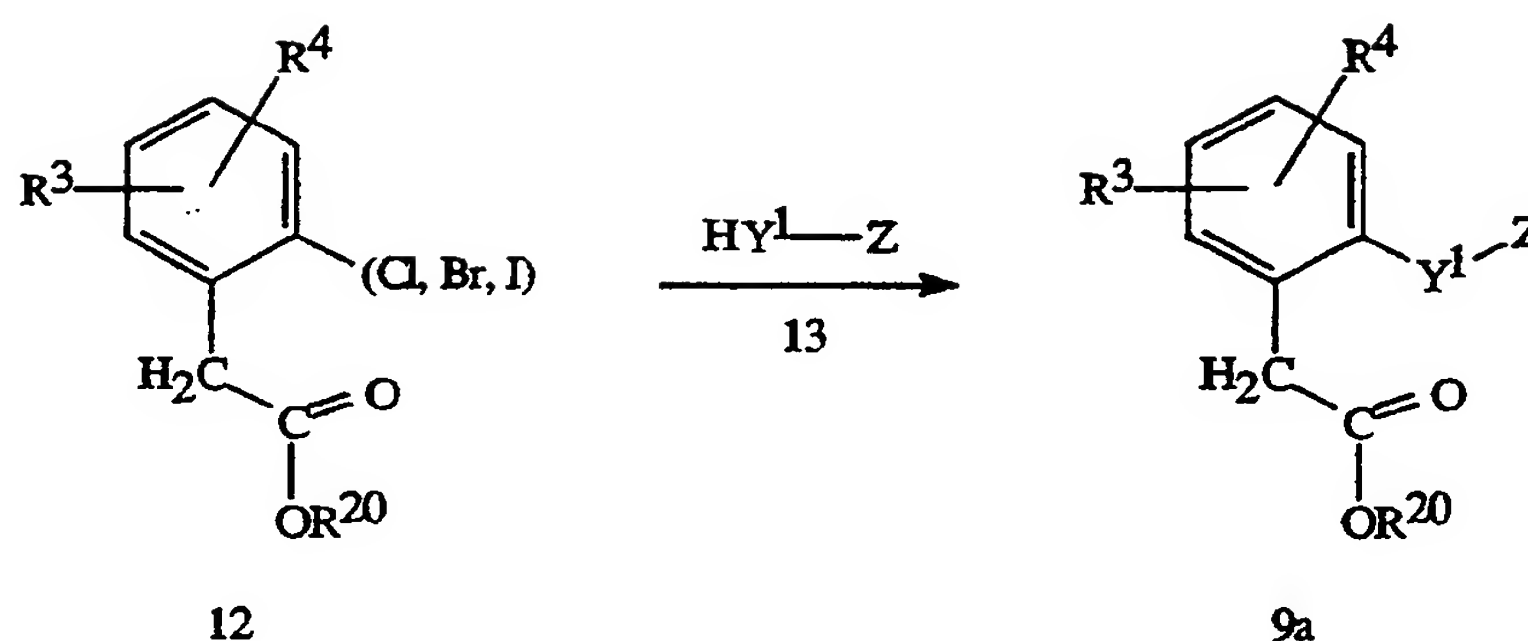
Scheme 4

5 Esters of Formula 9 can be prepared from acid-catalyzed alcoholysis of phenyl acetonitriles of Formula 10 or esterification of phenyl acetic acids of Formula 11 as illustrated in Scheme 5 (see *Org. Synth.*, Coll. Vol. I, (1941), 270).

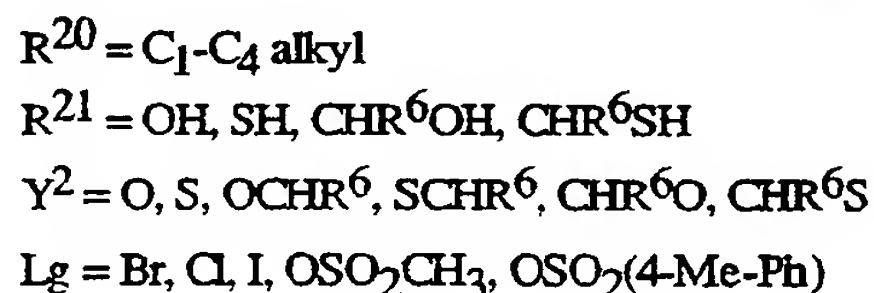
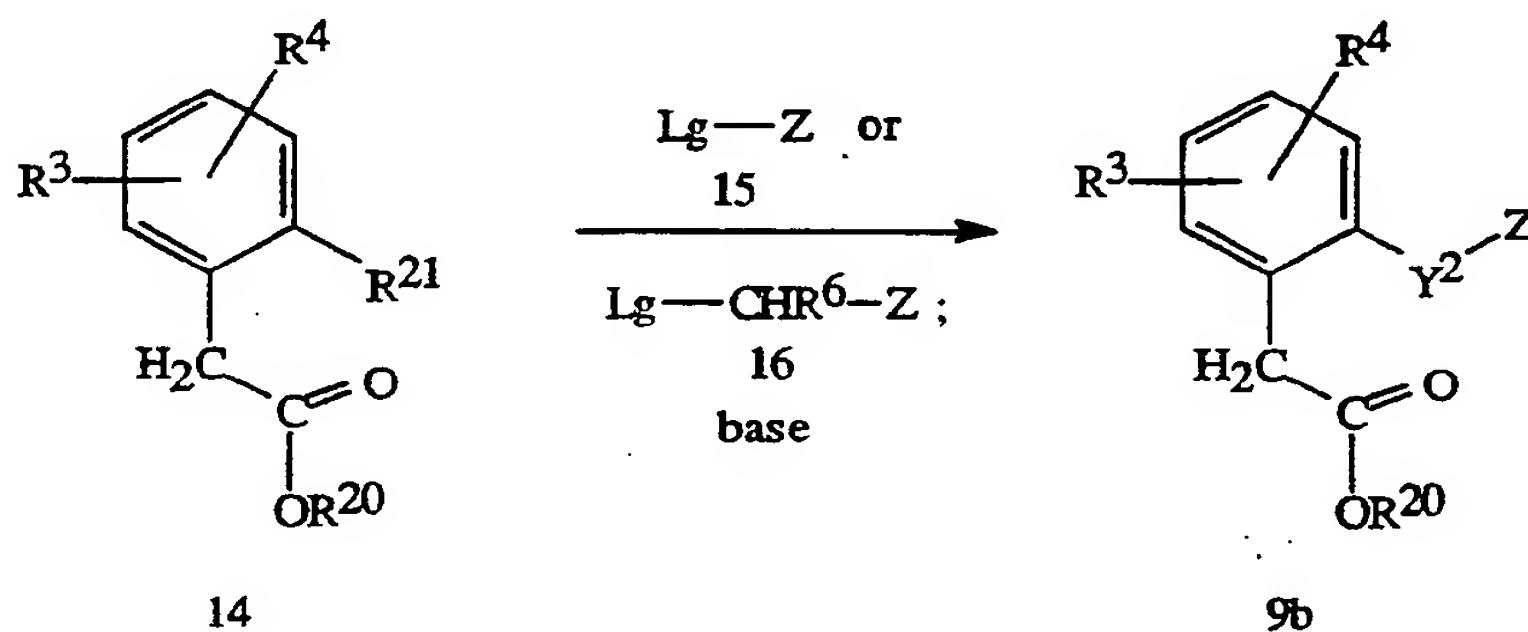
Scheme 5

10 Phenyl acetic acid esters of Formula 9a can also be prepared by copper (I)-catalyzed condensation of phenyl halides of Formula 12 with compounds of Formula 13 as described in EP-A-307,103 and illustrated below in Scheme 6.

16

Scheme 6

Some esters of Formula 9 (Formula 9b) can also be prepared by forming the Y² bridge using conventional nucleophilic substitution chemistry (Scheme 7). Displacement of an appropriate leaving group (Lg) in electrophiles of Formula 15 or 16 with a nucleophilic ester of Formula 14 affords compounds of Formula 9b. A base, for example sodium hydride, is used to generate the corresponding alkoxide or thioalkoxide of the compound of Formula 14.

Scheme 7

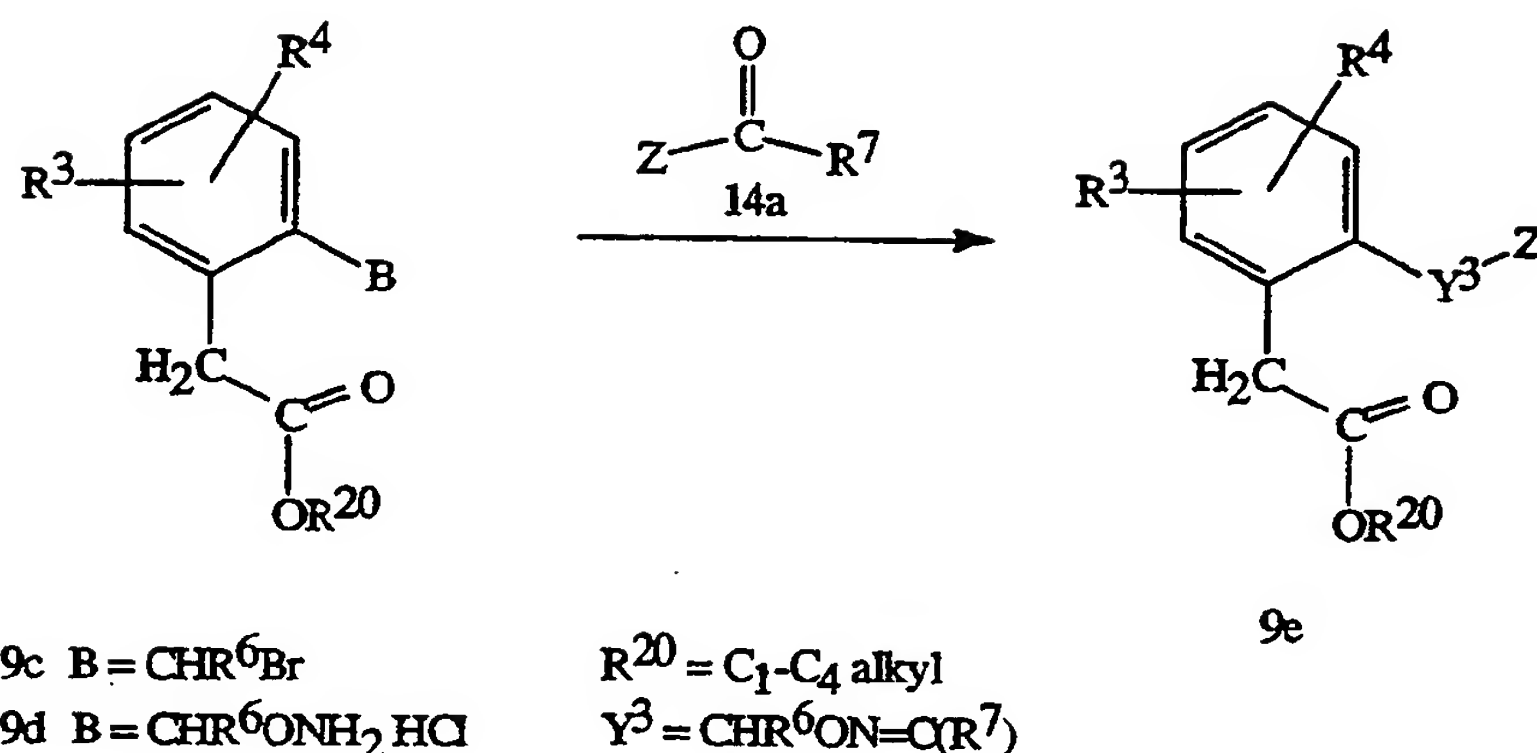
10

Some esters of Formula 9 (Formula 9e) can also be prepared by forming the Y³ bridge from substituted hydroxylamine 9d and carbonyl compounds 14a. The hydroxylamine 9d is in turn prepared from esters 9c. This method has been described in EP-600,835 and illustrated in Scheme 8.

15

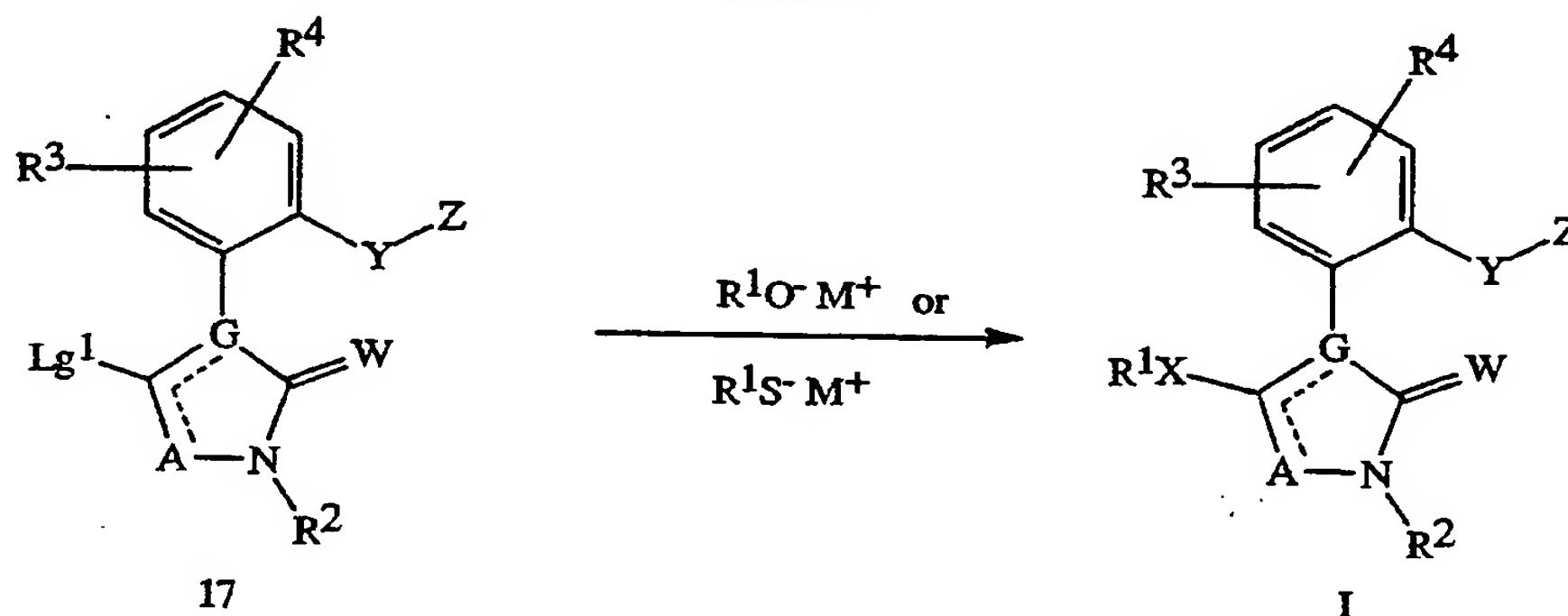
17

Scheme 8

2) Displacement and Conjugate Addition/Elimination Procedures

- Compounds of Formula I can also be prepared by reaction of Formula 17 compounds with alkali metal alkoxides ($\text{R}^1\text{O}^-\text{M}^+$) or alkali metal thioalkoxides ($\text{R}^1\text{S}^-\text{M}^+$) in a suitable solvent (Scheme 9). The leaving group Lg^1 in the amides of Formula 17 are any group known in the art to undergo a displacement reaction of this type. Examples of suitable leaving groups include chlorine, bromine, and sulfonyl and sulfonate groups. Examples of suitable inert solvents are dimethylformamide or dimethylsulfoxide.

Scheme 9

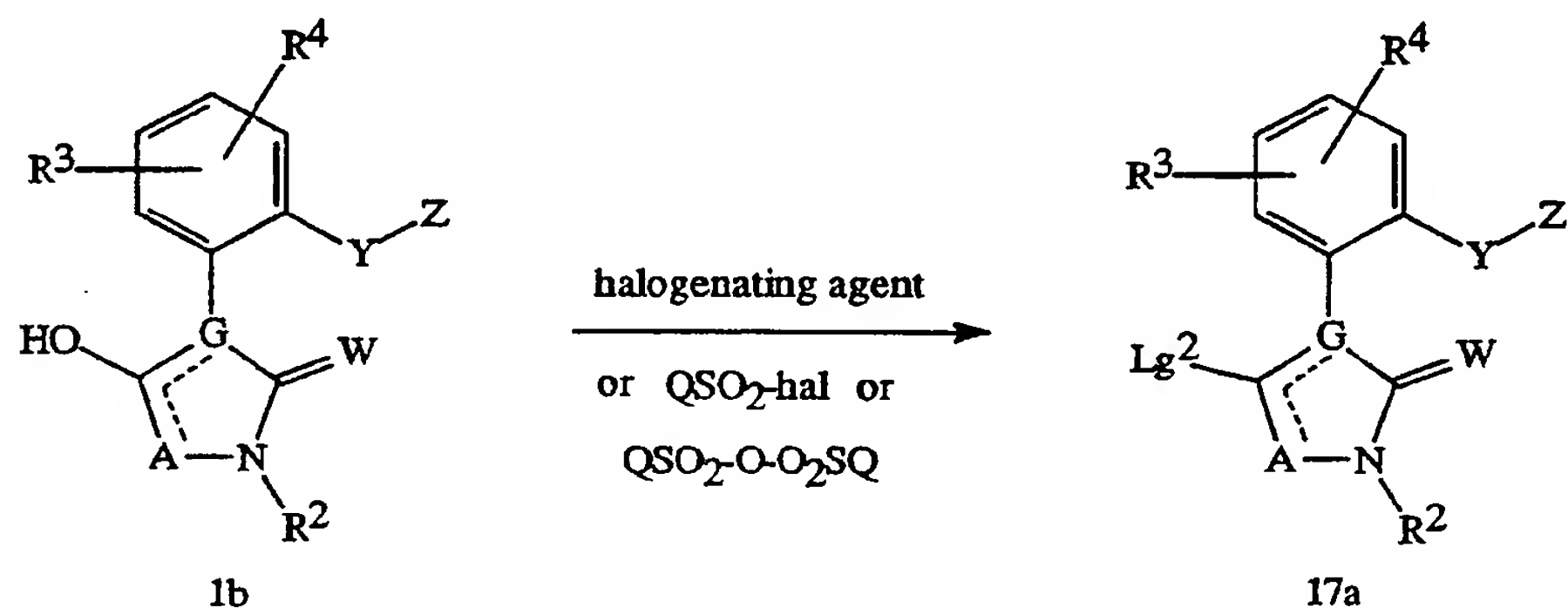


- Compounds of Formula 17a can be prepared from compounds of Formula 1b (compounds of Formula 1 wherein X is OH) by reaction with halogenating agents such as thionyl chloride or phosphorus oxybromide to form the corresponding β -halo-substituted derivatives (Scheme 10). Alternatively, compounds of Formula 1b can be treated with an alkylsulfonyl halide or haloalkylsulfonyl anhydride, such as

methane sulfonyl chloride, *p*-toluenesulfonyl chloride, and trifluoromethanesulfonyl anhydride, to form the corresponding β -alkylsulfonate of Formula 17a. The reaction with the sulfonyl halides may be performed in the presence of a suitable base (e.g., triethylamine).

5

Scheme 10



$\text{Lg}^2 = \text{Cl, Br, or } -\text{OSO}_2\text{Q}$

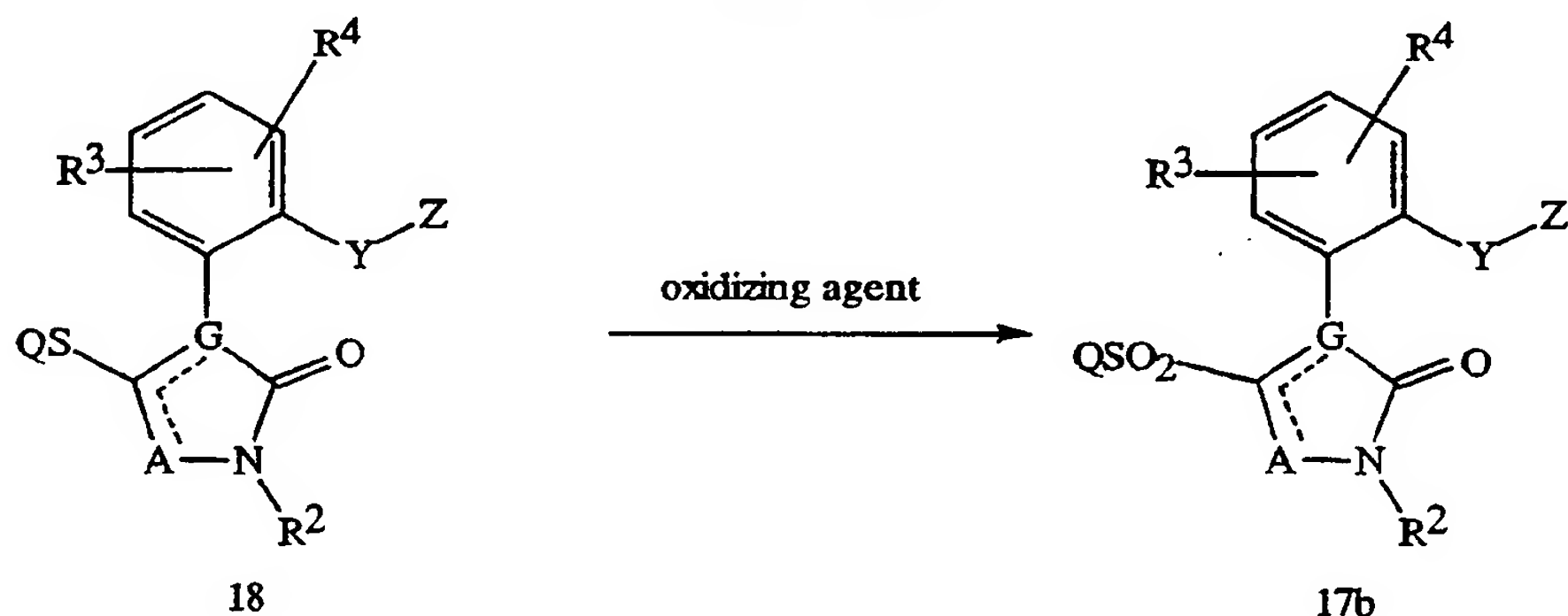
$\text{Q} = \text{C}_1\text{-C}_6 \text{ alkyl or } \text{C}_1\text{-C}_6 \text{ haloalkyl}$

$\text{hal} = \text{Br, Cl or F}$

As illustrated in Scheme 11, sulfonyl compounds of Formula 17b can be prepared by oxidation of the corresponding thio compound of Formula 18 using well-known methods for the oxidation of sulfur (see Schrenk, K. In *The Chemistry of Sulphones and Sulphoxides*; Patai, S. et al., Eds.; Wiley: New York, 1988). Suitable oxidizing reagents include meta-chloro-peroxybenzoic acid, hydrogen peroxide and Oxone[®] (KHSO₅).

10

Scheme 11



$\text{Q} = \text{C}_1\text{-C}_6 \text{ alkyl or } \text{C}_1\text{-C}_6 \text{ haloalkyl}$

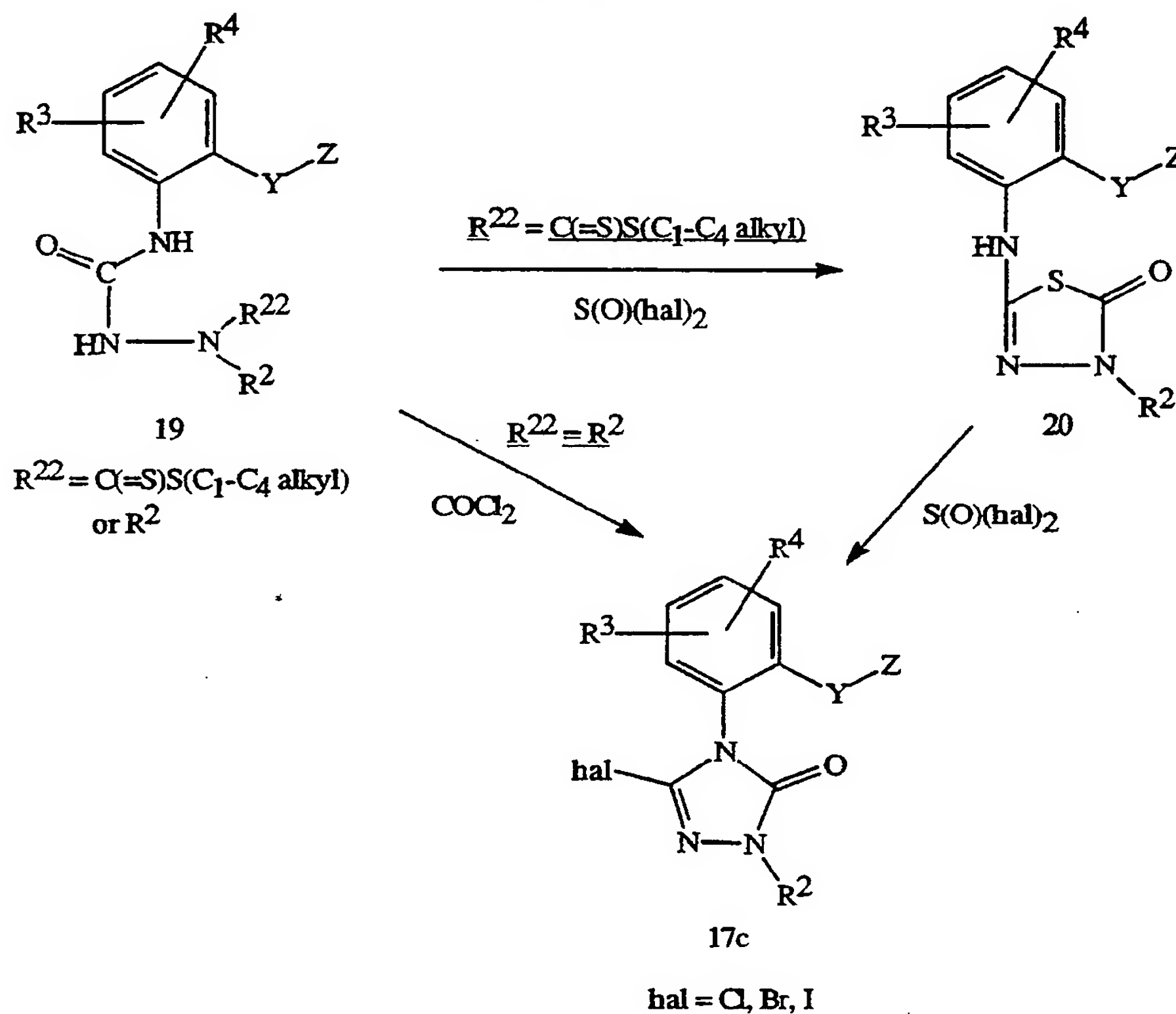
Alternatively, halo-compounds of Formula 17c (compounds of Formula 17a wherein $\text{A} = \text{N}$, $\text{G} = \text{N}$, and $\text{W} = \text{O}$) can be prepared from hydrazides of Formula 19 as illustrated in Scheme 12. When $\text{R}^{22} = \text{C}(=\text{S})\text{S}(\text{C}_1\text{-C}_4 \text{ alkyl})$, the diacyl compound of Formula 19 is treated with excess thionyl halide, for example excess thionyl chloride.

15

The product formed first is the ring-closed compound of Formula 20 which can be isolated or converted *in situ* to the compound of Formula 17c; see P. Molina, A. Tárraga, A. Espinosa, *Synthesis*, (1989), 923 for a description of this process.

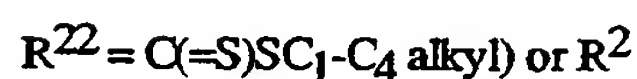
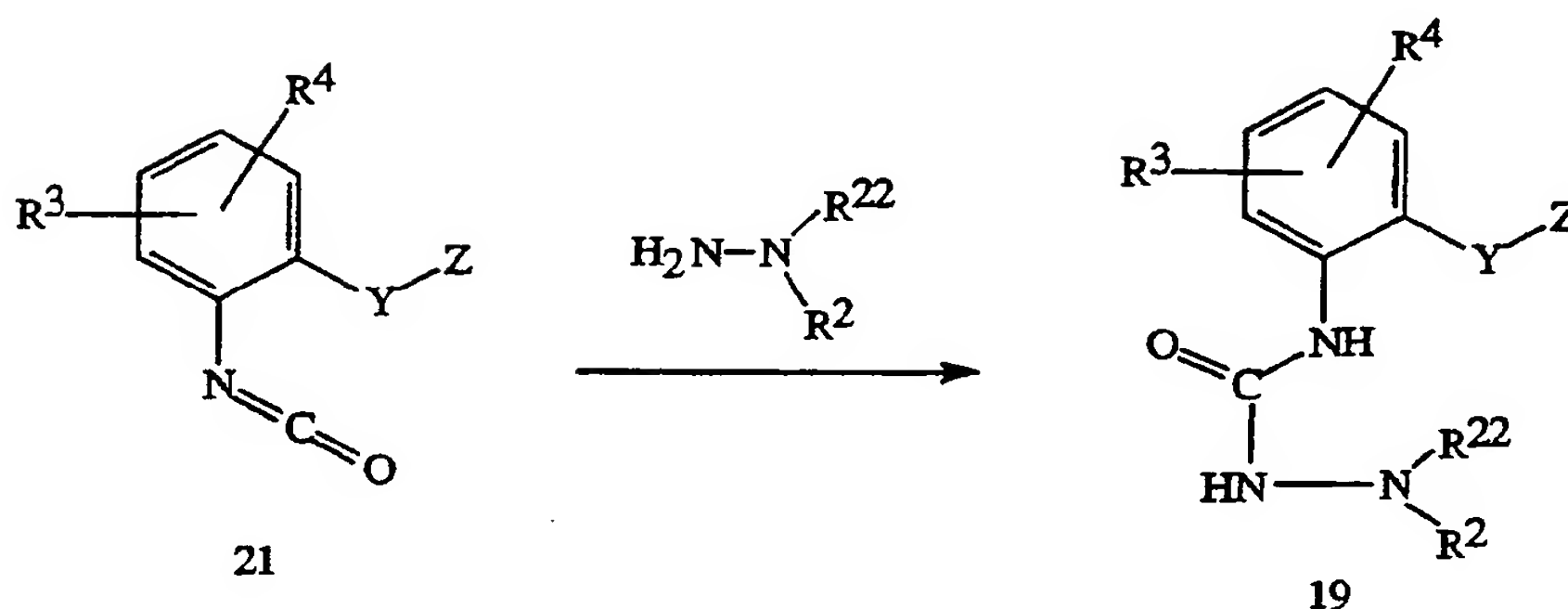
Alternatively, when $R^{22} = R^2$ as defined above, the hydrazide of Formula 19 is cyclized with phosgene to form the cyclic urea of Formula 17c wherein $\text{hal} = \text{Cl}$. This procedure is described in detail in *J. Org. Chem.*, (1989), 54, 1048.

Scheme 12

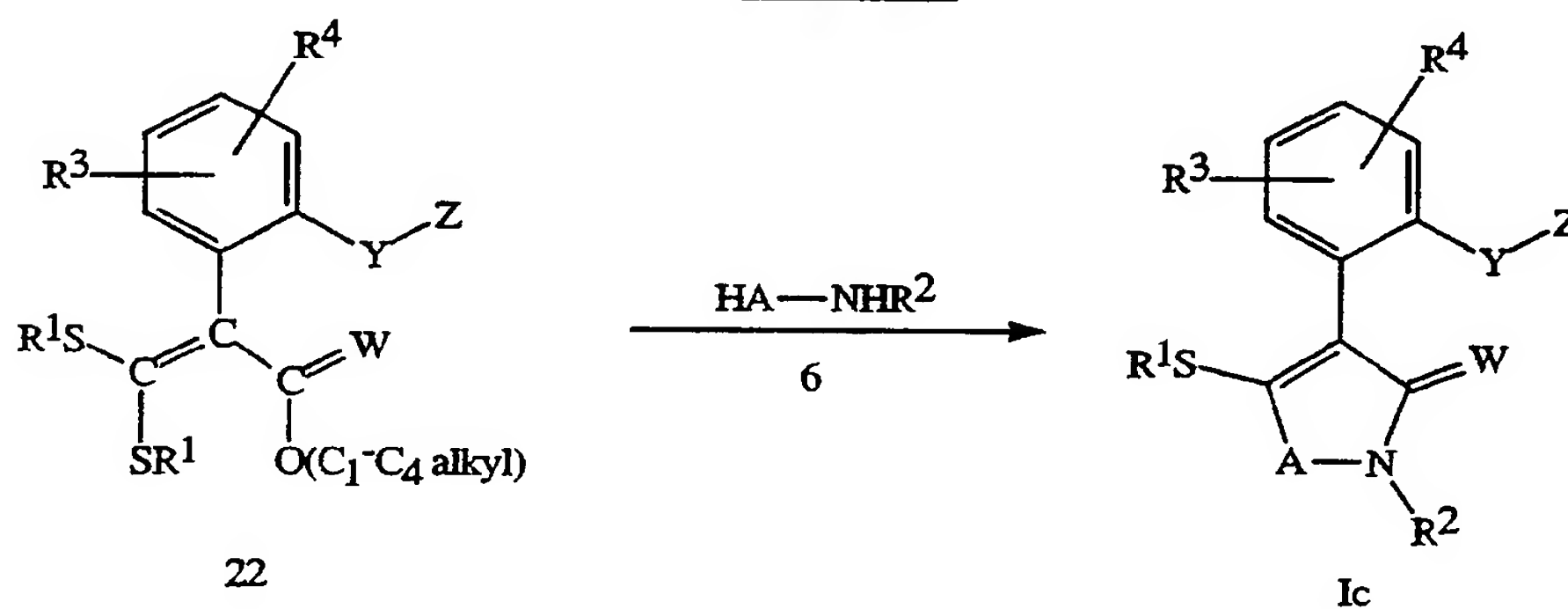


10 The hydrazides of Formula 19 can be prepared as illustrated in Scheme 13. Condensation of the isocyanate of Formula 21 with the hydrazine of Formula $\text{H}_2\text{NNR}^2\text{R}^{22}$ in an inert solvent such as tetrahydrofuran affords the hydrazide.

20

Scheme 133) Conjugate Addition/Cyclization Procedures

- In addition to the methods disclosed above, compounds of Formula I wherein
- 5 X = SR¹ and G = C (Formula Ic) can be prepared by treating a ketenedithioacetal of Formula 22 with an ambident nucleophile of Formula 6 (Scheme 14). The nucleophiles of Formula 6 are described above.

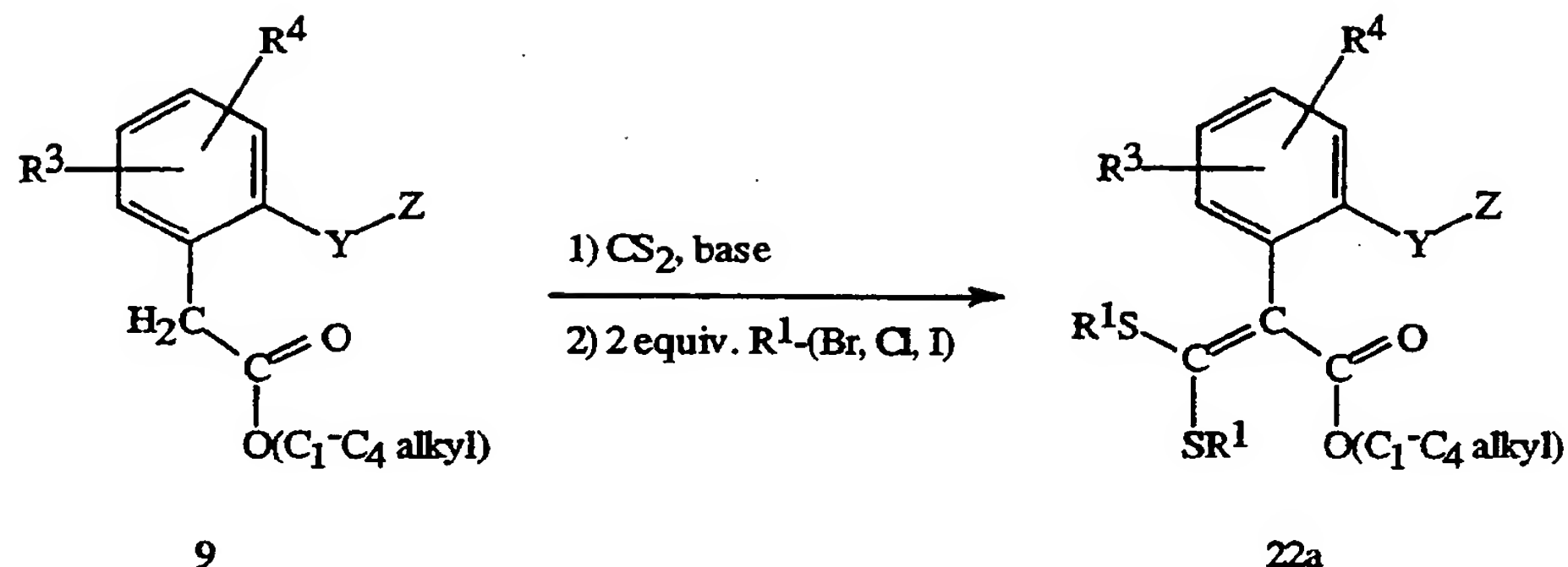
Scheme 14

10

Ketene dithioacetals of Formula 22a can be prepared by condensing phenyl acetic acid esters of Formula 9 with carbon disulfide in the presence of a suitable base, followed by reaction with two equivalents of an R¹-halide, such as iodomethane or propargyl bromide (Scheme 15).

21

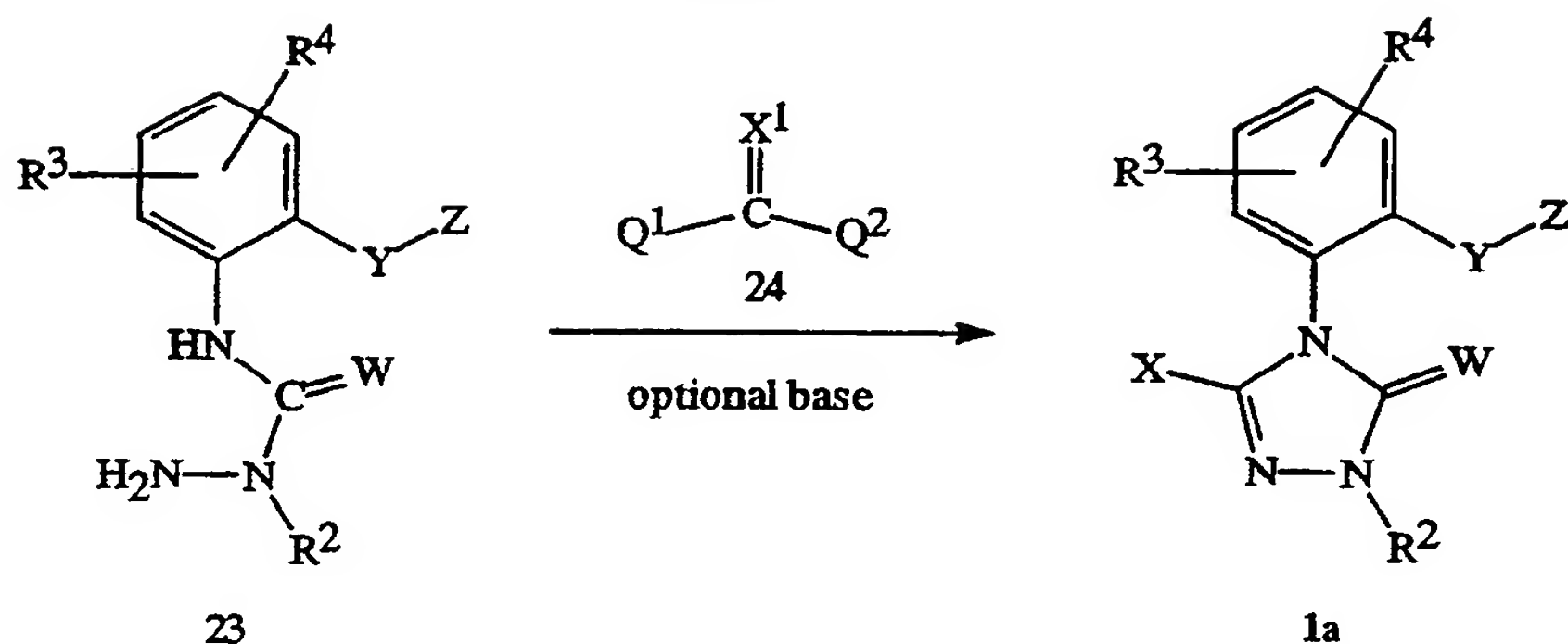
Scheme 15



- Compounds of Formula 1a (compounds of Formula 1 wherein A = N, G = N) can be prepared by condensation of *N*-amino-ureas of Formula 23 with a carbonylating agent of Formula 24 (Scheme 16). The carbonylating agents of Formula 24 are carbonyl or thiocarbonyl transfer reagents such as phosgene, thiophosgene, diphosgene (ClC(=O)OCCl₃), triphosgene (Cl₃COC(=O)OCCl₃), *N,N'*-carbonyldiimidazole, *N,N'*-thiocarbonyldiimidazole, and 1,1'-carbonyldi(1,2,4-triazole). Alternatively, the compounds of Formula 24 can be alkyl chloroformates or dialkyl carbonates. Some of these carbonylating reactions may require the addition of a base to effect reaction.
- Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), or triethylenediamine. Suitable solvents include polar aprotic solvents such as acetonitrile, dimethylformamide, or dimethylsulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; or halocarbons such as dichloromethane or chloroform. The reaction temperature can vary between 0°C and 150°C and the reaction time can be from 1 to 72 hours depending on the choice of base, solvent, temperature, and substrates.

22

Scheme 16



Q¹ and Q² are independently Cl, OCCl₃, O(C₁-C₄ alkyl), 1-imidazolyl, 1,2,4-triazolyl

X = OH or SH

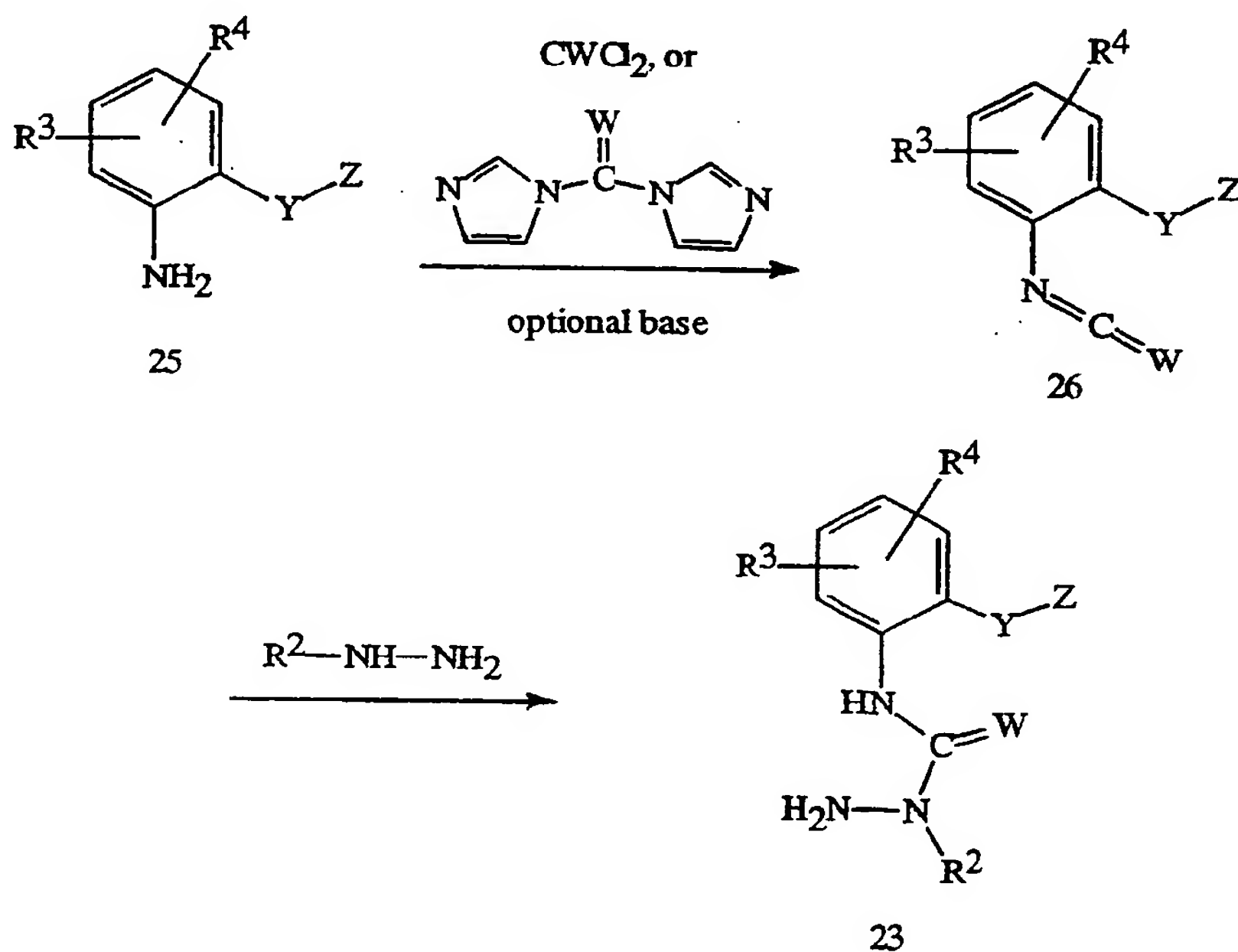
X¹ = O or S

N-Amino-ureas of Formula 23 can be prepared as illustrated in Scheme 17.

Treatment of an aniline of Formula 25 with phosgene, thiophosgene,

- 5 *N,N'*-carbonyldiimidazole, or *N,N'*-thiocarbonyldiimidazole produces the isocyanate or isothiocyanate of Formula 26. A base can be added for reactions with phosgene or thiophosgene. Subsequent treatment of the iso(thio)cyanate with an R²-substituted hydrazine produces the *N*-amino-urea of Formula 23.

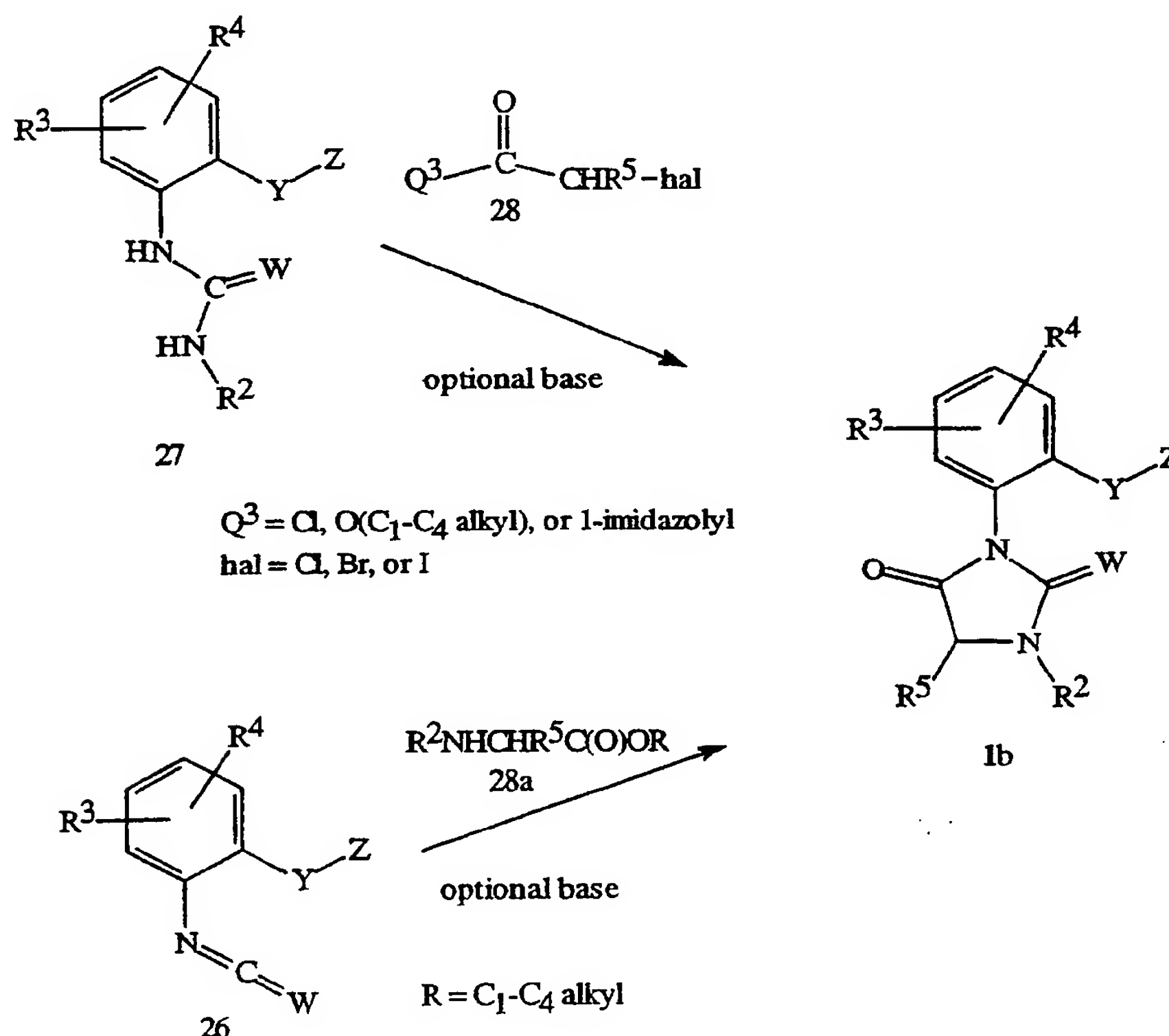
Scheme 17



Compounds of Formula 1b (compounds of Formula 1 wherein $A = CR^5$, $G = N$, and $X = O$) can be prepared by either method illustrated in Scheme 18. Ureas of Formula 27 are reacted with activated 2-halocarboxylic acid derivatives such as 2-halocarboxylic acid chlorides, 2-halocarboxylic acid esters or 2-haloacyl imidazoles.

- 5 The initial acylation on the aniline nitrogen is followed by an intramolecular displacement of the 2-halo group to effect cyclization. Base may be added to accelerate the acylation and/or the subsequent cyclization. Suitable bases include triethylamine and sodium hydride. Alternatively, Formula 1b compounds can be prepared by reaction of Formula 26 isocyanates with Formula 28a esters. As described above, base may be added to
- 10 accelerate the reaction and subsequent cyclization to Formula 1b compounds.

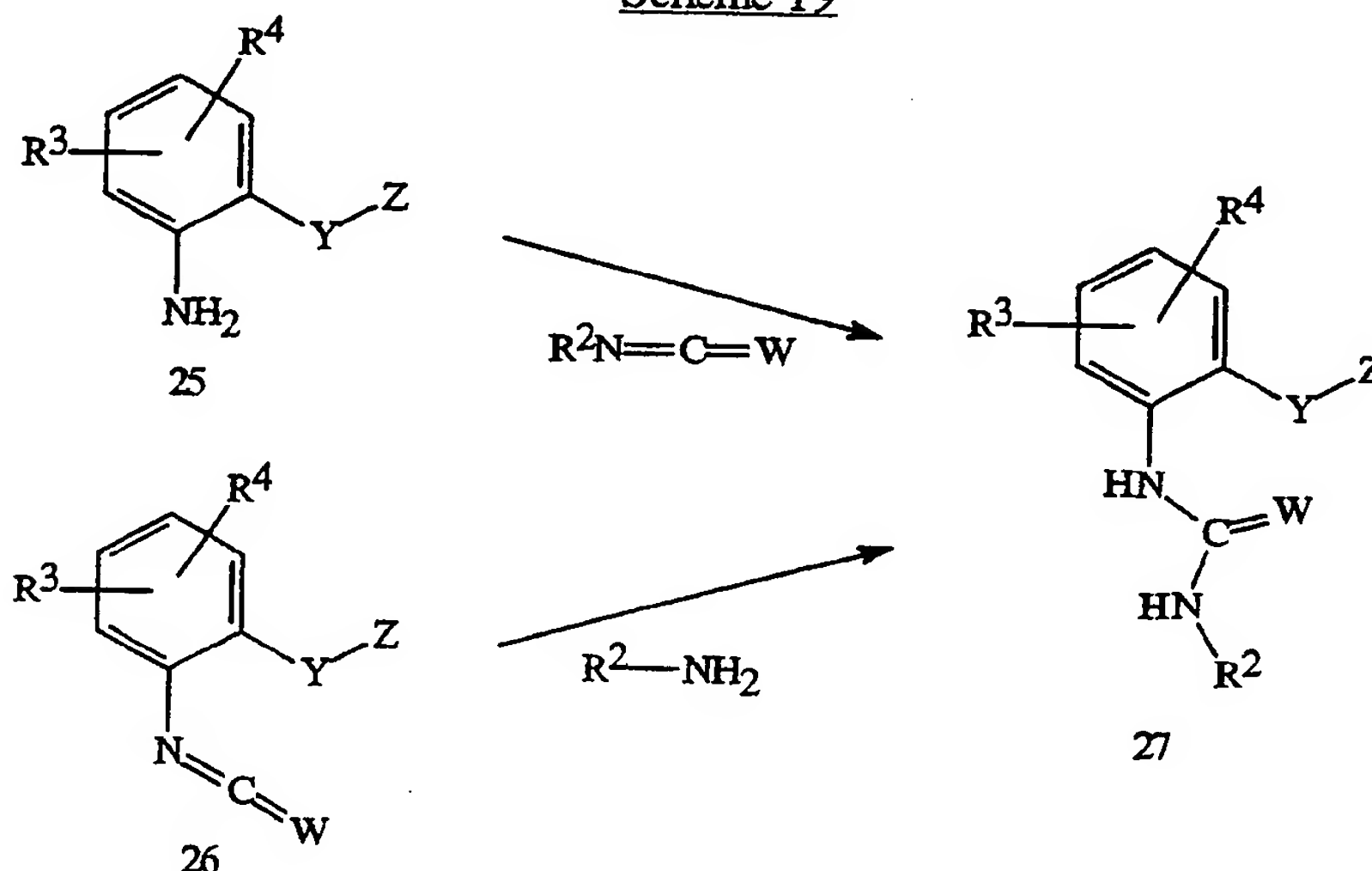
Scheme 18



- The ureas of Formula 27 can be prepared by either of the methods illustrated in Scheme 19. The anilines of Formula 25 can be contacted with an isocyanate or isothiocyanate of Formula $R^2N=C=W$ as described above. Alternatively, an isocyanate or isothiocyanate of Formula 26 can be condensed with an amine of Formula R^2-NH_2 to form the urea. The anilines and iso(thio)cyanates of Formulae 25 and 26, respectively, are commercially available or prepared by well-known methods. For example, isothiocyanates can be prepared by methods described in *J. Heterocycl. Chem.*, (1990),
- 15

27, 407. Isocyanates can be prepared as described in March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 944, 1166.

Scheme 19



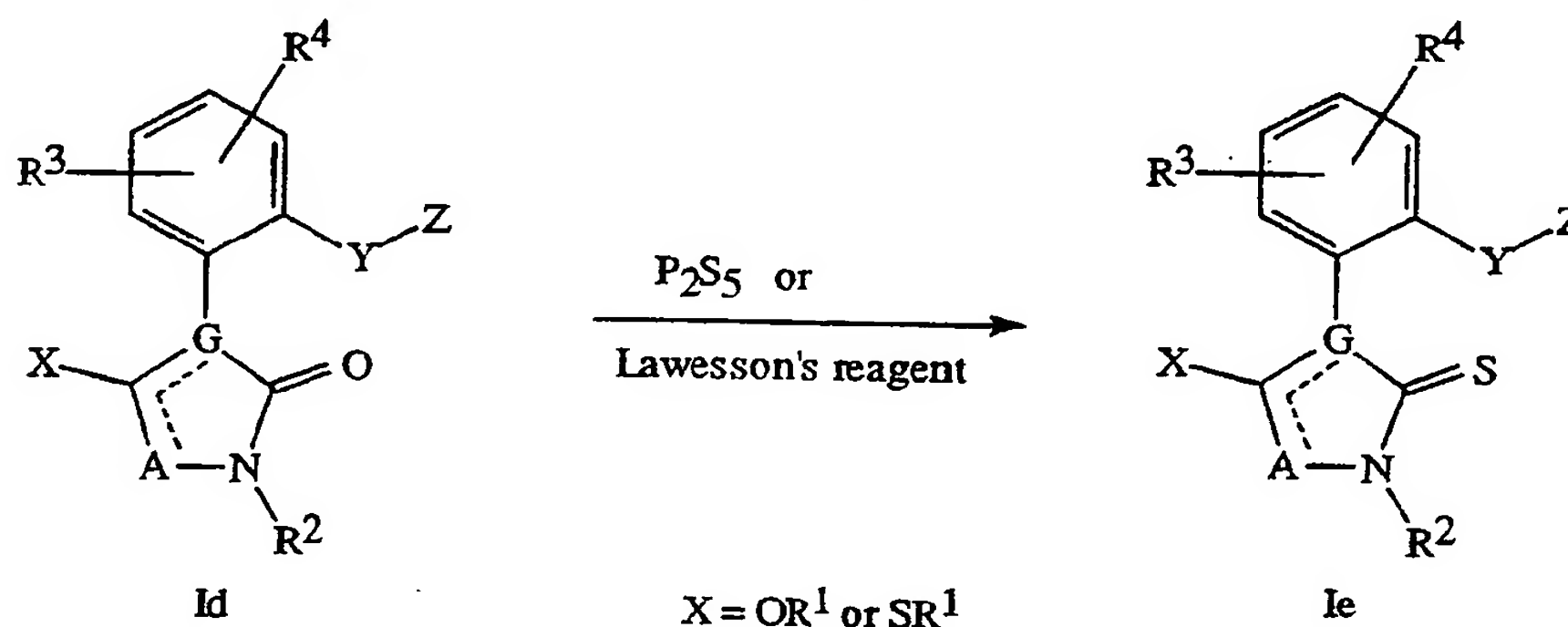
5

4) Thionation Procedures

Compounds of Formula Ie, compounds of Formula I wherein W = S, can be prepared by treating compounds of Formula Id (I wherein W = O) with thionating reagents such as P₂S₅ or Lawesson's reagent [2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide] as illustrated in Scheme 20 (see *Bull. Soc. Chim. Belg.*, (1978), 87, 229; and *Tetrahedron Lett.*, (1983), 24, 3815).

10

Scheme 20

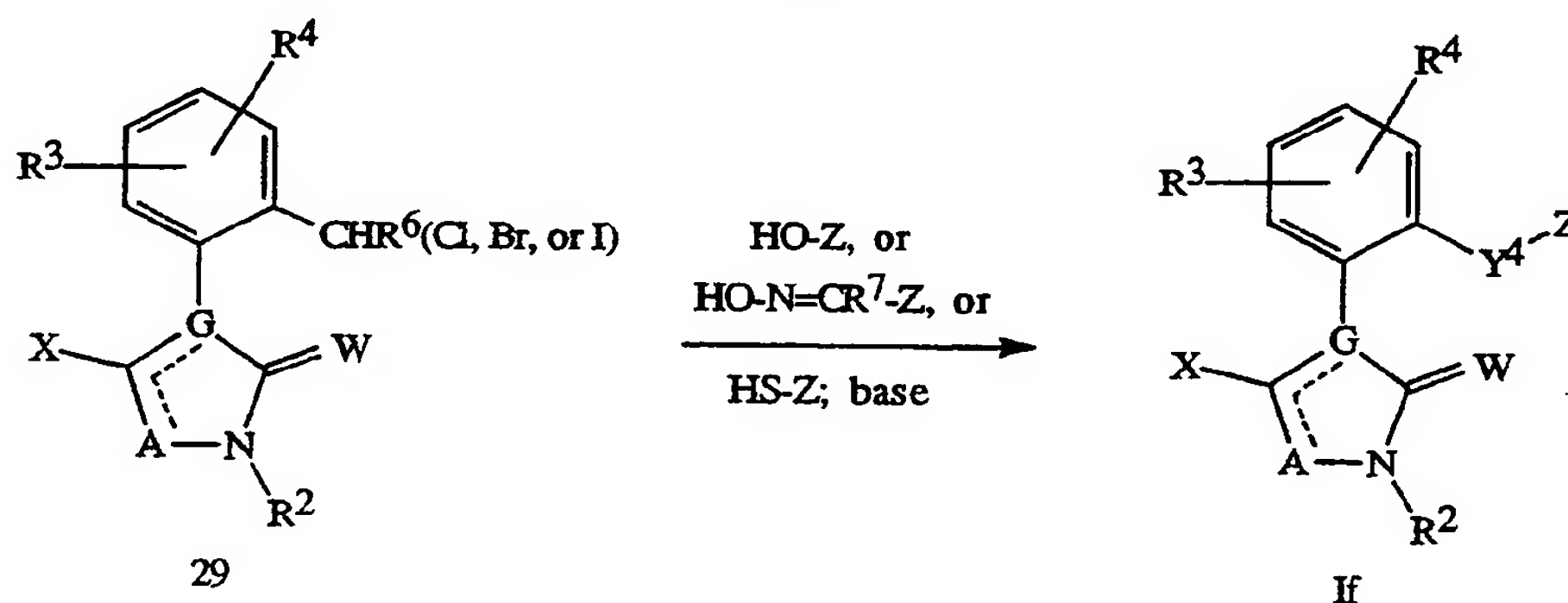


15 5) Aryl Moiety Synthesis Procedures

Compounds of Formula If (compounds of Formula I wherein Y is CHR⁶O, CHR⁶S, or CHR⁶O-N=CR⁷) can be prepared by contacting benzyl halides of Formula 29

with various nucleophiles (Scheme 21). The appropriate alcohol or thiol is treated with a base, for example sodium hydride, to form the corresponding alkoxide or thioalkoxide which acts as the nucleophile.

Scheme 21



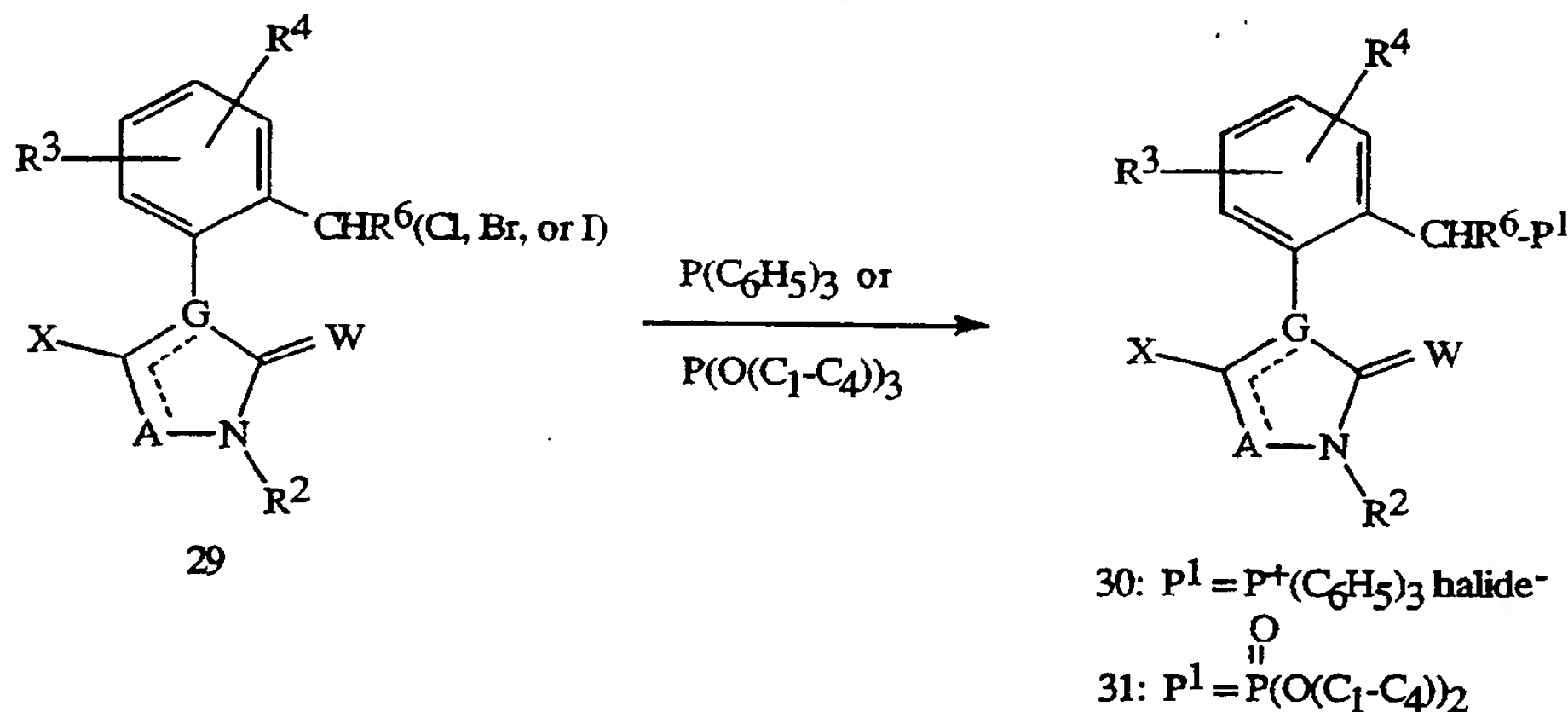
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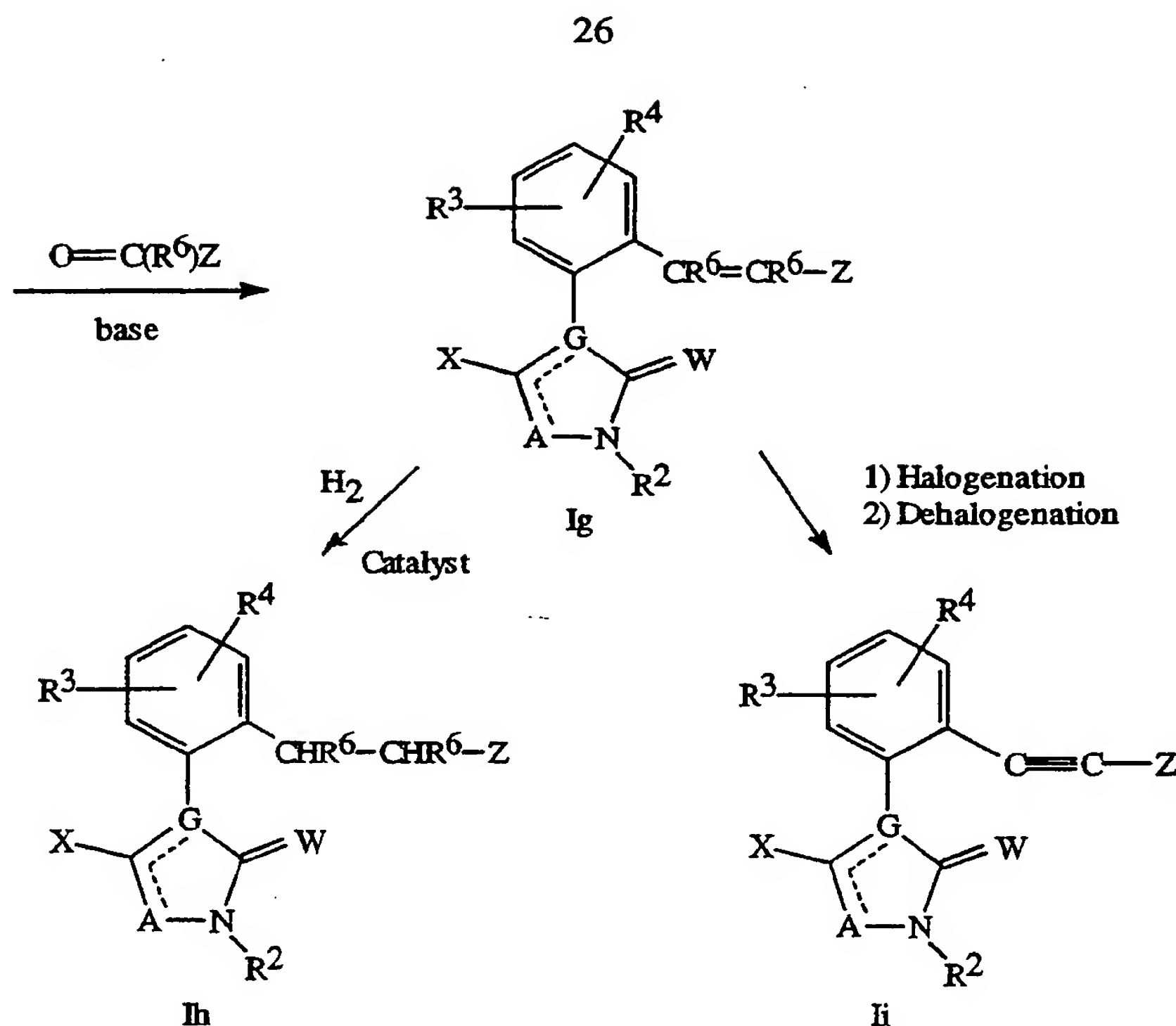


Benzyl halides of Formula 29 can be prepared by radical halogenation of the corresponding alkyl compound (i.e., H instead of halogen in Formula 29), or by acidic cleavage of the corresponding methylether (i.e., OMe instead of halogen in Formula 29).

- 10 Compounds of Formula I wherein Y is $\text{CR}^6=\text{CR}^6$ and $\text{CHR}^6\text{-CHR}^6$ (Formula Ig and Ih, respectively) can be prepared as illustrated in Scheme 22. Treatment of the benzyl halides of Formula 29 with triphenylphosphine or a trialkylphosphite produces the corresponding phosphonium salt (Formula 30) or phosphonate (Formula 31), respectively. Condensation of the phosphorus compound with a base and a carbonyl
- 15 compound of Formula $\text{Z(R}^6\text{)C=O}$ affords the olefin of Formula Ig.

Scheme 22





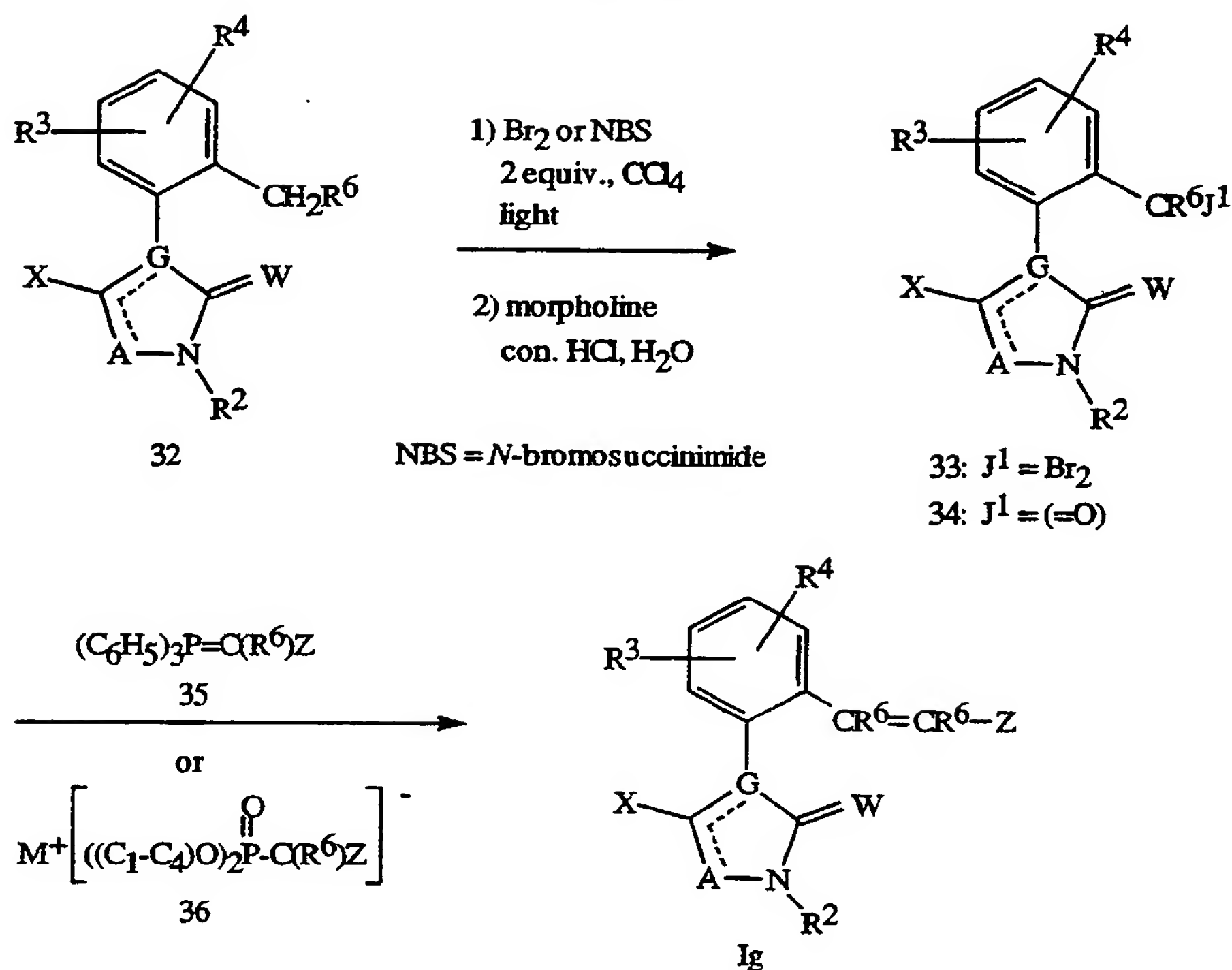
The olefins of Formula Ig can be converted to the saturated compounds of Formula Ih by hydrogenation over a metal catalyst such palladium on carbon as is well-known in the art (Rylander, *Catalytic Hydrogenation in Organic Synthesis*; Academic: New York, 1979).

Formula Ii alkynes can be prepared by halogenation/dehalogenation of Formula Ig olefins using procedures well-known in the art (March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 924). Additionally, Formula Ii alkynes can be prepared by well-known reaction of aromatic halides with alkyne derivatives in the presence of catalysts such as nickel or palladium (see *J. Organomet. Chem.*, (1975), 93 253-257).

The olefin of Formula Ig can also be prepared by reversing the reactivity of the reactants in the Wittig or Horner-Emmons condensation. For example, 2-alkylphenyl derivatives of Formula 31 can be converted into the corresponding dibromo-compound of Formula 33 as illustrated in Scheme 23 (see *Synthesis*, (1988), 330). The dibromo-compound can be hydrolyzed to the carbonyl compound of Formula 34, which in turn can be condensed with a phosphorus-containing nucleophile of Formula 35 or 36 to afford the olefin of Formula Ig.

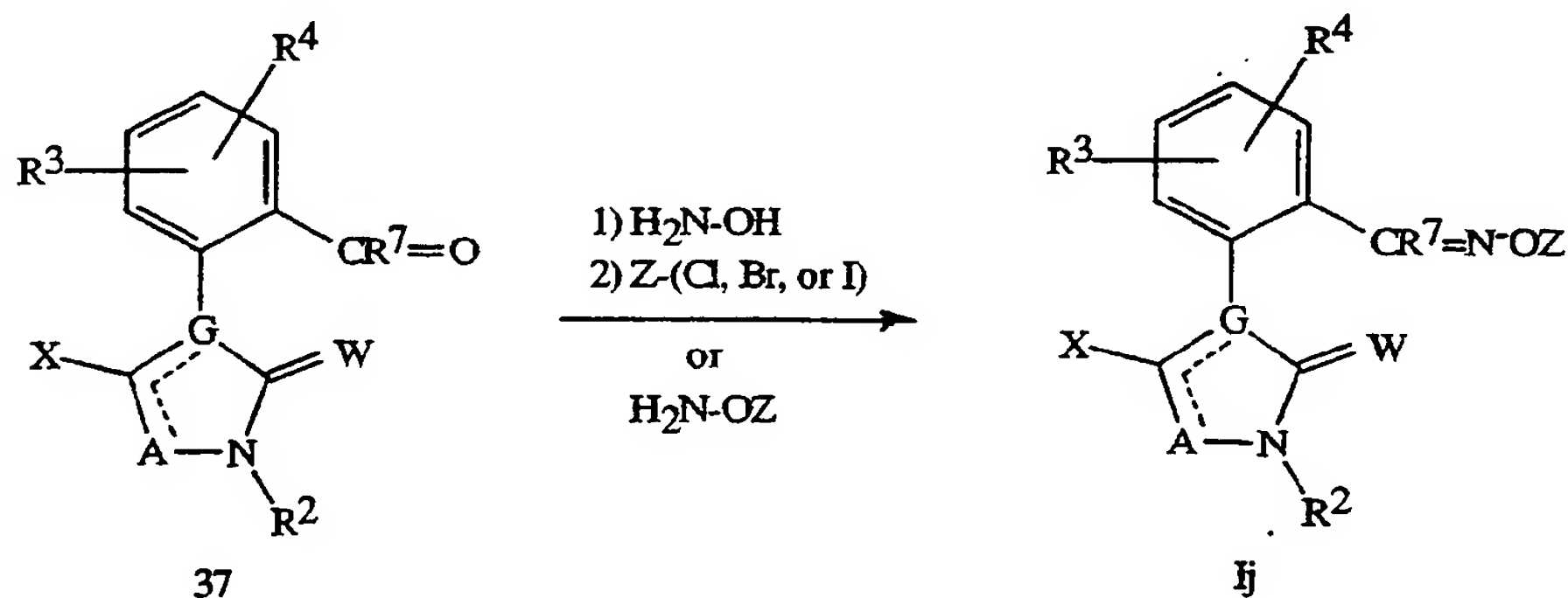
27

Scheme 23



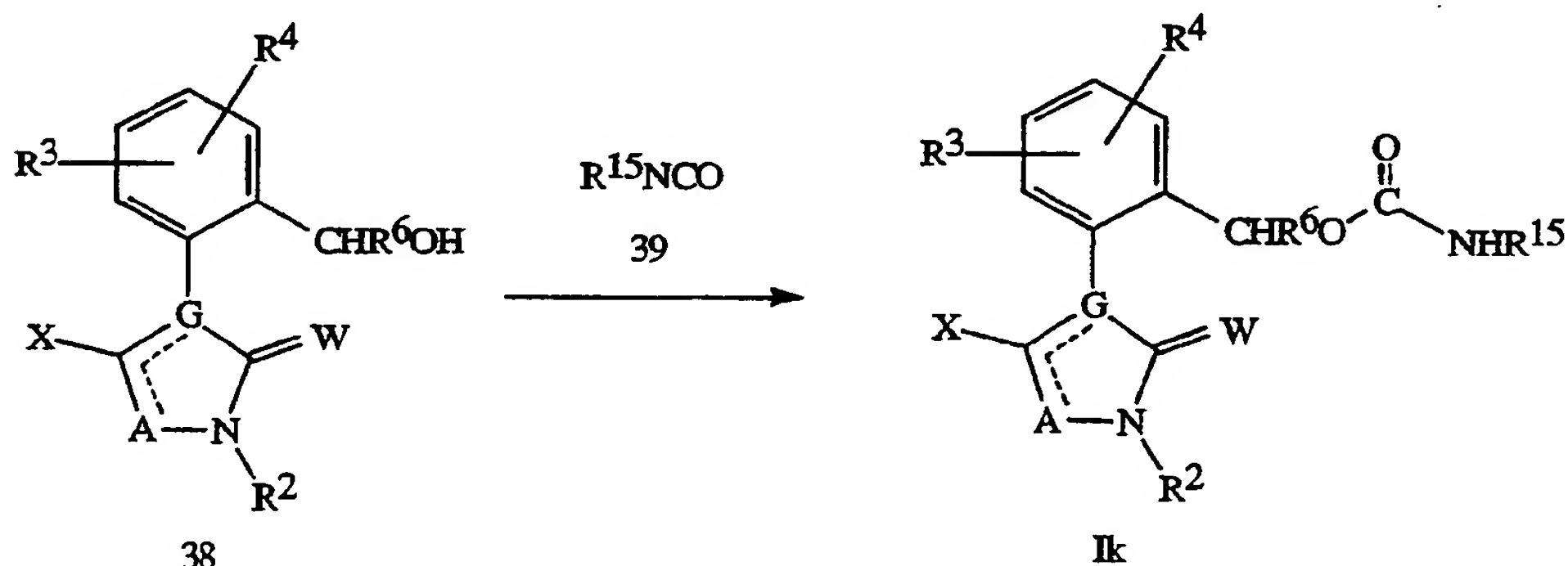
Oximes of Formula Ij (Formula I wherein Y is C(R⁷)=N-O) can be prepared from carbonyl compounds of Formula 37 by condensation with hydroxylamine, followed by *O*-alkylation with electrophiles of Formula Z-(Cl, Br, or I) (Scheme 24). Alternatively, the *O*-substituted hydroxylamine can be condensed with the carbonyl compound of Formula 37 to yield oximes of Formula Ij directly.

Scheme 24



Carbamates of Formula Ik can be prepared by reacting benzyl alcohols of Formula 38 with isocyanates of Formula 39 (Scheme 25). A base such as triethylamine can be added to catalyze the reaction.

Scheme 25



The following Examples are representative of the production of the novel cyclic amides of Formula I. ¹H NMR Spectra are reported in ppm downfield from tetramethylsilane; s = singlet, d = doublet, t = triplet, dt = doublet of triplets, td = triplet of doublets, m = multiplet.

EXAMPLE 1

Step A: Preparation of Methyl 2-(3-methoxyphenoxy)phenylacetate

(2-Chlorophenyl)acetic acid (60 g), 3-methoxyphenol (87 g), potassium carbonate (97.2 g) and copper (I) chloride (0.6 g) were combined and mechanically stirred to give a thick brown suspension. The suspension was heated for 4.5 h, then cooled to 70°C and 10 mL of *N,N*-dimethylformamide was added. The mixture was poured into ice water and acidified with concentrated aqueous HCl. The mixture was extracted with diethyl ether and the combined extracts were washed with water (4 times) dried (MgSO₄), filtered and concentrated under reduced pressure to provide 122 g of an oil. The crude material was dissolved in 73 mL of methanol and then 2.1 mL of concentrated sulfuric acid was added. The mixture was heated at reflux for 4 h. The mixture was poured into ice water and extracted with diethyl ether. The combined organic phases were washed with 10% aqueous NaOH solution (2 times), then water (4 times), then brine. The organic phase was dried (MgSO₄), filtered and concentrated under reduced pressure to yield 46.4 g (48%) of the title material of Step A as a reddish oil. ¹H NMR (CDCl₃): δ 6.45-7.4 (m, 8H), 3.76 (s, 3H), 3.69 (s, 2H), 3.62 (s, 3H).

Step B: Preparation of Dimethyl [2-(3-methoxyphenoxy)phenyl]propanedioate

Methyl 2-(3-methoxyphenoxy)phenylacetate (6.81 g) was dissolved in 11 mL of dimethyl carbonate and 600 mg of sodium was added. The mixture was heated at reflux

for 10 h, then cooled. The reaction mixture was quenched with water, acidified with concentrated aqueous HCl and extracted with dichloromethane. The combined organic extracts were dried (MgSO₄), filtered and concentrated under reduced pressure to give an oil. The desired material was separated from unreacted starting material by flash chromatography (4:1 hexane: ethyl acetate as eluant) to yield after concentration, 3.54 g (43%) of the title compound of Step B. ¹H NMR (CDCl₃): δ 7.46 (dd, J=1.5, 7.5 Hz, 1H), 7.29 (t, J=8 Hz, 1H), 7.2 (m, 2H), 6.92 (d, J=8 Hz, 1H), 6.65 (td, J=1.5, 7.5 Hz, 1H), 6.5 (m, 2H), 5.14 (s, 1H), 3.77 (s, 3H), 3.73 (s, 6H).

10 Step C: Preparation of 5-Hydroxy-4-[2-(3-methoxyphenoxy)phenyl]-2-methyl-3(2H)-isoxazolone

N-Methylhydroxylamine hydrochloride (2.79 g) was dissolved in 20 mL of methanol at reflux. The solution was cooled and treated with a solution of 3.76 g potassium hydroxide in 15 mL of methanol. The precipitated potassium chloride was removed by filtration and a solution of 3.54 g of dimethyl [2-(3-methoxyphenoxy)-phenyl]propanedioate in 25 mL of methanol was added dropwise. The mixture was stirred at room temperature overnight. The reaction mixture was concentrated under vacuum to a volume of about 30 mL and acidified with concentrated aqueous HCl, with cooling. The solvents were removed under reduced pressure and the residue was partitioned between water and dichloromethane. The combined organic phases were dried (MgSO₄), filtered and concentrated under reduced pressure to yield 2.95 g (88%) of the title compound of Step C. ¹H NMR (CDCl₃): δ 7.2-7.4 (m, 3H), 7.12 (dt, J=1, 7.5 Hz, 1H), 6.81 (d, J=8.5 Hz, 1H), 6.72 (d, J=8 Hz, 1H), 6.6 (m, 2H), 4.43 (s, 1H), 3.77 (s, 3H), 3.28 (s, 3H).

25 Step D: Preparation of 5-Methoxy-4-[2-(3-methoxyphenoxy)phenyl]-2-methyl-3(2H)-isoxazolone

5-Hydroxy-4-[2-(3-methoxyphenoxy)phenyl]-2-methyl-3(2H)-isoxazolone (2.5 g) was dissolved in 3 mL of methanol and 15 mL of toluene and cooled in an icebath. Trimethylsilyldiazomethane (5 mL of a 2.0 M solution in hexane) was added dropwise. Gas evolution was observed. The resulting yellow solution was stirred at room temperature overnight. The solvents were removed under reduced pressure and the residue was purified by flash chromatography (1:1 hexane:ethyl acetate as eluant). The second eluting component was collected to yield 950 mg (36%) of the title compound of Step D. ¹H NMR (CDCl₃): δ 7.51 (dd, J=1.7, 7.5 Hz, 1H), 7.27 (dt, J=1.7, 7.5 Hz, 1H), 7.17 (m, 2H), 6.97 (dd, J=1, 8 Hz, 1H), 6.5 (m, 3H), 3.92 (s, 3H), 3.74 (s, 3H), 3.33 (s, 3H).

35 EXAMPLE 2

Step A: Preparation of 1-(Bromomethyl)-2-iodobenzene

To a solution of 2-iodobenzyl alcohol (50 g) in diethyl ether (500 mL), cooled in an ice-water bath, was added dropwise phosphorus tribromide (28 mL). The reaction

mixture was chilled in a refrigerator for 3.5 h, then quenched by slow addition of methanol (50 mL). The mixture was washed with water, then saturated sodium bicarbonate, then water (100 mL each). The organic phase was dried (MgSO₄), filtered and concentrated under reduced pressure to a white solid, which was triturated in hexane and collected by filtration to yield 58 g (91%) of the title material of Step A as a solid, mp 55-57°C.

Step B: Preparation of 1-Iodo-2-[(2-methylphenoxy)methyl]benzene

Sodium hydride (60% oil dispersion) (7.8 g) was added portionwise to a ice-water cooled solution of *o*-cresol (21.1 g) in tetrahydrofuran (500 mL). The mixture was stirred 20 minutes and then 1-(bromomethyl)-2-iodobenzene (58 g) was added. The mixture was warmed to 60°C for 16 h. Additional sodium hydride (2 g) was added and the reaction mixture heated for an additional 3 h. The reaction mixture was cooled and carefully quenched with water and extracted with ethyl acetate (2 X 250 mL). The combined organic extracts were dried (Mg SO₄), filtered and concentrated under reduced pressure to an oil, which was triturated with cold hexane to provide a solid which was collected by filtration to yield 59.1 g (94%) of the title compound of Step B as a white solid, mp 106-108°C.

Step C: Preparation of Dimethyl [2-[(2-methylphenoxy)methyl]phenyl]propanedioate

To a suspension of sodium hydride (60% oil dispersion) (15.4 g) in 90 mL of 1,3-dimethyl-3,4,5,6-tetrahydro-2 [1H]-pyrimidinone (DMPU), cooled in an ice-water bath, was added dropwise a solution of dimethyl malonate (44 mL) in DMPU (150 mL). The mixture was stirred 20 minutes after the addition was completed, and then 1-iodo-2-[(2-methylphenoxy)methyl]benzene (62.5 g) and cuprous iodide (73.3 g) were added. The resulting mixture was stirred at 100°C for 5 h, then stirred at 25°C overnight. The mixture was diluted with 1 N. HCl (~150 mL) and extracted with diethyl ether (3 X 400 mL). The combined organic extracts were dried (Mg SO₄), filtered and concentrated under reduced pressure to a semi-solid, which was purified by flash chromatography on silica gel (5:2 hexane: ethyl acetate as eluant). The major material was collected and concentrated to a white solid, which was triturated in hexane and collected by filtration to yield 56.9 g (79%) of the title compound of Step C as a white solid, mp 99-103°C.

Step D: Preparation of 5-Hydroxy-4-[2-[(2-methylphenoxy)methyl]phenyl]-3(2H)-isoxazolone

To a solution of *N*-methylhydroxylamine hydrochloride (34.7 g) in methanol (120 mL), cooled in an ice-water bath, was added dropwise a solution of potassium hydroxide (46.6 g) in methanol (80 mL). After the addition was complete, the mixture was stirred 10 minutes. The potassium chloride precipitate was removed by filtration and a solution of dimethyl [2-[(2-methylphenoxy)methyl]phenyl]propanedioate (44 g) in 100 mL of methanol was added to the *N*-methyl-hydroxylamine solution. The mixture

was stirred for 3 days and then cooled in an ice-water bath. Concentrated HCl (15 mL) was added and the solid was removed by filtration. The solvent was removed under vacuum and the residue diluted with ~100 mL of water and then extracted with dichloromethane (3 X 150 mL), then ethyl acetate (3 X 100 mL). The combined organic
5 extracts were dried (Mg SO₄), filtered and concentrated under reduced pressure to yield 31.3 g (75%) of the title compound of Step D as a semi-solid. ¹H NMR (DMSO-d₆): δ 7.4 (m,2H), 7.15 (m,2H), 7.10 (m,2H), 6.8 (m,2H), 5.16 (s,2H), 2.9 (s,3H), 2.23 (s,3H).

Step E: Preparation of 5-Methoxy-2-methyl-4-[2-[(2-methylphenoxy)methyl]phenyl]-3(2H)-isoxazolone

10 5-Hydroxy-4-[2-[(2-methylphenoxy)methyl]phenyl]-3(2H)-isoxazolone (31.3 g) was dissolved in 330 mL of 10:1 toluene:methanol and cooled in an ice-water bath. Trimethylsilyl-diazomethane (~2M in hexane) (55 mL) was added dropwise. Gas evolution was observed. The yellow solution was stirred at 25°C for 2 h. The solution was diluted with 100 mL of water and extracted with ethyl acetate (4 X 100 mL). The
15 combined organic extracts were dried (Mg SO₄), filtered and concentrated under reduced pressure to yield an oil, which was purified by flash chromatography (silica gel; 1:1 hexane:ethyl acetate as eluant). The second eluting component was collected to yield 4.35 g (13%) of the title compound of Step E as a white solid, mp 90-92°C. ¹H NMR (CDCl₃) δ 7.61(d,1H), 7.35(m,3H), 7.12(m,2H), 6.84(m,2H), 5.12(s,2H), 3.96(s,3H),
20 3.41(s,3H), 2.24(s, 3H).

EXAMPLE 3

Step A Preparation of 1-Methyl-N-(2-phenoxyphenyl)hydrazinecarboxamide

2-Phenoxyaniline (5.57 g) and triethylamine (4.2 mL) were dissolved in 100 mL of 1,2-dichloroethane. Triphosgene (Cl₃COC(=O)OCCl₃, 2.97 g) was added and a
25 precipitate formed. The mixture was heated to reflux and the solid redissolved. After 5.5 h, the solution was cooled and 1.6 mL of methyl hydrazine was added and a new precipitate formed. The mixture was stirred at room temperature overnight. The solvent was removed and the residue was partitioned between ethyl acetate and 1N aqueous HCl solution. The organic phases were dried (MgSO₄), filtered, and concentrated under
30 reduced pressure. The residue was purified by flash chromatography (1:1 hexane: ethyl acetate as eluant). The second-least polar component was collected, the eluant was removed under reduced pressure, and the residue was triturated with hexane to afford 3.86 g (50%) of the title compound of Step A, m.p. 117-119°C.

Step B Preparation of 2-Methyl-4-(2-phenoxyphenyl)-5-thioxo-1,2,4-triazolidin-3-one

35 A solution of 1.54 g of 1-methyl-N-(2-phenoxyphenyl)hydrazinecarboxamide in 50 mL of tetrahydrofuran, cooled in an ice bath, was treated with 0.46 mL of thiophosgene, and then 1.68 mL of triethylamine. A precipitate formed and the mixture was stirred at ambient temperature overnight. The precipitate was removed by filtration

and washed with tetrahydrofuran. The combined filtrate and washings were concentrated under reduced pressure to afford 1.8 g of an amber glassy oil. The crude material was used in the next step without further purification. ^1H NMR (CDCl_3): δ 6.8-7.4 (m, 9H), 3.57 (s, 3H).

5 Step C Preparation of 2,4-Dihydro-2-methyl-5-(methylthio)-4-(2-phenoxyphenyl)-3H-1,2,4-triazol-3-one

 A solution of 900 mg of crude 2-methyl-4-(2-phenoxyphenyl)-5-thioxo-1,2,4-triazolidin-3-one in 50 mL of tetrahydrofuran was treated with 150 mg of sodium hydride (60% oil dispersion). After 5 minutes, 0.5 mL of iodomethane was added, and
10 the mixture was stirred at ambient temperature overnight. The solid was removed by filtration and the filtrate concentrated to an oil. The oil was partitioned between ether and 1N hydrochloric acid solution. The organic phases were dried (MgSO_4), filtered and concentrated under reduced pressure. The residue was triturated in hexane/*n*-butyl chloride to afford 530 mg (56%) of the title compound of Step C, m.p. 129-130°C.

15 EXAMPLE 4

Step A: Preparation of 2,2-Dimethyl-N-(2-methylphenyl) hydrazine carboxamide

o-Tolyl isocyanate (10.0 g) was dissolved in 75 mL toluene under N_2 . The solution was cooled to 5°C and to this was slowly added a solution in toluene of 1,1-dimethylhydrazine (5.7 mL). After addition, the ice-bath was removed and the
20 resulting slurry allowed to stir an additional 10 minutes. The solid was filtered off rinsing successively with hexane, a small amount of 20% diethylether/hexane, then hexanes again. This afforded 11.1 g (77%) of the title compound of Step A. ^1H NMR (CDCl_3) δ 8.1 (bs, 1H), 7.94 (d, 1H), 7.21-7.15 (m, 3H), 6.99 (t, 1H), 5.23 (bs, 1H), 2.63 (s, 6H), 2.27 (s, 3H).

25 Step B: Preparation of 5-Chloro 2,4-dihydro-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one

 To a solution of 11.1 g 2,2-dimethyl-N-(2-methylphenyl) hydrazine carboxamide dissolved in 600 mL methylene chloride under N_2 was added 17.1 g triphosgene. The solution was heated at reflux overnight, cooled, then concentrated under reduced
30 pressure. The resulting residue was dissolved in ethyl acetate and washed with water, then saturated aqueous NaCl. The organic phase was dried (MgSO_4), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (30-50% ethyl acetate/hexanes as eluent) to afford 8.25 g (64%) of the title compound of Step B. ^1H NMR (CDCl_3) δ 7.42-7.30 (m, 3H), 7.17 (d, 1H), 3.54 (s, 3H), 2.22 (s, 3H).

35 Step C: Preparation of 2,4-Dihydro-5-methoxy-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one

 8.25 g 5-chloro-2,4-dihydro-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one was dissolved in 80 mL 1:1 dimethoxyethane/methanol under N_2 . 14.0 mL sodium

methoxide (30% solution in methanol) was added and the solution was heated at reflux for 3 h. The mixture was allowed to cool, diluted with ethyl acetate, washed with water, then saturated aqueous NaCl. The combined organic extracts were dried (MgSO₄), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (50-70% ethyl acetate/hexanes as eluent) and triturated with 50% diethylether/hexanes to afford 6.7 g of the title compound of Step C (95% pure). ¹H NMR (CDCl₃) δ 7.35-7.27 (m,3H), 7.18 (d,1H), 3.94 (s,3H), 3.46 (s,3H), 2.22 (s,3H).

10 Step D: Preparation of 4-[2-(Bromomethyl)phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution/suspension of 6.7 g 2,4-dihydro-5-methoxy-2-methyl-4-(2-methylphenyl)-3H-1,2,4-triazol-3-one dissolved in 95 mL carbon tetrachloride under N₂ was added *N*-bromosuccinimide (6.53 g) followed by a catalytic amount of benzoyl peroxide. The solution was heated at reflux for 2 h. Another 1.63 g *N*-bromosuccinimide and a catalytic amount of benzoyl peroxide were added and the solution was heated at reflux for an hour. After cooling, methylene chloride was added and the organic layer was washed successively with water, then 0.1 N sodium thiosulfate solution, then saturated aqueous NaCl. The combined organic extracts were dried (MgSO₄), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (3-10% diethylether/methylene chloride as eluent) to afford 3.12 g of the title compound of Step D. ¹H NMR (CDCl₃) δ 7.5 (m,1H), 7.44 (m,2H), 7.22 (m,1H), 4.60 (d,1H), 4.36 (d,1H), 3.96 (s,3H), 3.47 (s,3H).

20 Step E: Preparation of 2,4-Dihydro-5-methoxy-2-methyl-4-[2-[[[(phenylmethylene)-amine]oxy]methyl]phenyl]-3H-1,2,4-triazol-3-one

25 0.40 g 4-[2-(bromomethyl)phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one was dissolved in approximately 5 mL *N,N*-dimethylformamide under N₂ and to this was added 0.20 g acetophenone oxime, followed by 0.07 g of 60% sodium hydride. The solution was allowed to stir 4 h at room temperature then was diluted with ethyl acetate, washed with water, then saturated aqueous NaCl. The organic phase was dried (MgSO₄), filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (60% ethyl acetate/hexanes as eluent) to afford 0.38 g of the title compound of Step E. ¹H NMR (CDCl₃) δ 7.6 (m,3H), 7.44 (m,2H), 7.35 (m,3H), 7.25 (m,1H), 5.26 (d,1H), 5.22 (d,1H), 3.88 (s,3H), 3.40 (s,3H), 2.20 (s,3H).

35 By the general procedures described herein, or through obvious modifications thereof, the compound of the Tables 1-26 can be prepared.

The following abbreviations are used in the Tables which follow. All alkyl groups are the normal isomers unless indicated otherwise.

n = normal

i = iso

Me = methyl

Et = ethyl

MeO = methoxy

Pr = propyl

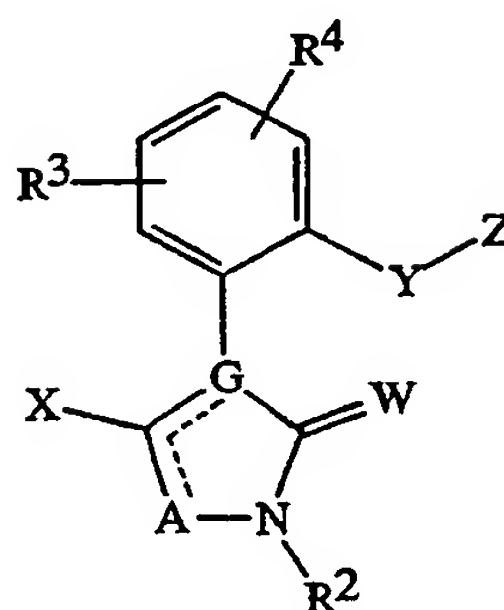
CN = cyano

c = cyclo

MeS = methylthio

Bu = butyl

Ph = phenyl

NO₂ = nitro

I

Table 1

Compounds of Formula I wherein: G = C, W = O, R³ = R⁴ = H, Y = CH₂ON=C(CH₃), Z = 3-CF₃-Ph, the floating double bond is attached to G, and

R² = Me

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

R² = Et

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

$R^2 = n\text{-Pr}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

 $R^2 = H$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

 $R^2 = Me$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | NH | MeS | NH | MeO | NMe | MeS | NMe |
| EtO | NH | EtS | NH | EtO | NMe | EtS | NMe |
| n-PrO | NH | n-PrS | NH | n-PrO | NMe | n-PrS | NMe |
| H ₂ C=CHCH ₂ O | NH | H ₂ C=CHCH ₂ S | NH | H ₂ C=CHCH ₂ O | NMe | H ₂ C=CHCH ₂ S | NMe |
| HC≡CCH ₂ O | NH | HC≡CCH ₂ S | NH | HC≡CCH ₂ O | NMe | HC≡CCH ₂ S | NMe |
| CF ₃ O | NH | CF ₃ S | NH | CF ₃ O | NMe | CF ₃ S | NMe |
| (c-propyl)O | NH | (c-propyl)S | NH | (c-propyl)O | NMe | (c-propyl)S | NMe |

 $R^2 = H$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | NH | MeS | NH | MeO | NMe | MeS | NMe |
| EtO | NH | EtS | NH | EtO | NMe | EtS | NMe |
| n-PrO | NH | n-PrS | NH | n-PrO | NMe | n-PrS | NMe |
| H ₂ C=CHCH ₂ O | NH | H ₂ C=CHCH ₂ S | NH | H ₂ C=CHCH ₂ O | NMe | H ₂ C=CHCH ₂ S | NMe |
| HC≡CCH ₂ O | NH | HC≡CCH ₂ S | NH | HC≡CCH ₂ O | NMe | HC≡CCH ₂ S | NMe |

| | | | | | | | |
|-------------------|----|-------------------|----|-------------------|-----|-------------------|-----|
| CF ₃ O | NH | CF ₃ S | NH | CF ₃ O | NMe | CF ₃ S | NMe |
| (c-propyl)O | NH | (c-propyl)S | NH | (c-propyl)O | NMe | (c-propyl)S | NMe |

Table 2

Compounds of Formula I wherein: G = N, W = O, R³ = R⁴ = H, Y = CH₂ON=C(CH₃), Z = 3-CF₃-Ph, the floating double bond is attached to A, and

R² = Me

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

R² = Et

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

R² = n-Pr

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

$R^2 = H$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

 $R^2 = Me$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | CMe | MeS | CMe | MeO | CEt | MeO | CEt |
| EtO | CMe | EtS | CMe | EtO | CEt | EtO | CEt |
| n-PrO | CMe | n-PrS | CMe | n-PrO | CEt | n-PrO | CEt |
| H ₂ C=CHCH ₂ O | CMe | H ₂ C=CHCH ₂ S | CMe | H ₂ C=CHCH ₂ O | CEt | H ₂ C=CHCH ₂ O | CEt |
| HC≡CCH ₂ O | CMe | HC≡CCH ₂ S | CMe | HC≡CCH ₂ O | CEt | HC≡CCH ₂ O | CEt |
| CF ₃ O | CMe | CF ₃ S | CMe | CF ₃ O | CEt | CF ₃ O | CEt |
| (c-propyl)O | CMe | (c-propyl)S | CMe | (c-propyl)O | CEt | (c-propyl)O | CEt |

 $R^2 = H$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | CEt | MeS | CEt | MeO | CMe | MeO | CMe |
| EtO | CEt | EtS | CEt | EtO | CMe | EtO | CMe |
| n-PrO | CEt | n-PrS | CEt | n-PrO | CMe | n-PrO | CMe |
| H ₂ C=CHCH ₂ O | CEt | H ₂ C=CHCH ₂ S | CEt | H ₂ C=CHCH ₂ O | CMe | H ₂ C=CHCH ₂ O | CMe |
| HC≡CCH ₂ O | CEt | HC≡CCH ₂ S | CEt | HC≡CCH ₂ O | CMe | HC≡CCH ₂ O | CMe |
| CF ₃ O | CEt | CF ₃ S | CEt | CF ₃ O | CMe | CF ₃ O | CMe |
| (c-propyl)O | CEt | (c-propyl)S | CEt | (c-propyl)O | CMe | (c-propyl)O | CMe |

Table 3

Compounds of Formula I wherein: G = C, W = O, $R^3 = R^4 = H$, Y = CH₂O, Z = 2-Me-Ph,
the floating double bond is attached to G, and

 $R^2 = Me$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|----------|----------|----------|----------|----------|----------|----------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |

| | | | | | | | |
|--|---|--|---|--|---|--|---|
| $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$ | O | $\text{H}_2\text{C}=\text{CHCH}_2\text{S}$ | O | $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$ | S | $\text{H}_2\text{C}=\text{CHCH}_2\text{S}$ | S |
| $\text{HC}\equiv\text{CCH}_2\text{O}$ | O | $\text{HC}\equiv\text{CCH}_2\text{S}$ | O | $\text{HC}\equiv\text{CCH}_2\text{O}$ | S | $\text{HC}\equiv\text{CCH}_2\text{S}$ | S |
| CF_3O | O | CF_3S | O | CF_3O | S | CF_3S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

 $\underline{\text{R}^2 = \text{Et}}$

| $\underline{\text{X}}$ | $\underline{\text{A}}$ | $\underline{\text{X}}$ | $\underline{\text{A}}$ | $\underline{\text{X}}$ | $\underline{\text{A}}$ | $\underline{\text{X}}$ | $\underline{\text{A}}$ |
|--|------------------------|--|------------------------|--|------------------------|--|------------------------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$ | O | $\text{H}_2\text{C}=\text{CHCH}_2\text{S}$ | O | $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$ | S | $\text{H}_2\text{C}=\text{CHCH}_2\text{S}$ | S |
| $\text{HC}\equiv\text{CCH}_2\text{O}$ | O | $\text{HC}\equiv\text{CCH}_2\text{S}$ | O | $\text{HC}\equiv\text{CCH}_2\text{O}$ | S | $\text{HC}\equiv\text{CCH}_2\text{S}$ | S |
| CF_3O | O | CF_3S | O | CF_3O | S | CF_3S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

 $\underline{\text{R}^2 = \text{n-Pr}}$

| $\underline{\text{X}}$ | $\underline{\text{A}}$ | $\underline{\text{X}}$ | $\underline{\text{A}}$ | $\underline{\text{X}}$ | $\underline{\text{A}}$ | $\underline{\text{X}}$ | $\underline{\text{A}}$ |
|--|------------------------|--|------------------------|--|------------------------|--|------------------------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$ | O | $\text{H}_2\text{C}=\text{CHCH}_2\text{S}$ | O | $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$ | S | $\text{H}_2\text{C}=\text{CHCH}_2\text{S}$ | S |
| $\text{HC}\equiv\text{CCH}_2\text{O}$ | O | $\text{HC}\equiv\text{CCH}_2\text{S}$ | O | $\text{HC}\equiv\text{CCH}_2\text{O}$ | S | $\text{HC}\equiv\text{CCH}_2\text{S}$ | S |
| CF_3O | O | CF_3S | O | CF_3O | S | CF_3S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

 $\underline{\text{R}^2 = \text{H}}$

| $\underline{\text{X}}$ | $\underline{\text{A}}$ | $\underline{\text{X}}$ | $\underline{\text{A}}$ | $\underline{\text{X}}$ | $\underline{\text{A}}$ | $\underline{\text{X}}$ | $\underline{\text{A}}$ |
|--|------------------------|--|------------------------|--|------------------------|--|------------------------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$ | O | $\text{H}_2\text{C}=\text{CHCH}_2\text{S}$ | O | $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$ | S | $\text{H}_2\text{C}=\text{CHCH}_2\text{S}$ | S |
| $\text{HC}\equiv\text{CCH}_2\text{O}$ | O | $\text{HC}\equiv\text{CCH}_2\text{S}$ | O | $\text{HC}\equiv\text{CCH}_2\text{O}$ | S | $\text{HC}\equiv\text{CCH}_2\text{S}$ | S |
| CF_3O | O | CF_3S | O | CF_3O | S | CF_3S | S |
| (c-propyl)O | O | (c-propyl)S | O | (c-propyl)O | S | (c-propyl)S | S |

$R^2 = \text{Me}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | NH | MeS | NH | MeO | NMe | MeS | NMe |
| EtO | NH | EtS | NH | EtO | NMe | EtS | NMe |
| n-PrO | NH | n-PrS | NH | n-PrO | NMe | n-PrS | NMe |
| H ₂ C=CHCH ₂ O | NH | H ₂ C=CHCH ₂ S | NH | H ₂ C=CHCH ₂ O | NMe | H ₂ C=CHCH ₂ S | NMe |
| HC≡CCH ₂ O | NH | HC≡CCH ₂ S | NH | HC≡CCH ₂ O | NMe | HC≡CCH ₂ S | NMe |
| CF ₃ O | NH | CF ₃ S | NH | CF ₃ O | NMe | CF ₃ S | NMe |
| (c-propyl)O | NH | (c-propyl)S | NH | (c-propyl)O | NMe | (c-propyl)S | NMe |

 $R^2 = \text{H}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | NH | MeS | NH | MeO | NMe | MeS | NMe |
| EtO | NH | EtS | NH | EtO | NMe | EtS | NMe |
| n-PrO | NH | n-PrS | NH | n-PrO | NMe | n-PrS | NMe |
| H ₂ C=CHCH ₂ O | NH | H ₂ C=CHCH ₂ S | NH | H ₂ C=CHCH ₂ O | NMe | H ₂ C=CHCH ₂ S | NMe |
| HC≡CCH ₂ O | NH | HC≡CCH ₂ S | NH | HC≡CCH ₂ O | NMe | HC≡CCH ₂ S | NMe |
| CF ₃ O | NH | CF ₃ S | NH | CF ₃ O | NMe | CF ₃ S | NMe |
| (c-propyl)O | NH | (c-propyl)S | NH | (c-propyl)O | NMe | (c-propyl)S | NMe |

Table 4

Compounds of Formula I wherein: G = N, W = O, $R^3 = R^4 = \text{H}$, Y = CH₂O, Z = 2-Me-Ph, the floating double bond is attached to A, and

 $R^2 = \text{Me}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

 $R^2 = \text{Et}$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|----------|----------|----------|----------|----------|----------|----------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |

| | | | | | | | |
|--------------------------------------|---|--------------------------------------|---|--------------------------------------|----|--------------------------------------|----|
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

R² = n-Pr

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

R² = H

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | N | MeS | N | MeO | CH | MeO | CH |
| EtO | N | EtS | N | EtO | CH | EtO | CH |
| n-PrO | N | n-PrS | N | n-PrO | CH | n-PrO | CH |
| H ₂ C=CHCH ₂ O | N | H ₂ C=CHCH ₂ S | N | H ₂ C=CHCH ₂ O | CH | H ₂ C=CHCH ₂ O | CH |
| HC≡CCH ₂ O | N | HC≡CCH ₂ S | N | HC≡CCH ₂ O | CH | HC≡CCH ₂ O | CH |
| CF ₃ O | N | CF ₃ S | N | CF ₃ O | CH | CF ₃ O | CH |
| (c-propyl)O | N | (c-propyl)S | N | (c-propyl)O | CH | (c-propyl)O | CH |

R² = Me

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | CMe | MeS | CMe | MeO | CEt | MeO | CEt |
| EtO | CMe | EtS | CMe | EtO | CEt | EtO | CEt |
| n-PrO | CMe | n-PrS | CMe | n-PrO | CEt | n-PrO | CEt |
| H ₂ C=CHCH ₂ O | CMe | H ₂ C=CHCH ₂ S | CMe | H ₂ C=CHCH ₂ O | CEt | H ₂ C=CHCH ₂ O | CEt |
| HC≡CCH ₂ O | CMe | HC≡CCH ₂ S | CMe | HC≡CCH ₂ O | CEt | HC≡CCH ₂ O | CEt |
| CF ₃ O | CMe | CF ₃ S | CMe | CF ₃ O | CEt | CF ₃ O | CEt |
| (c-propyl)O | CMe | (c-propyl)S | CMe | (c-propyl)O | CEt | (c-propyl)O | CEt |

$R^2 = H$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | CEt | MeS | CEt | MeO | CMe | MeO | CMe |
| EtO | CEt | EtS | CEt | EtO | CMe | EtO | CMe |
| n-PrO | CEt | n-PrS | CEt | n-PrO | CMe | n-PrO | CMe |
| H ₂ C=CHCH ₂ O | CEt | H ₂ C=CHCH ₂ S | CEt | H ₂ C=CHCH ₂ O | CMe | H ₂ C=CHCH ₂ O | CMe |
| HC≡CCH ₂ O | CEt | HC≡CCH ₂ S | CEt | HC≡CCH ₂ O | CMe | HC≡CCH ₂ O | CMe |
| CF ₃ O | CEt | CF ₃ S | CEt | CF ₃ O | CMe | CF ₃ O | CMe |
| (c-propyl)O | CEt | (c-propyl)S | CEt | (c-propyl)O | CMe | (c-propyl)O | CMe |

Table 5

Compounds of Formula I wherein: G = C, W = S, $R^3 = R^4 = H$, Y = CH₂ON=C(CH₃), Z = 3-CF₃-Ph, the floating double bond is attached to G, and

 $R^2 = Me$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|--------------------------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| H ₂ C=CHCH ₂ O | O | H ₂ C=CHCH ₂ S | O | H ₂ C=CHCH ₂ O | S | H ₂ C=CHCH ₂ S | S |
| HC≡CCH ₂ O | O | HC≡CCH ₂ S | O | HC≡CCH ₂ O | S | HC≡CCH ₂ S | S |
| CF ₃ O | O | CF ₃ S | O | CF ₃ O | S | CF ₃ S | S |
| MeO | NH | MeO | NMe | MeO | NEt | MeS | NPr |

Table 6

Compounds of Formula I wherein: A = N, G = N, W = S, $R^3 = R^4 = H$, Y = CH₂ON=C(Me), Z = 3-CF₃-Ph, the floating double bond is attached to A, and

 $R^2 = Me$

| <u>X</u> | <u>X</u> | <u>X</u> | <u>X</u> |
|--------------------------------------|-----------------------|--------------------|--------------------------------------|
| MeO | EtO | n-PrO | H ₂ C=CHCH ₂ O |
| HC≡CCH ₂ O | CF ₃ O | OCF ₂ H | OCH ₂ CF ₃ |
| (c-propyl)O | MeS | EtS | n-PrS |
| H ₂ C=CHCH ₂ S | HC≡CCH ₂ S | CF ₃ S | (c-propyl)S |

Table 7

Compounds of Formula I wherein: $G = C$, $W = S$, $R^3 = R^4 = H$, $Y = CH_2O$, $Z = 2\text{-Me-Ph}$, the floating double bond is attached to G , and

$R^2 = Me$

| <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> | <u>X</u> | <u>A</u> |
|-------------------|----------|-------------------|----------|-------------------|----------|-------------------|----------|
| MeO | O | MeS | O | MeO | S | MeS | S |
| EtO | O | EtS | O | EtO | S | EtS | S |
| n-PrO | O | n-PrS | O | n-PrO | S | n-PrS | S |
| $H_2C=CHCH_2O$ | O | $H_2C=CHCH_2S$ | O | $H_2C=CHCH_2O$ | S | $H_2C=CHCH_2S$ | S |
| $HC\equiv CCH_2O$ | O | $HC\equiv CCH_2S$ | O | $HC\equiv CCH_2O$ | S | $HC\equiv CCH_2S$ | S |
| CF_3O | O | CF_3S | O | CF_3O | S | CF_3S | S |
| MeO | NH | MeO | NMe | MeO | NEt | MeS | NPr |

Table 8

Compounds of Formula I wherein: $A = N$, $G = N$, $W = S$, $R^3 = R^4 = H$, $Y = CH_2O$, $Z = 2\text{-Me-Ph}$, the floating double bond is attached to A , and

$R^2 = Me$

| <u>X</u> | <u>X</u> | <u>X</u> | <u>X</u> |
|-------------------|-------------------|----------|----------------|
| MeO | EtO | n-PrO | $H_2C=CHCH_2O$ |
| $HC\equiv CCH_2O$ | CF_3O | OCF_2H | OCH_2CF_3 |
| (c-propyl)O | MeS | EtS | n-PrS |
| $H_2C=CHCH_2S$ | $HC\equiv CCH_2S$ | CF_3S | (c-propyl)S |

Table 9

Compounds of Formula I wherein: $G = C$, $A = W = O$, $X = MeO$, $R^2 = Me$, $Y = CH_2ON=C(Me)$, $Z = 3\text{-CF}_3\text{-Ph}$, the floating double bond is attached to G , and

| <u>R³</u> | <u>R⁴</u> | <u>R³</u> | <u>R⁴</u> | <u>R³</u> | <u>R⁴</u> |
|----------------------|----------------------|----------------------|----------------------|----------------------|----------------------|
| 3-F | H | 5-NO ₂ | H | 3-F | 5-F |
| 5-F | H | 6-Me | H | 3-Cl | 5-Cl |
| 3-Cl | H | 3-Me | H | 4-Me | 5-Cl |
| 4-Cl | H | 4-MeO | H | 3-F | 5-CF ₃ |
| 5-Br | H | 5-CF ₃ O | H | 3-Cl | 5-NO ₂ |
| 4-CF ₃ | H | 5-allyl | H | 6-CF ₃ O | H |
| 5-CN | H | 4-propargyl | H | 5-Pr | H |

Table 10

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, Y = CH₂ON=C(Me), Z = 3-CF₃-Ph, the floating double bond is attached to A, and

| R ³ | R ⁴ | R ³ | R ⁴ | R ³ | R ⁴ |
|-------------------|----------------|---------------------|----------------|---------------------|-------------------|
| 3-F | H | 5-NO ₂ | H | 3-F | 5-F |
| 5-F | H | 6-Me | H | 3-Cl | 5-Cl |
| 3-Cl | H | 3-Me | H | 4-Me | 5-Cl |
| 4-Cl | H | 4-MeO | H | 3-F | 5-CF ₃ |
| 5-Br | H | 5-CF ₃ O | H | 3-Cl | 5-NO ₂ |
| 4-CF ₃ | H | 5-allyl | H | 6-CF ₃ O | H |
| 5-CN | H | 4-propargyl | H | 5-Pr | H |

Table 11

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R² = Me, the floating double bond is attached to G, and

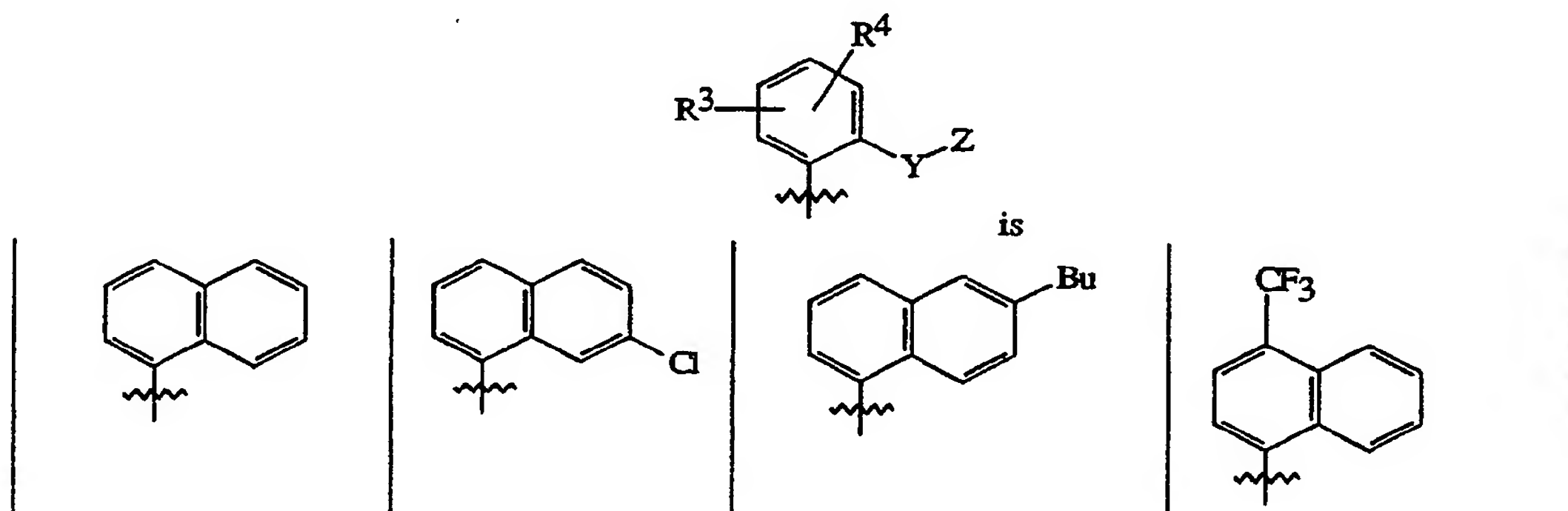


Table 12

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, the floating double bond is attached to A, and

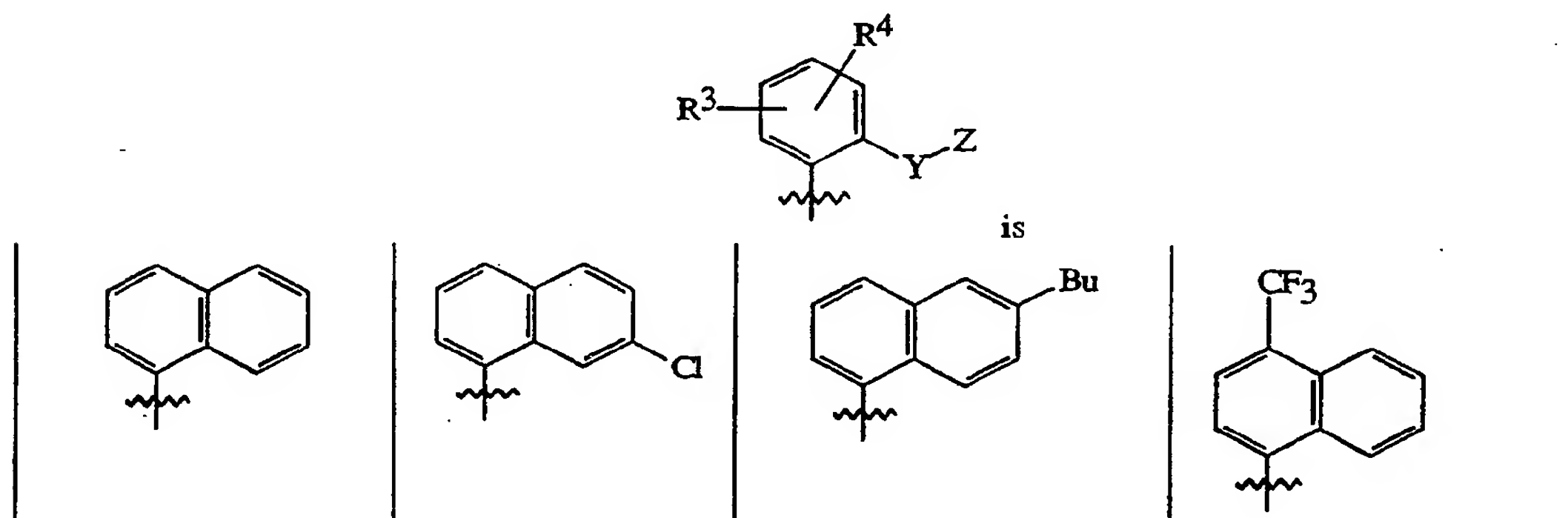


Table 13

Compounds of Formula I wherein: $G = C$, $W = O$, $X = MeO$, $R^2 = Me$, $R^3 = R^4 = H$, $Z = Ph$,
the floating double bond is attached to G, and

A = O

| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
|-------------|---------------------------------|-------------------|---------------------------|------------------------|
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

A = S

| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
|-------------|---------------------------------|-------------------|---------------------------|------------------------|
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

A = NMe

| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
|-------------|---------------------------------|-------------------|---------------------------|------------------------|
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

Table 14

Compounds of Formula I wherein: $G = N$, $W = O$, $X = MeO$, $R^2 = Me$, $R^3 = R^4 = H$, $Z = Ph$,
the floating double bond is attached to A, and

A = N

| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
|----------|---------------------------------|------------------|------------------------|-----------|
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |

| | | | | |
|-------------|-------------------|-------------------|---------------------------|------------------------|
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

A = S

| | | | | |
|-------------|---------------------------------|-------------------|---------------------------|------------------------|
| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

A = NMe

| | | | | |
|-------------|---------------------------------|-------------------|---------------------------|------------------------|
| <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> | <u>Y</u> |
| S | CH ₂ CH ₂ | CH(Me)O | SCH ₂ | C(Me)=N-O |
| CH=CH | CH(Me)CH ₂ | OCH ₂ | SCH(Me) | O-N=CH |
| C(Me)=CH | CH ₂ CH(Me) | OCH(Me) | CH ₂ O-N=CH | O-N=C(Me) |
| CH=C(Me) | CH(Me)CH(Me) | CH ₂ S | CH ₂ O-N=C(Me) | CH ₂ OC(=O) |
| C(Me)=C(Me) | CH ₂ O | CH(Me)S | CH=N-O | CH(Me)OC(=O) |
| direct bond | C≡C | | | |

Table 15

Compounds of Formula I wherein: G = C, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to G, and

Y = O, A = O

| | | | |
|------------------------------------|---------------------------|-------------------------------|-----------------------------|
| <u>Z</u> | <u>Z</u> | <u>Z</u> | <u>Z</u> |
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienylloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |

| | | | |
|---------------------------------|------------------------|--------------------------------|--|
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Y = CH₂O, A = O

| <u>Z</u> | <u>Z</u> | <u>Z</u> | <u>Z</u> |
|------------------------------------|---------------------------|--------------------------------|--|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienylloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |

| | | | |
|---------------------------|-----------------------|-------------------------|----------------------------------|
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Y = O, A = NMe

| <u>Z</u> | <u>Z</u> | <u>Z</u> | <u>Z</u> |
|------------------------------------|---------------------------|--------------------------------|--|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienylloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Y = CH₂O, A = NMe

| <u>Z</u> | <u>Z</u> | <u>Z</u> | <u>Z</u> |
|------------------------------------|---------------------------|--------------------------------|--|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienylloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Table 16

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to G, and

Y = CH₂ON=C(CH₃)

| <u>Z</u> | <u>Z</u> | <u>Z</u> | <u>Z</u> |
|------------------------------------|------------------------|----------------------|---------------------------|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |

| | | | |
|-----------------------------------|---------------------------|--------------------------------|--|
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienylloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Table 17

Compounds of Formula I wherein: A = NMe, G = C, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to G, and



| Z | Z | Z | Z |
|------------------------------------|------------------------|----------------------|----------------------------|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |

| | | | |
|-----------------------------------|---------------------------|--------------------------------|--|
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinyloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienyloxy-Ph | 4-(3-Cl-2-pyridinyloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Table 18

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to A, and

Y = CH₂ON=C(CH₃).

| <u>Z</u> | <u>Z</u> | <u>Z</u> | <u>Z</u> |
|------------------------------------|---------------------------|-------------------------------|----------------------------|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinyloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienyloxy-Ph | 4-(3-Cl-2-pyridinyloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |

| | | | |
|---------------------------|------------------------|--------------------------------|--|
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Y = CH₂S.

| Z | Z | Z | Z |
|------------------------------------|---------------------------|--------------------------------|--|
| hexyl | 4-octenyl | 3-pentynyl | 4-PhO-2-pyridinyl |
| PhO(CH ₂) ₃ | PhCH=CHCH ₂ | PhC≡CCH ₂ | (c-propyl)CH ₂ |
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 6-(2-CN-PhO)-4-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 6-PhO-4-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 2,4,6-triCl-Ph | 4-EtO-2-pyrimidinyl |
| 2-CF ₃ -Ph | 4-Ph-Ph | 3-PhO-Ph | 3-(4-pyrimidinylloxy)-Ph |
| 2-I-Ph | 3-(2-Cl-PhO)-Ph | 3-(2-Et-PhO)-Ph | 4-(2-thienyl)Ph |
| c-hexyl | 3,5-diCl-Ph | 6-Ph-2-pyridinyl | 3-(2-pyridinylloxy)Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | 6-PhO-4-pyridinyl | 3-pyridinyl |
| PhCH ₂ CH ₂ | 2-MeO-Ph | 3-thienylloxy-Ph | 4-(3-Cl-2-pyridinylloxy)-Ph |
| (2-CN-Ph)CH ₂ | 2,6-diMeO-Ph | 3-(4-CF ₃ -PhO)-Ph | 4-(PhO)-c-hexyl |
| CF ₃ CH ₂ | 3-(2-CN-PhO)-Ph | 3-(2-Me-PhO)-Ph | 5-PhO-2-pyrimidinyl |
| 2-MeS-Ph | 5-PhO-3-pyridinyl | 5-PhO-2-pyridinyl | 6-(2-NO ₂ -PhO)-4-pyrimidinyl |
| i-Bu | 6-Me-2-pyridinyl | 6-PhO-2-pyridinyl | 6-(2-Cl-PhO)-4-pyrimidinyl |
| 2-CF ₃ O-Ph | 3-CF ₃ O-Ph | 6-CF ₃ -2-pyridinyl | 6-(2-CF ₃ -PhO)-4-pyrimidinyl |
| 4-Me-Ph | 4-Br-Ph | 6-PhO-3-pyridinyl | 4,6-diMeO-2-pyrimidinyl |
| 4-Cl-Ph | 3-Et-Ph | 2-pyrimidinyl | 4,6-diMe-2-pyrimidinyl |
| 3-Me-Ph | 4-Et-Ph | 4-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 3-Cl-2-Me-Ph | 4-t-Bu-Ph | 4-Me-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |

| | | | |
|---------------------------|-----------------------|-------------------------|--------------------------------|
| 3-t-Bu-Ph | 4-CN-Ph | 6-MeO-4-pyrimidinyl | 2-pyridinyl |
| 3-NO ₂ -Ph | 4-NO ₂ -Ph | 2-Ph-4-thiazolyl | 6-CF ₃ -2-pyrazinyl |
| 3-F-Ph | 4-F-Ph | 3-MeO-6-pyridazinyl | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 3-Ph-Ph | 5-Me-2-furanyl | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 2,5-diMe-3-thienyl | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 3-OCF ₂ H-Ph | 6-Me-2-pyridinyl |
| 3-EtO-Ph | 3-MeS-Ph | 4-OCF ₂ H-Ph | |

Table 19

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R² = Me, R³ = R⁴ = H, the floating double bond is attached to A, and

Y = CH₂ON=C(H).

| <u>Z</u> | <u>Z</u> | <u>Z</u> | <u>Z</u> |
|----------|-----------------------|-----------------------|-------------|
| 2-Me-Ph | 3-Me-Ph | 3-CF ₃ -Ph | 3-Cl-Ph |
| 4-Cl-Ph | 4-CF ₃ -Ph | 2,5-diMe-Ph | 3,5-diCl-Ph |

Table 20

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, Z = 3-CF₃-Ph, R² = Me, R³ = R⁴ = H, the floating double bond is attached to A, and

Y = CH₂ON=C(R⁷).

| <u>R⁷</u> | <u>R⁷</u> | <u>R⁷</u> | <u>R⁷</u> |
|----------------------|----------------------------------|----------------------|----------------------|
| CF ₃ | OCH ₂ CF ₃ | Et | n-Pr |
| Cl | MeO | EtO | MeS |

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Table 21

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R³ = R⁴ = H, Y = CH₂ON=C(R⁷), the floating double bond is attached to G, and

R² = Me

| <u>R⁷</u> | <u>Z</u> | <u>R⁷</u> | <u>Z</u> |
|----------------------|---|----------------------|--------------------------------|
| c-propyl | 3,4-(OCH ₂ CH ₂ O)-Ph | c-propyl | 3,4-(OCHFCH ₂ O)-Ph |
| c-propyl | 3,4-(OCF ₂ O)-Ph | c-propyl | Ph |
| c-propyl | 4-CF ₃ -Ph | c-propyl | 3-CF ₃ -Ph |
| c-propyl | 4-Cl-Ph | c-propyl | 3-Cl-Ph |
| c-propyl | 2-Me-Ph | c-propyl | 3-OCF ₃ -Ph |
| CF ₃ | 3,4-(OCH ₂ CH ₂ O)-Ph | CF ₃ | 3,4-(OCHFCH ₂ O)-Ph |
| CF ₃ | 3,4-(OCF ₂ O)-Ph | CF ₃ | Ph |
| CF ₃ | 4-CF ₃ -Ph | CF ₃ | 3-CF ₃ -Ph |
| CF ₃ | 4-Cl-Ph | CF ₃ | 3-Cl-Ph |

| | | | |
|-----------------|---|-----------------|--|
| CF ₃ | 2-Me-Ph | CF ₃ | 3-OCF ₃ -Ph |
| Et | 3,4-(OCH ₂ CH ₂ O)-Ph | Et | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| Et | 3,4-(OCF ₂ O)-Ph | Et | Ph |
| Et | 4-CF ₃ -Ph | Et | 3-CF ₃ -Ph |
| Et | 4-Cl-Ph | Et | 3-Cl-Ph |
| Et | 2-Me-Ph | Et | 3-OCF ₃ -Ph |

Table 22

Compounds of Formula I wherein: A = NMe, G = C, W = O, X = MeO, R³ = R⁴ = H, Y = CH₂ON=C(R⁷), the floating double bond is attached to G, and

R² = Me

| <u>R⁷</u> | <u>Z</u> | <u>R⁷</u> | <u>Z</u> |
|----------------------|---|----------------------|--|
| c-propyl | 3,4-(OCH ₂ CH ₂ O)-Ph | c-propyl | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| c-propyl | 3,4-(OCF ₂ O)-Ph | c-propyl | Ph |
| c-propyl | 4-CF ₃ -Ph | c-propyl | 3-CF ₃ -Ph |
| c-propyl | 4-Cl-Ph | c-propyl | 3-Cl-Ph |
| c-propyl | 2-Me-Ph | c-propyl | 3-OCF ₃ -Ph |
| CF ₃ | 3,4-(OCH ₂ CH ₂ O)-Ph | CF ₃ | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| CF ₃ | 3,4-(OCF ₂ O)-Ph | CF ₃ | Ph |
| CF ₃ | 4-CF ₃ -Ph | CF ₃ | 3-CF ₃ -Ph |
| CF ₃ | 4-Cl-Ph | CF ₃ | 3-Cl-Ph |
| CF ₃ | 2-Me-Ph | CF ₃ | 3-OCF ₃ -Ph |
| Et | 3,4-(OCH ₂ CH ₂ O)-Ph | Et | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| Et | 3,4-(OCF ₂ O)-Ph | Et | Ph |
| Et | 4-CF ₃ -Ph | Et | 3-CF ₃ -Ph |
| Et | 4-Cl-Ph | Et | 3-Cl-Ph |
| Et | 2-Me-Ph | Et | 3-OCF ₃ -Ph |

Table 23

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, R³ = R⁴ = H, Y = CH₂ON=C(R⁷), the floating double bond is attached to A, and

R² = Me

| <u>R⁷</u> | <u>Z</u> | <u>R⁷</u> | <u>Z</u> |
|----------------------|---|----------------------|--|
| c-propyl | 3,4-(OCH ₂ CH ₂ O)-Ph | c-propyl | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| c-propyl | 3,4-(OCF ₂ O)-Ph | c-propyl | Ph |
| c-propyl | 4-CF ₃ -Ph | c-propyl | 3-CF ₃ -Ph |
| c-propyl | 4-Cl-Ph | c-propyl | 3-Cl-Ph |
| c-propyl | 2-Me-Ph | c-propyl | 3-OCF ₃ -Ph |

| | | | |
|-----------------|---|-----------------|--|
| CF ₃ | 3,4-(OCH ₂ CH ₂ O)-Ph | CF ₃ | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| CF ₃ | 3,4-(OCF ₂ O)-Ph | CF ₃ | Ph |
| CF ₃ | 4-CF ₃ -Ph | CF ₃ | 3-CF ₃ -Ph |
| CF ₃ | 4-Cl-Ph | CF ₃ | 3-Cl-Ph |
| CF ₃ | 2-Me-Ph | CF ₃ | 3-OCF ₃ -Ph |
| Et | 3,4-(OCH ₂ CH ₂ O)-Ph | Et | 3,4-(OCHF ₂ CF ₂ O)-Ph |
| Et | 3,4-(OCF ₂ O)-Ph | Et | Ph |
| Et | 4-CF ₃ -Ph | Et | 3-CF ₃ -Ph |
| Et | 4-Cl-Ph | Et | 3-Cl-Ph |
| Et | 2-Me-Ph | Et | 3-OCF ₃ -Ph |

Table 24

Compounds of Formula I wherein: A = O, G = C, W = O, X = MeO, R³ = R⁴ = H, the floating double bond is attached to G, and
R² = Me

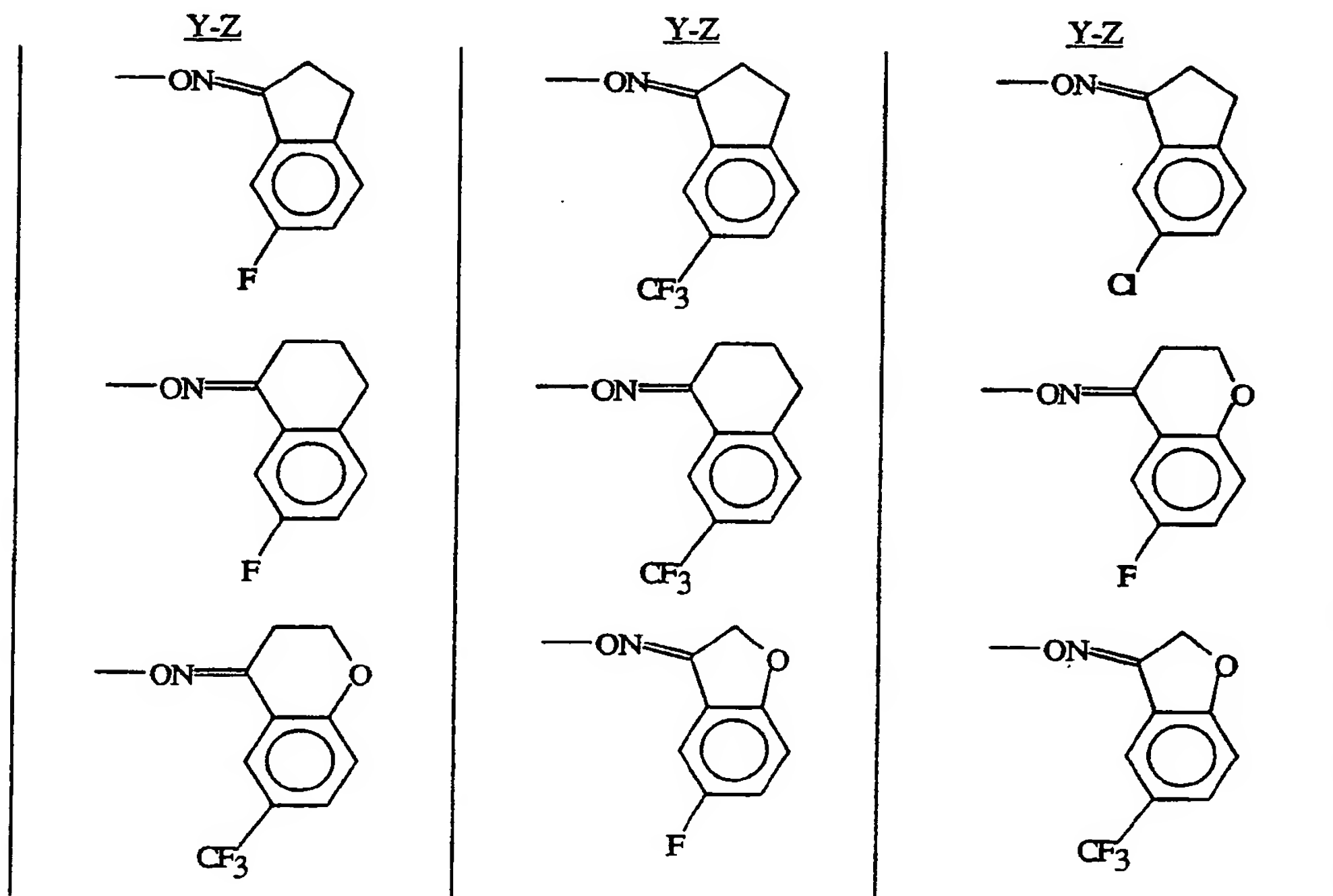


Table 25

Compounds of Formula I wherein: A = NMe, G = C, W = O, X = MeO, $R^3 = R^4 = H$,
the floating double bond is attached to G, and
 $R^2 = Me$

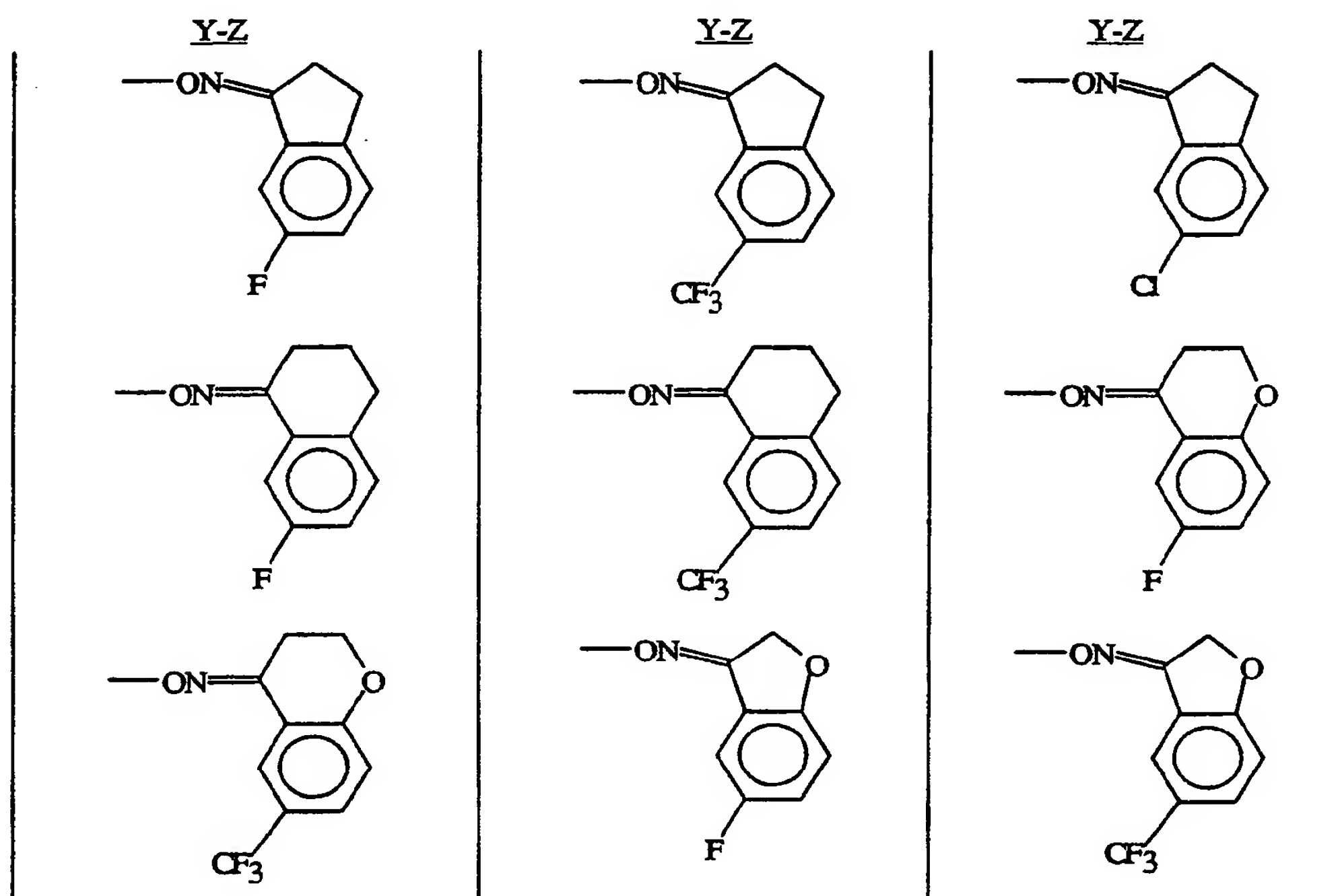
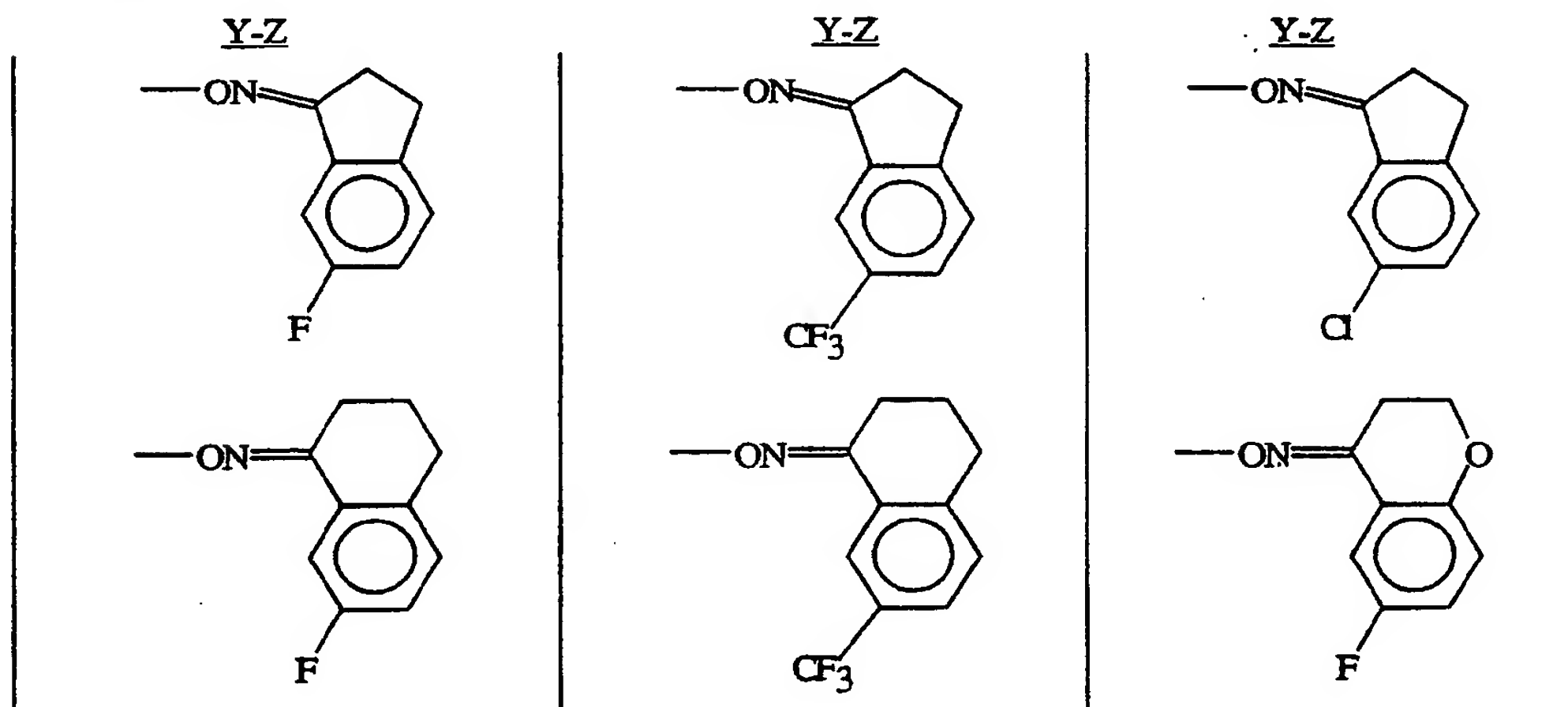
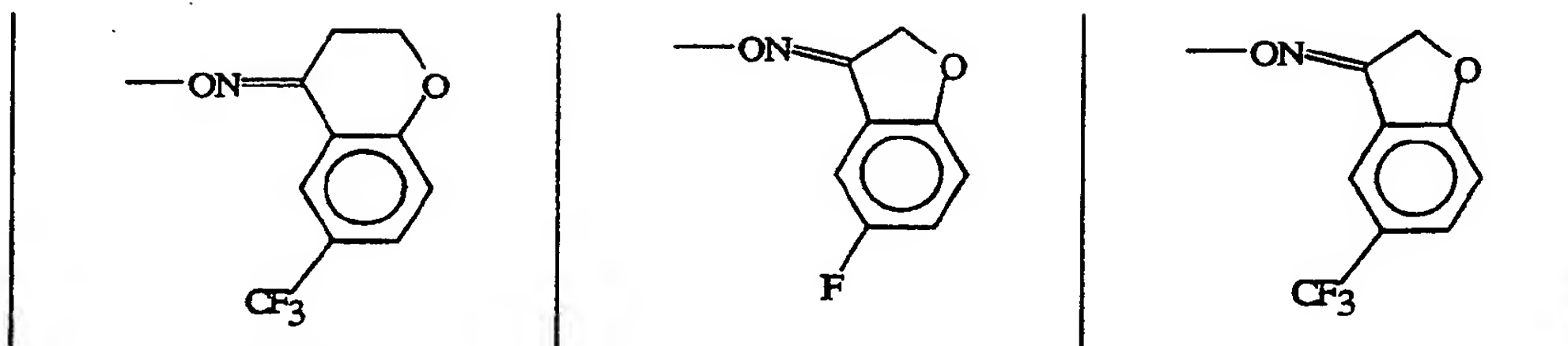


Table 26

Compounds of Formula I wherein: A = N, G = N, W = O, X = MeO, $R^3 = R^4 = H$, the
floating double bond is attached to A, and
 $R^2 = Me$



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Formulation/Utility

Compounds of this invention will generally be used in formulation with an agriculturally suitable composition. The fungicidal compositions of the present invention comprise an effective amount of at least one compound of Formula I as defined above and at least one of (a) a surfactant, (b) an organic solvent, and (c) at least one solid or liquid diluent. Useful formulations can be prepared in conventional ways. They include dusts, granules, pellets, solutions, suspensions, emulsions, wettable powders, emulsifiable concentrates, dry flowables and the like. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High strength compositions are primarily used as intermediates for further formulation. The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 weight percent.

| | Weight Percent | | |
|--|------------------------------|----------------|-------------------|
| | <u>Active Ingredient</u> | <u>Diluent</u> | <u>Surfactant</u> |
| Wettable Powders | 5-90 | 0-74 | 1-10 |
| Oil Suspensions, Emulsions, Solutions, (including Emulsifiable Concentrates) | 5-50 | 40-95 | 0-15 |
| Dusts | 1-25 | 70-99 | 0-5 |
| Granules, Baits and Pellets | 0.01-99 | 5-99.99 | 0-15 |
| High Strength Compositions | 90-99 | 0-10 | 0-2 |

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents and solvents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, (1950). *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, (1964), list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth, and the like.

Methods for formulating such compositions are well known. Solutions are prepared by simply mixing the ingredients. Fine solid compositions are made by blending and, usually, grinding as in a hammer mill or fluid energy mill. Water-dispersible granules can be produced by agglomerating a fine powder composition; see for example, Cross et al., *Pesticide Formulations*, Washington, D.C., (1988), pp 251-259. Suspensions are prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be made by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-148, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, (1963), pp 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in DE 3,246,493.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10 through 41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, (1961), pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, (1989).

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound 1 refers to the compound in Index Table A hereinafter.

Example A

Wettable Powder

| | | |
|----|---|--------|
| 25 | Compound 1 | 65.0% |
| | dodecylphenol polyethylene glycol ether | 2.0% |
| | sodium ligninsulfonate | 4.0% |
| | sodium silicoaluminate | 6.0% |
| | montmorillonite (calcined) | 23.0%. |

Example B

Granule

| | | |
|--|---|--------|
| | Compound 1 | 10.0% |
| | attapulgate granules (low volative matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves) | 90.0%. |

Example C

Extruded Pellet

| | | |
|--|------------|-------|
| | Compound 1 | 25.0% |
|--|------------|-------|

| | |
|-----------------------------------|--------|
| anhydrous sodium sulfate | 10.0% |
| crude calcium ligninsulfonate | 5.0% |
| sodium alkyl naphthalenesulfonate | 1.0% |
| calcium/magnesium bentonite | 59.0%. |

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Example DEmulsifiable Concentrate

| | |
|---|--------|
| Compound 1 | 20.0% |
| blend of oil soluble sulfonates and polyoxyethylene ethers | 10.0% |
| isophorone | 70.0%. |

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The compounds of this invention are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, an effective amount of a compound of Formula I or a fungicidal composition containing said compound. The compounds and compositions of this invention provide control of diseases caused by a broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, vegetable, field, cereal, and fruit crops. These pathogens include *Plasmopara viticola*, *Phytophthora infestans*, *Peronospora tabacina*, *Pseudoperonospora cubensis*, *Pythium aphanidermatum*, *Alternaria brassicae*, *Septoria nodorum*, *Cercosporidium personatum*, *Cercospora arachidicola*, *Pseudocercospora herpotrichoides*, *Cercospora beticola*, *Botrytis cinerea*, *Monilinia fructicola*, *Pyricularia oryzae*, *Podosphaera leucotricha*, *Venturia inaequalis*, *Erysiphe graminis*, *Uncinula necator*, *Puccinia recondita*, *Puccinia graminis*, *Hemileia vastatrix*, *Puccinia striiformis*, *Puccinia arachidis*, *Rhizoctonia solani*, *Sphaerotheca fuliginea*, *Fusarium oxysporum*, *Verticillium dahliae*, *Pythium aphanidermatum*, *Phytophthora megasperma* and other genera and species closely related to these pathogens.

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Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, semiochemicals, repellants, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of other agricultural protectants with which compounds of this invention can be formulated are: insecticides such as acephate, avermectin B, azinphosmethyl, bifenthrin, bifenox, buprofezin, carbofuran, chlordimeform, chlorpyrifos, cyfluthrin, deltamethrin, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenpropathrin, fenvalerate, fipronil, flucythrinate, flufenprox, fluvalinate, fonophos, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl,

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methoprene, methoxychlor, monocrotophos, oxamyl, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as benomyl, blasticidin S, bromuconazole, captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, dichloran, diclobutrazol, diclomezine, difenoconazole, diniconazole, dodine, edifenphos, epoxyconazole fenarimol, fenbuconazole, fenpropidine, fenpropimorph, fluquinconazole, flusilazol, flutolanil, flutriafol, folpet, furalaxyl, hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, mancozeb, maneb, mepronil, metalaxyl, metconazole, myclobutanil, neo-asozin, oxadixyl, penconazole, pencycuron, phosethyl-Al, probenazole, prochloraz, propiconazole, pyrifenoxy, pyroquilon, sulfur, tebuconazole, tetraconazole, thiabendazole, thiophanate-methyl, thiuram, triadimefon, triadimenol, tricyclazole, uniconazole, validamycin and vinclozolin; nematocides such as aldoxycarb, fenamiphos and fosthietan; bactericides such as oxytetracycline, streptomycin and tribasic copper sulfate; acaricides such as amitraz, binapacryl, chlorobenzilate, cyhexatin, dicofol, dienochlor, fenbutatin oxide, hexythiazox, oxythioquinox, propargite and tebufenpyrad; and biological agents such as *Bacillus thuringiensis* and baculovirus.

In certain instances, combinations with other fungicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

Rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.

The following TESTS demonstrate the control efficacy of compounds of this invention on specific pathogens. The pathogen control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-D for compound descriptions.

Test compounds were first dissolved in acetone in an amount equal to 3% of the final volume and then suspended at a concentration of 200 ppm in purified water containing 250 ppm of the surfactant Trem® 014 (polyhydric alcohol esters). The resulting test suspensions were then used in the following tests.

5

TEST A

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of *Erysiphe graminis* f. sp. *tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20°C for 7 days, after which disease ratings were made.

10

TEST B

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of *Puccinia recondita* (the causal agent of wheat leaf rust) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 6 days, after which disease ratings were made.

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TEST C

The test suspension was sprayed to the point of run-off on rice seedlings. The following day the seedlings were inoculated with a spore suspension of *Pyricularia oryzae* (the causal agent of rice blast) and incubated in a saturated atmosphere at 27°C for 24 h, and then moved to a growth chamber at 30°C for 5 days, after which disease ratings were made.

20

TEST D

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

25

TEST E

The test suspension was sprayed to the point of run-off on grape seedlings. The following day the seedlings were inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h, moved to a growth chamber at 20°C for 6 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings were made.

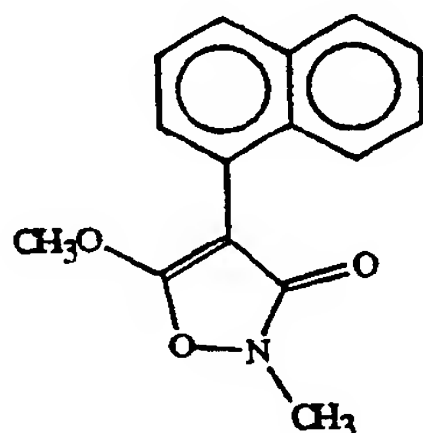
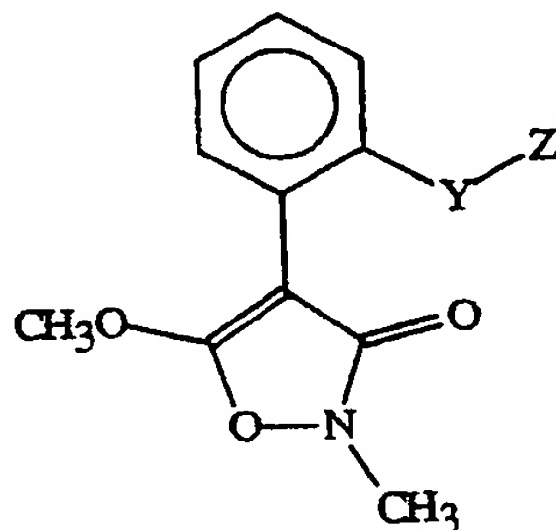
30

TEST F

The test suspension was sprayed to the point of run-off on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Botrytis cinerea* (the causal agent of gray mold on many crops) and incubated in a saturated atmosphere at 20°C for 48 h, and moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

INDEX TABLE A

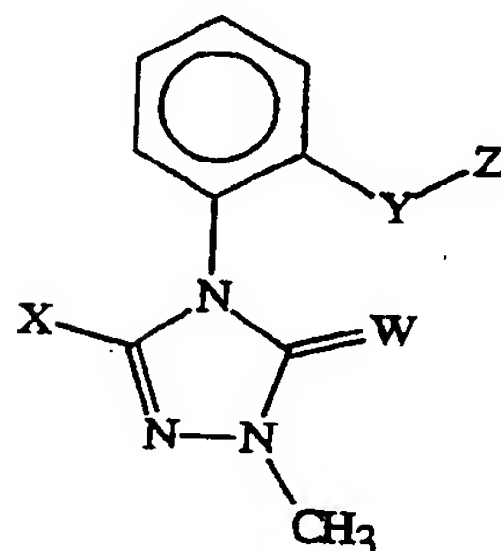
| <u>Compound</u> | <u>m.p. (°C)</u> |
|-----------------|------------------|
| 1 | 117-120 |

INDEX TABLE B

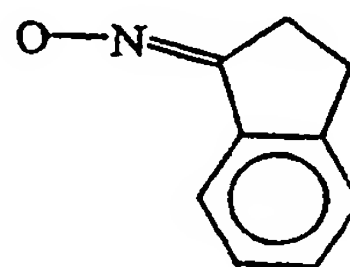
| <u>Compound</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|-------------------|---------------------|------------------|
| 2 | O | 2-Me-Ph | oil* |
| 3 | O | CH ₂ -Ph | oil* |
| 4 | - | Me | oil* |
| 5 | CH ₂ O | 2-Me-Ph | oil* |

* See Index Table D for ¹H NMR data.

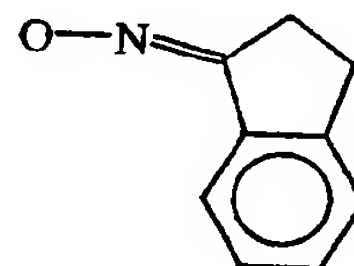
INDEX TABLE C



| Compound | W | X | Y | Z | m.p. (°C) |
|----------|---|-----------------------|--------------------------|---------|-----------|
| 6 | O | MeS | O | Ph | 129-130 |
| 7 | O | MeO | O | Me | 123-126 |
| 8 | O | MeO | - | Me | 95-97 |
| 9 | O | MeS | - | Me | 95-97 |
| 10 | O | Cl | - | Me | 99-100 |
| 11 | O | MeO | O | Ph | 88-91 |
| 12 | O | Cl | O | 2-Me-Ph | 88-96 |
| 13 | O | MeO | CH ₂ O | 2-Me-Ph | 110-113 |
| 14 | O | EtO | CH ₂ O | 2-Me-Ph | oil* |
| 15 | O | MeS | CH ₂ O | 2-Me-Ph | 80-88 |
| 16 | O | OCH ₂ C≡CH | CH ₂ O | 2-Me-Ph | 122-130 |
| 17 | O | Cl | CH ₂ ON=C(Me) | 4-Me-Ph | oil* |
| 18 | O | MeO | CH ₂ ON=C(Me) | 4-Me-Ph | 116-118 |
| 19 | O | MeS | CH ₂ ON=C(Me) | 4-Me-Ph | oil* |
| 20 | O | Cl | | | oil |



| | | | | | |
|----|---|-----|---|----|---------|
| 21 | S | MeS | O | Ph | oil* |
| 22 | O | MeO | | | 126-130 |

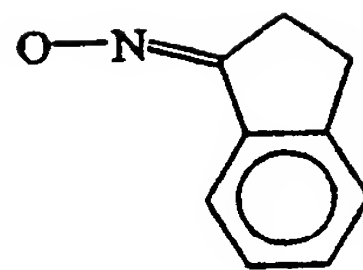


| | | | | | |
|----|---|----|-------------------------|----|------|
| 23 | O | Cl | CH ₂ ON=C(H) | Ph | oil* |
|----|---|----|-------------------------|----|------|

63

24 O MeS

oil*



| | | | | | |
|----|---|-----|--------------------------|--------------------------|---------|
| 25 | O | Cl | CH ₂ O | 3-(OPh)-Ph | oil* |
| 26 | O | MeO | CH ₂ O | 3-(OPh)-Ph | oil* |
| 27 | O | MeO | CH ₂ ON=C(H) | Ph | 101-104 |
| 28 | O | MeS | CH ₂ O | 3-(OPh)-Ph | 95-100 |
| 29 | O | Cl | CH ₂ S | 2-Me-Ph | 106-109 |
| 30 | O | MeO | CH ₂ S | 2-Me-Ph | 115-118 |
| 31 | O | MeS | CH ₂ S | 2-Me-Ph | 82-86 |
| 32 | O | Cl | CH ₂ S | 2-benzthiazole | 95-97 |
| 33 | O | MeO | C≡C | Ph | 164-166 |
| 34 | O | MeO | CH ₂ ON=C(Me) | 4-Br-Ph | 115-120 |
| 35 | O | Cl | CH ₂ ON=C(Me) | 4-Br-Ph | gum* |
| 36 | O | Cl | CH ₂ O | 3-(benzoyl)-Ph | oil* |
| 37 | O | MeS | CH ₂ ON=C(Me) | 4-Br-Ph | 117-122 |
| 38 | O | MeO | CH ₂ O | 3-(benzoyl)-Ph | oil* |
| 39 | O | Cl | CH=NOCH ₂ | 4-Cl-Ph | oil* |
| 40 | O | Cl | CH ₂ ON=C(Me) | 3-piperonyl | oil* |
| 41 | O | MeO | CH=NOCH ₂ | 4-Cl-Ph | oil* |
| 42 | O | MeO | CH ₂ ON=C(Me) | 3-piperonyl | oil* |
| 43 | O | Cl | O | 4-(6-OPh)-1,3-pyrimidine | oil* |
| 44 | O | MeO | CH ₂ S | 2-benzthiazole | 95-97 |
| 45 | O | MeO | CH ₂ ON=C(Me) | 2-Me-Ph | oil* |
| 46 | O | MeO | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | 138-144 |
| 47 | O | MeO | CH ₂ ON=C(Me) | Ph | oil* |
| 48 | O | MeO | CH ₂ ON=C(Me) | Ph | oil* |
| 49 | O | MeO | CH ₂ ON=C(Me) | 3-Me-Ph | oil* |
| 50 | O | MeO | CH ₂ ON=C(Me) | 4-MeO-Ph | oil* |
| 51 | O | MeO | CH ₂ ON=C(Me) | 3-Cl-Ph | oil* |
| 52 | O | MeO | CH=NOCH(Me) | Ph | oil* |
| 53 | O | MeO | CH=NOCH ₂ | 2-Me-Ph | oil* |

* See Index Table D for ¹H NMR data.

INDEX TABLE D

| Cmpd No. | ¹ H NMR Data (200 MHz, CDCl ₃ solution) |
|----------|--|
| 2 | δ 7.51(dd,1H),7.27(dt,1H),7.17(m,2H),6.97(dd,1h),6.6(m,3H),3.92(s,3H), 3.74(s,3H),3.33(s,3H) |
| 3 | δ 7.32(m,7H), 6.99(m,2H),5.08(s,2H),3.84(s,3H),3.42(s,3H) |
| 4 | δ 7.25(m,4H),3.98(s,3H),3.45(s,3H),2.30(s,3H) |
| 5 | δ 7.61(d,1H),7.35(m,3H),7.11(m,2H),6.84(t,2H),5.12(s,2H),3.96(s,3H), 3.415(s,3H),2.24(s,3H) |
| 14 | δ 7.65(d,1H),7.45(m,2H),7.23(m,1H),7.10(m,2H),6.82(t,1H),6.78(d,1H), 5.08(s,2H),4.29(m,2H),3.41(s,3H),2.24(s,3H),1.31(t,3H) |
| 17 | δ 7.6-7.45(m,5H),7.20(m,1H),7.14(d,2H),5.27(d,1H),5.16(d,1H), 3.46(s,3H),2.34(s,3H),2.16(s,3H) |
| 19 | δ 7.6(d,1H),7.5(m,3H),7.4(t,1H),7.25(m,1H),7.15(d,2H),5.26(d,1H), 5.20(d,1H),3.48(s,3H),2.41(s,3H),2.43(s,3H),2.18(s,3H) |
| 20 | δ 7.62(m,2H),7.5(m,2H),7.35-7.2(m,4H),5.25(d,1H),5.15(d,1H), 3.48(s,3H),3.02(m,2H),2.85(m,2H) |
| 21 | δ 7.42(m,2H),7.10(m,1H),7.06(m,3H),6.99(t,1H),6.68(d,2H),3.37(s,3H), 2.51(s,3H) |
| 23 | δ 8.01(s,1H),7.61(d,1H),7.52(m,4H),7.35(m,3H),7.25(d,1H),5.23(d,1H), 5.15(d,1H),3.49(s,3H) |
| 24 | δ 7.6(m,2H),7.5-7.4(m,3H),7.3-7.2(m,3H),5.24(d,1H),5.20(d,1H), 3.48(s,3H),2.40(s,3H) |
| 25 | δ 7.6-7.4(m,4H),7.35(m,2H),7.2(m,2H),7.0(d,2H),6.6(m,3H),5.04(d,1H), 5.00(d,1H),3.45(s,3H) |
| 26 | δ 7.6(d,1H),7.45(m,2H),7.33(t,2H),7.19(m,2H),7.10(t,1H),7.01(d,2H), 6.6(m,3H),5.03(m,2H),3.87(s,3H),3.39(s,3H) |
| 35 | δ 7.6-7.4(m,7H),7.23(d,1H),5.28(d,1H),5.17(d,1H),3.46(s,3H),2.14(s,3H) |
| 36 | δ 7.80(d,2H),7.65-7.45(m,6H),7.36(d,2H),7.30(m,1H),7.25(m,1H), 7.10(t,1H),5.15(d,1H),5.10(d,1H),3.45(s,2H) |
| 38 | δ 7.77(d,2H),7.6(m,2H),7.47(m,4H),7.35(m,3H),7.25(m,1H),7.10 (m,1H), 5.13(d,1H),5.12(d,1H),3.89(s,3H),3.38(s,3H) |
| 39 | δ 8.03(s,1H),7.70(d,1H),7.53(m,2H),7.35-7.25(m,5H),5.06(s,2H), 3.46(s,3H) |
| 40 | δ 7.6-7.5(m,3H),7.24(m,1H),7.13(s,1H),7.02(d,1H),6.78(d,1H),5.96(s,2H), 5.26(d,1H),5.14(d,1H),3.48(s,3H),2.13(s,3H) |
| 41 | δ 8.04(s,1H),7.8(m,1H),7.45(m,2H)7.35-7.25(m,5H),5.10(s,2H),3.86(s,3H), 3.41(s,3H) |
| 42 | δ 7.58(m,1H),7.43(m,2H),7.25(m,1H),7.15(m,1H),7.02(d,1H),6.76(d,1H), |

| | |
|----|--|
| | 5.96(s,2H),5.22(d,1H),5.18(d,1H)3.89(s,3H),3.42(s,3H),2.15(s,3H) |
| 43 | δ 8.40(s,1H),7.6(m,1H),7.5-7.4(m,5H),7.3(d,1H),7.18(m,2H),6.38(s,1H), 3.45(s,3H) |
| 45 | δ 7.55(d,1H),7.40(m,3H),7.20(m,4H),5.21(d,1H),3.87(s,3H),3.42(s,3H), 2.24(s,3H) |
| 47 | δ 7.6-7.2(m,9H),5.4-5.2(m,2H),3.87,3.83(s,3H),3.41,3.40(s,3H) |
| 48 | δ 7.6(m,3H),7.44(m,2H),7.35(m,3H),7.25(m,1H),5.26(d,1H),5.22(d,1H), 3.88(s,3H),3.49(s,3H),2.20(s,3H) |
| 49 | δ 7.5(d,1H),7.40(m,4H),7.23(m,2H),7.18(d,1H),5.26(d,1H),5.21(d,1H), 3.88(s,3H)3.41(s,3H),2.36(s,3H),2.19(s,3H) |
| 50 | δ 7.56(m,3H),7.45(m,2H),7.25(m,1H),6.86(d,2H),5.24(d,1H),5.19(d,1H), 3.88(s,3H),3.81(s,3H),3.41(s,3H),2.17(s,3H) |
| 51 | δ 7.5(m,2H),7.45(m,3H),7.3(m,3H),5.27(d,1H),5.22(d,1H),3.89(s,3H) |
| 52 | δ 8.02,8.01(s,1H),7.8,7.7(m,1H),7.45(m,2H),7.35(m,4H),7.25(m,2H),5.25 (m,1H),3.88,3.74(s,3H),3.45,3.39(s,3H),1.62-1.56(m,3H) |
| 53 | δ 8.04(s,1H),7.81(m,1H),7.45(m,2H),7.38-7.18(m,5H),5.18(s,2H), 3.86(s,3H),3.42(s,3H),2.38(s,3H) |

Results for Tests A-F are given in Table 1. In the table, a rating of 100 indicates 100% disease control and a rating of 0 indicates no disease control (relative to the controls).

Table 1

| <u>Cmpd No.</u> | <u>Test</u> <u>A</u> | <u>Test</u> <u>B</u> | <u>Test</u> <u>C</u> | <u>Test</u> <u>D</u> | <u>Test</u> <u>E</u> | <u>Test</u> <u>F</u> |
|-----------------|-------------------------|-------------------------|-------------------------|-------------------------|-------------------------|-------------------------|
| 1 | 57 | 79 | 0 | 0 | 17 | 68 |
| 2 | 86 | 93 | 0 | 0 | 100 | 0 |
| 3 | 57 | 79 | 0 | 61 | 100 | 68 |
| 4 | 0 | 0 | 0 | 0 | 100 | 0 |
| 5 | 99 | 100 | 0 | 46 | 100 | 43 |
| 6 | 98 | 100 | 36 | 85 | 100 | 42 |
| 7 | 73 | 9 | 0 | 33 | 5 | 3 |
| 8 | 0 | 0 | 0 | 0 | 35 | 46 |
| 9 | 0 | 0 | 0 | 0 | 35 | 0 |
| 10 | 35 | 3 | 0 | 43 | 78 | 0 |
| 11 | 100 | 100 | 0 | 64 | 100 | 50 |
| 12 | 95 | 97 | 0 | 47 | 92 | 71 |
| 13 | 98 | 100 | 0 | 0 | 69* | 63 |

66

| | | | | | | |
|-----|-----|-----|-----|----|-----|----|
| 14 | 78 | 81 | 0 | 0 | 0 | 0 |
| 15 | 100 | 100 | 0 | 63 | 100 | 36 |
| 16 | 92 | 57 | 0 | 0 | 0 | 0 |
| 17* | 78 | 91 | 0 | 0 | 36 | 44 |
| 18 | 52 | 0 | 0 | 0 | 0 | 0 |
| 19 | 0 | 0 | 0 | 0 | 0 | 62 |
| 20 | 63 | 84 | 0 | 26 | 99 | 65 |
| 21 | - | - | 0 | - | - | - |
| 22 | 38 | 100 | 0 | 47 | 100 | 47 |
| 23 | 38 | 89 | 0 | 0 | 100 | 0 |
| 24 | 0 | 98 | 97 | 47 | 100 | 70 |
| 25 | 0 | 84 | 88 | 16 | 100 | 0 |
| 26 | 72 | 100 | 0 | 73 | 100 | 44 |
| 27 | 72 | 93 | 0 | 16 | 100 | 2 |
| 28 | 83 | 97 | 19 | 59 | 100 | 0 |
| 29 | 16 | 97 | 0 | 25 | 8 | 0 |
| 30 | 95 | 96 | 0 | 47 | 100 | 47 |
| 31 | 89 | 99 | 0 | 46 | 100 | 0 |
| 32 | 60 | 53 | 0 | 0 | 100 | 47 |
| 33 | 95 | 98 | 0 | 77 | 100 | 0 |
| 34 | 90 | 100 | 88 | 64 | 100 | 0 |
| 35 | 0 | 97 | 0 | 0 | 99 | 9 |
| 36 | 63 | 93 | 62 | 46 | 100 | 0 |
| 37 | 98 | 100 | 93 | 63 | 100 | 48 |
| 38 | 0 | 99 | 73 | 26 | 100 | 0 |
| 39 | 32 | 85 | 73 | 0 | 45 | 0 |
| 40 | 59 | 97 | 93 | 0 | 76 | 49 |
| 41 | 97 | 100 | 0 | 0 | 100 | 0 |
| 42 | 92 | 100 | 62 | 64 | 100 | 68 |
| 43 | 97 | 99 | 50 | 26 | 97 | 0 |
| 44 | 73 | 100 | 0 | 47 | 100 | 69 |
| 45 | 94 | 100 | 0 | 0 | 100 | 47 |
| 46 | 100 | 100 | 100 | 93 | 100 | 0 |
| 47 | 96 | 100 | 0 | 0 | 100 | 0 |
| 48 | 100 | 100 | 0 | 47 | 100 | 0 |
| 49 | 100 | 100 | 88 | 86 | 100 | 47 |

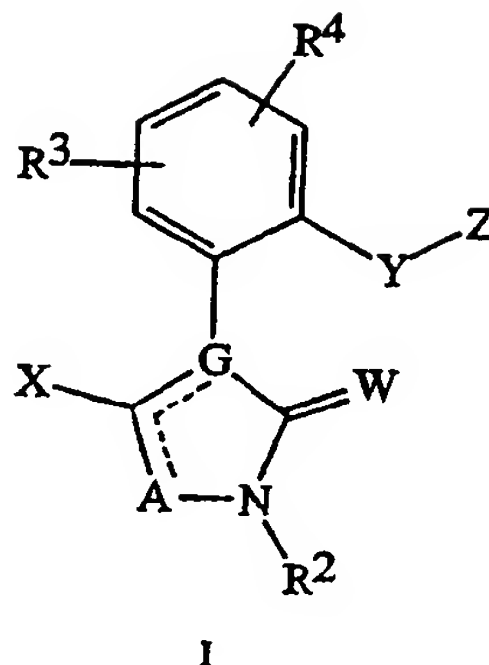
67

| | | | | | | |
|----|-----|-----|-----|----|---|----|
| 50 | 92 | 100 | 97 | 77 | - | 45 |
| 51 | 100 | 100 | 100 | 97 | - | 89 |

* Tested at 40 ppm.

What is claimed is:

1. A compound of Formula I

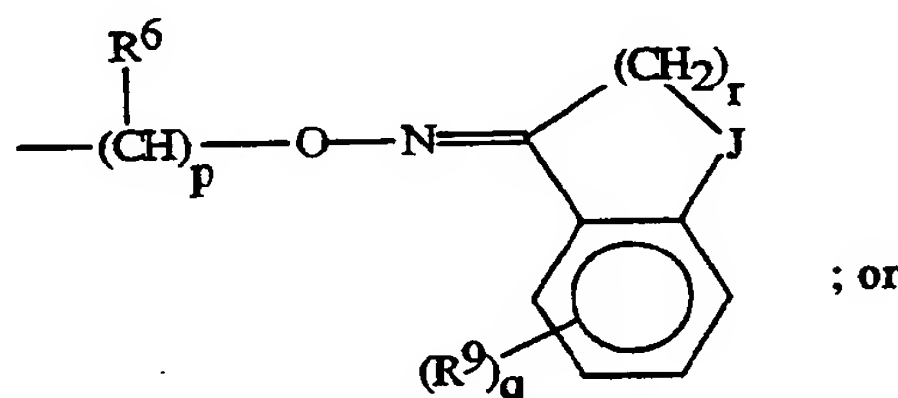


wherein:

- 5 A is O; S; N; NR⁵; or CR¹⁴;
- G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;
- W is O or S;
- 10 X is OR¹; S(O)_mR¹; or halogen;
- R¹, R², and R⁵ are each independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; or benzoyl optionally substituted with R¹³;
- 15 R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; or C₂-C₆ alkynyloxy;
- 20 Y is -O-; -S(O)_n-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR⁶O-; -OCHR⁶-; -CHR⁶S(O)_n-; -S(O)_nCHR⁶-; -CHR⁶O-N=C(R⁷)-; -(R⁷)C=N-OCH(R⁶)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR⁶OC(=O)N(R¹⁵)-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;
- 25 R⁶ is independently H or C₁-C₃ alkyl;
- R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; cyano; or morpholinyl;

Z is C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each optionally substituted with R⁸; or Z is C₃-C₈ cycloalkyl or phenyl each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring system containing 1 to 6 heteroatoms independently selected from the group 1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or R⁷ and Z are taken together to form CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, CH₂CH₂OCH₂CH₂, each CH₂ group optionally substituted with 1-2 halogen; or

Y and Z are taken together to form



R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; provided that when R³, Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R⁴, and A is S, W is O, X is SCH₃ and R² is CH₃, then R⁴ is other than H;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group optionally substituted with 1 to 2 CH₃;

R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; or nitro; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; or nitro; or R⁹ is phenyl, benzyl,

benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

R¹⁰ is halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or R⁹ and R¹⁰, when attached to adjacent atoms, are taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group optionally substituted with 1-2 halogen;

R¹¹ and R¹² are each independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; nitro; or cyano;

R¹³ is halogen; C₁-C₃ alkyl; C₁-C₃ haloalkyl; C₁-C₃ alkoxy; C₁-C₃ haloalkoxy; nitro; or cyano;

R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

R¹⁵, R¹⁶, R¹⁷, and R¹⁸ are each independently H; C₁-C₃ alkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

m, n and q are each independently 0, 1 or 2; and

p and r are each independently 0 or 1.

2. A compound of Claim 1 wherein

W is O;

R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;

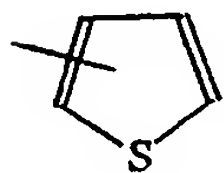
R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;

R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; or C₁-C₆ haloalkoxy;

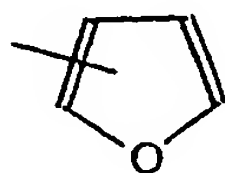
Y is -O-; -CH=CH-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -CH₂O-N=C(R⁷)-; -C(R⁷)=N-O-; -CH₂OC(O)NH-; or a direct bond;

R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ alkynyl; or cyano;

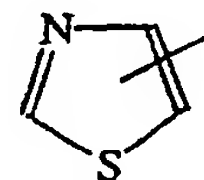
Z is C₁-C₁₀ alkyl optionally substituted with R⁸; or C₃-C₈ cycloalkyl or phenyl, each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is



Z-1

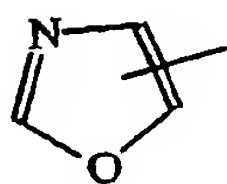


Z-2

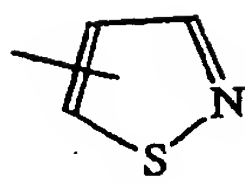


Z-3

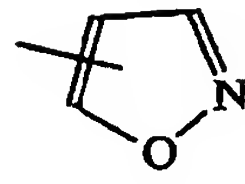
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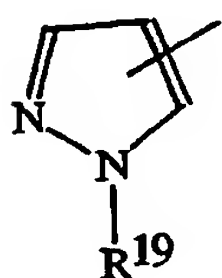
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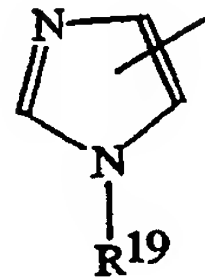
Z-5



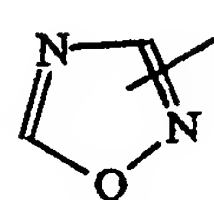
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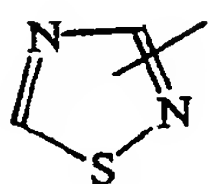
Z-7



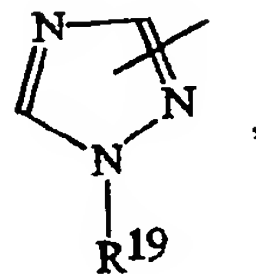
Z-8



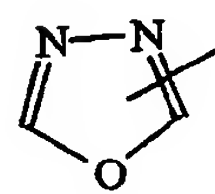
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Z-10

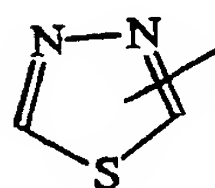


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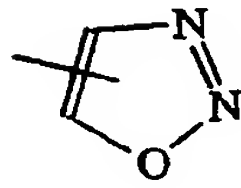


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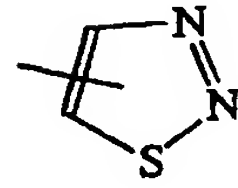
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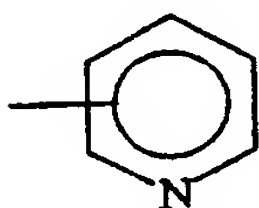
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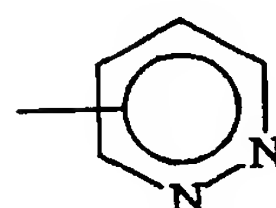
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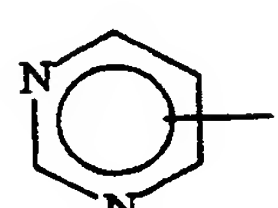
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Z-16

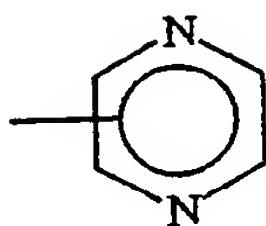


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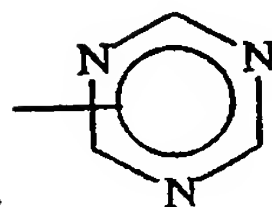


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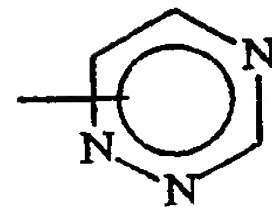
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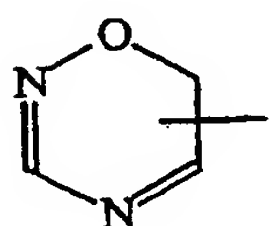
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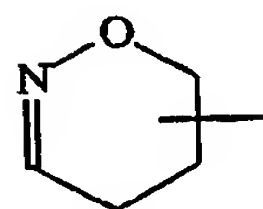
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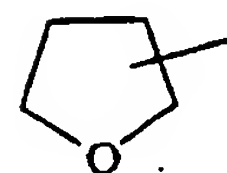
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Z-22

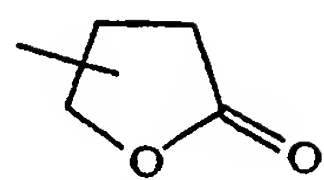


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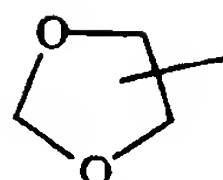


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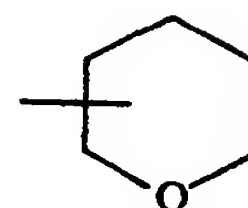
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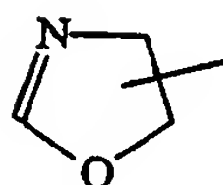
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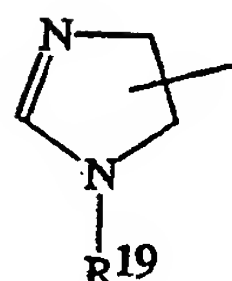
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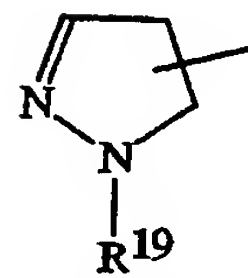
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Z-28

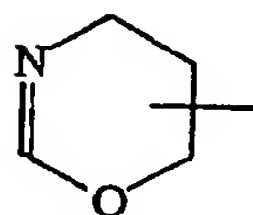


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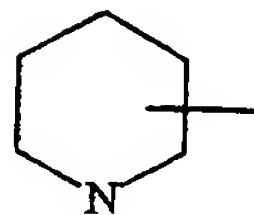


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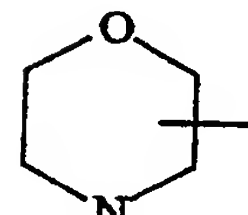
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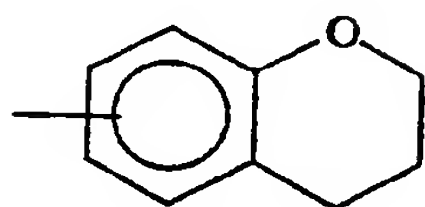
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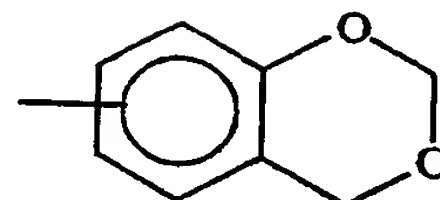
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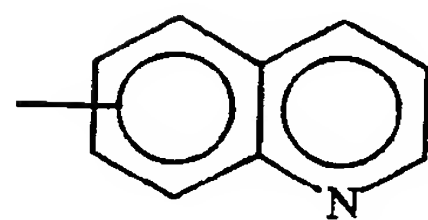
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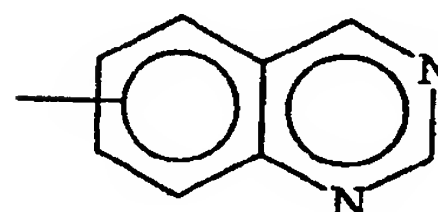
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Z-35

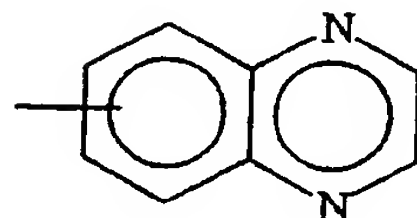


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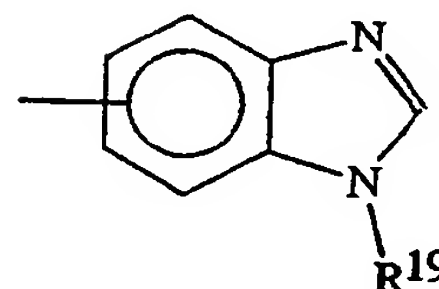


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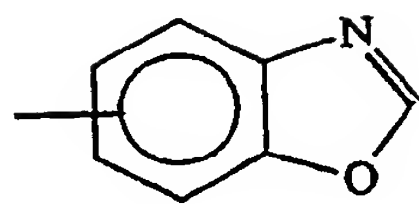


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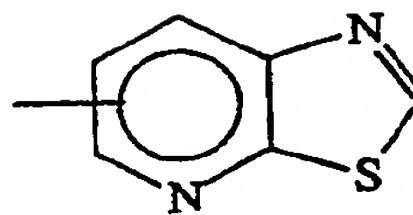


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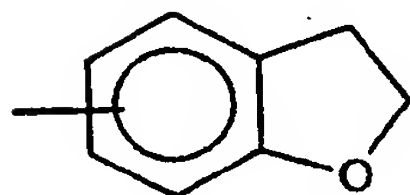
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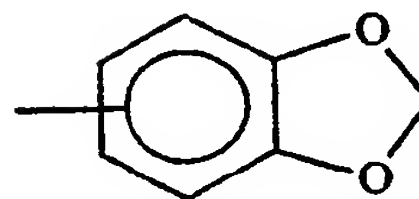
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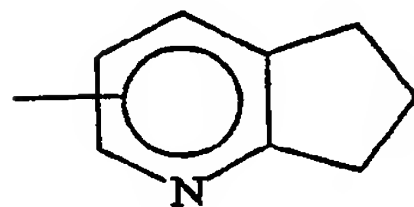
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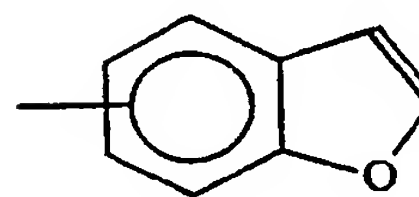
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Z-43

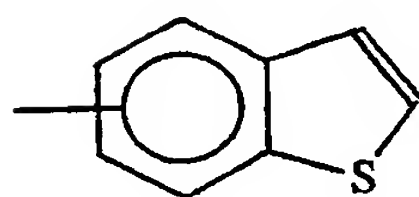


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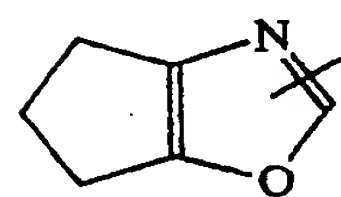


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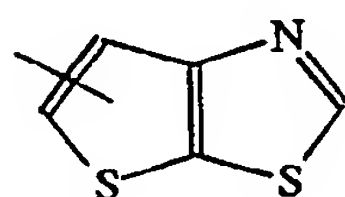
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Z-46

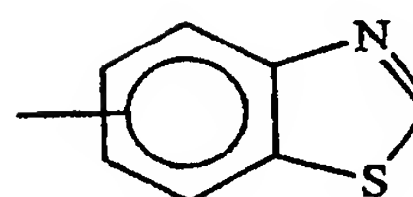


Z-47



Z-48

or



Z-49

10

each group optionally substituted with one R^9 , R^{10} , or both R^9 and R^{10} ;

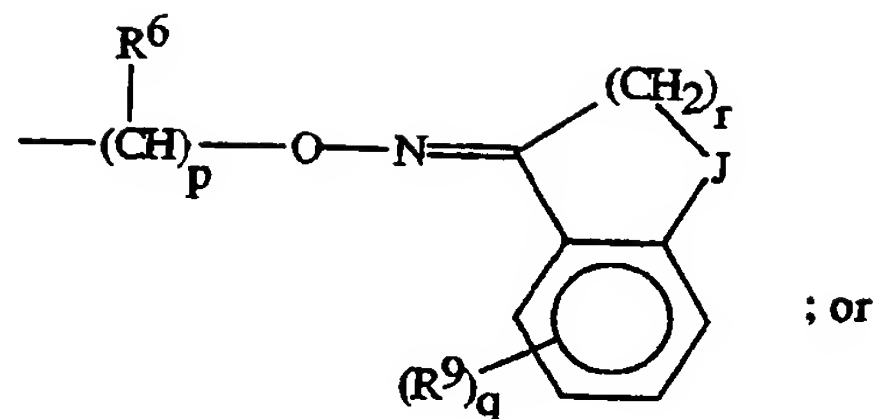
or

R^3 , Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R^4 ; or

15

Y and Z are taken together to form

74



R^8 is 1-6 halogen; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; or R^8 is phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ;

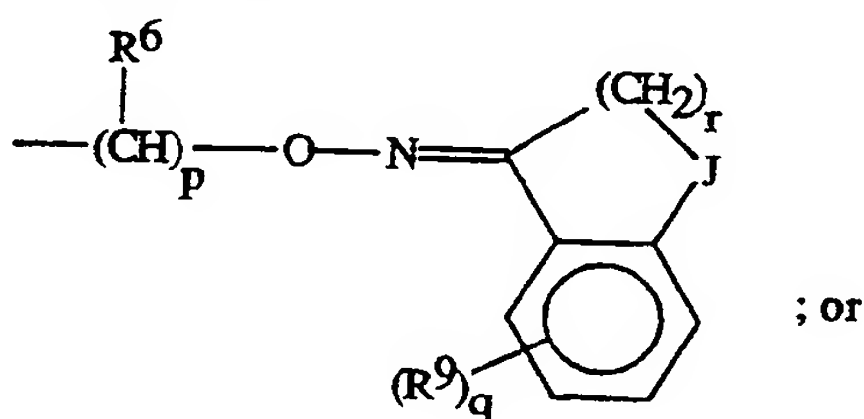
R^9 is 1-2 halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_1 - C_6 alkylthio; cyano; $CO_2(C_1$ - C_6 alkyl); $NH(C_1$ - C_6 alkyl); or $N(C_1$ - C_6 alkyl) $_2$; or R^9 is C_3 - C_6 cycloalkyl, phenyl, phenoxy, pyridinyl, pyridinyloxy, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ; and

R^{19} is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; or phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano.

3. A compound of Claim 2 wherein

Z is phenyl or Z -1 to Z -21, each optionally substituted with one of R^9 , R^{10} , or both R^9 and R^{10} ; or

Y and Z are taken together to form



J is $-CH_2-$ or $-CH_2CH_2-$;

p is 0; and

r is 1.

4. A compound of Claim 3 wherein

A is O; N; NR^5 ; or CR^{14} ;

X is OR^1 ;

R^1 is C_1 - C_3 alkyl;

R^2 is H or C_1 - C_2 alkyl;

R^3 and R^4 are each H;

Y is -O-; -CH=CH-; -CH₂O-; -OCH₂-; -CH₂O-N=C(R⁷)-; or
-CH₂OC(=O)NH-;

R⁷ is H; C₁-C₃ alkyl; or C₁-C₃ haloalkyl; and

Z is phenyl, pyridinyl, pyrimidinyl, or thienyl, each optionally substituted
with one of R⁹, R¹⁰, or both R⁹ and R¹⁰;

5

5. A compound of Claim 4 wherein

A is O or NR⁵;

G is C;

Y is -O-; -CH₂O-; -OCH₂-; or -CH₂O-N=C(R⁷)-; and

10

R⁷ is H; C₁-C₂ alkyl; or C₁-C₂ haloalkyl.

6. A compound of Claim 4 wherein

A is N or CR¹⁴;

G is N;

Y is -O-; -CH₂O-; -OCH₂-; or -CH₂O-N=C(R⁷)-;

15

R⁷ is H; C₁-C₂ alkyl; or C₁-C₂ haloalkyl.

7. A compound of Claim 5 wherein

R¹ is methyl;

R² is methyl; and

Z is phenyl optionally substituted with one of R⁹, R¹⁰, or both R⁹ and
R¹⁰.

20

8. A compound of Claim 6 wherein

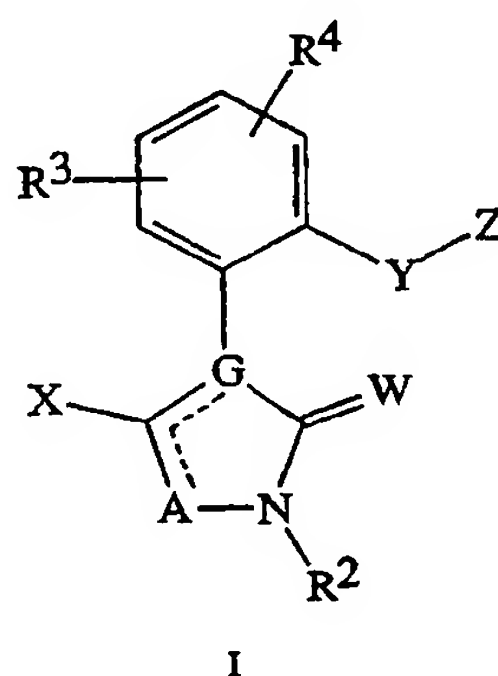
R¹ is methyl;

R² is methyl; and

Z is phenyl optionally substituted with one of R⁹, R¹⁰, or both R⁹ and
R¹⁰.

25

9. A fungicidal composition comprising an effective amount of a compound of
Formula I



wherein:

30

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;

W is O or S;

5 X is OR¹; S(O)_mR¹; or halogen;

R¹, R², and R⁵ are each independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxycarbonyl; or benzoyl optionally substituted with R¹³;

10 R³ and R⁴ are each independently H; halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; or C₂-C₆ alkynyloxy;

15 Y is -O-; -S(O)_n-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR⁶O-; -OCHR⁶-; -CHR⁶S(O)_n-; -S(O)_nCHR⁶-; -CHR⁶O-N=C(R⁷)-; -(R⁷)C=N-OCH(R⁶)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR⁶OC(=O)N(R¹⁵)-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;

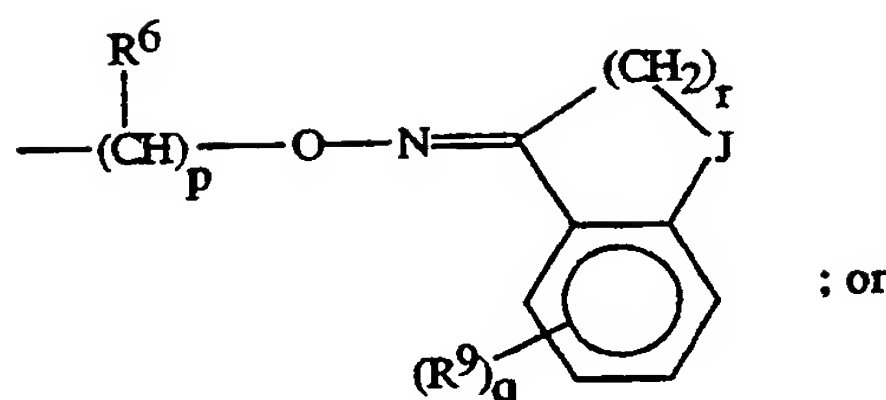
20 R⁶ is independently H or C₁-C₃ alkyl;

R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; cyano; or morpholinyl;

25 Z is C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each optionally substituted with R⁸; or Z is C₃-C₈ cycloalkyl or phenyl each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is a 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring system containing 1 to 6 heteroatoms independently selected from the group
30 1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or

35 R⁷ and Z are taken together to form CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, CH₂CH₂OCH₂CH₂, each CH₂ group optionally substituted with 1-2 halogen; or

Y and Z are taken together to form



R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; provided that when R³, Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R⁴, and A is S, W is O, X is SCH₃ and R² is CH₃, then R⁴ is other than H;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group optionally substituted with 1 to 2 CH₃;

R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; or nitro; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; or nitro; or R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

R¹⁰ is halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or R⁹ and R¹⁰, when attached to adjacent atoms, are taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group optionally substituted with 1-2 halogen;

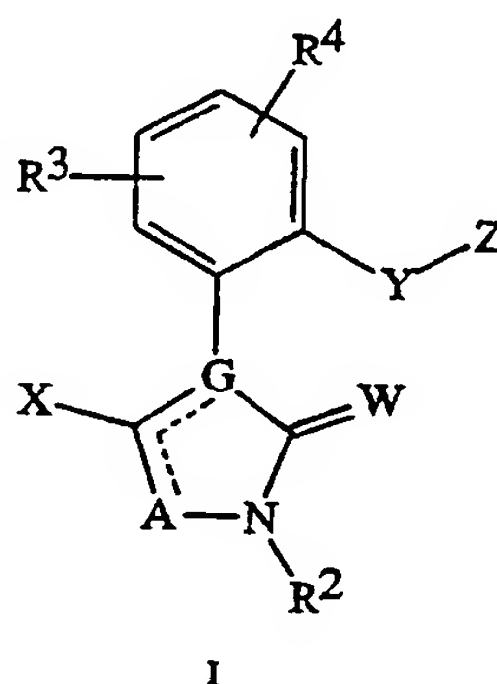
R¹¹ and R¹² are each independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; nitro; or cyano;

R¹³ is halogen; C₁-C₃ alkyl; C₁-C₃ haloalkyl; C₁-C₃ alkoxy; C₁-C₃ haloalkoxy; nitro; or cyano;

R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

R^{15} , R^{16} , R^{17} , and R^{18} are each independently H; C_1 - C_3 alkyl; or phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;
 m , n and q are each independently 0, 1 or 2;
 p and r are each independently 0 or 1; and
 at least one of (a) a surfactant, (b) an organic solvent, and (c) at least one solid or liquid diluent.

10. A method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, an effective amount of a compound of Formula I



wherein:

- A is O; S; N; NR^5 ; or CR^{14} ;
 G is C or N; provided that when G is C, A is O, S or NR^5 and the floating double bond is attached to G ; and when G is N, A is N or CR^{14} and the floating double bond is attached to A;
 W is O or S;
 X is OR^1 ; $S(O)_mR^1$; or halogen;
 R^1 , R^2 , and R^5 are each independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; C_2 - C_4 alkylcarbonyl, C_2 - C_4 alkoxy carbonyl; or benzoyl optionally substituted with R^{13} ;
 R^3 and R^4 are each independently H; halogen; cyano; nitro; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_2 - C_6 alkenyloxy; or C_2 - C_6 alkynyloxy;
 Y is $-O-$; $-S(O)_n-$; $-CHR^6CHR^6-$; $-CR^6=CR^6-$; $-C\equiv C-$; $-CHR^6O-$; $-OCHR^6-$; $-CHR^6S(O)_n-$; $-S(O)_nCHR^6-$; $-CHR^6O-N=C(R^7)-$; $-(R^7)C=N-OCH(R^6)-$; $-C(R^7)=N-O-$; $-O-N=C(R^7)-$; $-CHR^6OC(=O)N(R^{15})-$; or a direct bond; and

the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to the phenyl ring and the moiety on the right side of the linkage is bonded to Z;

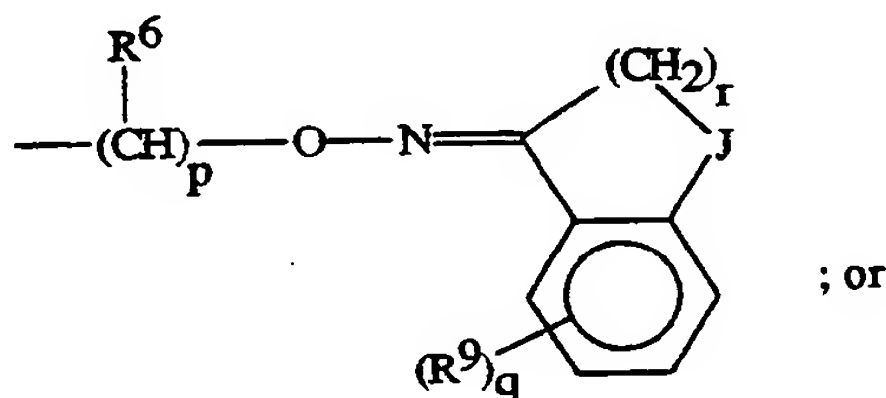
R⁶ is independently H or C₁-C₃ alkyl;

5 R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; cyano; or morpholinyl;

10 Z is C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each optionally substituted with R⁸; or Z is C₃-C₈ cycloalkyl or phenyl each optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or Z is a 3 to 14-membered nonaromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, or Z is a 5 to 14-membered aromatic heterocyclic ring system selected from the group monocyclic ring, fused bicyclic ring and fused tricyclic ring, each nonaromatic or aromatic ring
15 system containing 1 to 6 heteroatoms independently selected from the group 1-4 nitrogen, 1-2 oxygen, and 1-2 sulfur, each nonaromatic or aromatic ring system optionally substituted with one of R⁹, R¹⁰, or both R⁹ and R¹⁰; or

20 R⁷ and Z are taken together to form CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, CH₂CH₂OCH₂CH₂, each CH₂ group optionally substituted with 1-2 halogen; or

Y and Z are taken together to form



25 R³, Y, and Z are taken together with the phenyl ring to form a naphthalene ring substituted on either ring with a floating R⁴; provided that when R³, Y, and Z are taken together with the phenyl ring to form a naphthylene ring substituted by R⁴, and A is S, W is O, X is SCH₃ and R² is CH₃, then R⁴ is other than H;

30 J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; or -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group optionally substituted with 1 to 2 CH₃;

R⁸ is 1-6 halogen; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂;

cyano; or nitro; or R⁸ is phenyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

5 R⁹ is 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; or nitro; or R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

R¹⁰ is halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or R⁹ and R¹⁰, when attached to adjacent atoms, are taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group optionally substituted with 1-2 halogen;

15 R¹¹ and R¹² are each independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; nitro; or cyano;

R¹³ is halogen; C₁-C₃ alkyl; C₁-C₃ haloalkyl; C₁-C₃ alkoxy; C₁-C₃ haloalkoxy; nitro; or cyano;

20 R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

R¹⁵, R¹⁶, R¹⁷, and R¹⁸ are each independently H; C₁-C₃ alkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

m, n and q are each independently 0, 1 or 2; and

25 p and r are each independently 0 or 1.

INTERNATIONAL SEARCH REPORT

Inter. Application No
PCT/US 94/09525

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D249/12 C07D261/12 C07D275/03 C07D231/14 C07D233/30
A01N43/74 A01N43/56 A01N43/653 A01N43/50

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
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| X | US,A,4 098 896 (L.H. EDWARDS) 4 July 1978 see the whole document, particularly starting material for example 17 --- | 1,2,9 |
| X | JOURNAL OF ORGANIC CHEMISTRY, vol.48, no.16, 12 August 1983, WASHINGTON US pages 2654 - 2660 J.F.W. KEANA ET AL. 'Potent hydrophilic dienophiles. Synthesis and aqueous stability of several 4-aryl- and sulfonated 4-aryl-1,2,4-triazoline-3,5-diones and their immobilization on silica gel' see page 2655, compound 17 and page 2656, compound 25 --- -/-- | 1,2,9 |

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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- * & * document member of the same patent family

Date of the actual completion of the international search

16 March 1995

Date of mailing of the international search report

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Allard, M

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 94/09525

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
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| X | --- US,A,4 881 967 (J.E. SEMPLE) 21 November 1989 see the whole document, particularly example 35, column 10, table 13 and column 80, compound 82 | 1,2,9 |
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INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 94/09525

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
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| WO-A-9307116 | 15-04-93 | AU-A- 2513992 | 03-05-93 |
| | | EP-A- 0606251 | 20-07-94 |



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INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

| | | |
|---|-----------|--|
| (51) International Patent Classification ⁶: A01N 43/00, C07D 249/12, 261/12, 401/00, 403/00, 405/00, 409/00, 413/00, 417/00 | A1 | (11) International Publication Number: WO 97/00612 (43) International Publication Date: 9 January 1997 (09.01.97) |
| (21) International Application Number: PCT/US96/10326 (22) International Filing Date: 13 June 1996 (13.06.96) (30) Priority Data: 60/000,341 20 June 1995 (20.06.95) US (60) Parent Application or Grant (63) Related by Continuation US 60/000,341 (CIP) Filed on 20 June 1995 (20.06.95) (71) Applicant (for all designated States except US): E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): BROWN, Richard, James [US/US]; 225 North Star Road, Newark, DE 19711-2939 (US). CHAN, Dominic, Ming-Tak [US/US]; 4655 Dartmoor Drive, Wilmington, DE 19803-4807 (US). HOWARD, Michael, Henry, Jr. [US/US]; 908 Montchanin Road, Rockland, DE 19732-0407 (US). DANIEL, Dilon, Jancey [GD/US]; 3407 Christiana Meadows, Bear, DE | | 19701-2867 (US). CLARK, David, Alan [GB/US]; Martin Hall #9, English Village Apartments, Newark, DE 19711 (US). SELBY, Thomas, Paul [US/US]; 116 Hunter Court, Wilmington, DE 19808-1978 (US). (74) Agent: HEISER, David, E.; E.I. du Pont de Nemours and Company, Legal Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US). (81) Designated States: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> |
| (54) Title: ARTHROPODICIDAL AND FUNGICIDAL CYCLIC AMIDES (57) Abstract <p>Uses of compounds of Formula (I), and their <i>N</i>-oxides and agriculturally suitable salts, as arthropodicides are disclosed, wherein E is an optionally substituted 1,2-phenylene, and optionally substituted naphthalene ring, or a ring system selected from certain 5 to 12-membered monocyclic and fused bicyclic aromatic heterocyclic ring systems as defined in the disclosure; A is O; S; N; NR⁵; or CR¹⁴; G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the floating double bond is attached to A; W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl); X is H; OR¹; S(O)_mR¹; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₃-C₆ cycloalkyl; cyano; NH₂; NHR¹; N(C₁-C₆ alkyl)R¹; NH(C₁-C₆ alkoxy); or N(C₁-C₆ alkoxy)R¹; R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy; or acetyloxy; R¹, R⁵, Y, Z, R¹⁴ and m are as defined in the disclosure. Also disclosed are compounds and compositions of Formula (IA) as defined in the disclosure and their use as arthropodicides and fungicides, and compounds and compositions of Formula (IB) as defined in the disclosure and their use as arthropodicides and fungicides. Also disclosed are compounds of Formula (II) as defined in the disclosure which are useful as intermediates for the preparation of the fungicides and arthropodicides of this invention where Y is oxygen and E is 1,2-phenylene.</p> <div data-bbox="971 1650 1437 1944"><p style="text-align: right;">(I)</p></div> | | |

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

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TITLE

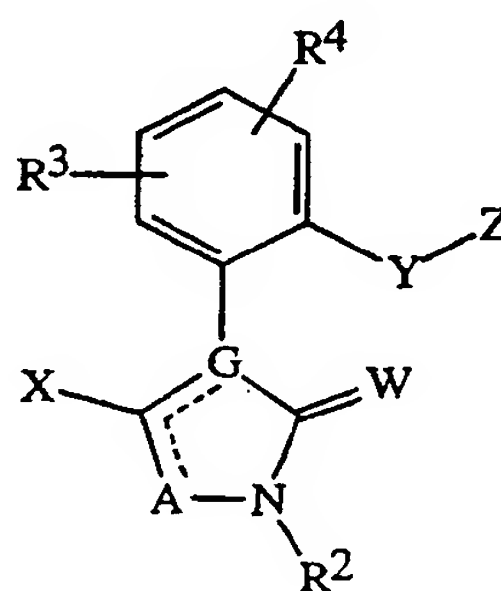
ARTHROPODICIDAL AND FUNGICIDAL CYCLIC AMIDES

BACKGROUND OF THE INVENTION

This invention relates to certain cyclic amides, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as fungicides and arthropodicides.

The control of plant diseases caused by fungal plant pathogens is extremely important in achieving high crop efficiency. Plant disease damage to ornamental, vegetable, field, cereal, and fruit crops can cause significant reduction in productivity and thereby result in increased costs to the consumers. The control of arthropod pests is also extremely important in achieving high crop efficiency. Arthropod damage to growing and stored agronomic crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. The control of arthropod pests in forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health is also important. Many products are commercially available for these purposes, but the need continues for new compounds which are more effective, less costly, less toxic, environmentally safer or have different modes of action.

WO 95/14009 discloses cyclic amides of Formula i as fungicides:



i

wherein

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, A is N or CR¹⁴ and the floating double bond is attached to A;

W is O or S;

X is OR¹; S(O)_mR¹; or halogen;

R¹, R², and R⁵ are each independently, in part, H or C₁-C₆ alkyl;

R^3 and R^4 are each independently, in part, H; halogen; cyano; nitro; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; or C_1 - C_6 haloalkoxy;

Y is, in part, -O-; $-CR^6=CR^6$ -; $-C\equiv C$ -; $-CHR^6O$ -; $-OCHR^6$ -; $-CHR^6O-N=C(R^7)$ -; $-(R^7)C=N-OCH(R^6)$ -; $-C(R^7)=N-O$ -; $-O-N=C(R^7)$ -; or a direct bond;

5 R^6 is independently H or C_1 - C_3 alkyl;

R^7 is, in part, H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; or C_1 - C_6 alkoxy;

Z is, in part, an optionally substituted phenyl, 3 to 14-membered nonaromatic heterocyclic ring system or 5 to 14-membered aromatic heterocyclic ring system;

10 R^{14} is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; or C_3 - C_6 cycloalkyl; and

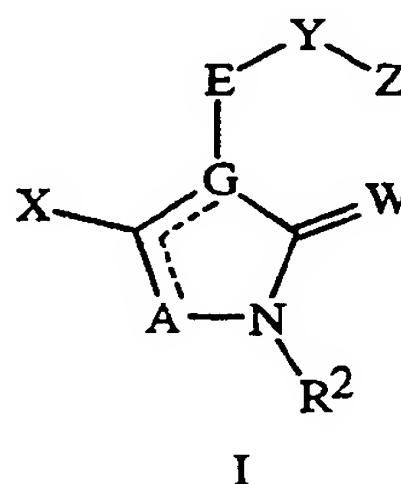
m is 0, 1 or 2.

This publication does not disclose use of the compounds as arthropodicides.

Furthermore, this publication does not disclose compounds where the optional
 15 substituents on Z are themselves substituted with C_2 - C_6 alkenyl, C_2 - C_6 haloalkenyl, C_2 - C_6 alkynyl, C_2 - C_6 haloalkynyl, C_3 - C_6 alkenyloxy, C_3 - C_6 haloalkenyloxy, C_1 - C_4 alkylthio, C_1 - C_4 haloalkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 haloalkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 haloalkylsulfonyl, C_3 - C_6 alkenylthio, C_3 - C_6 haloalkenylthio, or SF_5 .

SUMMARY OF THE INVENTION

20 This invention involves compounds of Formula I including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof:



wherein

25 E is selected from:

- i) 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;
- ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; and
- 30 iii) a ring system selected from 5 to 12-membered monocyclic and fused

bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each fused bicyclic ring system optionally containing one nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from C(=O) and S(O)₂, provided that G is attached to an aromatic ring, and when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, each aromatic heterocyclic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the floating double bond is attached to A;

W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl);

X is H; OR¹; S(O)_mR¹; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₃-C₆ cycloalkyl; cyano; NH₂; NHR¹; N(C₁-C₆ alkyl)R¹; NH(C₁-C₆ alkoxy); or N(C₁-C₆ alkoxy)R¹;

R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;

R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆ alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O); (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each substituted with R⁸ and optionally substituted with one or more R¹⁰; or when E is 1,2-phenylene and R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅

alkenylene or C₃-C₅ haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;

R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CHR⁶-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR¹⁵O-; -OCHR¹⁵-; -CHR¹⁵S(O)_n-; -S(O)_nCHR¹⁵-; -CHR¹⁵O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR¹⁵OC(=O)N(R¹⁵)-; -CHR¹⁵OC(=S)N(R¹⁵)-; -CHR¹⁵OC(=O)O-; -CHR¹⁵OC(=S)O-; -CHR¹⁵OC(=O)S-; -CHR¹⁵OC(=S)S-; -CHR¹⁵SC(=O)N(R¹⁵)-; -CHR¹⁵SC(=S)N(R¹⁵)-; -CHR¹⁵SC(=O)O-; -CHR¹⁵SC(=S)O-; -CHR¹⁵SC(=O)S-; -CHR¹⁵SC(=S)S-; -CHR¹⁵SC(=NR¹⁵)S-; -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=S)N(R¹⁵)-; -CHR¹⁵O-N=C(R⁷)NR¹⁵-; -CHR¹⁵O-N=C(R⁷)OCH₂-; -CHR¹⁵O-N=C(R⁷)-N=N-; -CHR¹⁵O-N=C(R⁷)-C(=O)-; -CHR¹⁵O-N=C(R⁷)-C(=N-A²-Z¹)-A¹-; -CHR¹⁵O-N=C(R⁷)-C(R⁷)=N-A²-A³-; -CHR¹⁵O-N=C(-C(R⁷)=N-A²-Z¹)-; -CHR¹⁵O-N=C(R⁷)-CH₂O-; -CHR¹⁵O-N=C(R⁷)-CH₂S-; -O-CH₂CH₂O-N=C(R⁷)-; -CHR¹⁵O-C(R¹⁵)=C(R⁷)-; -CHR¹⁵O-C(R⁷)=N-; -CHR¹⁵S-C(R⁷)=N-; -C(R⁷)=N-NR¹⁵-; -CH=N-N=C(R⁷)-; -CHR¹⁵N(R¹⁵)-N=C(R⁷)-; -CHR¹⁵N(COCH₃)-N=C(R⁷)-; -OC(=S)NR¹⁵C(=O)-; -CHR⁶-C(=W¹)-A¹-; -CHR⁶CHR⁶-C(=W¹)-A¹-; -CR⁶=CR⁶-C(=W¹)-A¹-; -C≡C-C(=W¹)-A¹-; -N=CR⁶-C(=W¹)-A¹-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to E and the moiety on the right side of the linkage is bonded to Z;

Z¹ is H or -A³-Z;

W¹ is O or S;

A¹ is O; S; NR¹⁵; or a direct bond;

A² is O; NR¹⁵; or a direct bond;

A³ is -C(=O)-; -S(O)₂-; or a direct bond;

each R⁶ is independently H; 1-2 CH₃; C₂-C₃ alkyl; C₁-C₃ alkoxy; C₃-C₆ cycloalkyl; formylamino; C₂-C₄ alkylcarbonylamino; C₂-C₄ alkoxycarbonylamino; NH₂C(O)NH; (C₁-C₃ alkyl)NHC(O)NH;

(C₁-C₃ alkyl)₂NC(O)NH; N(C₁-C₃ alkyl)₂; piperidinyl; morpholinyl;
1-2 halogen; cyano; or nitro;

each R⁷ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₁-C₆
haloalkylthio; C₁-C₆ haloalkylsulfinyl; C₁-C₆ haloalkylsulfonyl; C₂-C₆
alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆
cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; halogen; cyano;
nitro; hydroxy; amino; NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; or morpholinyl;

each Z is independently selected from:

- 10 i) C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, and C₂-C₁₀ alkynyl each substituted with R⁹
and optionally substituted with one or more R¹⁰;
- ii) C₃-C₈ cycloalkyl, C₃-C₈ cycloalkenyl and phenyl each substituted with R⁹
and optionally substituted with one or more R¹⁰;
- 15 iii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic
and fused tricyclic nonaromatic heterocyclic ring systems and 5 to
14-membered monocyclic, fused bicyclic and fused tricyclic aromatic
heterocyclic ring systems, each heterocyclic ring system containing 1 to 6
heteroatoms independently selected from the group nitrogen, oxygen, and
sulfur, provided that each heterocyclic ring system contains no more than 4
20 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each
nonaromatic or aromatic heterocyclic ring system substituted with R⁹ and
optionally substituted with one or more R¹⁰;
- iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic
and fused-tricyclic ring systems which are an aromatic carbocyclic ring
25 system, a nonaromatic carbocyclic ring system, or a ring system containing
one or two nonaromatic rings that each include one or two Q as ring
members and one or two ring members independently selected from C(=O)
and S(O)₂, and any remaining rings as aromatic carbocyclic rings, each
multicyclic ring system substituted with R⁹ and optionally substituted with
30 one or more R¹⁰; and
- v) adamantyl substituted with R⁹ and optionally substituted with one or more
R¹⁰;

each Q is independently selected from the group -CHR¹³-, -NR¹³-, -O-, and
-S(O)_p-;

35 R⁸ is H; 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆

alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl;
 C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl);
 N(C₁-C₆ alkyl)₂; cyano; nitro; SiR¹⁹R²⁰R²¹; or GeR¹⁹R²⁰R²¹;

R⁹ is H; 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
 haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆
 alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl;
 C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl);
 N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; nitro; SF₅; SiR²²R²³R²⁴; or
 GeR²²R²³R²⁴; or R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl,
 pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each
 optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

each R¹⁰ is independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy;
 nitro; or cyano; or

when R⁹ and an R¹⁰ are attached to adjacent atoms on Z, R⁹ and said adjacently
 attached R¹⁰ can be taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂
 group of said taken together R⁹ and R¹⁰ optionally substituted with 1-2
 halogen; or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is
 -CHR¹⁵O-N=C(R⁷)-, -O-N=C(R⁷)-, -O-CH₂CH₂O-N=C(R⁷)-,
 -CHR¹⁵O-C(R¹⁵)=C(R⁷)-, -CH=N-N=C(R⁷)-, -CHR¹⁵N(R¹⁵)-N=C(R⁷)- or
 -CHR¹⁵N(COCH₃)-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be
 taken together as -(CH₂)_r-J- such that J is attached to Z;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or
 -CH₂N(R¹⁶)-; each CH₂ group of said J optionally substituted with 1 to 2
 CH₃;

R¹¹ and R¹² are each independently 1-2 halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl;
 C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₂-C₆
 alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀
 tetrahydropyranyloxyalkynyl; benzyloxymethyl; C₁-C₄ alkoxy; C₁-C₄
 haloalkoxy; C₃-C₆ alkenyloxy; C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy;
 C₃-C₆ haloalkynyloxy; C₂-C₆ alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy;
 C₂-C₆ alkylthioalkoxy; C₁-C₄ alkylthio; C₁-C₄ haloalkylthio; C₁-C₄
 alkylsulfinyl; C₁-C₄ haloalkylsulfinyl; C₁-C₄ alkylsulfonyl; C₁-C₄
 haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₂-C₆
 alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; N(R²⁶)₂; SF₅;
 Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶;

- $C(=S)R^{26}$; $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$;
 $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$;
 $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$;
 $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$;
 $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, phenoxy, benzyl,
 5 benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally
 substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4
 haloalkoxy, nitro or cyano;
- each R^{13} is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; or phenyl optionally
 10 substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4
 haloalkoxy, nitro or cyano;
- R^{14} is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl;
 C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; or C_3 - C_6 cycloalkyl;
- each R^{15} is independently H; C_1 - C_3 alkyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl,
 15 each optionally substituted on the phenyl ring with halogen, C_1 - C_4 alkyl,
 C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano; or
- when Y is $-CHR^{15}N(R^{15})C(=O)N(R^{15})-$, the two R^{15} attached to nitrogen atoms
 on said group can be taken together as $-(CH_2)_5-$; or
- when Y is $-CHR^{15}O-N=C(R^7)NR^{15}-$, R^7 and the adjacently attached R^{15} can be
 20 taken together as $-CH_2-(CH_2)_5-$; $-O-(CH_2)_5-$; $-S-(CH_2)_5-$; or
 $-N(C_1-C_3 \text{ alkyl})-(CH_2)_5-$; with the directionality of said linkage defined such
 that the moiety depicted on the left side of the linkage is bonded to the
 carbon and the moiety on the right side of the linkage is bonded to the
 nitrogen;
- 25 R^{16} , R^{17} , and R^{18} are each independently H; C_1 - C_3 alkyl; C_3 - C_6 cycloalkyl; or
 phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl,
 C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;
- R^{19} , R^{20} , R^{21} , R^{22} , R^{23} , and R^{24} are each independently C_1 - C_6 alkyl; C_2 - C_6
 alkenyl; C_1 - C_4 alkoxy; or phenyl;
- 30 each R^{25} is independently C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_4 alkenyl; C_1 - C_4
 alkoxy; or phenyl;
- each R^{26} is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6
 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl
 or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4
 35 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

each R^{27} is independently C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

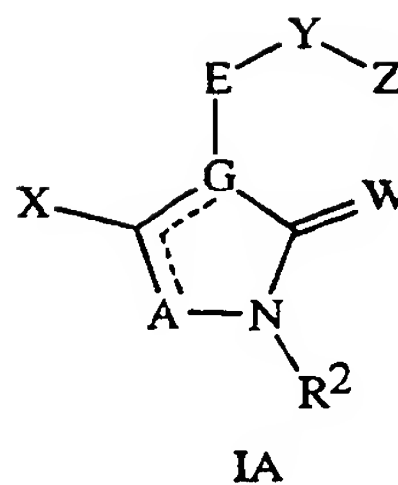
5 m, n and p are each independently 0, 1 or 2;
r is 0 or 1; and
s is 2 or 3.

This invention provides a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound of Formula I including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, provided that:

- 10 (i) when E is 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; X is OR^1 , $S(O)_mR^1$ or halogen; Y is $-O-$, $-S(O)_n-$, $-NR^{15}-$, $-C(=O)-$, $-CH(OR^{15})-$, $-CHR^6-$, $-CHR^6CHR^6-$, $-CR^6=CR^6-$, $-C\equiv C-$, $-CHR^{15}O-$, $-OCHR^{15}-$, $-CHR^{15}S(O)_n-$, $-S(O)_nCHR^{15}-$, $-CHR^{15}O-N=C(R^7)-$, $-(R^7)C=N-OCH(R^{15})-$, $-C(R^7)=N-O-$, $-O-N=C(R^7)-$, $-CHR^{15}OC(=O)N(R^{15})-$ or a direct bond; and R^9 is $SiR^{22}R^{23}R^{24}$ or $GeR^{22}R^{23}R^{24}$; then Z is other than phenyl or a 5 to 14-membered aromatic heterocyclic ring system each substituted with R^9 and optionally substituted with one or more R^{10} ;
- 15 20 (ii) when E is a naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; R^3 or R^4 is $Si(R^{25})_3$ or $Ge(R^{25})_3$; and Y is $-O-$, $-S(O)_n-$, $-C(=O)-$, $-CHR^6-$, $-CHR^6CHR^6-$, $-CR^6=CR^6-$, $-C\equiv C-$, $-OCHR^{15}-$, $-S(O)_nCHR^{15}-$ or a direct bond; then Z is other than C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl or C_2 - C_{10} alkynyl each substituted with R^9 and optionally substituted with one or more R^{10} ; and
- 25 30 (iii) when E is a naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; R^3 or R^4 is $Si(R^{25})_3$ or $Ge(R^{25})_3$; and Y is $-S(O)_n-$, $-C(=O)-$, $-C\equiv C-$ or a direct bond; then Z is other than phenyl substituted with R^9 and optionally substituted with one or more R^{10} .

This invention also provides selected compounds of Formula I which are considered particularly effective fungicides and arthropodicides. Specifically, this invention provides compounds of Formula IA including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, and agricultural compositions containing them and their use as fungicides and arthropodicides:

9



wherein

E is 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;
A is O or N;

5 G is C or N; provided that when G is C, then A is O and the floating double bond is attached to G; and when G is N, then A is N and the floating double bond is attached to A;

W is O;

X is OR^1 ;

10 R^1 is C_1 - C_3 alkyl;

R^2 is H or C_1 - C_2 alkyl;

R^3 and R^4 are each independently halogen; cyano; nitro; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; or C_1 - C_6 haloalkoxy; C_1 - C_6 alkylsulfonyl; C_2 - C_6 alkylcarbonyl; C_2 - C_6 alkoxy carbonyl; $(C_1$ - C_4 alkyl)NHC(O);
15 $(C_1$ - C_4 alkyl) $_2$ NC(O); benzoyl; or phenylsulfonyl;

Y is -O-; -S(O) $_n$ -; -NR 15 -; -C(=O)-; -CH(OR 15)-; -CH $_2$ -; -CH $_2$ CH $_2$ -; -CH=CH-; -C \equiv C-; -CH $_2$ O-; -OCH $_2$ -; -CH $_2$ S(O) $_n$ -; -S(O) $_n$ CH $_2$ -; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to E and the moiety on the right side of the linkage is bonded to Z;
20

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; 1,3,4-thiadiazolyl; and pyrazinyl; each group substituted with R^9 and optionally substituted with one or more R^{10} ;

R^9 is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_1 - C_6 alkylthio; C_1 - C_6 haloalkylthio; C_1 - C_6 alkylsulfinyl; C_1 - C_6 alkylsulfonyl; C_3 - C_6 cycloalkyl; C_3 - C_6 alkenyloxy; CO $_2$ (C_1 - C_6 alkyl); NH(C_1 - C_6 alkyl); N(C_1 - C_6 alkyl) $_2$; -C(R^{18})=NOR 17 ; cyano; nitro; SF $_5$; SiR 22 R 23 R 24 ; or GeR 22 R 23 R 24 ; or R^9 is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one
25
30

of R^{11} , R^{12} , or both R^{11} and R^{12} ; provided that when Z is pyrazinyl, then R^9 is other than H or C_1 - C_6 haloalkyl;

each R^{10} is independently halogen; C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_1 - C_4 alkoxy; nitro; or cyano; or

5 when R^9 and an R^{10} are attached to adjacent atoms on Z, R^9 and said adjacently attached R^{10} can be taken together as $-OCH_2O-$ or $-OCH_2CH_2O-$; each CH_2 group of said taken together R^9 and R^{10} optionally substituted with 1-2 halogen;

10 R^{11} and R^{12} are each independently 1-2 halogen; C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_2 - C_6 alkoxyalkyl; C_2 - C_6 alkylthioalkyl; C_3 - C_6 alkoxyalkynyl; C_7 - C_{10} tetrahydropyranyloxyalkynyl; benzyloxymethyl; C_1 - C_4 alkoxy; C_1 - C_4 haloalkoxy; C_3 - C_6 alkenyloxy; C_3 - C_6 haloalkenyloxy; C_3 - C_6 alkynyloxy; C_3 - C_6 haloalkynyloxy; C_2 - C_6 alkoxyalkoxy; C_5 - C_9 trialkylsilylalkoxyalkoxy; 15 C_2 - C_6 alkylthioalkoxy; C_1 - C_4 alkylthio; C_1 - C_4 haloalkylthio; C_1 - C_4 alkylsulfinyl; C_1 - C_4 haloalkylsulfinyl; C_1 - C_4 alkylsulfonyl; C_1 - C_4 haloalkylsulfonyl; C_3 - C_6 alkenylthio; C_3 - C_6 haloalkenylthio; C_2 - C_6 alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; $N(R^{26})_2$; SF_5 ; $Si(R^{25})_3$; $Ge(R^{25})_3$; $(R^{25})_3Si-C\equiv C-$; $OSi(R^{25})_3$; $OGe(R^{25})_3$; $C(=O)R^{26}$; 20 $C(=S)R^{26}$; $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$; $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$; $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$; $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, phenoxy, benzyl, 25 benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

R^{15} is H; C_1 - C_3 alkyl; or cyclopropyl;

30 R^{17} and R^{18} are each independently H; C_1 - C_3 alkyl; C_3 - C_6 cycloalkyl; or phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

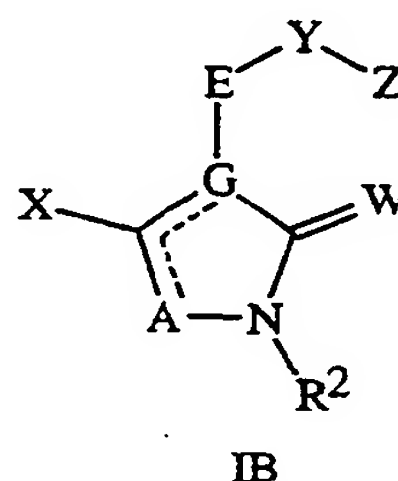
R^{22} , R^{23} , and R^{24} are each independently C_1 - C_6 alkyl; C_2 - C_6 alkenyl; C_1 - C_4 alkoxy; or phenyl;

35 each R^{25} is independently C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_4 alkenyl; C_1 - C_4 alkoxy; or phenyl;

each R^{26} is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

each R^{27} is independently C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano; and n is 0, 1 or 2.

This invention also provides certain compounds of Formula I which are useful as fungicides and arthropodicides. Specifically, this invention provides compounds of Formula IB including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, and agricultural compositions containing them and their use as fungicides and arthropodicides:



wherein

E is selected from:

- i) 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;
- ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; and
- iii) a ring system selected from 5 to 12-membered monocyclic and fused bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each fused bicyclic ring system optionally containing one nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from

C(=O) and S(O)₂, provided that G is attached to an aromatic ring, and when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, each aromatic heterocyclic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

5 A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the floating double bond is attached to A;

W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl);

10 X is H; OR¹; S(O)_mR¹; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₃-C₆ cycloalkyl; cyano; NH₂; NHR¹; N(C₁-C₆ alkyl)R¹; NH(C₁-C₆ alkoxy); or N(C₁-C₆ alkoxy)R¹;

15 R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;

20 R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆ alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O);

25 (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each substituted with R⁸ and optionally substituted with one or more R¹⁰; or

when E is 1,2-phenylene and R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅ alkenylene or C₃-C₅ haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;

30 R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

35 Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CHR⁶-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR¹⁵O-; -OCHR¹⁵-; -CHR¹⁵S(O)_n-; -S(O)_nCHR¹⁵-; -CHR¹⁵O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-;

- CHR¹⁵OC(=O)N(R¹⁵)-; -CHR¹⁵OC(=S)N(R¹⁵)-; -CHR¹⁵OC(=O)O-;
 -CHR¹⁵OC(=S)O-; -CHR¹⁵OC(=O)S-; -CHR¹⁵OC(=S)S-;
 -CHR¹⁵SC(=O)N(R¹⁵)-; -CHR¹⁵SC(=S)N(R¹⁵)-; -CHR¹⁵SC(=O)O-;
 -CHR¹⁵SC(=S)O-; -CHR¹⁵SC(=O)S-; -CHR¹⁵SC(=S)S-;
 5 -CHR¹⁵SC(=NR¹⁵)S-; -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-;
 -CHR¹⁵O-N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=S)N(R¹⁵)-;
 -CHR¹⁵O-N=C(R⁷)NR¹⁵-; -CHR¹⁵O-N=C(R⁷)OCH₂-;
 -CHR¹⁵O-N=C(R⁷)-N=N-; -CHR¹⁵O-N=C(R⁷)-C(=O)-;
 -CHR¹⁵O-N=C(R⁷)-C(=N-A²-Z¹)-A¹-;
 10 -CHR¹⁵O-N=C(R⁷)-C(R⁷)=N-A²-A³-; -CHR¹⁵O-N=C(-C(R⁷)=N-A²-Z¹)-;
 -CHR¹⁵O-N=C(R⁷)-CH₂O-; -CHR¹⁵O-N=C(R⁷)-CH₂S-;
 -O-CH₂CH₂O-N=C(R⁷)-; -CHR¹⁵O-C(R¹⁵)=C(R⁷)-; -CHR¹⁵O-C(R⁷)=N-;
 -CHR¹⁵S-C(R⁷)=N-; -C(R⁷)=N-NR¹⁵-; -CH=N-N=C(R⁷)-;
 -CHR¹⁵N(R¹⁵)-N=C(R⁷)-; -CHR¹⁵N(COCH₃)-N=C(R⁷)-;
 15 -OC(=S)NR¹⁵C(=O)-; -CHR⁶-C(=W¹)-A¹-; -CHR⁶CHR⁶-C(=W¹)-A¹-;
 -CR⁶=CR⁶-C(=W¹)-A¹-; -C≡C-C(=W¹)-A¹-; -N=CR⁶-C(=W¹)-A¹-; or a
 direct bond; and the directionality of the Y linkage is defined such that the
 moiety depicted on the left side of the linkage is bonded to E and the moiety
 on the right side of the linkage is bonded to Z;
 20 Z¹ is H or -A³-Z;
 W¹ is O or S;
 A¹ is O; S; NR¹⁵; or a direct bond;
 A² is O; NR¹⁵; or a direct bond;
 A³ is -C(=O)-; -S(O)₂-; or a direct bond;
 25 each R⁶ is independently H; 1-2 CH₃; C₂-C₃ alkyl; C₁-C₃ alkoxy; C₃-C₆
 cycloalkyl; formylamino; C₂-C₄ alkylcarbonylamino; C₂-C₄
 alkoxycarbonylamino; NH₂C(O)NH; (C₁-C₃ alkyl)NHC(O)NH;
 (C₁-C₃ alkyl)₂NC(O)NH; N(C₁-C₃ alkyl)₂; piperidinyl; morpholinyl;
 1-2 halogen; cyano; or nitro;
 30 each R⁷ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
 haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₁-C₆
 haloalkylthio; C₁-C₆ haloalkylsulfinyl; C₁-C₆ haloalkylsulfonyl; C₂-C₆
 alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆
 cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; halogen; cyano;
 35 nitro; hydroxy; amino; NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; or morpholinyl;

each Z is independently selected from:

- 5 i) C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each substituted with R⁹ and optionally substituted with one or more R¹⁰;
- 10 ii) C₃-C₈ cycloalkyl, C₃-C₈ cycloalkenyl or phenyl each substituted with R⁹ and optionally substituted with one or more R¹⁰;
- 15 iii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 5 to 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system substituted with R⁹ and optionally substituted with one or more R¹⁰;
- 20 iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from C(=O) and S(O)₂, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system substituted with R⁹ and optionally substituted with one or more R¹⁰; and
- 25 v) adamantyl substituted with R⁹ and optionally substituted with one or more R¹⁰;
- each Q is independently selected from the group -CHR¹³-, -NR¹³-, -O-, and -S(O)_p-;
- 30 R⁸ is H; 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; nitro; SiR¹⁹R²⁰R²¹; or GeR¹⁹R²⁰R²¹;
- R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each substituted with R¹¹ and optionally substituted with R¹²;
- 35 each R¹⁰ is independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or

when R^9 and an R^{10} are attached to adjacent atoms on Z, R^9 and said adjacently attached R^{10} can be taken together as $-OCH_2O-$ or $-OCH_2CH_2O-$; each CH_2 group of said taken together R^9 and R^{10} optionally substituted with 1-2 halogen; or

5 when Y and an R^{10} are attached to adjacent atoms on Z and Y is
 $-CHR^{15}O-N=C(R^7)-$, $-O-N=C(R^7)-$, $-O-CH_2CH_2O-N=C(R^7)-$,
 $-CHR^{15}O-C(R^{15})=C(R^7)-$, $-CH=N-N=C(R^7)-$, $-CHR^{15}N(R^{15})-N=C(R^7)-$ or
 $-CHR^{15}N(COCH_3)-N=C(R^7)-$, R^7 and said adjacently attached R^{10} can be
taken together as $-(CH_2)_r-J-$ such that J is attached to Z;

10 J is $-CH_2-$; $-CH_2CH_2-$; $-OCH_2-$; $-CH_2O-$; $-SCH_2-$; $-CH_2S-$; $-N(R^{16})CH_2-$; or
 $-CH_2N(R^{16})-$; each CH_2 group of said J optionally substituted with 1 to 2
 CH_3 ;

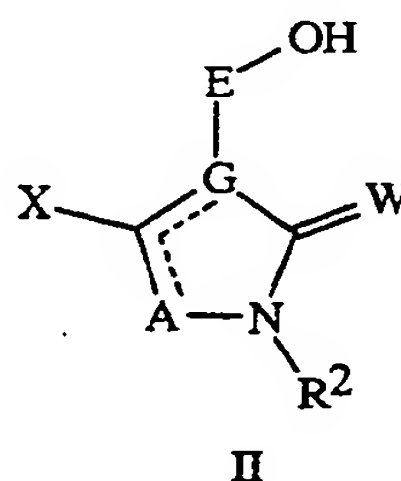
R^{11} is C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_2-C_6
alkoxyalkyl; C_2-C_6 alkylthioalkyl; C_3-C_6 alkoxyalkynyl; C_7-C_{10}
15 tetrahydropyranyloxyalkynyl; benzyloxymethyl; C_3-C_6 alkenyloxy; C_3-C_6
haloalkenyloxy; C_3-C_6 alkynyloxy; C_3-C_6 haloalkynyloxy; C_2-C_6
alkoxyalkoxy; C_5-C_9 trialkylsilylalkoxyalkoxy; C_2-C_6 alkylthioalkoxy; C_1-C_4
alkylthio; C_1-C_4 haloalkylthio; C_1-C_4 alkylsulfinyl; C_1-C_4 haloalkylsulfinyl;
 C_1-C_4 alkylsulfonyl; C_1-C_4 haloalkylsulfonyl; C_3-C_6 alkenylthio; C_3-C_6
20 haloalkenylthio; C_2-C_6 alkylthioalkylthio; thiocyanato; hydroxy; $N(R^{26})_2$;
 SF_5 ; $(R^{25})_3Si-C\equiv C-$; $OSi(R^{25})_3$; $OGe(R^{25})_3$; $C(=O)R^{26}$; $C(=S)R^{26}$;
 $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$; $C(=O)N(R^{26})_2$;
 $C(=S)N(R^{26})_2$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$; $SC(=S)R^{26}$;
 $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$; $OC(=O)SR^{27}$;
25 $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$;
 $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, phenoxy, benzyl, benzyloxy,
phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted
with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4
haloalkoxy, nitro or cyano;

30 R^{12} is 1-2 halogen; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_6 alkenyl; C_2-C_6
haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_2-C_6 alkoxyalkyl; C_2-C_6
alkylthioalkyl; C_3-C_6 alkoxyalkynyl; C_7-C_{10} tetrahydropyranyloxyalkynyl;
benzyloxymethyl; C_1-C_4 alkoxy; C_1-C_4 haloalkoxy; C_3-C_6 alkenyloxy;
 C_3-C_6 haloalkenyloxy; C_3-C_6 alkynyloxy; C_3-C_6 haloalkynyloxy; C_2-C_6
35 alkoxyalkoxy; C_5-C_9 trialkylsilylalkoxyalkoxy; C_2-C_6 alkylthioalkoxy; C_1-C_4
alkylthio; C_1-C_4 haloalkylthio; C_1-C_4 alkylsulfinyl; C_1-C_4 haloalkylsulfinyl;

- 5 C₁-C₄ alkylsulfonyl; C₁-C₄ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₂-C₆ alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; N(R²⁶)₂; SF₅; Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶; C(=S)R²⁶; C(=O)OR²⁶; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶; C(=O)N(R²⁶)₂; C(=S)N(R²⁶)₂; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶; SC(=S)R²⁶; N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷; OC(=O)SR²⁷; OC(=O)N(R²⁶)₂; SC(=O)OR²⁷; SC(=O)SR²⁷; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂; OS(O)₂R²⁷; N(R²⁶)S(O)₂R²⁷; or phenyl, phenoxy, benzyl, benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
- 10 each R¹³ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
- 15 R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;
- each R¹⁵ is independently H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano; or
- 20 when Y is -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-, the two R¹⁵ attached to nitrogen atoms on said group can be taken together as -(CH₂)_s-; or
- when Y is -CHR¹⁵O-N=C(R⁷)NR¹⁵-, R⁷ and the adjacently attached R¹⁵ can be taken together as -CH₂-(CH₂)_s-; -O-(CH₂)_s-; -S-(CH₂)_s-; or -N(C₁-C₃ alkyl)-(CH₂)_s-; with the directionality of said linkage defined such
- 25 that the moiety depicted on the left side of the linkage is bonded to the carbon and the moiety on the right side of the linkage is bonded to the nitrogen;
- R¹⁶ is H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
- 30 R¹⁹, R²⁰, and R²¹ are each independently C₁-C₆ alkyl; C₂-C₆ alkenyl; C₁-C₄ alkoxy; or phenyl;
- each R²⁵ is independently C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₁-C₄ alkoxy; or phenyl;
- 35 each R²⁶ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; or phenyl

or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
 each R²⁷ is independently C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; or phenyl
 5 or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
 m, n and p are each independently 0, 1 or 2;
 r is 0 or 1; and
 s is 2 or 3.

10 This invention also provides compounds of Formula II including all geometric and stereoisomers which are useful as intermediates for the preparation of the fungicides and arthropodocides of Formula I where Y is oxygen:



15 wherein

E is 1,2-phenylene optionally substituted with one of R³, R⁴, or both R³ and R⁴;
 A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating
 double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the
 20 floating double bond is attached to A;

W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl);

X is OR¹; S(O)_mR¹; or halogen;

R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆
 alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄
 25 alkoxy carbonyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆
 alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄
 alkoxy carbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;

R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl;
 30 C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆
 haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆

alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O); (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each substituted with R⁸ and optionally substituted with one or more R¹⁰; or when R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅ alkenylene or C₃-C₅ haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;

R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

R⁸ is H; 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; nitro; SiR¹⁹R²⁰R²¹; or GeR¹⁹R²⁰R²¹; each R¹⁰ is independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano;

R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

R¹⁹, R²⁰ and R²¹ are each independently C₁-C₆ alkyl; C₂-C₆ alkenyl; C₁-C₄ alkoxy; or phenyl;

each R²⁵ is independently C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₁-C₄ alkoxy; or phenyl; and

m is 0, 1 or 2.

DETAILS OF THE INVENTION

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term "1-2 CH₃" indicates that the substituent can be methyl or, when there is a hydrogen attached to the same atom, the substituent and said hydrogen can both be methyl.

"Alkenyl" includes straight-chain or branched alkenes such as vinyl, 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties

comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkylene" denotes a straight-chain alkanediyl. Examples of "alkylene" include $\text{CH}_2\text{CH}_2\text{CH}_2$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2$, $\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2$. "Alkenylene" denotes a straight-chain alkenediyl containing one olefinic bond. Examples of "alkenylene" include $\text{CH}_2\text{CH}=\text{CH}$, $\text{CH}_2\text{CH}_2\text{CH}=\text{CH}$, $\text{CH}_2\text{CH}=\text{CHCH}_2$ and $\text{CH}_2\text{CH}=\text{CHCH}_2\text{CH}_2$. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_3OCH_2 , $\text{CH}_3\text{OCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{OCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. "Alkoxyalkoxy" denotes alkoxy substitution on alkoxy. "Alkenyloxy" includes straight-chain or branched alkenyloxy moieties. Examples of "alkenyloxy" include $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{C}(\text{CH}_3)\text{CH}_2\text{O}$ and $\text{CH}_2=\text{CHCH}_2\text{CH}_2\text{O}$. "Alkynyloxy" includes straight-chain or branched alkynyloxy moieties. Examples of "alkynyloxy" include $\text{HC}\equiv\text{CCH}_2\text{O}$, $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{O}$ and $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{CH}_2\text{O}$. "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Alkylthioalkyl" denotes alkylthio substitution on alkyl. Examples of "alkylthioalkyl" include CH_3SCH_2 , $\text{CH}_3\text{SCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{SCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{SCH}_2$ and $\text{CH}_3\text{CH}_2\text{SCH}_2\text{CH}_2$. "Alkylthioalkylthio" denotes alkylthio substitution on alkylthio. Analogously, "alkylthioalkoxy" denotes alkylthio substitution on alkoxy. "Alkylsulfinyl" includes both enantiomers of an alkylsulfinyl group. Examples of "alkylsulfinyl" include $\text{CH}_3\text{S}(\text{O})$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})$, $(\text{CH}_3)_2\text{CHS}(\text{O})$ and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of "alkylsulfonyl" include $\text{CH}_3\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})_2$, $(\text{CH}_3)_2\text{CHS}(\text{O})_2$ and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. "Alkenylthio" is defined analogously to the above examples. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. "Cycloalkenyl" includes groups such as cyclopentenyl and cyclohexenyl as well as groups with more than one double bond such as 1,3- and 1,4-cyclohexadienyl. "Trialkylsilylalkoxyalkoxy" denotes trialkylsilylalkoxy substitution on alkoxy. Examples of "trialkylsilylalkoxyalkoxy" includes, for example, $(\text{CH}_3)_3\text{SiCH}_2\text{CH}_2\text{OCH}_2\text{O}$. The term "aromatic carbocyclic ring system" includes fully aromatic carbocycles and carbocycles in which at least one ring of a polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied). The term "nonaromatic carbocyclic ring system" denotes fully saturated carbocycles as well as partially or fully unsaturated carbocycles where the Hückel rule is not satisfied by any of the rings in the ring system. The term "aromatic heterocyclic ring system" includes fully

aromatic heterocycles and heterocycles in which at least one ring of a polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied). The term "nonaromatic heterocyclic ring system" denotes fully saturated heterocycles as well as partially or fully unsaturated heterocycles where the Hückel rule is not satisfied by any of the rings in the ring system. The heterocyclic ring systems can be attached through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen. One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides.

The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. The term "1-2 halogen" indicates that one or two of the available positions for that substituent may be halogen which are independently selected. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C=CHCH_2$ and $CF_3CH_2CH=CHCH_2$. Examples of "haloalkynyl" include $HC\equiv CCHCl$, $CF_3C\equiv C$, $CCl_3C\equiv C$ and $FCH_2C\equiv CCH_2$. Examples of "haloalkoxy" include CF_3O , CCl_3CH_2O , $HCF_2CH_2CH_2O$ and CF_3CH_2O . Examples of "haloalkylthio" include CCl_3S , CF_3S , CCl_3CH_2S and $ClCH_2CH_2CH_2S$. Examples of "haloalkylsulfinyl" include $CF_3S(O)$, $CCl_3S(O)$, $CF_3CH_2S(O)$ and $CF_3CF_2S(O)$. Examples of "haloalkylsulfonyl" include $CF_3S(O)_2$, $CCl_3S(O)_2$, $CF_3CH_2S(O)_2$ and $CF_3CF_2S(O)_2$.

The total number of carbon atoms in a substituent group is indicated by the " C_i-C_j " prefix where *i* and *j* are numbers from 1 to 10. For example, C_1-C_3 alkylsulfonyl designates methylsulfonyl through propylsulfonyl. Examples of "alkylcarbonyl" include $C(O)CH_3$, $C(O)CH_2CH_2CH_3$ and $C(O)CH(CH_3)_2$. Examples of "alkoxycarbonyl" include $CH_3OC(=O)$, $CH_3CH_2OC(=O)$, $CH_3CH_2CH_2OC(=O)$, $(CH_3)_2CHOC(=O)$ and the different butoxy- or pentoxycarbonyl isomers. In the above recitations, when a compound of Formula I is comprised of one or more heterocyclic rings, all substituents are attached to these rings through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

When a group contains a substituent which can be hydrogen, for example R^9 or R^{13} , then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the present invention comprises compounds selected from Formula I, *N*-oxides and agriculturally suitable salts thereof. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

The salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The salts of the compounds of the invention also include those formed with organic bases (e.g., pyridine, ammonia, or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium, lithium, calcium, magnesium or barium) when the compound contains an acidic group such as a phenol.

Preferred methods for reasons of better activity and/or ease of synthesis are:

Preferred 1. Methods for controlling arthropods using compounds of Formula I above, and *N*-oxides and agriculturally suitable salts thereof, wherein:

E is selected from the group 1,2-phenylene; 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, 2,7-, 1,2-, and 2,3-naphthalenediyl; 1*H*-pyrrole-1,2-, 2,3- and 3,4-diyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 1*H*-pyrazole-1,5-, 3,4- and 4,5-diyl; 1*H*-imidazole-1,2-, 4,5- and 1,5-diyl; 3,4- and 4,5-isoxazolediyl; 4,5-oxazolediyl; 3,4- and 4,5-isothiazolediyl; 4,5-thiazolediyl; 1*H*-1,2,3-triazole-1,5- and 4,5-diyl; 2*H*-1,2,3-triazole-4,5-diyl; 1*H*-1,2,4-triazole-1,5-diyl; 4*H*-1,2,4-triazole-3,4-diyl; 1,2,3-oxadiazole-4,5-diyl; 1,2,5-oxadiazole-3,4-diyl; 1,2,3-thiadiazole-4,5-diyl; 1,2,5-thiadiazole-3,4-diyl; 1*H*-tetrazole-1,5-diyl; 2,3- and 3,4-pyridinediyl; 3,4- and 4,5-pyridazinediyl; 4,5-pyrimidinediyl; 2,3-pyrazinediyl; 1,2,3-triazine-4,5-diyl; 1,2,4-triazine-5,6-diyl; 1*H*-indole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 1,2-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; benzo[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-,

- 4,5-, 5,6- and 6,7-diyl; 1*H*-indazole-1,4-, 1,5-, 1,6-, 1,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 1*H*-benzimidazole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl;
- 5 1,2-benzisoxazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzoxazolidiyl;
- 1,2-benzisothiazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl;
- 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzothiazolidiyl; 2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3-, 3,4-, 5,6-, 6,7- and 7,8-quinolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-isoquinolinediyl; 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-cinnolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 5,6-, 6,7- and 7,8-phthalazinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-quinazolinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 2,3-, 5,6-, 6,7- and 7,8-quinoxalinediyl; 1,8-naphthyridine-2,5-, 2,6-, 2,7-, 3,5-, 3,6-, 4,5-, 2,3- and 3,4-diyl; 2,6-, 2,7-, 4,6-, 4,7-, 6,7-pteridinediyl; pyrazolo[5,1-*b*]thiazole-2,6-, 2,7-, 3,6-, 3,7-, 2,3- and 6,7-diyl; thiazolo[2,3-*c*]-1,2,4-triazole-2,5-, 2,6-, 5,6-diyl;
- 10 2-oxo-1,3-benzodioxole-4,5- and 5,6-diyl;
- 20 1,3-dioxo-1*H*-isoindole-2,4-, 2,5-, 4,5- and 5,6-diyl;
- 2-oxo-2*H*-1-benzopyran-3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-diyl; [1,2,4]triazolo[1,5-*a*]pyridine-2,5-, 2,6-, 2,7-, 2,8-, 5,6-, 6,7- and 7,8-diyl;
- 25 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazine-3,5-, 3,6-, 3,7-, 3,8-, 5,6-, 6,7- and 7,8-diyl; 2,3-dihydro-2-oxo-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl; thieno[3,2-*d*]thiazole-2,5-, 2,6-, and 5,6-diyl; 5,6,7,8-tetrahydro-2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3- and 3,4-quinolinediyl;
- 30 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazole-2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,3-benzodioxole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2,3-dihydro-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl;
- 35 2,3-dihydro-1,4-benzodioxin-2,5-, 2,6-, 2,7-, 2,8-, 5,6- and 6,7-diyl; and 5,6,7,8-tetrahydro-4*H*-cyclohepta[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 2,8-, 3,4-, 3,5-, 3,6-, 3,7-, 3,8-, and 2,3-diyl; each aromatic

ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

W is O;

R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;

5 R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;

R³ and R⁴ are each independently halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfonyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxy carbonyl; (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); benzoyl; or
10 phenylsulfonyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; -CH₂CH₂-; -CH=CH-; -C≡C-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -S(O)_nCH₂-; -CH₂O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; or a direct bond;

15 R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio; C₂-C₆ alkenyl; C₂-C₆ alkynyl; C₃-C₆ cycloalkyl; halogen; or cyano; or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is

20 -CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken together as -(CH₂)_r-J- such that J is attached to Z;

Z is selected from the group C₁-C₁₀ alkyl; C₃-C₈ cycloalkyl; phenyl; naphthalenyl; anthracenyl; phenanthrenyl; 1*H*-pyrrolyl; furanyl; thienyl; 1*H*-pyrazolyl; 1*H*-imidazolyl; isoxazolyl; oxazolyl; isothiazolyl; thiazolyl; 1*H*-1,2,3-triazolyl; 2*H*-1,2,3-triazolyl; 1*H*-1,2,4-triazolyl; 4*H*-1,2,4-triazolyl; 1,2,3-oxadiazolyl; 1,2,4-oxadiazolyl; 1,2,5-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,3-thiadiazolyl; 1,2,4-thiadiazolyl; 1,2,5-thiadiazolyl; 1,3,4-thiadiazolyl; 1*H*-tetrazolyl; 2*H*-tetrazolyl; pyridinyl; pyridazinyl; pyrimidinyl; pyrazinyl; 1,3,5-triazinyl; 1,2,4-triazinyl; 1,2,4,5-tetrazinyl; 1*H*-indolyl; benzofuranyl; benzo[*b*]thiophenyl; 1*H*-indazolyl; 1*H*-benzimidazolyl; benzoxazolyl; benzothiazolyl; quinolinyl; isoquinolinyl; cinnolinyl; phthalazinyl; quinazolinyl; quinoxalinyl; 1,8-naphthyridinyl; pteridinyl; 2,3-dihydro-1*H*-indenyl; 1,2,3,4-tetrahydronaphthalenyl; 6,7,8,9-tetrahydro-5*H*-benzocycloheptenyl; 5,6,7,8,9,10-hexahydrobenzocyclooctenyl;

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2,3-dihydro-3-oxobenzofuranyl; 1,3-dihydro-1-oxoisobenzofuranyl;
 2,3-dihydro-2-oxobenzofuranyl;
 3,4-dihydro-4-oxo-2*H*-1-benzopyranyl;
 3,4-dihydro-1-oxo-1*H*-2-benzopyranyl;
 5 3,4-dihydro-3-oxo-1*H*-2-benzopyranyl;
 3,4-dihydro-2-oxo-2*H*-1-benzopyranyl; 4-oxo-4*H*-1-benzopyranyl;
 2-oxo-2*H*-1-benzopyranyl;
 2,3,4,5-tetrahydro-5-oxo-1-benzoxepinyl;
 2,3,4,5-tetrahydro-2-oxo-1-benzoxepinyl;
 10 2,3-dihydro-1,3-dioxo-1*H*-isoindolyl;
 1,2,3,4-tetrahydro-1,3-dioxoisoquinolyl;
 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazinyl;
 2-oxo-1,3-benzodioxyl;
 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazolyl; 9*H*-fluorenyl;
 15 azuleny; and thiazolo[2,3-*c*]-1,2,4-triazolyl; each group substituted
 with R⁹ and optionally substituted with one or more R¹⁰; and
 R¹⁵ is H; C₁-C₃ alkyl; or C₃-C₆ cycloalkyl.

Preferred 2. Methods of Preferred 1 wherein:

20 E is selected from the group 1,2-phenylene; 1,6-, 1,7-, 1,2-, and
 2,3-naphthalenediyl; 2,3- and 3,4-furandiyl; 2,3- and
 3,4-thiophenediyl; 2,3- and 3,4-pyridinediyl; 4,5-pyrimidinediyl;
 2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; and
 benzo[*b*]thiophene-2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-diyl;
 25 each aromatic ring system optionally substituted with one of R³, R⁴,
 or both R³ and R⁴;

Z is selected from the group phenyl; naphthalenyl; 2-thiazolyl;
 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl;
 1,3,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted
 with R⁹ and optionally substituted with one or more R¹⁰;

30 R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio;
 C₂-C₆ alkenyl; C₂-C₆ alkynyl; cyclopropyl; halogen; or cyano; or
 when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is
 -CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken
 together as -(CH₂)_r-J- such that J is attached to Z;

35 J is -CH₂- or -CH₂CH₂-; and

r is 1.

Preferred 3. Methods of Preferred 2 wherein:

E is 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;

A is O or N;

5 X is OR^1 ;

R^1 is C_1 - C_3 alkyl;

R^2 is H or C_1 - C_2 alkyl;

10 Y is -O-; $-S(O)_n$ -; $-NR^{15}$ -; $-C(=O)$ -; $-CH(OR^{15})$ -; $-CH_2$ -; $-CH_2CH_2$ -; $-CH=CH$ -; $-C\equiv C$ -; $-CH_2O$ -; $-OCH_2$ -; $-CH_2S(O)_n$ -; $-S(O)_nCH_2$ -; or a direct bond;

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl;

1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; and 1,3,4-thiadiazolyl; each group substituted with R^9 and optionally substituted with R^{10} ; and

R^{15} is H; C_1 - C_3 alkyl; or cyclopropyl.

15 Preferred 4. Methods of Preferred 3 wherein:

R^1 is methyl;

R^2 is methyl;

Y is -O-; $-S(O)_n$ -; $-NR^{15}$ -; $-C(=O)$ -; $-CH(OR^{15})$ -; $-CH_2$ -; or a direct bond; and

20 R^9 is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_1 - C_6 alkylthio; C_1 - C_6 haloalkylthio; C_1 - C_6 alkylsulfinyl; C_1 - C_6 alkylsulfonyl; C_3 - C_6 cycloalkyl; $CO_2(C_1$ - C_6 alkyl); $-C(R^{18})=NOR^{17}$; cyano; nitro; SF_5 ; $SiR^{22}R^{23}R^{24}$; or $GeR^{22}R^{23}R^{24}$; or R^9 is phenyl, benzyl, phenoxy, pyridinyl, thienyl, furanyl, or pyrimidinyl each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} .

Preferred 5. Methods of Preferred 4 wherein:

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl; and

30 1,2,4-thiadiazolyl; each group substituted with R^9 and optionally substituted with R^{10} ; and

Y is -O-; and

R^9 is phenyl optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} .

Most preferred are methods of Preferred 5 where the compound is selected from the group:

4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one; and

5 4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one.

Preferred compounds of Formula IA for reasons of better arthropodidal or fungicidal activity and/or ease of synthesis are:

10 Preferred 1A. Compounds of Formula IA above, and *N*-oxides and agriculturally suitable salts thereof, wherein:

R^1 is methyl;

R^2 is methyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; or a direct bond; and

15 R^9 is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; CO₂(C₁-C₆ alkyl); -C(R¹⁸)=NOR¹⁷; cyano; nitro; SF₅; SiR²²R²³R²⁴; or GeR²²R²³R²⁴; or R^9 is phenyl, benzyl, phenoxy, 20 pyridinyl, thienyl, furanyl, or pyrimidinyl each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹².

Preferred 2A. Compounds of Preferred 1A wherein:

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl;

25 1,2,4-thiadiazolyl; and pyrazinyl; each group substituted with R⁹ and optionally substituted with R¹⁰; and

Y is -O-; and

R^9 is phenyl optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹².

Most preferred are compounds of Preferred 1A selected from the group:

30 4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

35 4-[2-[[3-(1,1-dimethylethyl)-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

- 4-[2-[[3-(1,1-dimethylethyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
- 4-[2-[[3-(3,4-dichlorophenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
- 5 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[3-[3-(trifluoromethoxy)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one;
- 4-[2-[[3-(4-bromophenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
- 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[5-methyl-4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one; and
- 10 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[6-[4-(trifluoromethyl)phenyl]-2-pyrazinyl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one.

This invention also relates to fungicidal compositions comprising fungicidally effective amounts of the compounds of Formula IA and at least one of a surfactant, a solid diluent or a liquid diluent. The preferred compositions of the present invention are those which comprise the above preferred compounds of Formula IA.

This invention also relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of the compounds of Formula IA and the compositions described herein. The preferred methods of use are those involving the above preferred compounds of Formula IA.

This invention also relates to arthropodicidal compositions comprising arthropodically effective amounts of the compounds of Formula IA and at least one of a surfactant, a solid diluent or a liquid diluent. The preferred compositions of the present invention are those which comprise the above preferred compounds of Formula IA.

This invention also relates to a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of the compounds of Formula IA and the compositions described herein. The preferred methods of use are those involving the above preferred compounds of Formula IA.

Preferred compounds of Formula IB for reasons of better fungicidal or arthropodicidal activity and/or ease of synthesis are:

Preferred 1B. Compounds of Formula IB above, and *N*-oxides and agriculturally suitable salts thereof, wherein:

- E is selected from the group 1,2-phenylene; 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, 2,7-, 1,2-, and 2,3-naphthalenediyl; 1*H*-pyrrole-1,2-, 2,3- and

3,4-diyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl;
 1*H*-pyrazole-1,5-, 3,4- and 4,5-diyl; 1*H*-imidazole-1,2-, 4,5- and
 1,5-diyl; 3,4- and 4,5-isoxazolediyl; 4,5-oxazolediyl; 3,4- and
 4,5-isothiazole-diyl; 4,5-thiazole-diyl; 1*H*-1,2,3-triazole-1,5- and
 4,5-diyl; 2*H*-1,2,3-triazole-4,5-diyl; 1*H*-1,2,4-triazole-1,5-diyl;
 4*H*-1,2,4-triazole-3,4-diyl; 1,2,3-oxadiazole-4,5-diyl;
 1,2,5-oxadiazole-3,4-diyl; 1,2,3-thiadiazole-4,5-diyl;
 1,2,5-thiadiazole-3,4-diyl; 1*H*-tetrazole-1,5-diyl; 2,3- and
 3,4-pyridinediyl; 3,4- and 4,5-pyridazinediyl; 4,5-pyrimidinediyl;
 2,3-pyrazinediyl; 1,2,3-triazine-4,5-diyl; 1,2,4-triazine-5,6-diyl;
 1*H*-indole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-,
 3,6-, 3,7-, 1,2-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-,
 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl;
 benzo[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-,
 4,5-, 5,6- and 6,7-diyl; 1*H*-indazole-1,4-, 1,5-, 1,6-, 1,7-, 3,4-, 3,5-,
 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 1*H*-benzimidazole-1,4-, 1,5-, 1,6-,
 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl;
 1,2-benzisoxazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-,
 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzoxazolediyl;
 1,2-benzisothiazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl;
 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzothiazole-diyl; 2,5-, 2,6-,
 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3-, 3,4-,
 5,6-, 6,7- and 7,8-quinolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 3,5-, 3,6-,
 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and
 7,8-isoquinolinediyl; 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-,
 5,6-, 6,7- and 7,8-cinnolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 5,6-, 6,7- and
 7,8-phthalazinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-,
 6,7- and 7,8-quinazolinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 2,3-, 5,6-, 6,7-
 and 7,8-quinoxalinediyl; 1,8-naphthyridine-2,5-, 2,6-, 2,7-, 3,5-,
 3,6-, 4,5-, 2,3- and 3,4-diyl; 2,6-, 2,7-, 4,6-, 4,7-, 6,7-pteridinediyl;
 pyrazolo[5,1-*b*]thiazole-2,6-, 2,7-, 3,6-, 3,7-, 2,3- and 6,7-diyl;
 thiazolo[2,3-*c*]-1,2,4-triazole-2,5-, 2,6-, 5,6-diyl;
 2-oxo-1,3-benzodioxole-4,5- and 5,6-diyl;
 1,3-dioxo-1*H*-isoindole-2,4-, 2,5-, 4,5- and 5,6-diyl;
 2-oxo-2*H*-1-benzopyran-3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-,
 5,6-, 6,7- and 7,8-diyl; [1,2,4]triazolo[1,5-*a*]pyridine-2,5-, 2,6-,

2,7-, 2,8-, 5,6-, 6,7- and 7,8-diyl;
 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazine-3,5-, 3,6-, 3,7-, 3,8-,
 5,6-, 6,7- and 7,8-diyl; 2,3-dihydro-2-oxo-3,4-, 3,5-, 3,6-, 3,7-,
 4,5-, 5,6- and 6,7-benzofurandiyl; thieno[3,2-*d*]thiazole-2,5-, 2,6-,
 5 and 5,6-diyl; 5,6,7,8-tetrahydro-2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-,
 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3- and 3,4-quinolinediyl;
 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazole-2,4-, 2,5-, 2,6-, 2,7-,
 4,5-, 5,6- and 6,7-diyl; 1,3-benzodioxole-2,4-, 2,5-, 4,5- and
 5,6-diyl; 2,3-dihydro-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-,
 10 4,5-, 5,6- and 6,7-benzofurandiyl;
 2,3-dihydro-1,4-benzodioxin-2,5-, 2,6-, 2,7-, 2,8-, 5,6- and 6,7-diyl;
 and 5,6,7,8-tetrahydro-4*H*-cyclohepta[*b*]thiophene-2,4-, 2,5-, 2,6-,
 2,7-, 2,8-, 3,4-, 3,5-, 3,6-, 3,7-, 3,8-, and 2,3-diyl; each aromatic
 ring system optionally substituted with one of R³, R⁴, or both R³
 15 and R⁴;

W is O;

R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;

R³ and R⁴ are each independently halogen; cyano; nitro; C₁-C₆ alkyl;

20 C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio;
 C₁-C₆ alkylsulfonyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl;
 (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); benzoyl; or
 phenylsulfonyl;

25 Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; -CH₂CH₂-;
 -CH=CH-; -C≡C-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -S(O)_nCH₂-;
 -CH₂O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; or a
 direct bond;

30 R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio;
 C₂-C₆ alkenyl; C₂-C₆ alkynyl; C₃-C₆ cycloalkyl; halogen; or cyano;
 or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is

-CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken
 together as -(CH₂)_r-J- such that J is attached to Z;

35 Z is selected from the group C₁-C₁₀ alkyl; C₃-C₈ cycloalkyl; phenyl;
 naphthalenyl; anthracenyl; phenanthrenyl; 1*H*-pyrrolyl; furanyl;
 thienyl; 1*H*-pyrazolyl; 1*H*-imidazolyl; isoxazolyl; oxazolyl;

isothiazolyl; thiazolyl; 1*H*-1,2,3-triazolyl; 2*H*-1,2,3-triazolyl;
 1*H*-1,2,4-triazolyl; 4*H*-1,2,4-triazolyl; 1,2,3-oxadiazolyl;
 1,2,4-oxadiazolyl; 1,2,5-oxadiazolyl; 1,3,4-oxadiazolyl;
 1,2,3-thiadiazolyl; 1,2,4-thiadiazolyl; 1,2,5-thiadiazolyl;
 1,3,4-thiadiazolyl; 1*H*-tetrazolyl; 2*H*-tetrazolyl; pyridinyl;
 pyridazinyl; pyrimidinyl; pyrazinyl; 1,3,5-triazinyl; 1,2,4-triazinyl;
 1,2,4,5-tetrazinyl; 1*H*-indolyl; benzofuranyl; benzo[*b*]thiophenyl;
 1*H*-indazolyl; 1*H*-benzimidazolyl; benzoxazolyl; benzothiazolyl;
 quinolinyl; isoquinolinyl; cinnolinyl; phthalazinyl; quinazolinyl;
 quinoxalinyl; 1,8-naphthyridinyl; pteridinyl; 2,3-dihydro-1*H*-indenyl;
 1,2,3,4-tetrahydronaphthalenyl;
 6,7,8,9-tetrahydro-5*H*-benzocycloheptenyl;
 5,6,7,8,9,10-hexahydrobenzocyclooctenyl;
 2,3-dihydro-3-oxobenzofuranyl; 1,3-dihydro-1-oxoisobenzofuranyl;
 2,3-dihydro-2-oxobenzofuranyl;
 3,4-dihydro-4-oxo-2*H*-1-benzopyranyl;
 3,4-dihydro-1-oxo-1*H*-2-benzopyranyl;
 3,4-dihydro-3-oxo-1*H*-2-benzopyranyl;
 3,4-dihydro-2-oxo-2*H*-1-benzopyranyl; 4-oxo-4*H*-1-benzopyranyl;
 2-oxo-2*H*-1-benzopyranyl;
 2,3,4,5-tetrahydro-5-oxo-1-benzoxepinyl;
 2,3,4,5-tetrahydro-2-oxo-1-benzoxepinyl;
 2,3-dihydro-1,3-dioxo-1*H*-isoindolyl;
 1,2,3,4-tetrahydro-1,3-dioxoisoquinolinyl;
 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazinyl;
 2-oxo-1,3-benzodioxyl;
 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazolyl; 9*H*-fluorenyl;
 azulenyl; and thiazolo[2,3-*c*]-1,2,4-triazolyl; each group substituted
 with R⁹ and optionally substituted with one or more R¹⁰; and
 R¹⁵ is H; C₁-C₃ alkyl; or C₃-C₆ cycloalkyl.

Preferred 2B. Compounds of Preferred 1B wherein:

E is selected from the group 1,2-phenylene; 1,6-, 1,7-, 1,2-, and
 2,3-naphthalenediyl; 2,3- and 3,4-furandiyl; 2,3- and
 3,4-thiophenediyl; 2,3- and 3,4-pyridinediyl; 4,5-pyrimidinediyl;
 2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; and
 benzo[*b*]thiophene-2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-diyl;

each aromatic ring system optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;

Z is selected from the group phenyl; naphthalenyl; 2-thiazolyl;

1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl;

5 1,3,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted with R^9 and optionally substituted with one or more R^{10} ;

R^7 is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 alkylthio;

C_2 - C_6 alkenyl; C_2 - C_6 alkynyl; cyclopropyl; halogen; or cyano; or

when Y and an R^{10} are attached to adjacent atoms on Z and Y is

10 $-\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$, R^7 and said adjacently attached R^{10} can be taken together as $-(\text{CH}_2)_r\text{-J-}$ such that J is attached to Z;

J is $-\text{CH}_2-$ or $-\text{CH}_2\text{CH}_2-$; and

r is 1.

Preferred 3B. Compounds of Preferred 2B wherein:

15 E is 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;

A is O or N;

X is OR^1 ;

R^1 is C_1 - C_3 alkyl;

20 R^2 is H or C_1 - C_2 alkyl;

Y is $-\text{O}-$; $-\text{S}(\text{O})_n-$; $-\text{NR}^{15}-$; $-\text{C}(=\text{O})-$; $-\text{CH}(\text{OR}^{15})-$; $-\text{CH}_2-$; $-\text{CH}_2\text{CH}_2-$;

$-\text{CH}=\text{CH}-$; $-\text{C}\equiv\text{C}-$; $-\text{CH}_2\text{O}-$; $-\text{OCH}_2-$; $-\text{CH}_2\text{S}(\text{O})_n-$; $-\text{S}(\text{O})_n\text{CH}_2-$; or a direct bond;

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl;

25 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; and 1,3,4-thiadiazolyl; each group substituted with R^9 and optionally substituted with R^{10} ; and

R^{15} is H; C_1 - C_3 alkyl; or cyclopropyl.

Preferred 4B. Compounds of Preferred 3B wherein:

R^1 is methyl;

30 R^2 is methyl;

Y is $-\text{O}-$; $-\text{S}(\text{O})_n-$; $-\text{NR}^{15}-$; $-\text{C}(=\text{O})-$; $-\text{CH}(\text{OR}^{15})-$; $-\text{CH}_2-$; or a direct bond; and

R^9 is phenyl, benzyl, phenoxy, pyridinyl, thienyl, furanyl, or pyrimidinyl each substituted with R^{11} and optionally substituted with R^{12} .

Preferred 5B. Compounds of Preferred 4B wherein:

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl; and
1,2,4-thiadiazolyl; each group substituted with R⁹ and optionally
substituted with R¹⁰; and

5 Y is -O-; and

R⁹ is phenyl substituted with R¹¹ and optionally substituted with R¹².

Most preferred are compounds of Preferred 5B selected from the group:

4-[2-[[3-(3-ethynylphenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-
methoxy-2-methyl-3*H*-1,2,4-triazol-3-one; and

10 [3-[5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4*H*-1,2,4-triazol-4-
yl)phenoxy]-1,2,4-thiadiazol-3-yl]phenyl] trifluoromethanesulfonate.

This invention also relates to fungicidal compositions comprising fungicidally
effective amounts of the compounds of Formula IB and at least one of a surfactant, a
solid diluent or a liquid diluent. The preferred compositions of the present invention are
15 those which comprise the above preferred compounds of Formula IB.

This invention also relates to a method for controlling plant diseases caused by
fungal plant pathogens comprising applying to the plant or portion thereof, or to the
plant seed or seedling, a fungicidally effective amount of the compounds of Formula IB
and the compositions described herein. The preferred methods of use are those involving
20 the above preferred compounds of Formula IB.

This invention also relates to arthropodicidal compositions comprising
arthropodicidally effective amounts of the compounds of Formula IB and at least one of
a surfactant, a solid diluent or a liquid diluent. The preferred compositions of the present
invention are those which comprise the above preferred compounds of Formula IB.

25 This invention also relates to a method for controlling arthropods comprising
contacting the arthropods or their environment with an arthropodicidally effective
amount of the compounds of Formula IB and the compositions described herein. The
preferred methods of use are those involving the above preferred compounds of
Formula IB.

30 Preferred intermediates for the preparation of the fungicides and arthropodicides of
Formula I where Y is oxygen are:

Preferred 1C. Compounds of Formula II above wherein:

W is O;

R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;

35 R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl; and

R³ and R⁴ are each independently halogen; cyano; nitro; C₁-C₆ alkyl;
 C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio;
 C₁-C₆ alkylsulfonyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxy carbonyl;
 (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); benzoyl; or
 phenylsulfonyl.

Preferred 2C. Compounds of Preferred 1C wherein:

A is O or N;

X is OR¹ or halogen;

R¹ is C₁-C₃ alkyl;

R² is H or C₁-C₂ alkyl; and

R³ and R⁴ are each independently halogen; C₁-C₃ alkyl; C₁-C₃ alkoxy; or
 C₁-C₃ alkylthio.

Preferred 3C. Compounds of Preferred 2C wherein:

A is N;

R¹ is methyl;

R² is methyl; and

R³ and R⁴ are each independently halogen or methyl.

Most preferred are compounds of Preferred 3C selected from the group:

2,4-dihydro-4-(2-hydroxyphenyl)-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one;

2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-5-methoxy-2-methyl-3H-1,2,4-
 triazol-3-one;

5-chloro-2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-2-methyl-3H-1,2,4-triazol-
 3-one; and

5-chloro-2,4-dihydro-4-(2-hydroxyphenyl)-2-methyl-3H-1,2,4-triazol-3-one.

Of note are embodiments where X is other than H; embodiments where R² is H,
 C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl, C₂-C₆
 haloalkynyl, C₃-C₆ cycloalkyl, C₂-C₄ alkylcarbonyl or C₂-C₄ alkoxy carbonyl;
 embodiments where Y is -O-, -S(O)_n-, -NR¹⁵-, -C(=O)-, -CH(OR¹⁵)-, -CHR⁶-,
 -CHR⁶CHR⁶-, -CR⁶=CR⁶-, -C≡C-, -CHR¹⁵O-, -OCHR¹⁵-, -CHR¹⁵S(O)_n-,
 -S(O)_nCHR¹⁵-, -CHR¹⁵O-N=C(R⁷)-, -(R⁷)C=N-OCH(R¹⁵)-, -C(R⁷)=N-O-,
 -O-N=C(R⁷)-, -CHR¹⁵OC(=O)N(R¹⁵)-, -CHR¹⁵OC(=S)N(R¹⁵)-,
 -CHR¹⁵O-N(R¹⁵)C(=O)N(R¹⁵)-, -CHR¹⁵O-N(R¹⁵)C(=S)N(R¹⁵)-,
 -CHR¹⁵O-N=C(R⁷)NR¹⁵-, -CHR¹⁵O-N=C(R⁷)OCH₂-, -CHR¹⁵O-N=C(R⁷)-N=N-,
 -CHR¹⁵O-N=C(R⁷)-C(=O)-, -CHR¹⁵S-C(R⁷)=N-, -C(R⁷)=N-NR¹⁵-,
 -CH=N-N=C(R⁷)-, -CHR¹⁵N(COCH₃)-N=C(R⁷)-, -OC(=S)NR¹⁵C(=O)-,
 -CHR⁶-C(=W¹)-A¹-, -CHR⁶CHR⁶-C(=W¹)-A¹-, -CR⁶=CR⁶-C(=W¹)-A¹-,

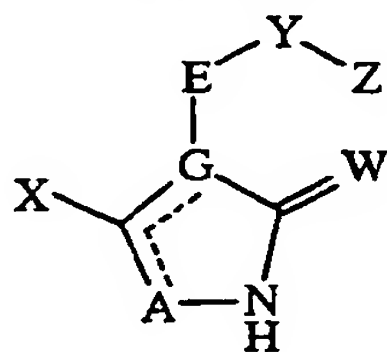
-C≡C-C(=W¹)-A¹-, -N=CR⁶-C(=W¹)-A¹- or a direct bond; embodiments where R⁷ is H, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₁-C₆ alkylthio, C₁-C₆ alkylsulfinyl, C₁-C₆ alkylsulfonyl, C₁-C₆ haloalkylthio, C₁-C₆ haloalkylsulfinyl, C₁-C₆ haloalkylsulfonyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₃-C₆ cycloalkyl, C₂-C₄ alkylcarbonyl, C₂-C₄ alkoxy carbonyl, halogen, cyano or morpholinyl; embodiments where Z is other than C₃-C₈ cycloalkenyl and adamantyl each substituted with R⁹ and optionally substituted with one or more R¹⁰; embodiments where, when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is -CHR¹⁵O-N=C(R⁷)-, -O-N=C(R⁷)-, -CH=N-N=C(R⁷)- or

10 -CHR¹⁵N(COCH₃)-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ are taken together as -(CH₂)_r-J- such that J is attached to Z; embodiments where R¹¹ and R¹² are each independently halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₃-C₆ alkenyloxy, C₃-C₆ haloalkenyloxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₃-C₆ alkenylthio, C₃-C₆ haloalkenylthio, nitro, cyano, SF₅, Si(R²⁵)₃ or Ge(R²⁵)₃; embodiments where R¹⁹, R²⁰, R²¹, R²², R²³, and R²⁴ are each independently C₁-C₆ alkyl, C₁-C₄ alkoxy or phenyl; embodiments where each R²⁵ is independently C₁-C₄ alkyl or phenyl; embodiments where R³ and R⁴ are each independently halogen, cyano, nitro, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkoxy, C₁-C₆ alkylsulfonyl, C₂-C₆ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, (C₁-C₄ alkyl)NHC(O), (C₁-C₄ alkyl)₂NC(O), benzoyl or phenylsulfonyl; embodiments where Z is selected from the group 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,4-thiadiazolyl and 1,3,4-thiadiazolyl, each group substituted with R⁹; embodiments where R¹¹ is C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₃-C₆ alkenyloxy, C₃-C₆ haloalkenyloxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₃-C₆ alkenylthio, C₃-C₆ haloalkenylthio or SF₅; embodiments where R¹² is halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, C₂-C₆ alkynyl, C₂-C₆ haloalkynyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₃-C₆ alkenyloxy, C₃-C₆ haloalkenyloxy, C₁-C₄ alkylthio, C₁-C₄ haloalkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ haloalkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylsulfonyl, C₃-C₆ alkenylthio, C₃-C₆ haloalkenylthio, nitro, cyano, SF₅, Si(R²⁵)₃ or Ge(R²⁵)₃; embodiments where Z is selected from the group phenyl, naphthalenyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, pyridinyl and pyrimidinyl, each group substituted with R⁹ and optionally substituted with one or more R¹⁰; and embodiments where Z is

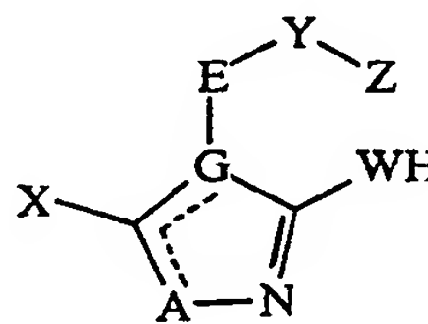
selected from the group 1,2,4-oxadiazolyl and 1,2,4-thiadiazolyl, each group substituted with R⁹.

The compounds of Formula I can be prepared by one or more of the following methods and variations as described in Schemes 1-33. One skilled in the art will recognize that compounds of Formula IA and IB are encompassed by Formula I and, therefore, can be prepared by these procedures. The definitions of E, A, G, W, X, R¹-R²⁷, Y, Z¹, W¹, A¹-A³, Z, Q, J, m, n, p, r and s in the compounds of Formulae 1-58 below are as defined above in the Summary of the Invention. Compounds of Formulae Ia-Im are various subsets of the compounds of Formula I, and all substituents for Formulae Ia-Im are as defined above for Formula I.

One skilled in the art will recognize that some compounds of Formula I can exist in one or more tautomeric forms. For example, a compound of Formula I wherein R² is H may exist as tautomer Ia or Ib, or both Ia and Ib. The present invention comprises all tautomeric forms of compounds of Formula I.



Ia



Ib

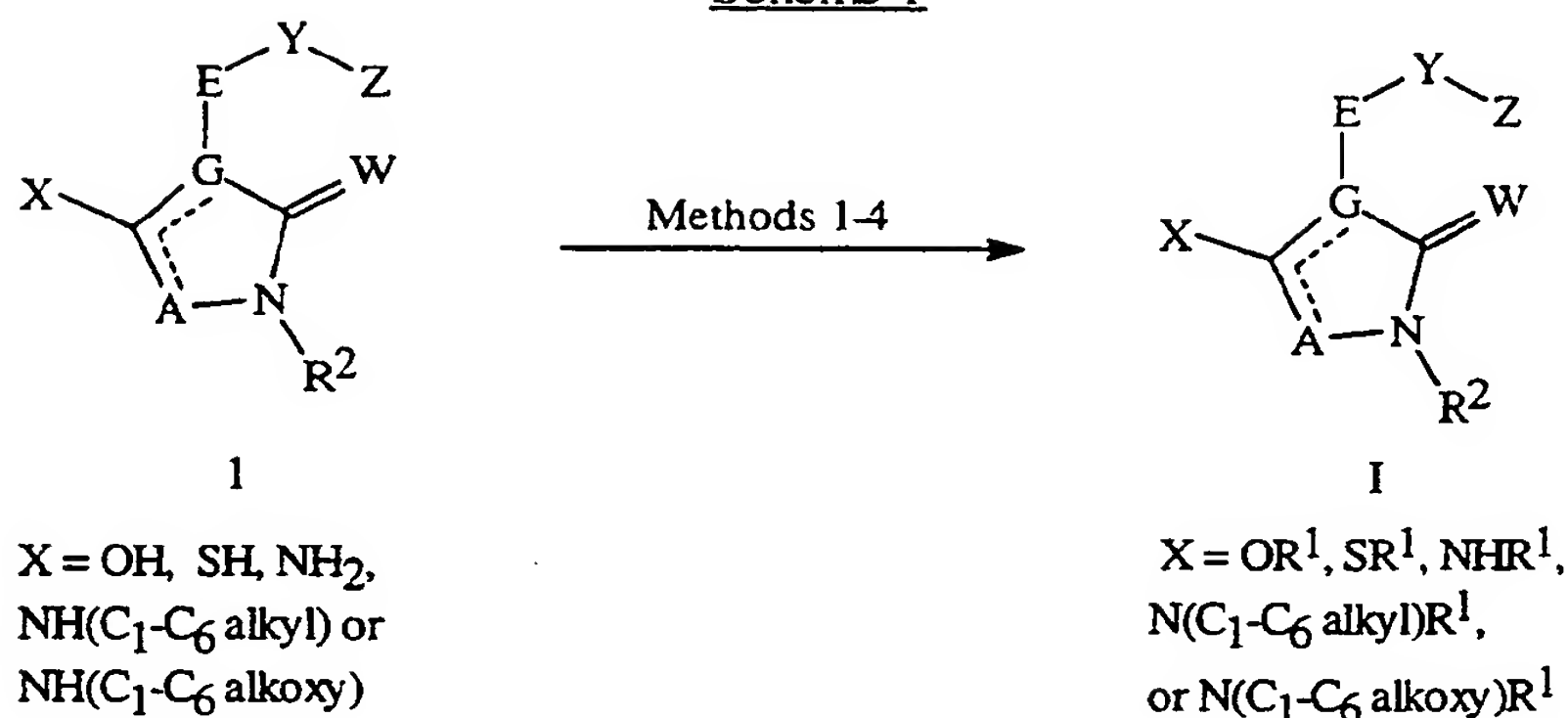
The compounds of Formula I can be prepared as described below in Procedures 1) to 5). Procedures 1) to 4) describe syntheses involving construction of the amide ring after the formation of the aryl moiety (E-Y-Z). Procedure 5) describes syntheses of the aryl moiety (E-Y-Z) with the amide ring already in place.

1) Alkylation Procedures

The compounds of Formula I are prepared by treating compounds of Formula 1 with an appropriate alkyl transfer reagent in an inert solvent with or without additional acidic or basic reagents or other reagents (Scheme 1). Suitable solvents are selected from the group consisting of polar aprotic solvents such as acetonitrile, dimethylformamide or dimethyl sulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; and halocarbons such as dichloromethane or chloroform.

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Scheme 1



Method 1: U-CH=N₂ (U = H or (CH₃)₃Si)
2

Method 2: ; Lewis acid
3

Method 3: (R¹)₃O⁺ BF₄⁻
4

Method 4: (R¹)₂SO₄; R¹OSO₂V; or R¹-hal;
optional base
(hal = F, Cl, Br, or I)
(V = C₁-C₆ alkyl, C₁-C₆ haloalkyl, or 4-CH₃-C₆H₄)

For example, compounds of Formula I can be prepared by the action of diazoalkane reagents of Formula 2 such as diazomethane (U = H) or trimethylsilyldiazomethane (U = (CH₃)₃Si) on dicarbonyl compounds of Formula 1 (Method 1). Use of trimethylsilyldiazomethane requires a protic cosolvent such as methanol. For examples of these procedures, see *Chem. Pharm. Bull.*, (1984), 32, 3759.

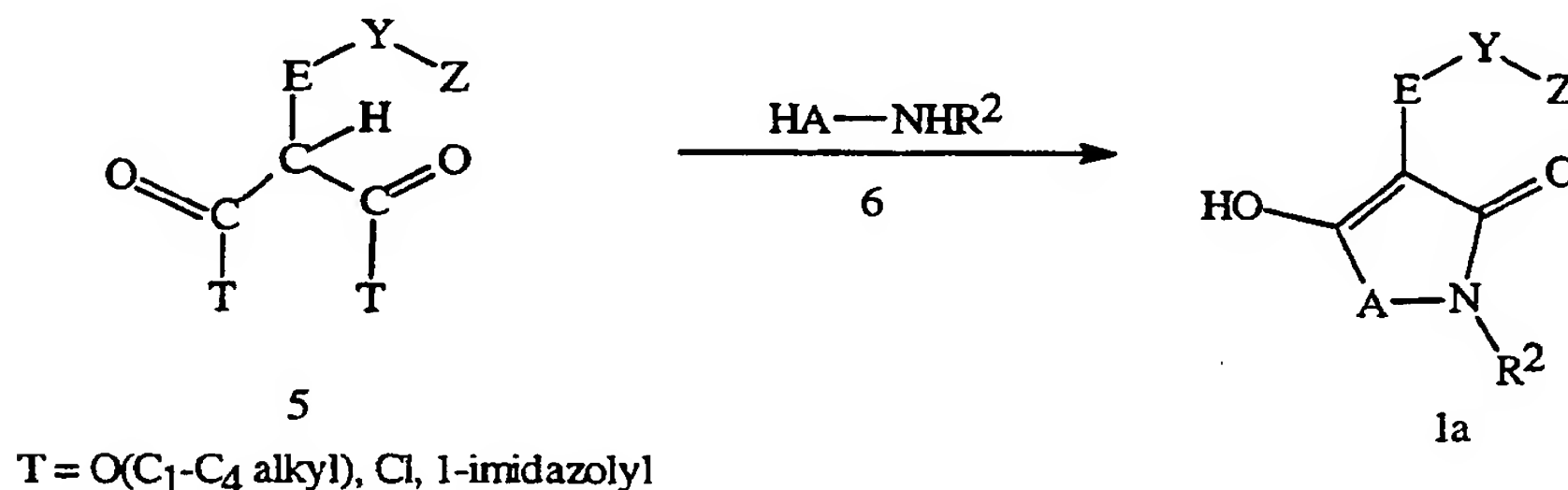
As indicated in Method 2, compounds of Formula I can also be prepared by contacting carbonyl compounds of Formula 1 with alkyl trichloroacetimidates of Formula 3 and a Lewis acid catalyst. Suitable Lewis acids include trimethylsilyl triflate and tetrafluoroboric acid. The alkyl trichloroacetimidates can be prepared from the appropriate alcohol and trichloroacetonitrile as described in the literature (J. Danklmaier and H. Hönig, *Synth. Commun.*, (1990), 20, 203).

Compounds of Formula I can also be prepared from compounds of Formula 1 by treatment with a trialkyloxonium tetrafluoroborate (i.e., Meerwein's salt) of Formula 4 (Method 3). The use of trialkyloxonium salts as powerful alkylating agents is well known in the art (see U. Schöllkopf, U. Groth, C. Deng, *Angew. Chem., Int. Ed. Engl.*, (1981), 20, 798).

Other alkylating agents which can convert carbonyl compounds of Formula 1 to compounds of Formula I are dialkyl sulfates such as dimethyl sulfate, haloalkyl sulfonates such as methyl trifluoromethanesulfonate, and alkyl halides such as iodomethane and propargyl bromide (Method 4). These alkylations can be conducted with or without additional base. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and triethylenediamine. See R. E. Benson, T. L. Cairns, *J. Am. Chem. Soc.*, (1948), 70, 2115 for alkylation examples using agents of this type.

Compounds of Formula 1a (compounds of Formula 1 wherein G = C, W = O and X = OH) can be prepared by condensation of malonates or malonate derivatives of Formula 5 with an ambident nucleophile of Formula 6 (Scheme 2). The nucleophiles of Formula 6 are *N*-substituted hydroxylamines (HO-NHR²) and substituted hydrazines (HN(R⁵)-NHR²). Examples of such nucleophiles are *N*-methylhydroxylamine and methylhydrazine. The malonate esters of Formula 5 can be prepared by methods described hereinafter. The esters of Formula 5 can also be activated by first hydrolyzing the ester to form the corresponding carboxylic acid, and then converting the acid into the acid chloride (T = Cl) using thionyl chloride or oxalyl chloride, or into the acyl imidazole (T = 1-imidazolyl) by treating with 1,1'-carbonyldiimidazole.

Scheme 2

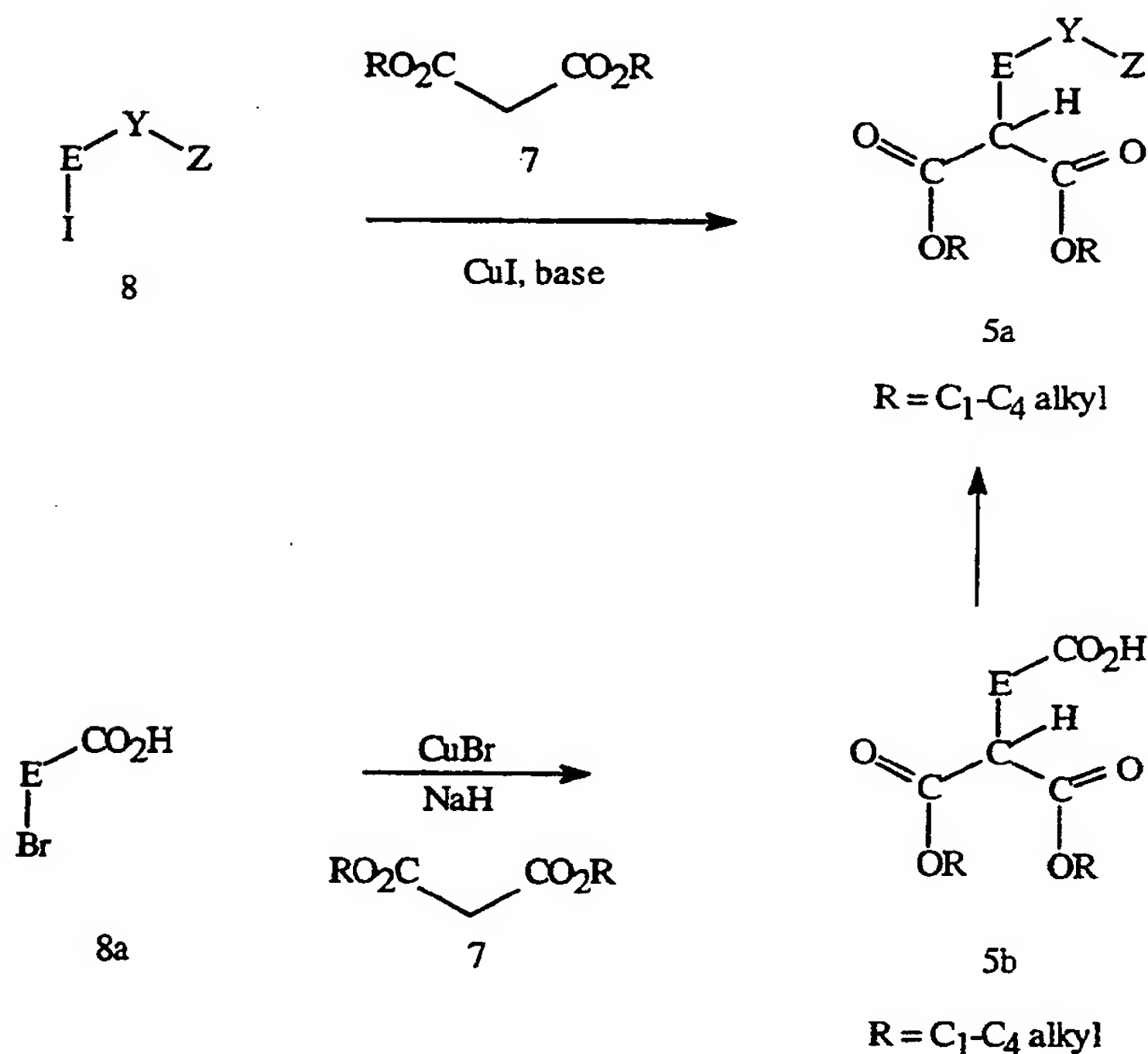


Esters of Formula 5a can be prepared from copper (I)-catalyzed reaction of malonate esters of Formula 7 with substituted aryl halides of Formula 8 according to methods adapted from A. Osuka, T. Kobayashi and H. Suzuki, *Synthesis*, (1983), 67 and M. S. Malamas, T. C. Hohman, and J. Millen, *J. Med. Chem.*, 1994, 37, 2043-2058, and illustrated in Scheme 3. Procedures to prepare compounds of Formula 8 are described below (see Scheme 32).

Malonate esters of Formula 5a can also be prepared from diester carboxylic acids of Formula 5b after modification of the carboxylic acid functional group to the

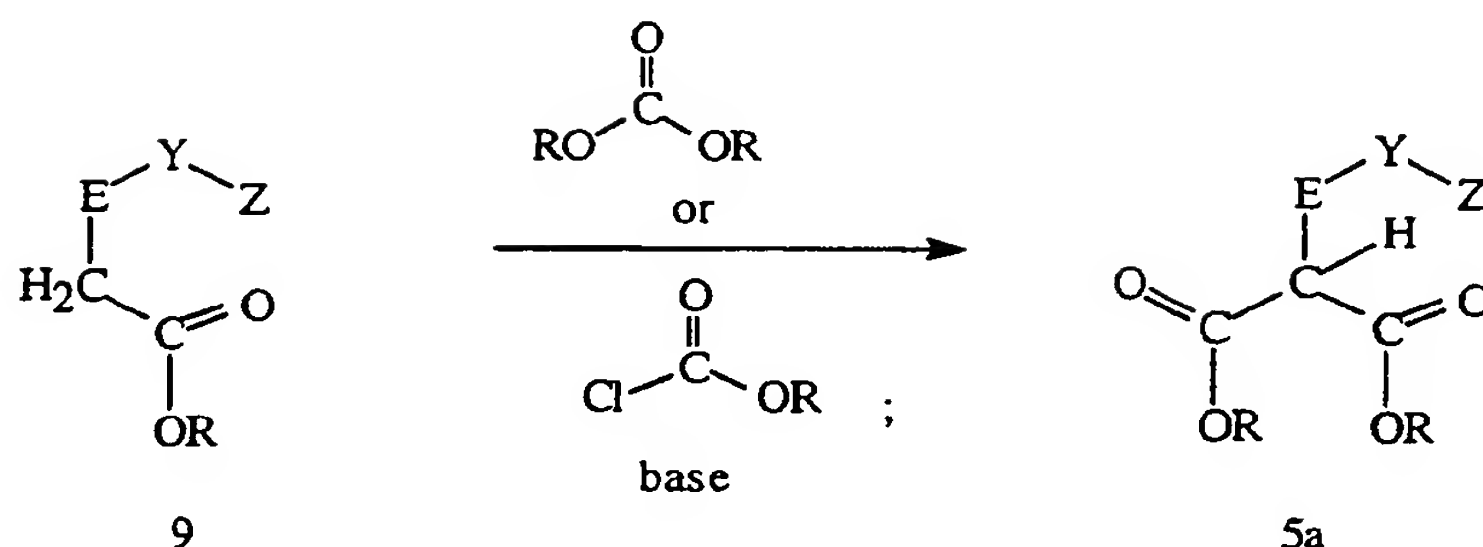
appropriate Y and Z group. A copper (I)-catalyzed coupling of malonates of Formula 7 with orthobromocarboxylic acids of Formula 8a (see A. Bruggink, A. McKillop, *Tetrahedron*, (1975), 31, 2607) can be used to prepare compounds of Formula 5b as shown in Scheme 3. Methods to prepare compounds of Formula 8a are common in the art (see P. Beak, V. Snieckus, *Acc. Chem. Res.*, (1982), 15, 306 and *Org. React.*, (1979), 26, 1 and references therein).

Scheme 3



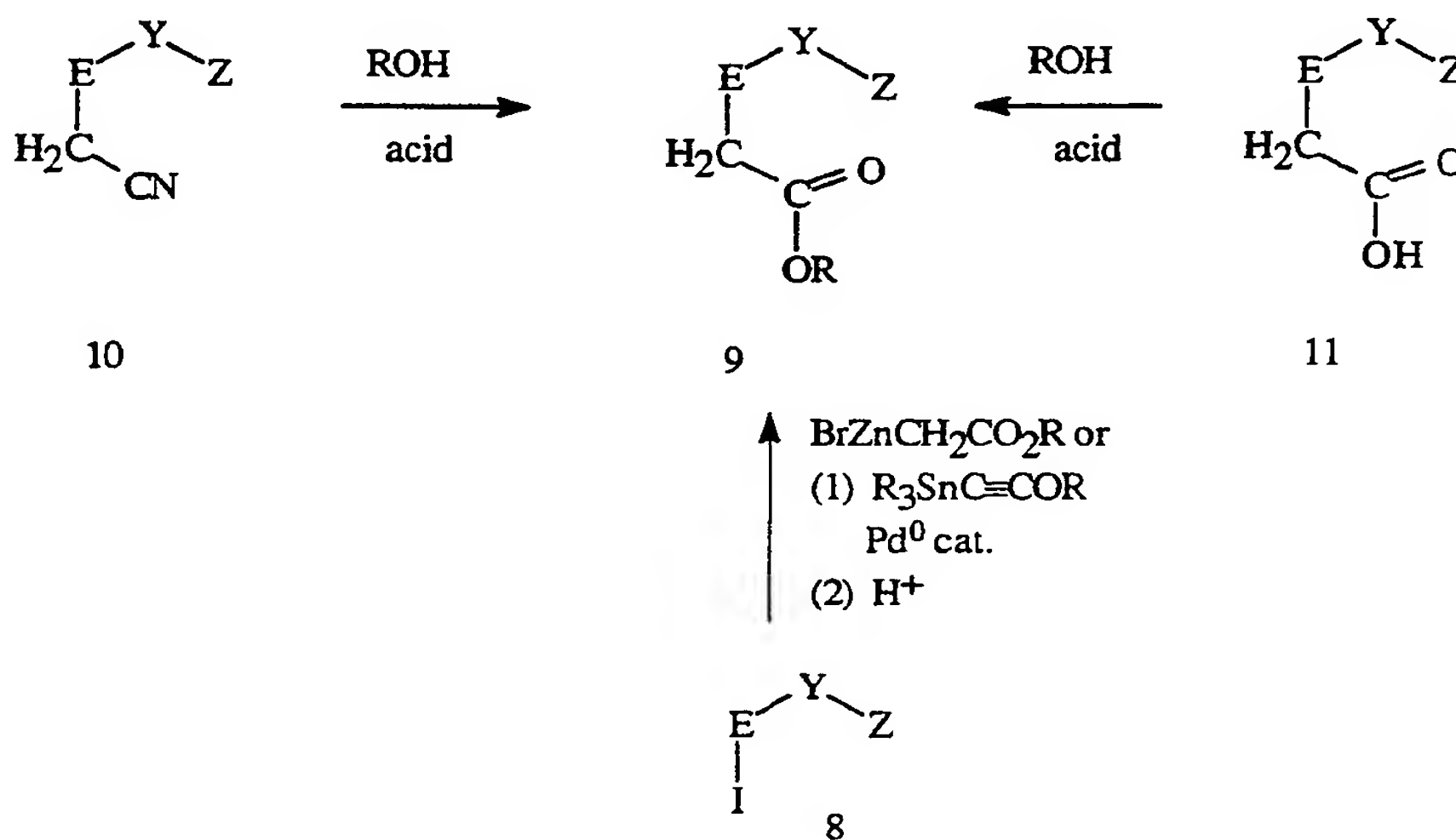
10 Additionally, the malonate esters of Formula 5a can be prepared by treating aryl acetic acid esters of Formula 9 with a dialkyl carbonate or alkyl chloroformate in the presence of a suitable base such as, but not limited to, sodium metal or sodium hydride (Scheme 4). For example, see *J. Am. Chem. Soc.*, (1928), 50, 2758.

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Scheme 4 $\text{R} = \text{C}_1\text{-C}_4 \text{ alkyl}$

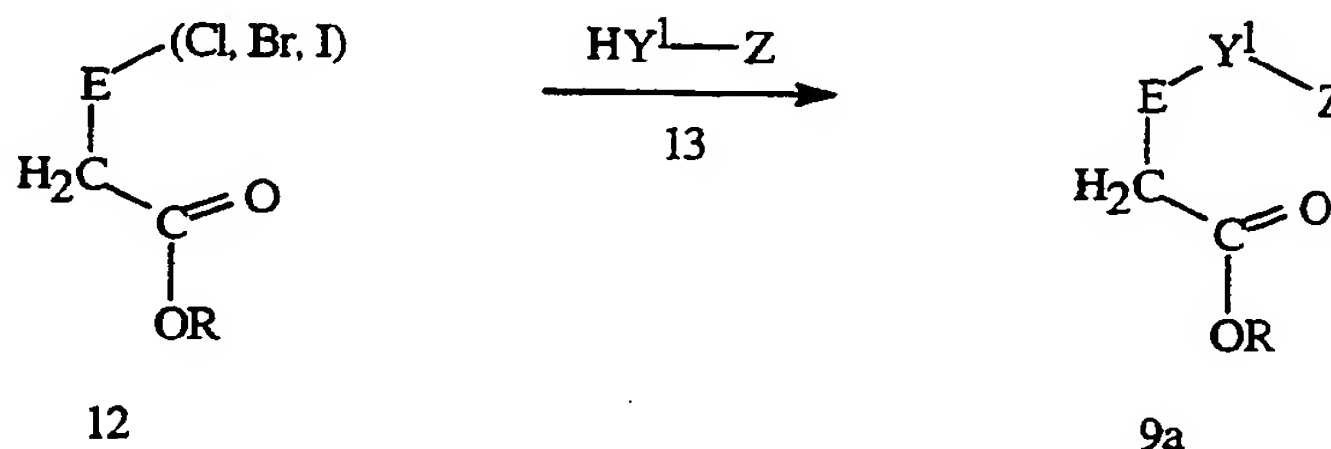
5 Esters of Formula 9 can be prepared from acid-catalyzed alcoholysis of aryl acetonitriles of Formula 10 or esterification of aryl acetic acids of Formula 11 as illustrated in Scheme 5 (see *Org. Synth.*, Coll. Vol. I, (1941), 270).

10 Additionally, esters of formula 9 can be prepared by palladium (0)-catalyzed cross coupling reaction of aryl iodides of Formula 8 with a Reformatsky reagent or an alkoxy(trialkylstannyl)acetylene followed by hydration (Scheme 5). For example, see T. Sakamoto, A. Yasuhara, Y. Kondo, H. Yamanaka, *Synlett*, (1992), 502, and J. F. Fauvarque, A. Jutard, *J. Organometal. Chem.*, (1977), 132, C17.

Scheme 5 $\text{R} = \text{C}_1\text{-C}_4 \text{ alkyl}$

Aryl acetic acid esters of Formula 9a can also be prepared by copper (I)-catalyzed condensation of aryl halides of Formula 12 with compounds of Formula 13 as described in EP-A-307,103 and illustrated below in Scheme 6.

Scheme 6



R = C₁-C₄ alkyl

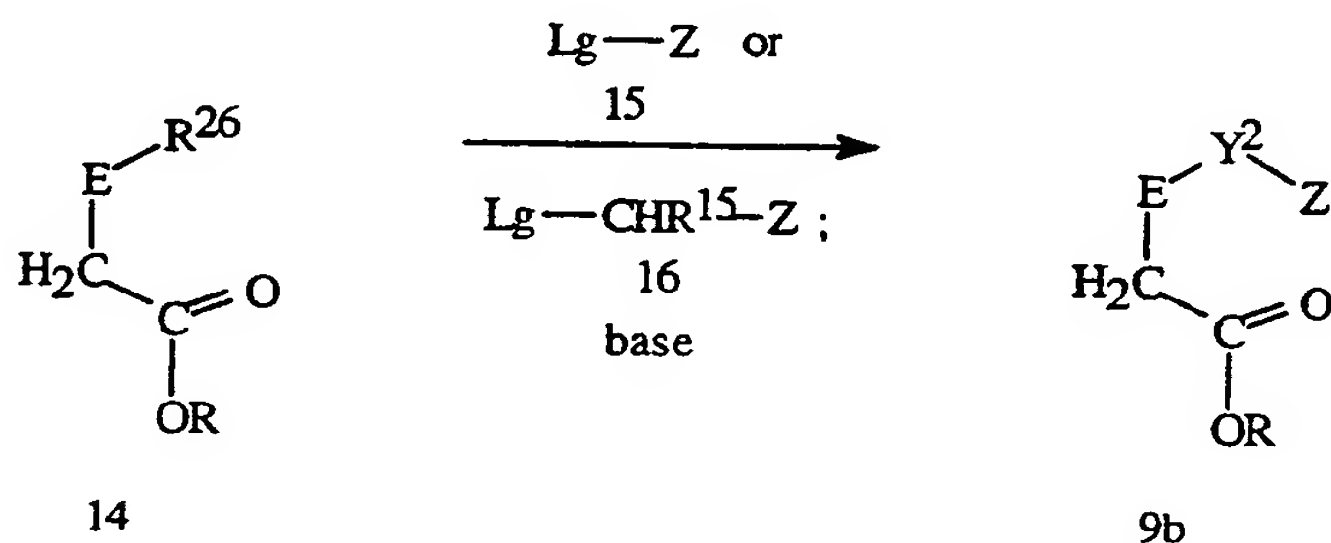
Y¹ = O, S, OCHR¹⁵, SCHR¹⁵, O-N=C(R⁷), NR¹⁵

5

Some esters of Formula 9 (Formula 9b) can also be prepared by forming the Y² bridge using conventional nucleophilic substitution chemistry (Scheme 7). Displacement of an appropriate leaving group (Lg) in electrophiles of Formula 15 or 16 with a nucleophilic ester of Formula 14 affords compounds of Formula 9b. A base, for example sodium hydride, is used to generate the corresponding alkoxide or thioalkoxide of the compound of Formula 14.

10

Scheme 7



R = C₁-C₄ alkyl

R²⁶ = OH, SH, CHR¹⁵OH, CHR¹⁵SH, NHR¹⁵

Y² = O, S, OCHR¹⁵, SCHR¹⁵, CHR¹⁵O, CHR¹⁵S, NR¹⁵

Lg = Br, Cl, I, OSO₂CH₃, OSO₂(4-Me-Ph)

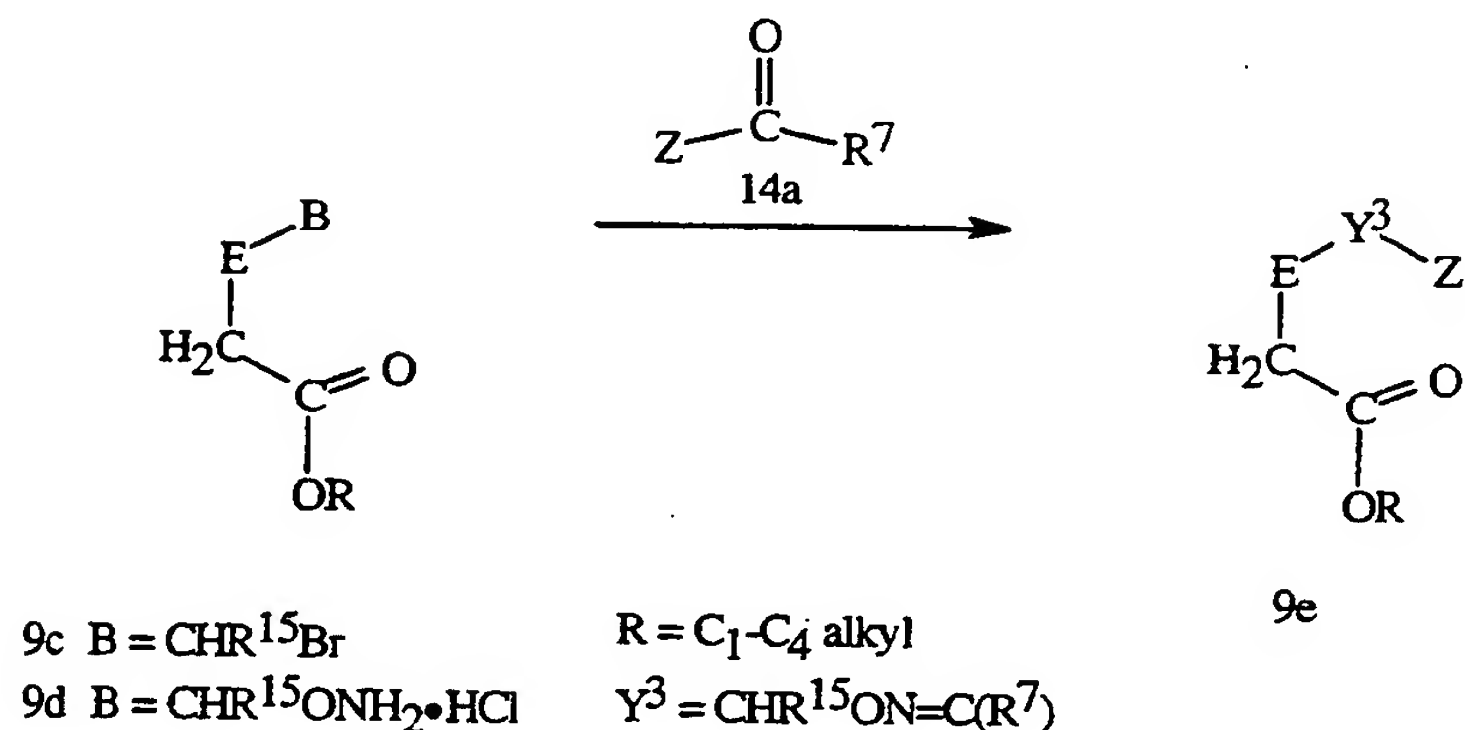
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Some esters of Formula 9 (Formula 9e) can also be prepared by forming the Y³ bridge from substituted hydroxylamine 9d and carbonyl compounds 14a. The

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hydroxylamine 9d is in turn prepared from esters 9c. This method has been described in EP-A-600,835 and illustrated in Scheme 8.

Scheme 8



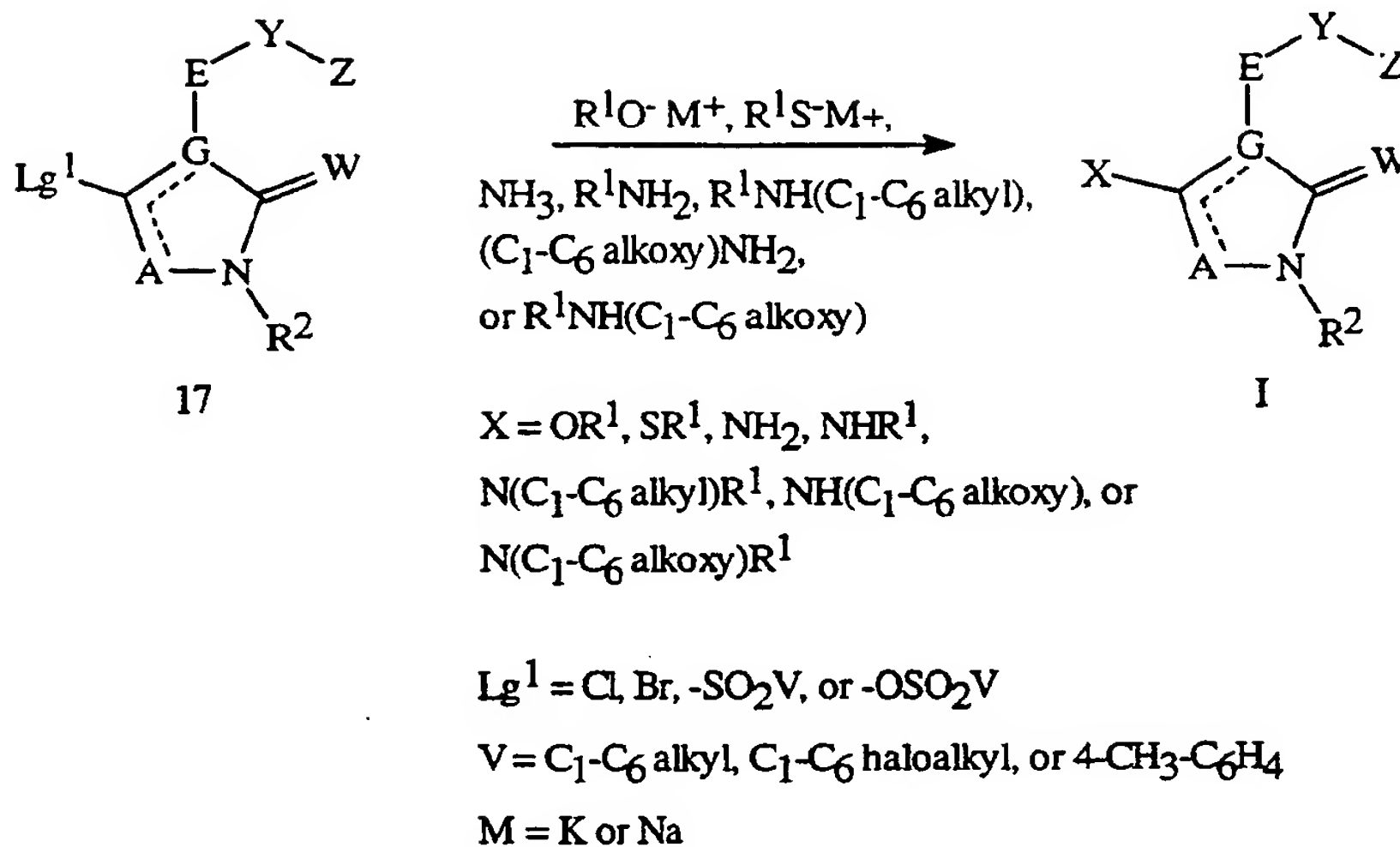
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2) Displacement and Conjugate Addition/Elimination Procedures

Compounds of Formula I can also be prepared by reaction of Formula 17 compounds with alkali metal alkoxides ($\text{R}^1\text{O-M}^+$), alkali metal thioalkoxides ($\text{R}^1\text{S-M}^+$), or an amine derivative in a suitable solvent (Scheme 9). The leaving group Lg^1 in the amides of Formula 17 are any group known in the art to undergo a displacement reaction of this type. Examples of suitable leaving groups include chlorine, bromine, and sulfonyl and sulfonate groups. Examples of suitable inert solvents are dimethylformamide or dimethyl sulfoxide, dimethoxyethane methanol.

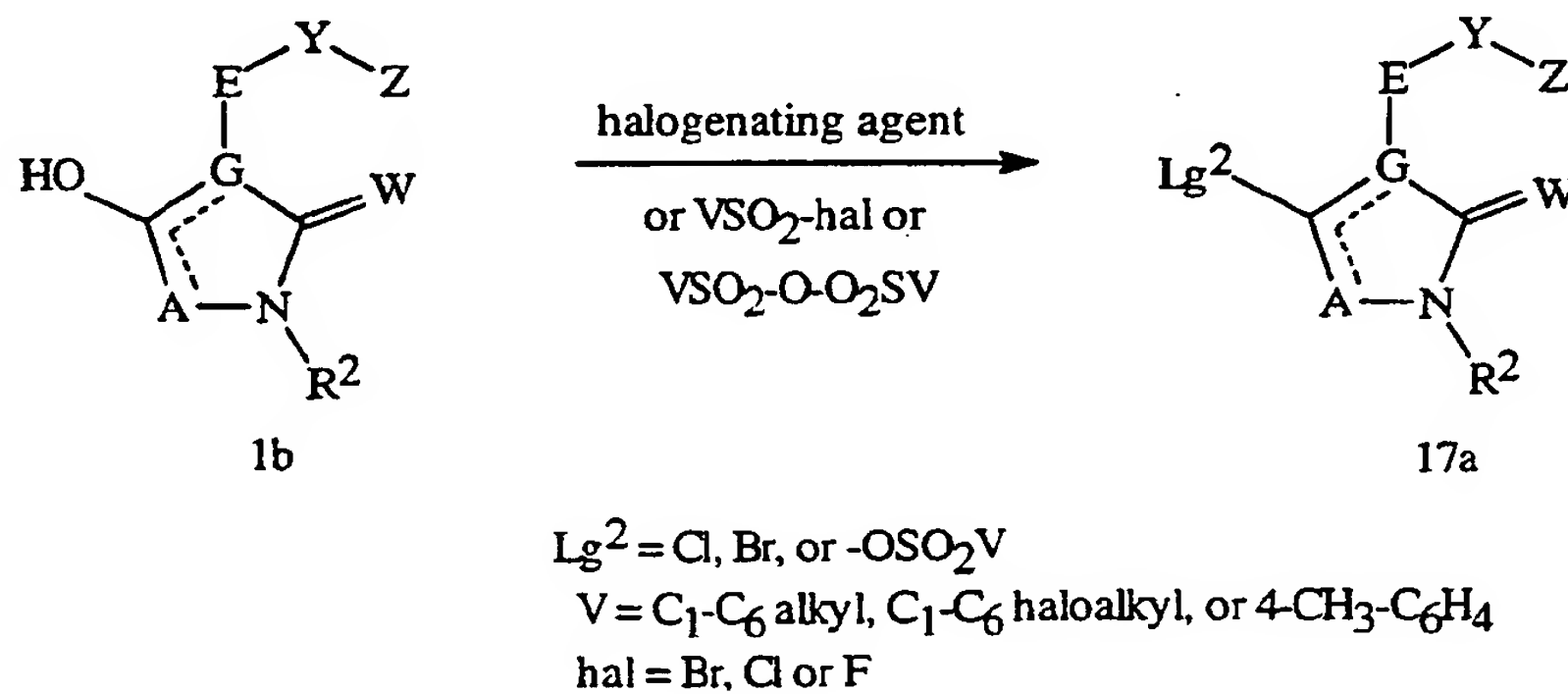
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Scheme 9



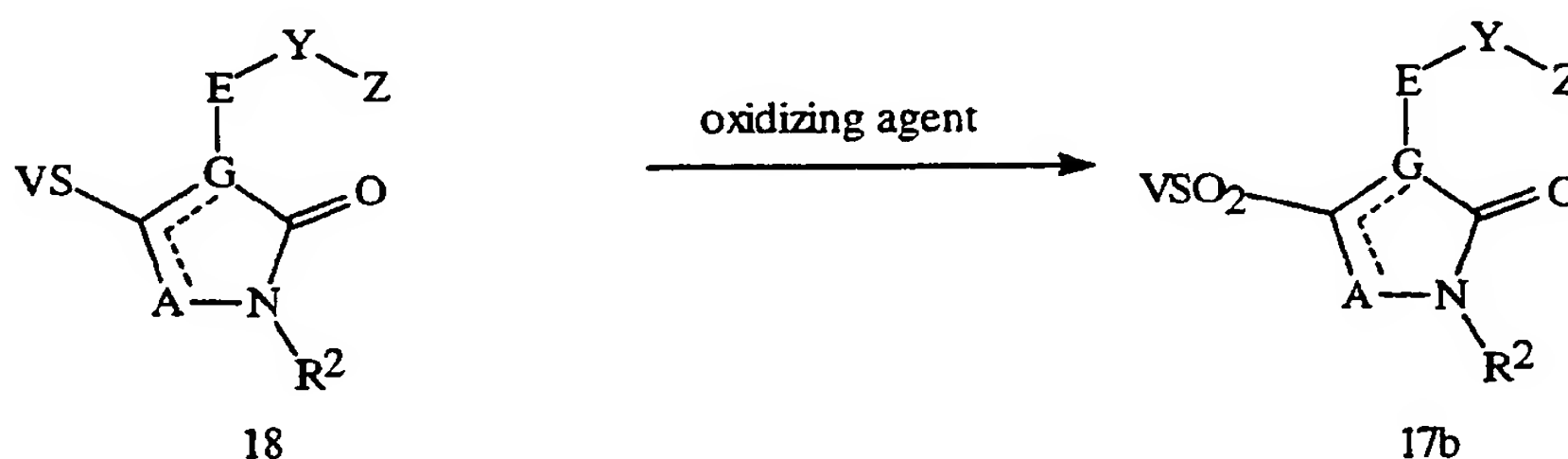
Compounds of Formula 17a can be prepared from compounds of Formula 1b (compounds of Formula 1 wherein X is OH) by reaction with halogenating agents such as thionyl chloride or phosphorus oxybromide to form the corresponding β -halo-substituted derivatives (Scheme 10). Alternatively, compounds of Formula 1b can be treated with an alkylsulfonyl halide or haloalkylsulfonyl anhydride, such as methanesulfonyl chloride, *p*-toluenesulfonyl chloride, and trifluoromethanesulfonyl anhydride, to form the corresponding β -alkylsulfonate of Formula 17a. The reaction with the sulfonyl halides may be performed in the presence of a suitable base (e.g., triethylamine).

Scheme 10



As illustrated in Scheme 11, sulfonyl compounds of Formula 17b can be prepared by oxidation of the corresponding thio compound of Formula 18 using well-known methods for the oxidation of sulfur (see Schrenk, K. In *The Chemistry of Sulphones and Sulphoxides*; Patai, S. et al., Eds.; Wiley: New York, 1988). Suitable oxidizing reagents include meta-chloro-peroxybenzoic acid, hydrogen peroxide and Oxone® (KHSO₅).

Scheme 11

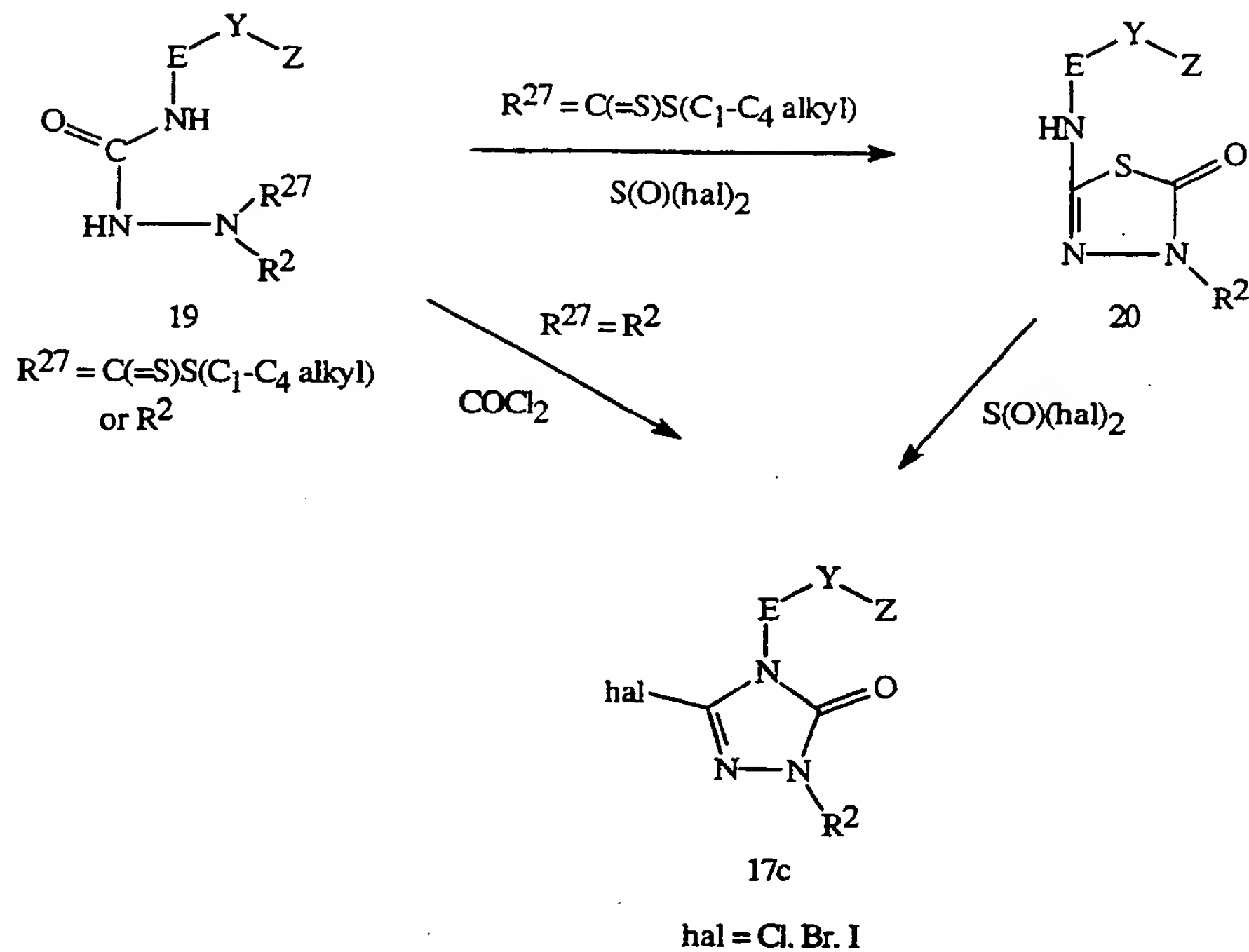


V = C₁-C₆ alkyl, C₁-C₆ haloalkyl, or 4-CH₃-C₆H₄

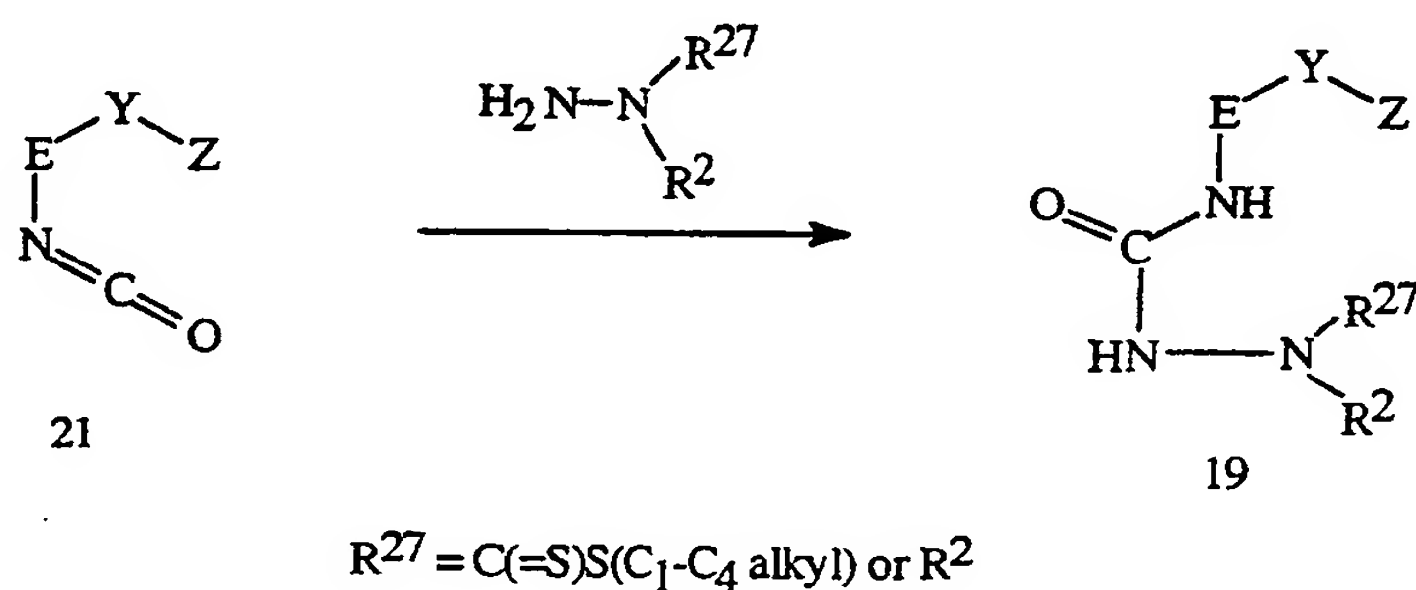
Alternatively, halo-compounds of Formula 17c (compounds of Formula 17a wherein A = N, G = N, and W = O) can be prepared from hydrazides of Formula 19 as illustrated in Scheme 12. When R²⁷ = C(=S)S(C₁-C₄ alkyl), the diacyl compound of Formula 19 is treated with excess thionyl halide, for example excess thionyl chloride. The product formed first is the ring-closed compound of Formula 20 which can be isolated or converted *in situ* to the compound of Formula 17c; see P. Molina, A. Tárraga, A. Espinosa, *Synthesis*, (1989), 923 for a description of this process.

Alternatively, when R²⁷ = R² as defined above, the hydrazide of Formula 19 is cyclized with phosgene to form the cyclic urea of Formula 17c wherein hal = Cl. This procedure is described in detail in *J. Org. Chem.*, (1989), 54, 1048.

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Scheme 12

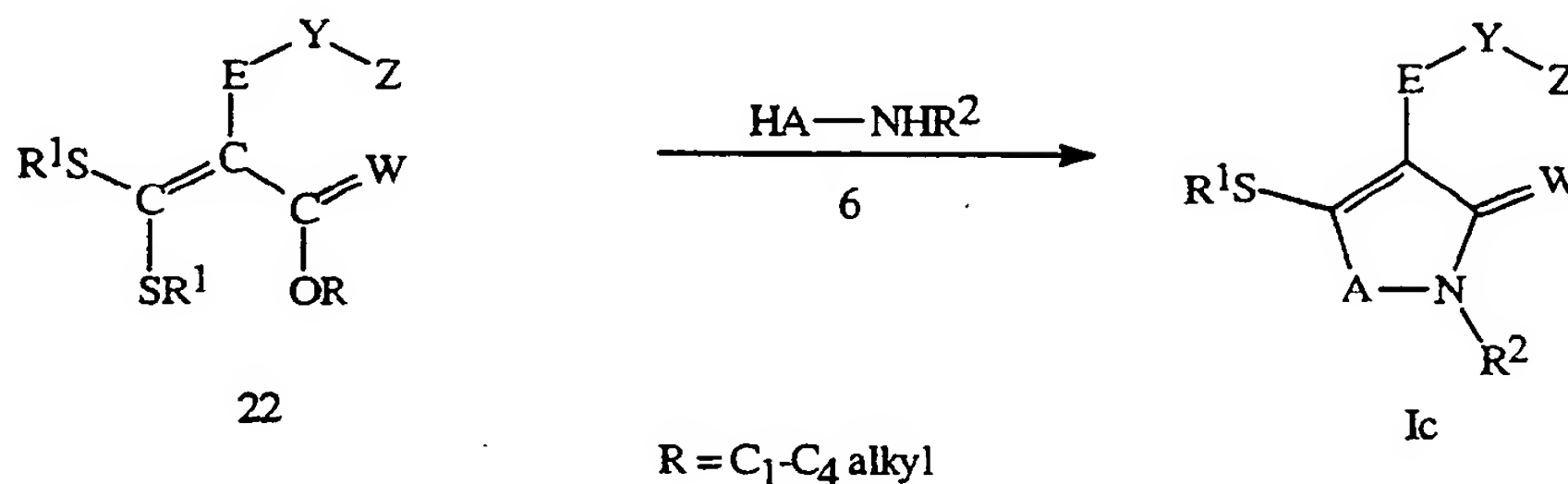
- The hydrazides of Formula 19 can be prepared as illustrated in Scheme 13.
- Condensation of the isocyanate of Formula 21 with the hydrazine of
- 5 Formula $\text{H}_2\text{NNR}^2\text{R}^{27}$ in an inert solvent such as tetrahydrofuran affords the hydrazide.

Scheme 133) Conjugate Addition/Cyclization Procedures

- 10 In addition to the methods disclosed above, compounds of Formula I wherein $\text{X} = \text{SR}^1$ and $\text{G} = \text{C}$ (Formula Ic) can be prepared by treating a ketenedithioacetal of

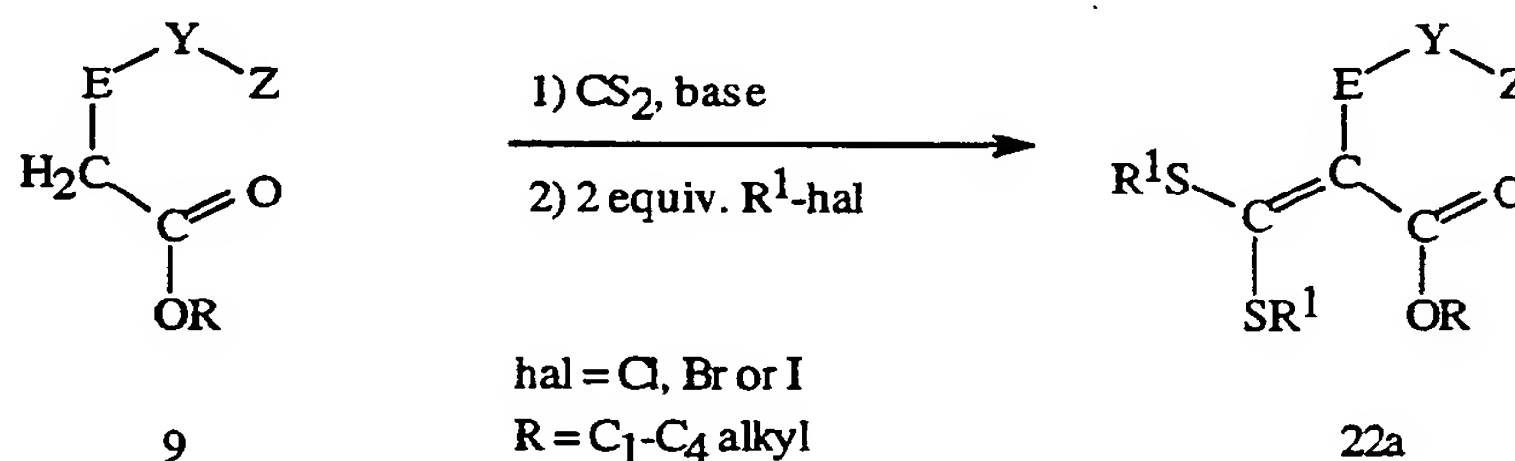
Formula 22 with an ambident nucleophile of Formula 6 (Scheme 14). The nucleophiles of Formula 6 are described above.

Scheme 14



- 5 Ketene dithioacetals of Formula 22a can be prepared by condensing arylacetic acid esters of Formula 9 with carbon disulfide in the presence of a suitable base, followed by reaction with two equivalents of an R^1 -halide, such as iodomethane or propargyl bromide (Scheme 15).

Scheme 15



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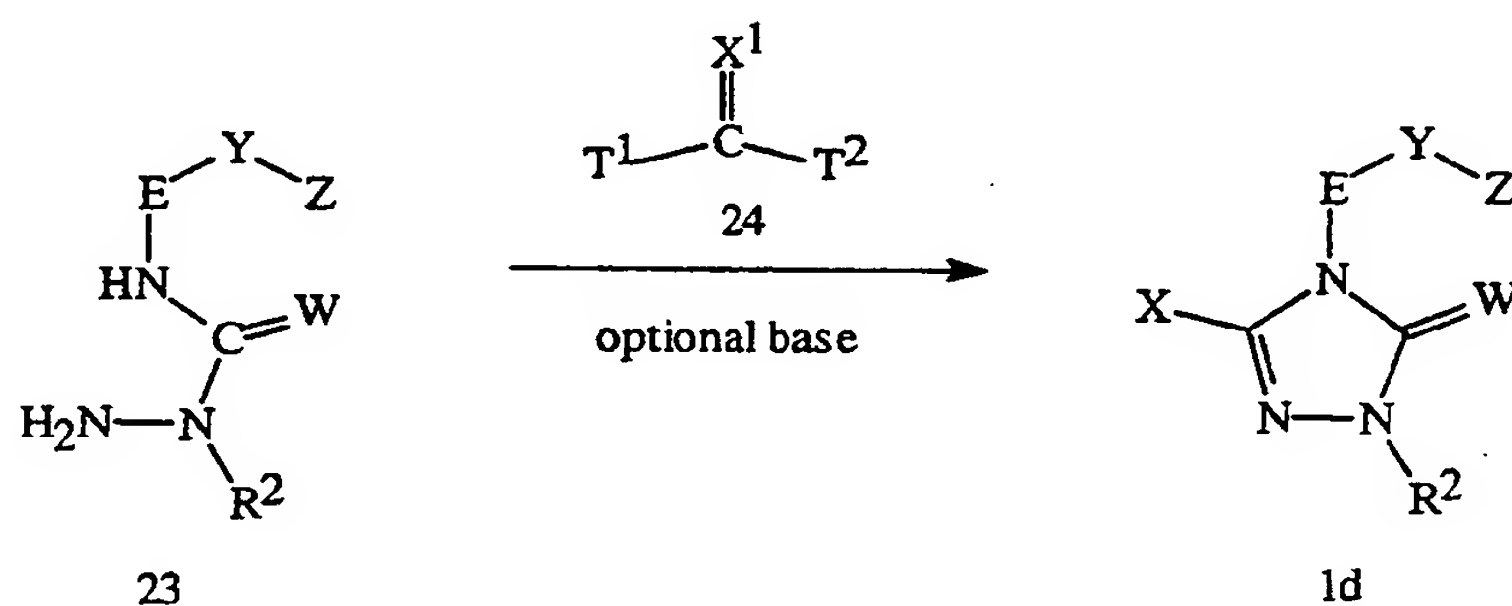
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22a

- Compounds of Formula 1d (compounds of Formula 1 wherein $A = N$, $G = N$) can be prepared by condensation of N -amino-ureas of Formula 23 with a carbonylating agent of Formula 24 (Scheme 16). The carbonylating agents of Formula 24 are carbonyl or thiocarbonyl transfer reagents such as phosgene, thiophosgene, diphosgene (15 $ClC(=O)OCCl_3$), triphosgene ($Cl_3COC(=O)OCCl_3$), N,N' -carbonyldiimidazole, N,N' -thiocarbonyldiimidazole, and 1,1'-carbonyldi(1,2,4-triazole). Alternatively, the compounds of Formula 24 can be alkyl chloroformates or dialkyl carbonates. Some of these carbonylating reactions may require the addition of a base to effect reaction.
- 20 Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, tertiary amines such as triethylamine and triethylenediamine, pyridine, or 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU). Suitable solvents include polar aprotic solvents such as acetonitrile,

dimethylformamide, or dimethyl sulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; or halocarbons such as dichloromethane or chloroform. The reaction temperature can vary between 0°C and 150°C and the reaction time can be from 1 to 72 hours depending on the choice of base, solvent, temperature, and substrates.

Scheme 16



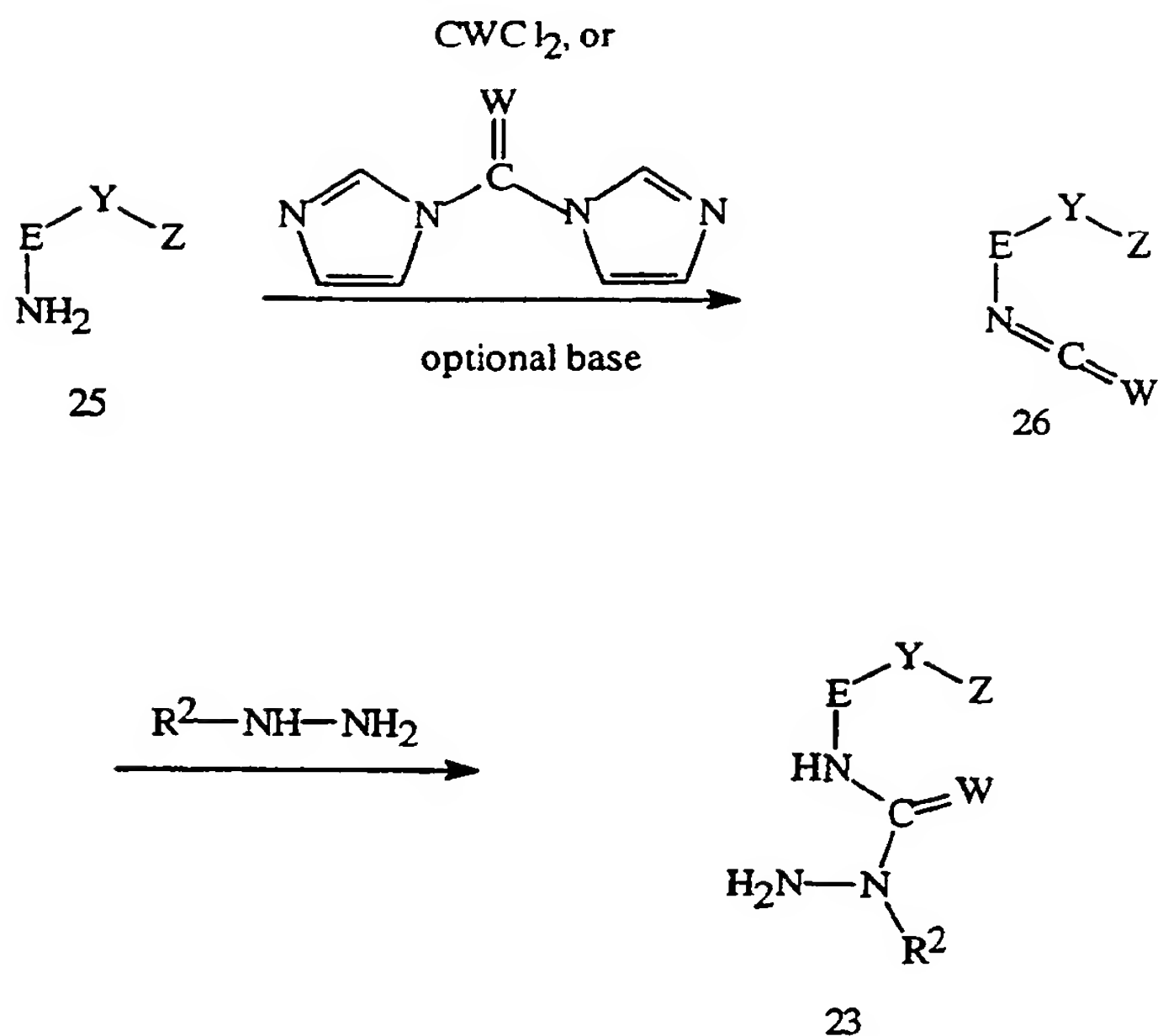
T¹ and T² are independently Cl, OCH₃, O(C₁-C₄ alkyl), 1-imidazolyl, 1,2,4-triazolyl
 X = OH or SH
 X¹ = O or S

N-Amino-ureas of Formula 23 can be prepared as illustrated in Scheme 17.

- 10 Treatment of an arylamine of Formula 25 with phosgene, thiophosgene, N,N'-carbonyldiimidazole, or N,N'-thiocarbonyldiimidazole produces the isocyanate or isothiocyanate of Formula 26. A base can be added for reactions with phosgene or thiophosgene. Subsequent treatment of the iso(thio)cyanate with an R²-substituted hydrazine produces the N-amino-urea of Formula 23.

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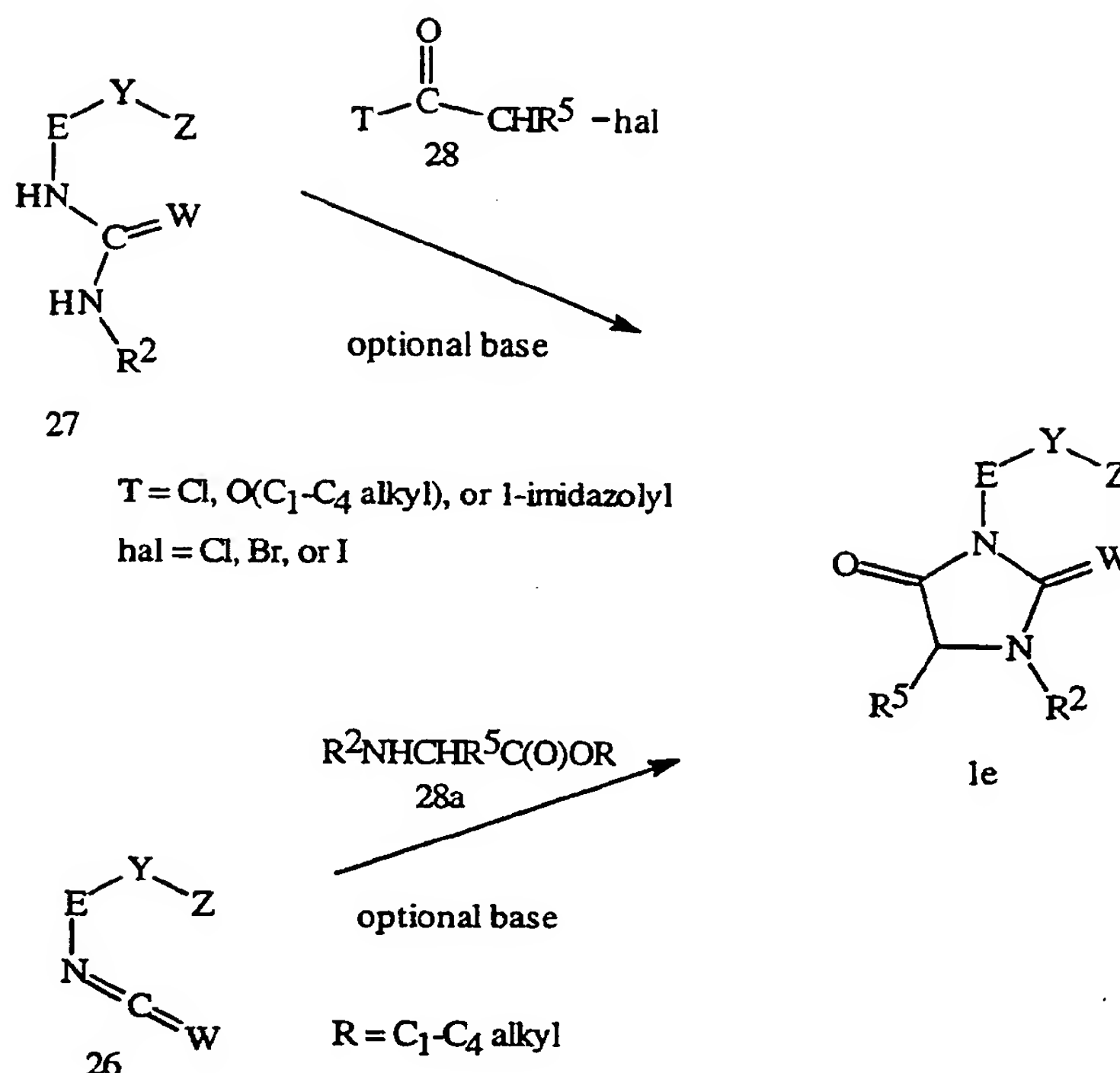
Scheme 17



- Compounds of Formula 1e (compounds of Formula 1 wherein A = CR⁵, G = N, and X = O) can be prepared by either method illustrated in Scheme 18. Ureas of
- 5 Formula 27 are reacted with activated 2-halocarboxylic acid derivatives such as 2-halocarboxylic acid chlorides, 2-halocarboxylic acid esters or 2-haloacyl imidazoles. The initial acylation on the arylamino nitrogen is followed by an intramolecular displacement of the 2-halo group to effect cyclization. Base may be added to accelerate the acylation and/or the subsequent cyclization. Suitable bases include triethylamine and
- 10 sodium hydride. Alternatively, Formula 1e compounds can be prepared by reaction of Formula 26 isocyanates with Formula 28a esters. As described above, base may be added to accelerate the reaction and subsequent cyclization to Formula 1e compounds.

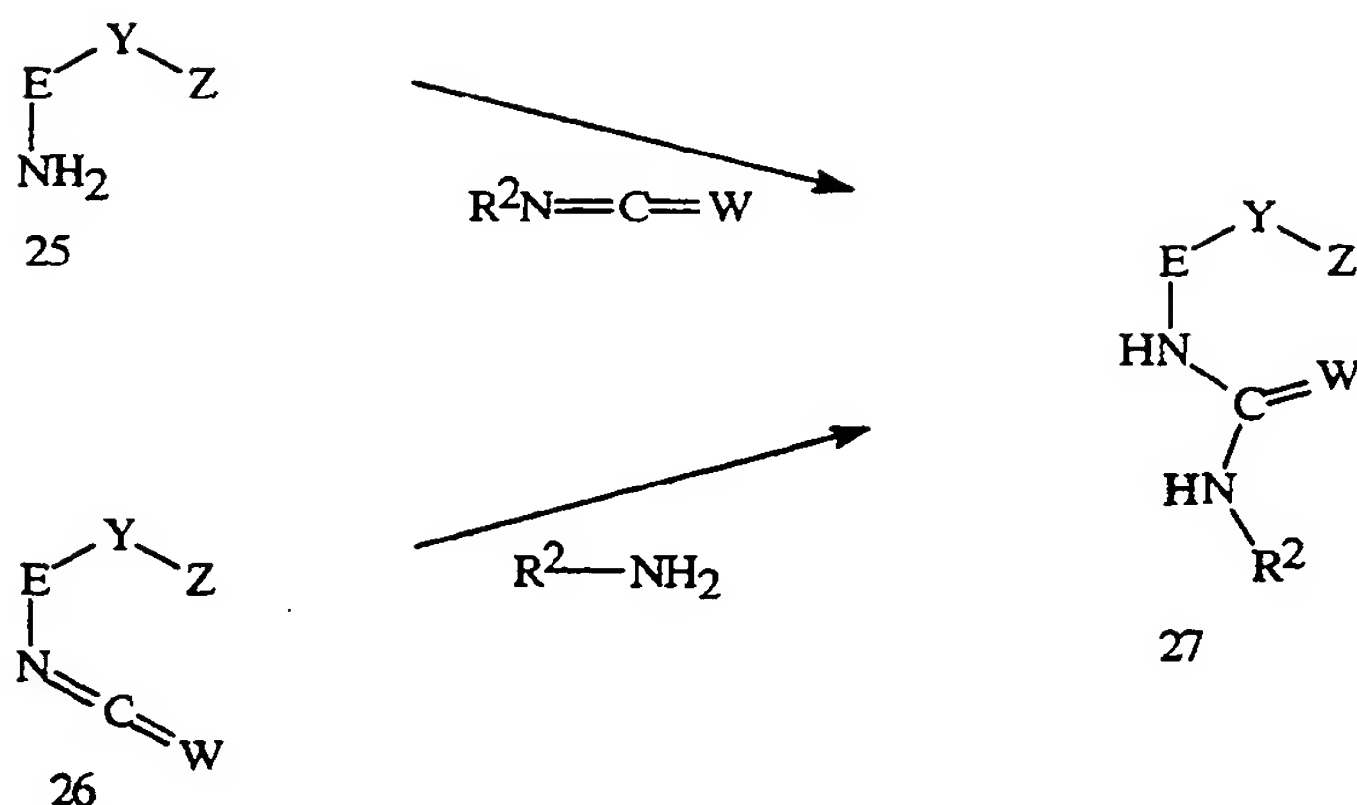
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Scheme 18

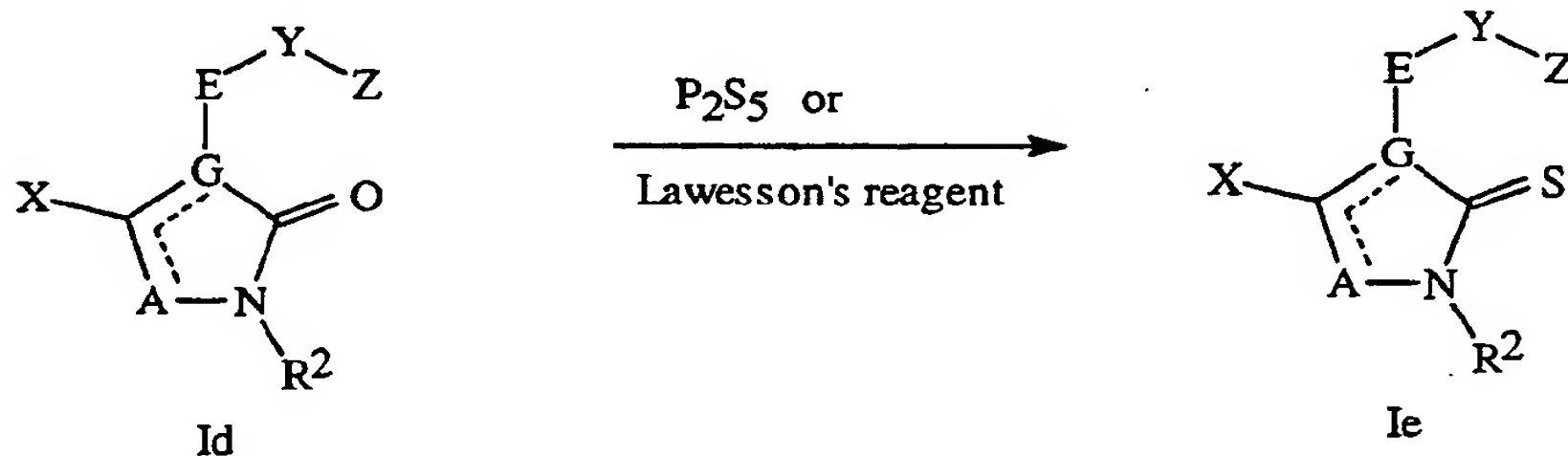


- The ureas of Formula 27 can be prepared by either of the methods illustrated in Scheme 19. The arylamine of Formula 25 can be contacted with an isocyanate or isothiocyanate of Formula R²N=C=W as described above. Alternatively, an isocyanate or isothiocyanate of Formula 26 can be condensed with an amine of Formula R²-NH₂ to form the urea. The arylamine and iso(thio)cyanates of Formulae 25 and 26, respectively, are commercially available or prepared by well-known methods. For example, isothiocyanates can be prepared by methods described in *J. Heterocycl. Chem.*, (1990), 27, 407. Isocyanates can be prepared as described in March, *J. Advanced Organic Chemistry*, 3rd ed., John Wiley: New York, (1985), pp 944, 1166 and also in *Synthetic Communications*, (1993), 23(3), 335 and references therein. For methods describing the preparation of arylamines of Formula 25 that are not commercially available, see M. S. Gibson In *The Chemistry of the Amino Group*; Patai, S., Ed.; Interscience Publishers, 1968; p 37 and *Tetrahedron Lett.* (1982), 23(7), 699 and references therein.

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Scheme 194) Thionation Procedures

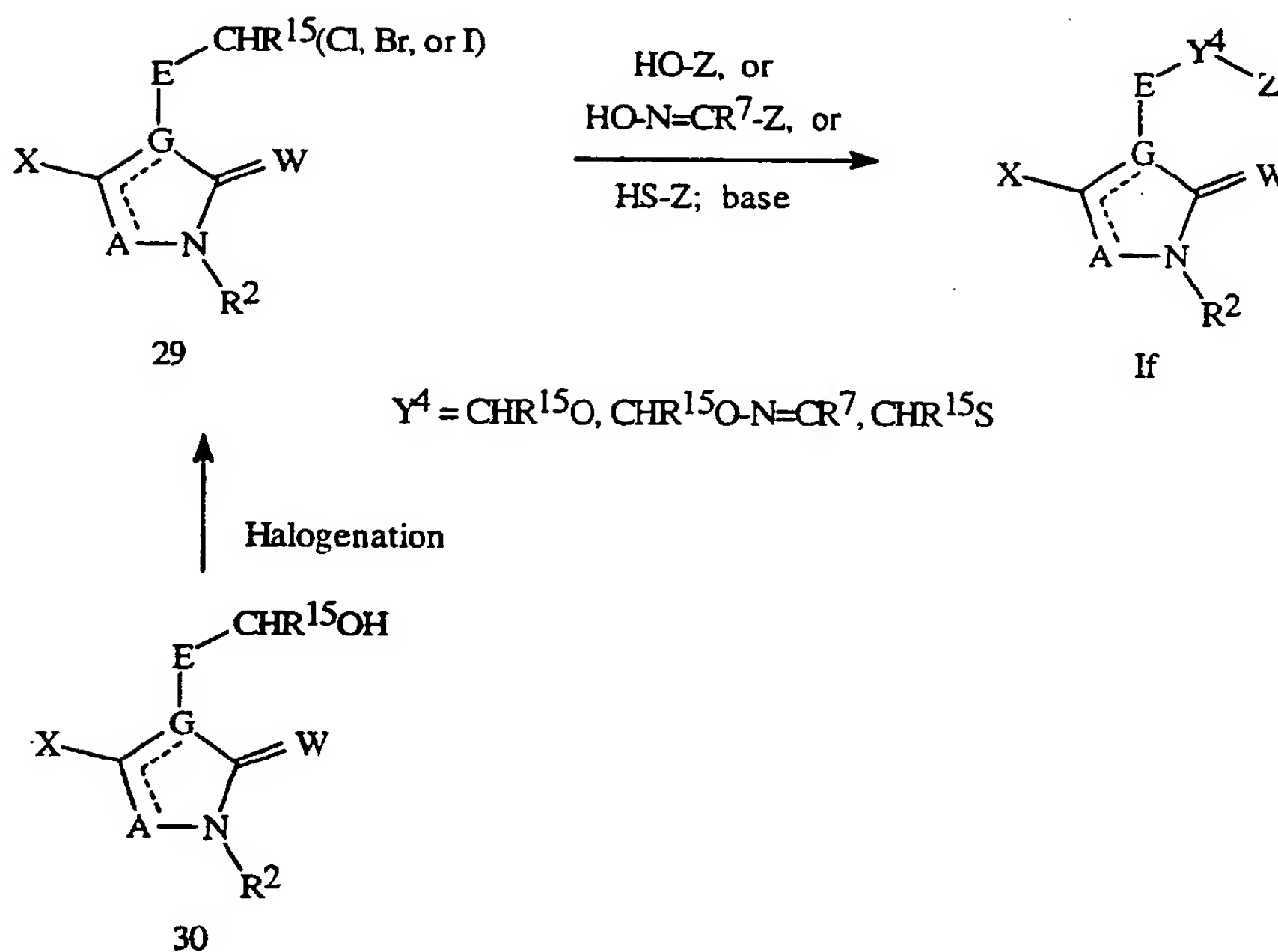
- Compounds of Formula Ie, compounds of Formula I wherein W = S, can be prepared by treating compounds of Formula Id (I wherein W = O) with thionating reagents such as P₂S₅ or Lawesson's reagent (2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide) as illustrated in Scheme 20 (see *Bull. Soc. Chim. Belg.*, (1978), 87, 229; and *Tetrahedron Lett.*, (1983), 24, 3815).

Scheme 205) Aryl Moiety (E-Y-Z) Synthesis Procedures

- Compounds of Formula If (compounds of Formula I wherein Y is CHR¹⁵O, CHR¹⁵S, or CHR¹⁵O-N=CR⁷) can be prepared by contacting halides of Formula 29 with various nucleophiles (Scheme 21). The appropriate alcohol or thiol is treated with a base, for example sodium hydride, to form the corresponding alkoxide or thioalkoxide which acts as the nucleophile.

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Scheme 21

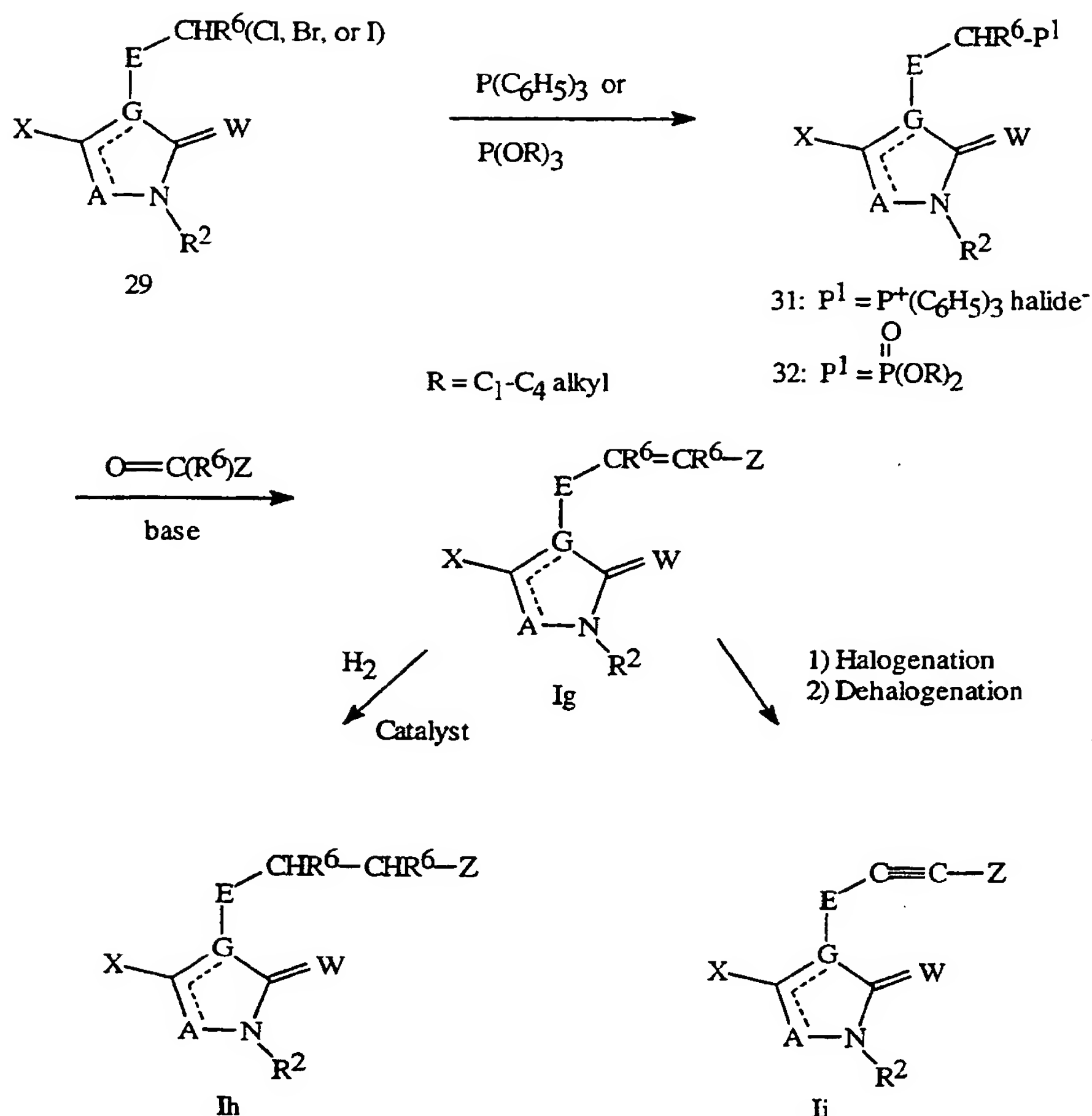


Some aryl halides of Formula 29 can be prepared by radical halogenation of the corresponding alkyl compound (i.e., H instead of halogen in Formula 29), or by acidic cleavage of the corresponding methylether (i.e., OMe instead of halogen in Formula 29). Other aryl halides of Formula 29 can be prepared from the appropriate alcohols of Formula 30 by well known halogenation methods in the art (see Carey, F. A.; Sundberg, R. J. *Advanced Organic Chemistry*; 3rd ed., Part B, Plenum: New York, (1990), p 122).

Compounds of Formula I wherein Y is $\text{CR}^6=\text{CR}^6$ or $\text{CHR}^6\text{-CHR}^6$ (Formula Ig and Ih, respectively) can be prepared as illustrated in Scheme 22. Treatment of the halides of Formula 29 with triphenylphosphine or a trialkylphosphite produces the corresponding phosphonium salt (Formula 31) or phosphonate (Formula 32), respectively. Condensation of the phosphorus compound with a base and a carbonyl compound of Formula $\text{Z(R}^6\text{)C=O}$ affords the olefin of Formula Ig.

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Scheme 22



The olefins of Formula Ig can be converted to the saturated compounds of Formula Ih by hydrogenation over a metal catalyst such as palladium on carbon as is well-known in the art (Rylander, *Catalytic Hydrogenation in Organic Synthesis*; Academic: New York, 1979).

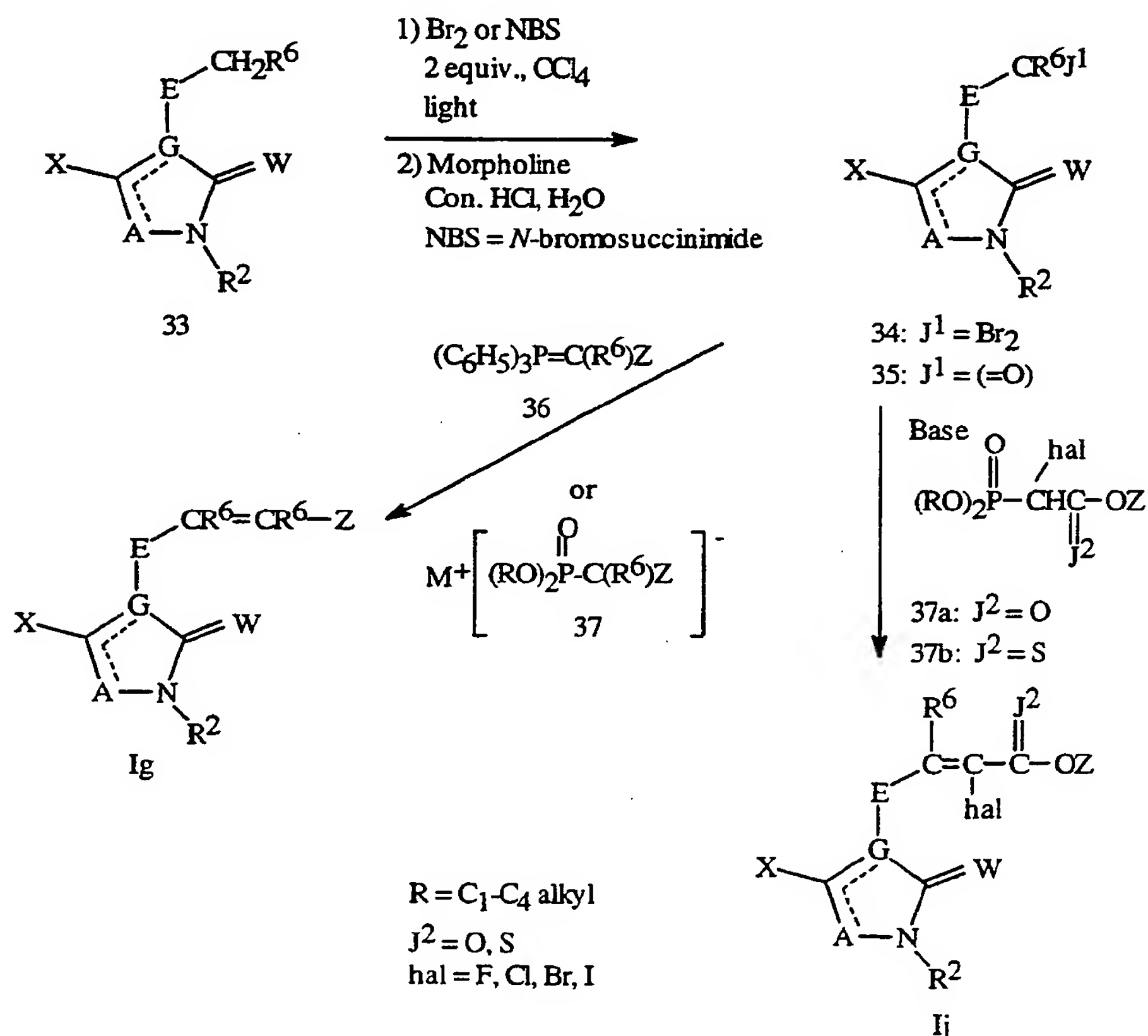
Formula Ii alkynes can be prepared by halogenation/dehalogenation of Formula Ig olefins using procedures well-known in the art (March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 924). Additionally, Formula Ii alkynes can be prepared by well-known reaction of aryl halides with alkyne derivatives in the presence of catalysts such as nickel or palladium (see *J. Organomet. Chem.*, (1975), 93 253-257).

The olefin of Formula Ig can also be prepared by reversing the reactivity of the reactants in the Wittig or Horner-Emmons condensation. For example, 2-alkylaryl

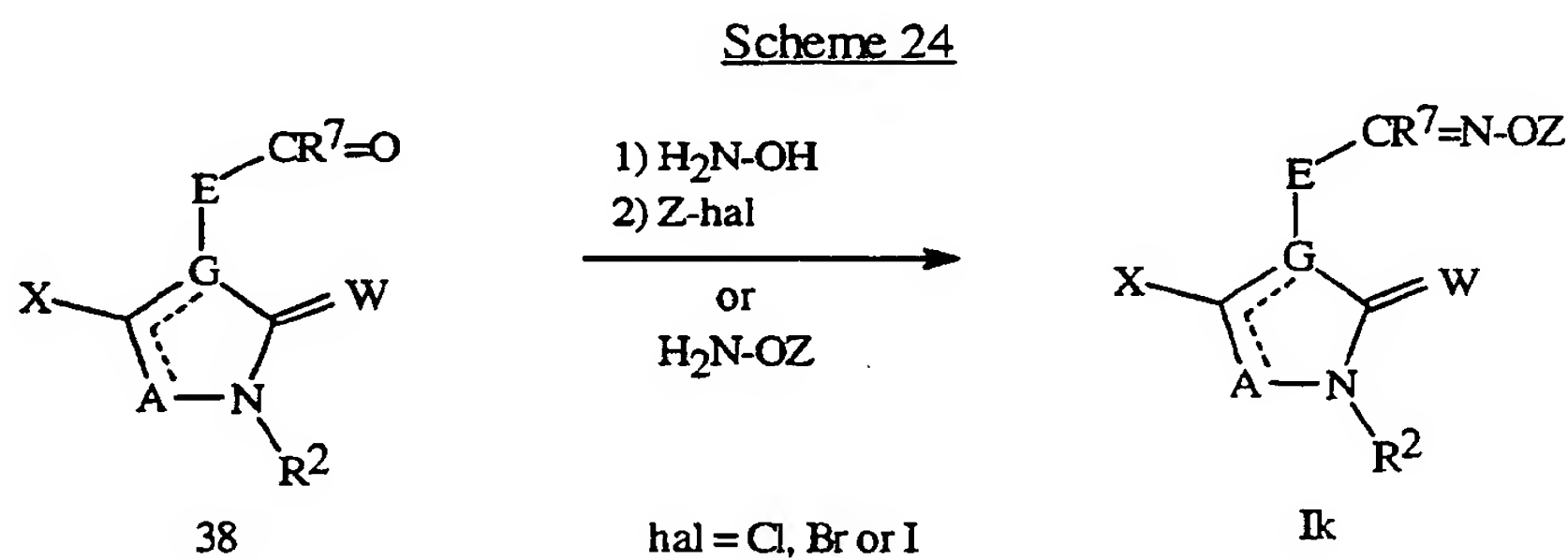
derivatives of Formula 33 can be converted into the corresponding dibromo-compound of Formula 34 as illustrated in Scheme 23 (see *Synthesis*, (1988), 330). The dibromo-compound can be hydrolyzed to the carbonyl compound of Formula 35, which in turn can be condensed with a phosphorus-containing nucleophile of Formula 36 or 37 to afford the olefin of Formula Ig. Additionally, compounds of Formula 35 can be prepared by oxidation of the corresponding alcohols of Formula 30.

Vinylhalides of Formula Ij can be prepared by reacting phosphorus reagents of Formulae 37a or 37b with carbonyl compounds of Formula 35 (Scheme 23). The preparations of halides of Formula 37a from the appropriate diethylphosphonoacetate are described by McKenna and Khawli in *J. Org. Chem.*, (1986), 51, 5467. The thiono esters of Formula 37b can be prepared from esters of Formula 37a by converting the carbonyl oxygen of the ester to a thiocarbonyl (see *Chem. Rev.*, (1984), 84, 17 and *Tetrahedron Lett.*, (1984), 25, 2639).

Scheme 23



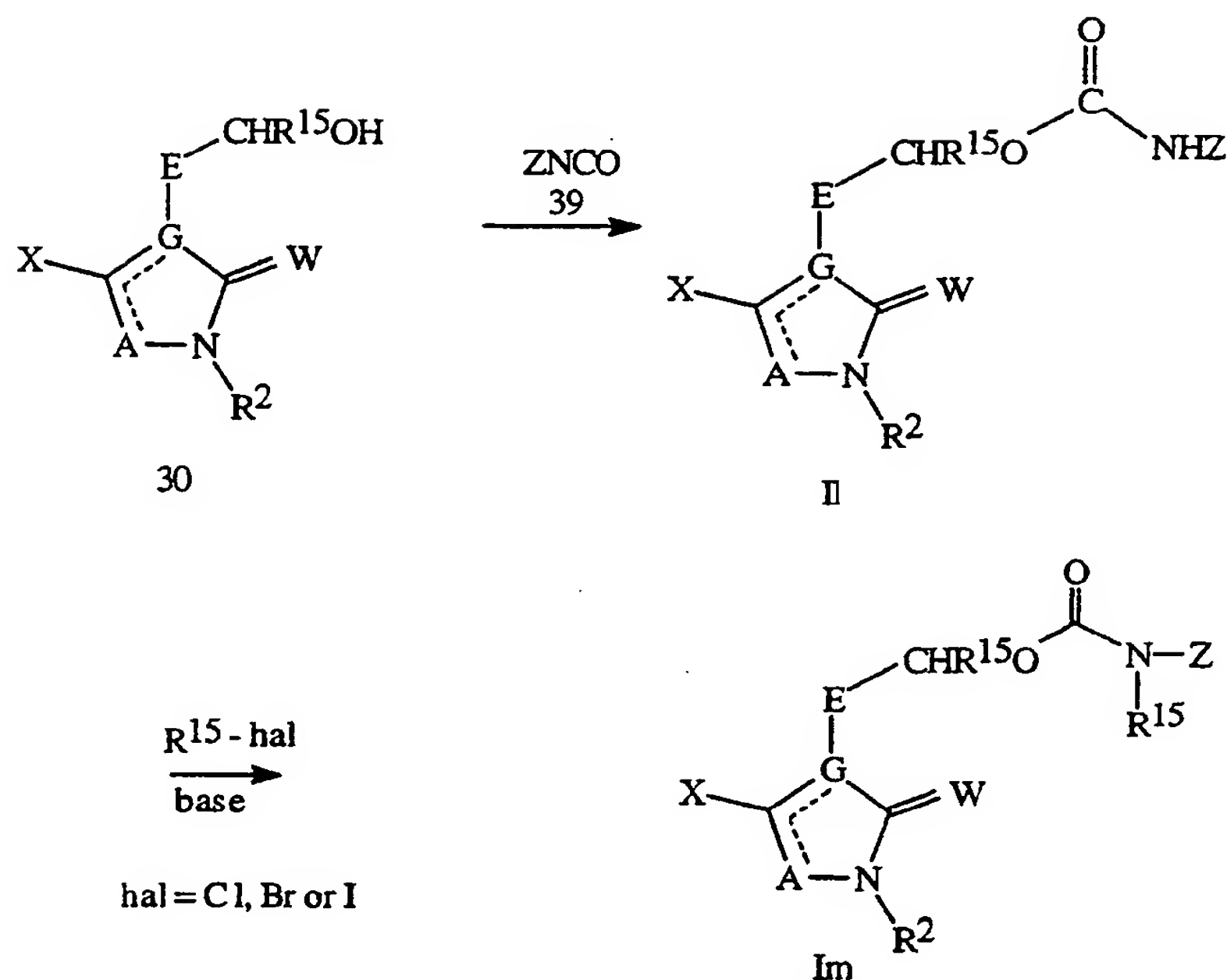
- Oximes of Formula Ik (Formula I wherein Y is C(R⁷)=N-O) can be prepared from carbonyl compounds of Formula 38 by condensation with hydroxylamine, followed by *O*-alkylation with electrophiles of Formula Z-(Cl, Br, or I) (Scheme 24). Alternatively, the *O*-substituted hydroxylamine can be condensed with the carbonyl compound of Formula 38 to yield oximes of Formula Ik directly.



- 10 Carbamates of Formula II can be prepared by reacting aryl alcohols of Formula 30 with isocyanates of Formula 39 (Scheme 25). A base such as triethylamine can be added to catalyze the reaction. As shown, carbamates of Formula II can be further alkylated to provide the carbamates of Formula Im.

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Scheme 25



Compounds of Formula I wherein Y is $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-\text{C}(=\text{N}-\text{A}^2-\text{Z}^1)-\text{A}^1-$, $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-\text{C}(\text{R}^7)=\text{N}-\text{A}^2-\text{A}^3-$ or $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(-\text{C}(\text{R}^7)=\text{N}-\text{A}^2-\text{Z}^1)-$ can be prepared by methods known in the art or obvious modifications (see, for example, WO 95/18789, WO 95/21153, and references therein) together with the methods disclosed herein.

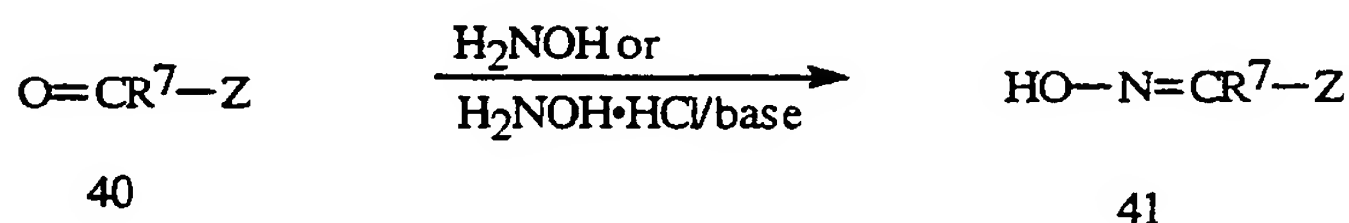
Compounds of Formula I wherein Y is $-\text{CHR}^{15}\text{OC}(=\text{O})\text{O}-$, $-\text{CHR}^{15}\text{OC}(=\text{S})\text{O}-$, $-\text{CHR}^{15}\text{OC}(=\text{O})\text{S}-$, $-\text{CHR}^{15}\text{OC}(=\text{S})\text{S}-$, $-\text{CHR}^{15}\text{SC}(=\text{O})\text{N}(\text{R}^{15})-$, $-\text{CHR}^{15}\text{SC}(=\text{S})\text{N}(\text{R}^{15})-$, $-\text{CHR}^{15}\text{SC}(=\text{O})\text{O}-$, $-\text{CHR}^{15}\text{SC}(=\text{S})\text{O}-$, $-\text{CHR}^{15}\text{SC}(=\text{O})\text{S}-$, $-\text{CHR}^{15}\text{SC}(=\text{S})\text{S}-$, $-\text{CHR}^{15}\text{SC}(=\text{NR}^{15})\text{S}-$ or $-\text{CHR}^{15}\text{N}(\text{R}^{15})\text{C}(=\text{O})\text{N}(\text{R}^{15})-$ can be prepared by methods known in the art or obvious modifications (see, for example, U.S. 5,416,110, EP 656,351 and references therein) together with the methods disclosed herein.

The compounds of the present invention are prepared by combinations of reactions as illustrated in the Schemes 1-25 in which Z is a moiety as described in the summary. Preparation of the compounds containing the radical Z as described in the summary, substituted with L (defined as any group attached to Z as depicted in each of the individual schemes) can be accomplished by one skilled in the art by the appropriate combination of reagents and reaction sequences for a particular Z-L. Such reaction sequences can be developed based on known reactions available in the chemical art. For

a general reference, see March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985) and references therein. See the following paragraphs for some examples of how L is defined in individual schemes, and the preparation of representative Z-L examples.

- 5 Compounds of Formula 41 in Scheme 26 can be prepared from compounds of Formula 40 by reaction with hydroxylamine or hydroxylamine salts. See Sandler and Karo, "Organic Functional Group Preparations," Vol. 3 Academic Press, New York, (1972) 372-381 for a review of methods. Compounds of Formula 41 correspond to compounds of Formula 13 in Scheme 6 when $Y^1 = O-N=C(R^7)$ and in Scheme 21,
10 reagent $HO-N=CR^7$.

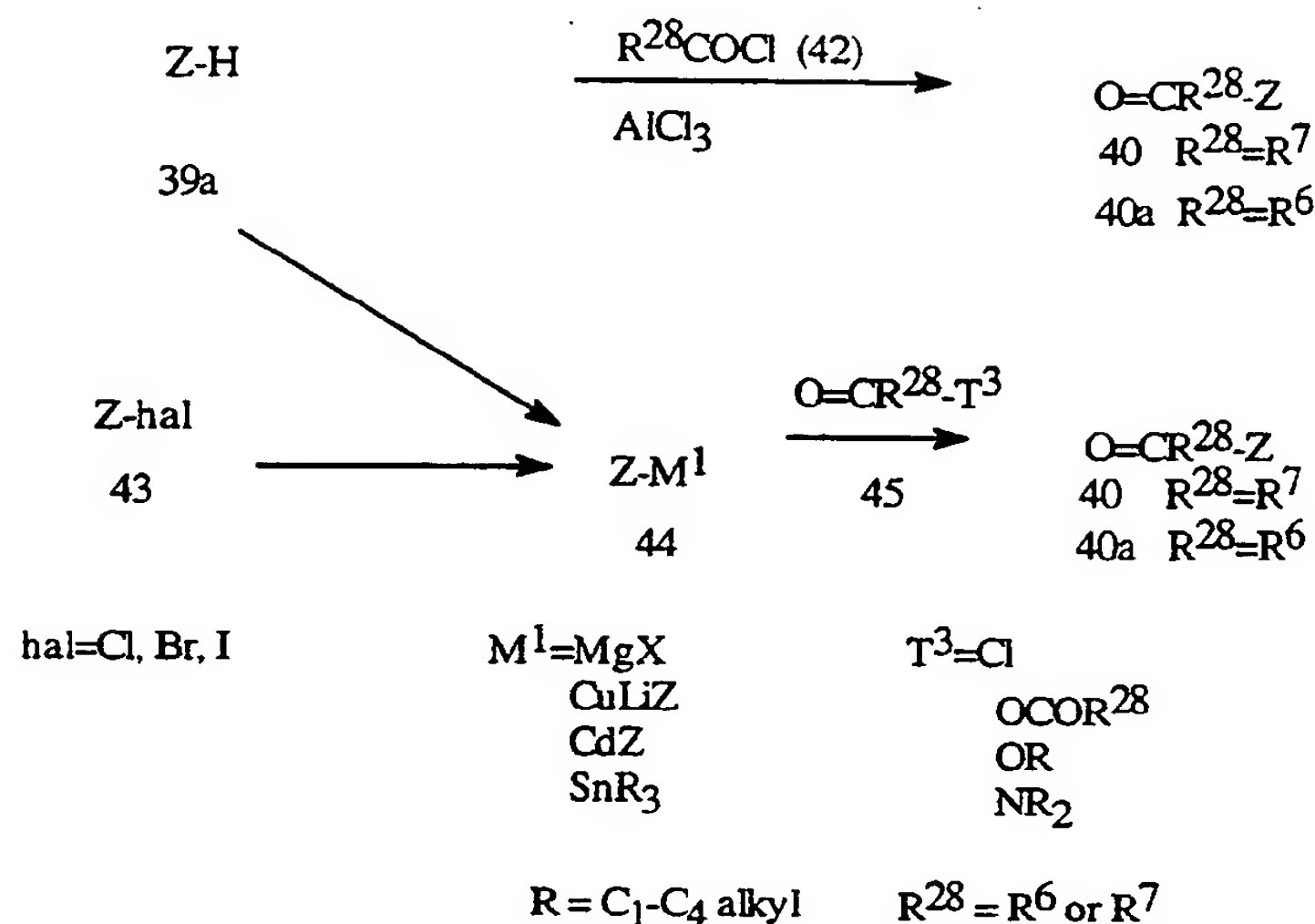
Scheme 26



- Compounds of Formula 40 can be prepared from compounds of Formula 39a (Scheme 27) by Friedel-Crafts acylation with compounds of Formula 42. (See Olah, G.
15 "Friedel-Crafts and Related Reactions," Interscience, New York (1963-1964) for a general review). Compounds of Formula 40 may also be prepared by reaction of acyl halides, anhydrides, esters, or amides of Formula 45 with organometallic reagents of Formula 44. (See March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 433-435 and references therein.) The organometallic compounds of
20 Formula 44 may be prepared by reductive metallation or halogen-metal exchange of a halogen-containing compound of Formula 43 using, for example, magnesium or an organolithium reagent, or by deprotonation of compounds of Formula 39a using a strong base such as a lithioamide or an organolithium reagent, followed by transmetallation. Compound 40 corresponds to Compound 14a in Scheme 8, while compound 40a
25 corresponds to $O=C(R^6)Z$ in Scheme 22.

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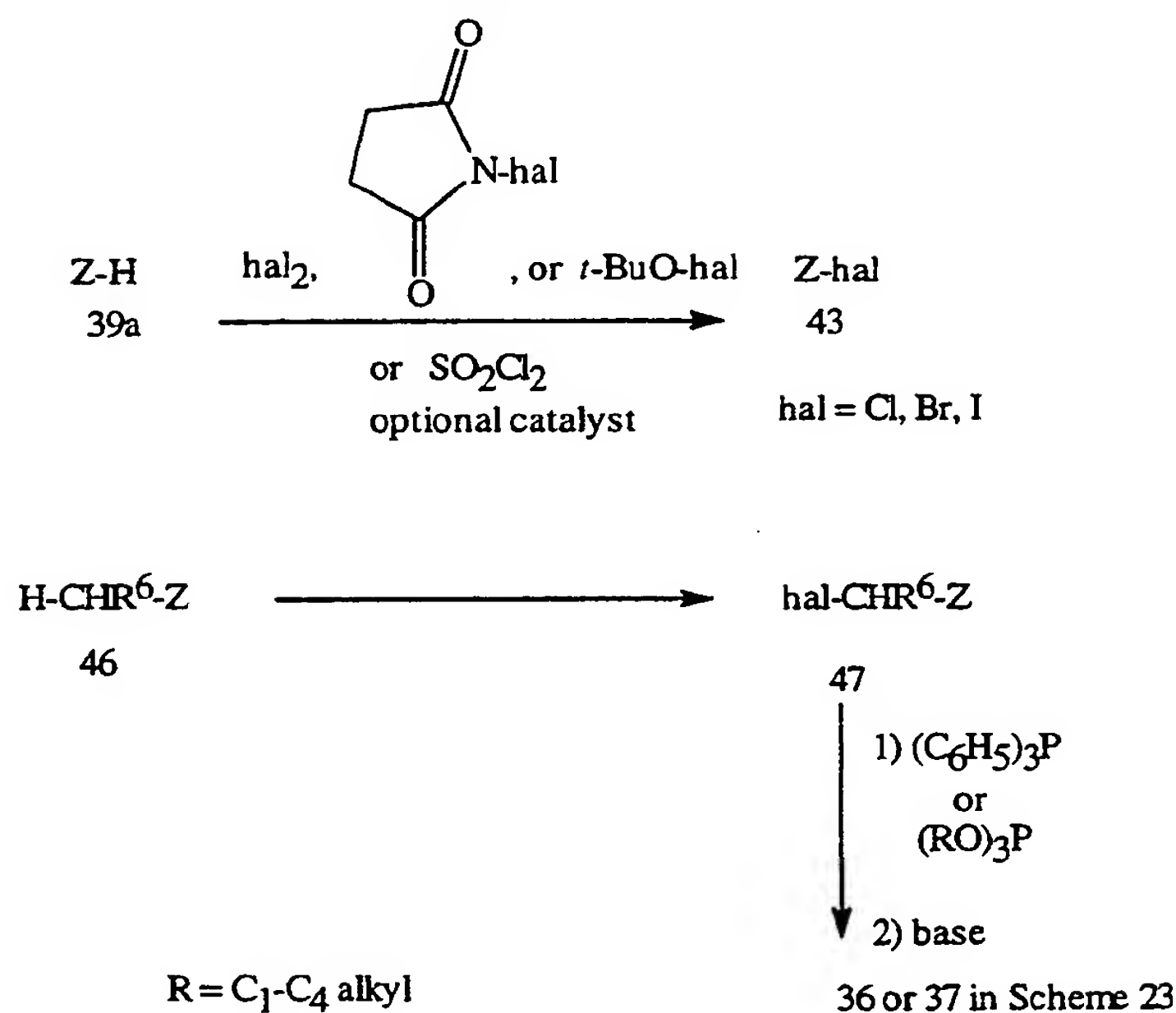
Scheme 27



Compounds of Formula 43 may be prepared by reaction of compounds of Formula 39a (Scheme 28) with, for example, bromine or chlorine, with or without additional catalysts, under free-radical or aromatic electrophilic halogenation conditions, depending on the nature of Z. Alternative sources of halogen, such as *N*-halosuccinimides, *tert*-butyl hypohalites or SO_2Cl_2 , may also be used. (See March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 476-479, 620-626, and references therein.) For a review of free-radical halogenation, see Huyser, in Patai, "The Chemistry of the Carbon-Halogen Bond," Part 1, Wiley, New York (1973) pp 549-607. For electrophilic substitutions, see de la Mare, "Electrophilic Halogenation," Cambridge University Press, London (1976). Compounds of Formula 43 correspond to compounds of Formula 15 in Scheme 7 where $Lg = Br, Cl, \text{ or } I$ and reagent Z-hal in Scheme 24. Compounds of Formula 47 can be prepared from compounds of Formula 46 by similar procedures. Compounds of Formula 47 correspond to compounds of Formula 16 in Scheme 7 where $Lg = Br, Cl, \text{ or } I$. Compounds of Formula 36 or 37 in Scheme 23 can be prepared by reaction of compounds of Formula 47 with triphenylphosphine or trialkyl phosphites, respectively, followed by deprotonation with base. See Cadogan, "Organophosphorus Reagents in Organic Synthesis," Academic Press, New York (1979) for a general treatise on these reagents.

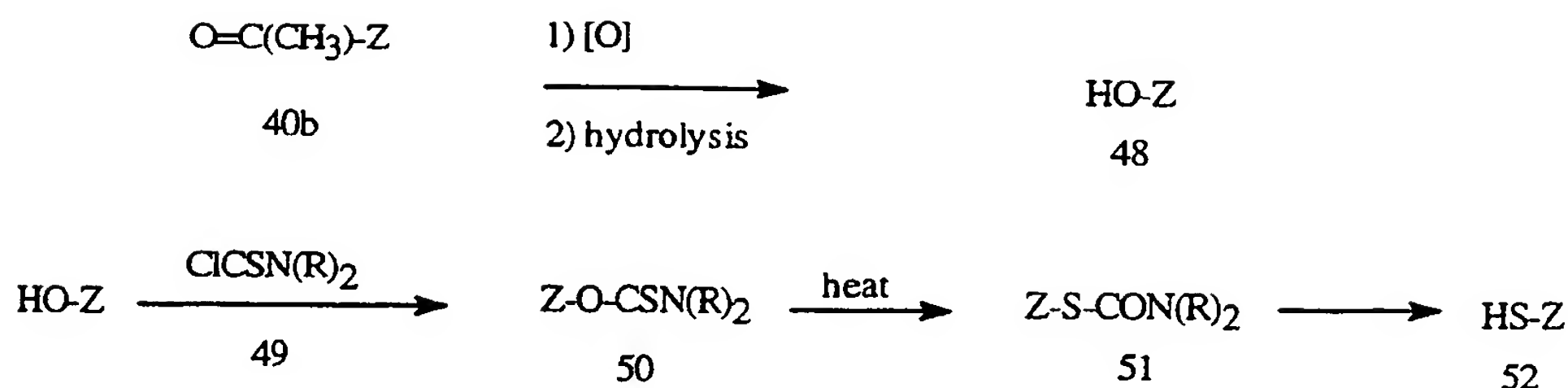
57

Scheme 28

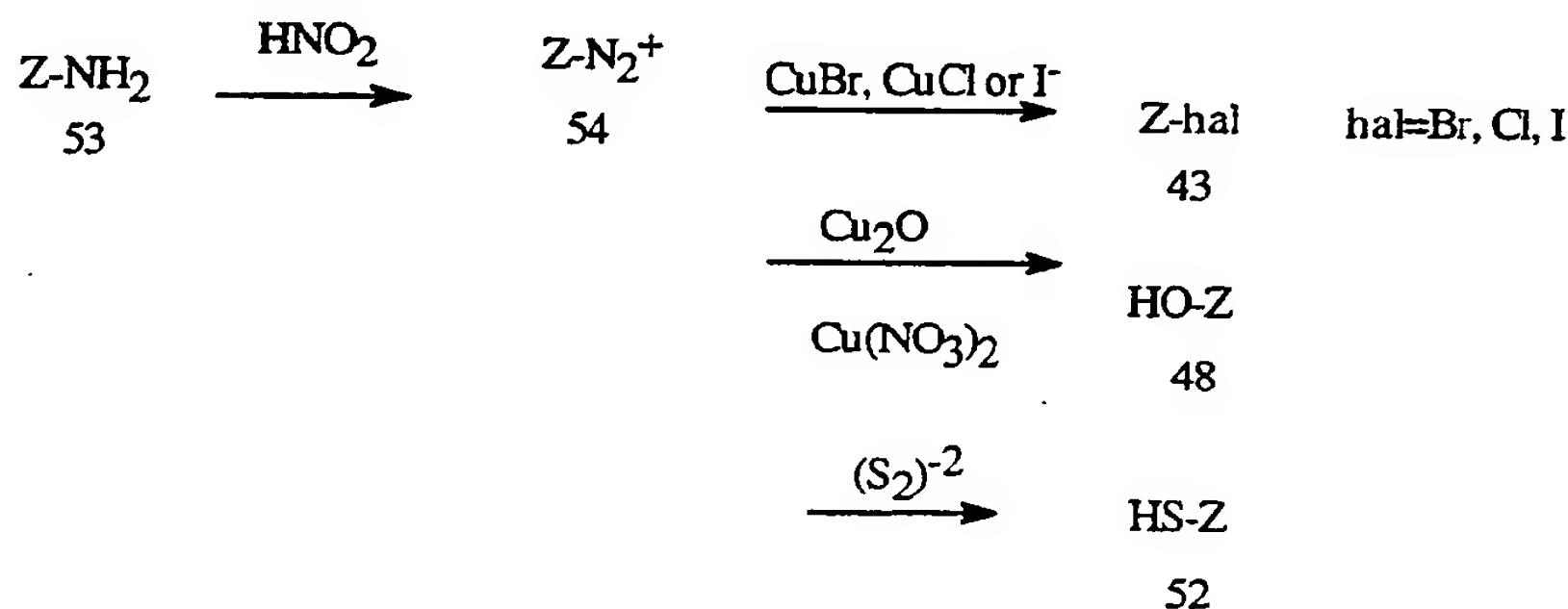


Compounds of Formula 48 can be prepared from compounds of Formula 40b by treatment with peracids such as perbenzoic or peracetic acid, or with other peroxy compounds in the presence of an acid catalysts, followed by hydrolysis of the resultant ester. For a review, see Plesnicar, in Trahanovsky, "Oxidation in Organic Chemistry, pt. C, Academic Press, New York (1978) pp 254-267. Formula 48 corresponds to Formula 13 in Scheme 6 when Y¹ = O and reagent HO-Z in Scheme 21. Compounds of Formula 52 can be prepared from compounds of Formula 48 by conversion to the dialkylthiocarbamates of Formula 50 followed by rearrangement to Formula 51 and subsequent hydrolysis. See M. S. Newman and H. A. Karnes, *J. Org. Chem.* (1966), 31, 3980-4. Formula 52 corresponds to Formula 13 in Scheme 6 when Y¹ = S and reagent HS-Z in Scheme 21.

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Scheme 29R = C₁-C₄ alkyl

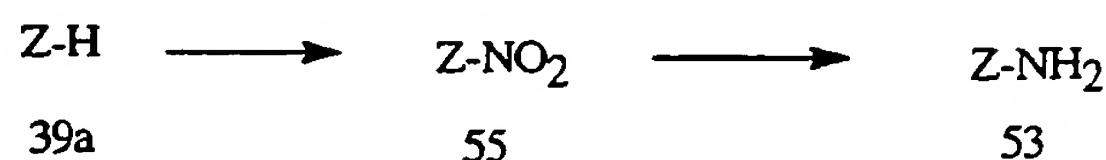
Compounds of Formula 53 can be converted to compounds of Formulae 43, 48 or 52 via the diazonium compounds 54, by treatment with nitrous acid followed by subsequent reaction (Scheme 30). See reviews by Hegarty, pt. 2, pp 511-91 and Schank, pt. 2, pp 645-657, in Patai, "The Chemistry of Diazonium and Diazo Groups," Wiley, New York (1978). Treatment of Formula 54 compounds with cuprous halides or iodide ions yield compounds of Formula 43. Treatment of Formula 54 compounds with cuprous oxide in the presence of excess cupric nitrate provides compounds of Formula 48. (Cohen, Dietz, and Miser, *J. Org. Chem.*, (1977), 42, 2053). Treatment of Formula 54 compounds with (S₂)⁻² yields compounds of Formula 52.

Scheme 30

Compounds of Formula 53 can be prepared from compounds of Formula 39a by nitration, followed by reduction (Scheme 31). A wide variety of nitrating agents is available (see Schofield, "Aromatic Nitration," Cambridge University Press, Cambridge (1980)). Reduction of nitro compounds can be accomplished in a number of ways (see March, *J. Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985),

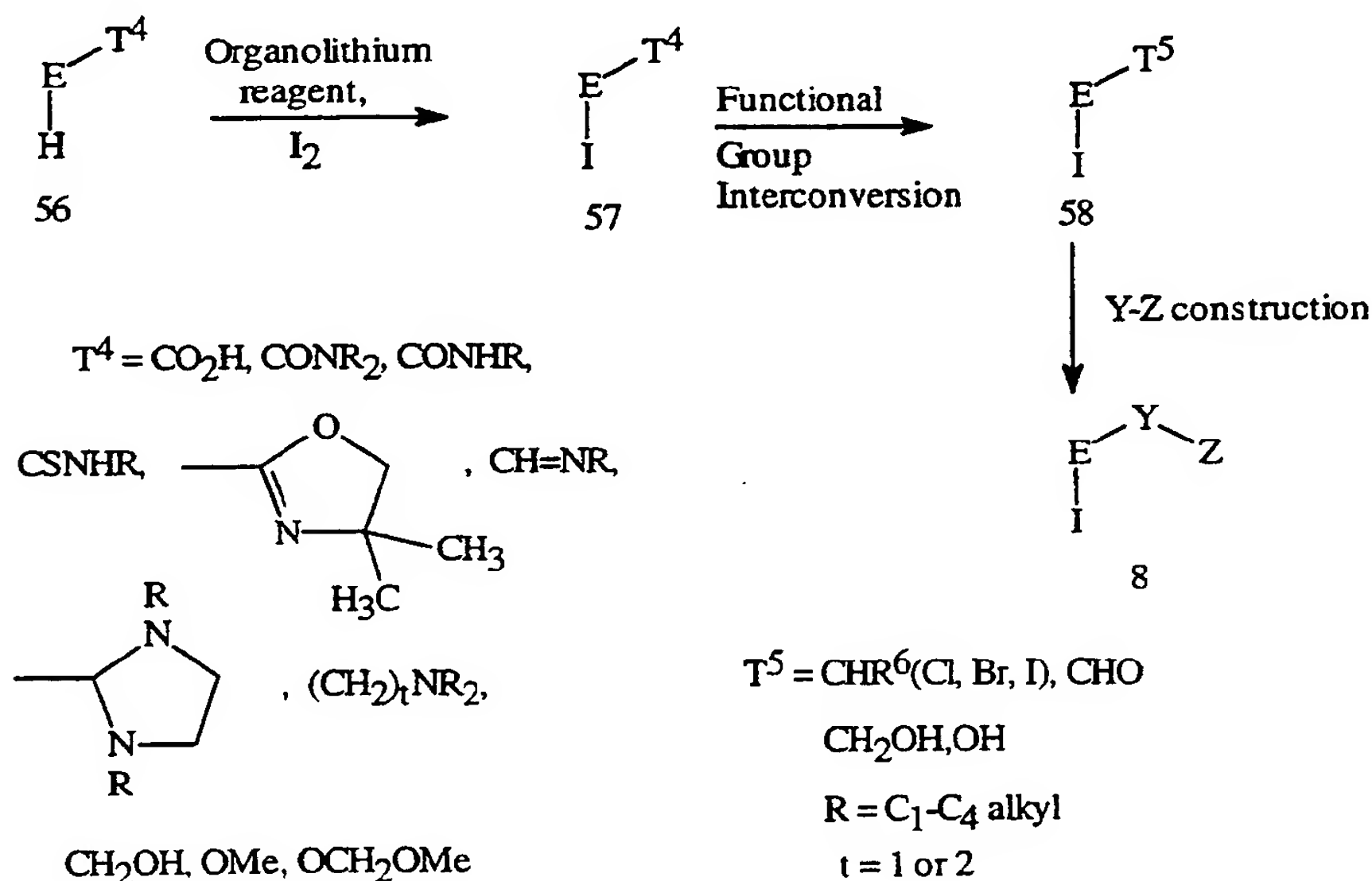
pp 1103-4 and references therein). Formula 53 corresponds to Formula 13 in Scheme 6 when $Y^1 = NR^{15}$ and $R^{15} = H$.

Scheme 31



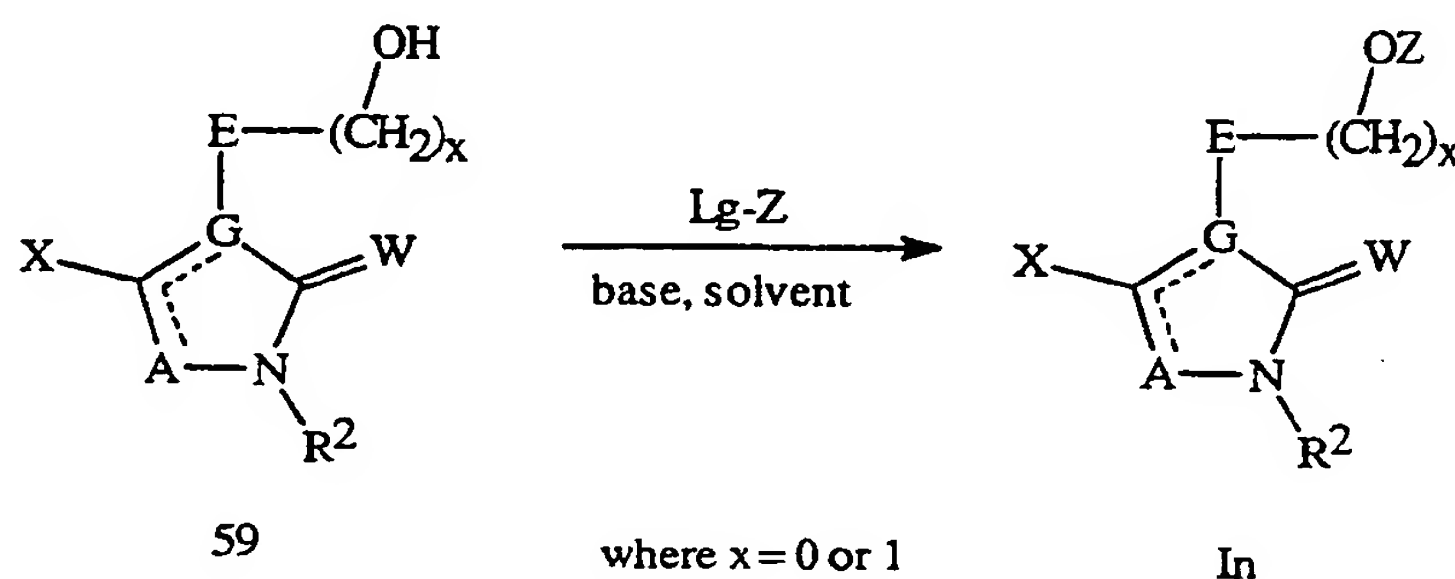
- 5 Iodides of Formula 8 can be prepared from compounds of Formula 58 by the methods described above in Schemes 21-25 for various Y-Z combinations. Compounds of Formula 58 can in turn be prepared from compounds of Formula 57 by functional group interconversions which are well known to one skilled in the art. The compounds of Formula 57 can be prepared by treating compounds of Formula 56 with an
- 10 organolithium reagent such as *n*-BuLi or LDA followed by trapping the intermediate with iodine (Beak, P., Snieckus, V. *Acc. Chem. Res.*, (1982), 15, 306). Additionally, lithiation via halogen metal exchange of compounds of Formula 56, where H is replaced by Br, will produce an intermediate which can be trapped with iodine to prepare compounds of Formula 57 (Parham, W. E., Bradsher, C. K. *Acc. Chem. Res.*, (1982),
- 15 15, 300 (Scheme 32).

Scheme 32



Compounds of Formula In (Formula IA where Y is $(\text{CH}_2)_x\text{O}$, where $x = 0$ or 1) can be prepared by contacting hydroxy compounds of Formula 59 with appropriate heterocycles or activated aromatic hydrocarbons Lg-Z (where Lg is an appropriate leaving group, for example, halogen or alkylsulfonyl) in the presence of suitable bases (for example, K_2CO_3 , KO-*t*-Bu or NaH) in suitable solvents (for example, acetone, dimethylformamide, dimethyl sulfoxide or tetrahydrofuran) (see Scheme 33).

Scheme 33



Compounds of Formula Lg-Z may be prepared according to literature procedures, for example, *Comprehensive Heterocyclic Chemistry*, Pergamon Press, vol. 6, 1984, pp 463-511 or *J. Org. Chem.* (1973), 38, 469 or *J. Het. Chem.* (1979), 961 for the preparation of 1,2,4-thiadiazoles, U.S. 5,166,165 or *J. Chem. Soc., Perkin Trans. 1* (1983), 967 for the preparation of 1,3,4-oxadiazoles and 1,3,4-thiadiazoles, EP 446,010 or *J. Med. Chem.* (1992), 35, 3691 for the preparation of 1,2,4-oxadiazoles.

Additionally, when Z is substituted with iodine or Lg^2 from Scheme 10, R^9 may be introduced via a palladium(0)-catalyzed cross coupling reaction with the appropriate nucleophile containing R^9 , such as arylboronic acids, aryl or alkyl zinc reagents, and substituted acetylenes.

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula I may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform

additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula I. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula I.

One skilled in the art will also recognize that compounds of Formula I and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ¹H NMR spectra are reported in ppm downfield from tetramethylsilane; s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets, br = broad, br s = broad singlet.

EXAMPLE 1

20 Step A: Preparation of N-(2-methoxyphenyl)-2,2-dimethylhydrazinecarboxamide

To a stirred solution of 15.0 g of 2-methoxyphenyl isocyanate in 100 mL of toluene at 5 °C under nitrogen was slowly added 7.65 mL of 1,1-dimethylhydrazine in 10 mL toluene. The cooling bath was then removed and the reaction was allowed to stir for an additional 10 min, and was then concentrated under reduced pressure. The resulting material was dissolved in diethyl ether and concentrated again. A solid was obtained which was triturated with hexanes to afford 21 g of the title compound of Step A as a white solid. ¹H NMR (CDCl₃) δ 8.6 (br s, 1H), 8.24 (m, 1H), 6.95 (m, 2H), 6.85 (m, 1H), 5.35 (br s, 1H), 3.89 (s, 3H), 2.60 (s, 6H).

30 Step B: Preparation of 5-chloro-2,4-dihydro-4-(2-methoxyphenyl)-2-methyl-3H-1,2,4-triazol-3-one

To a stirred solution of 21 g of the title compound of Step A in 800 mL of dichloromethane under nitrogen was added 29.85 g of triphosgene. The reaction was heated to reflux and allowed to reflux overnight, cooled, and then concentrated under reduced pressure. The resulting residue was dissolved in ethyl acetate, washed with distilled water, and then with saturated aqueous sodium chloride solution. The organic layer was dried (MgSO₄), filtered, and concentrated under reduced pressure. The solid

was recrystallized from dichloromethane and the resulting solid was triturated with diethyl ether to afford 10 g of the title compound of Step B as a white solid melting at 152-154 °C. ¹H NMR (CDCl₃) δ 7.45 (t,1H), 7.25 (d,1H), 7.05 (m,2H), 3.84 (s,3H), 3.53 (s,3H).

5 Step C: Preparation of 5-chloro-2,4-dihydro-4-(2-hydroxyphenyl)-2-methyl-3H-1,2,4-triazol-3-one

10 The title compound of Step B (7.7 g) was dissolved in 65 mL of dichloromethane under nitrogen, cooled to -78 °C, and 34 mL of a 1.0 M boron tribromide solution in dichloromethane was then added over 0.5 h with stirring. After the addition, the cooling bath (dry ice/acetone) was kept in place for an additional 0.5 h and then the reaction was allowed to warm to room temperature. Ice was added to the reaction mixture which was then diluted with diethyl ether and the product was extracted using 1N aqueous sodium hydroxide solution. The aqueous layer was acidified with 6N aqueous hydrochloric acid solution and extracted with dichloromethane and then with ethyl acetate. The organic
15 layers were combined, dried (MgSO₄), filtered and concentrated under reduced pressure. The resulting residue was triturated with diethyl ether to afford 5.54 g of the title compound of Step C as a white solid. ¹H NMR (CDCl₃) δ 8.18 (s,1H), 7.11 (t,2H), 6.91 (t,1H), 6.76 (d,1H), 3.56 (s,3H).

20 Step D: Preparation of 2,4-dihydro-4-(2-hydroxyphenyl)-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

25 To a stirred solution of 5.54 g of the title compound of Step C in 50 mL of methanol and 25 mL of 1,2-dimethoxyethane under nitrogen was added 18.6 mL of 30% sodium methoxide solution in methanol. The reaction was heated at reflux for 5.5 h and then cooled to room temperature. The mixture was diluted with diethyl ether and
30 the product was extracted using 1N aqueous sodium hydroxide solution. The aqueous layer was acidified with 6N aqueous hydrochloric acid solution and extracted with dichloromethane. The organic layer was dried (MgSO₄), filtered, and then concentrated under reduced pressure. The resulting residue was triturated with diethyl ether to afford 3.85 g of the title compound of Step D as a white solid (85% pure). ¹H NMR (CDCl₃) δ 8.40 (br s,1H), 7.20 (m,2H), 7.03 (d,1H), 6.94 (t,1H), 4.00 (s,3H), 3.48 (s,3H).

35 Step E: Preparation of 4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

 To a solution of 5-chloro-3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazole (0.8 g, 2.4 mmol, available from Maybridge, Catalog No. RDR03892) in DMF (8 mL) was added the title compound of Step D (0.44 g, 2.4 mmol) at room temperature. The solution was cooled to 5 °C and potassium carbonate (0.33 g, 2.4 mmol) was added

followed by a catalytic amount of cuprous chloride (about 3-5 mg). The reaction mixture was stirred at room temperature for 4 h. The reaction was partitioned between water (30 mL) and ether (30 mL), and the aqueous layer was extracted twice with ether (25 mL). The combined ether layers were washed with water (30 mL), dried over anhydrous magnesium sulfate, and then concentrated to give 1.14 g of crude product. Flash column chromatography (gradient elution with 30-50% ethyl acetate in hexane) gave the title compound of Step E, a compound of the invention, as a white solid (0.62 g) melting at 139.5-141.5 °C. ¹H NMR (CDCl₃) δ 8.36 (s,2H), 7.94 (s,1H), 7.60 (m,2H), 7.50 (d,2H), 3.81 (s,3H), 3.37 (s,3H).

10

EXAMPLE 2

Step A: Preparation of ethyl 3-(trifluoromethoxy)benzenecarboximidate hydrochloride

To a solution of 3-(trifluoromethoxy)benzonitrile (10 g, 53.4 mmol) in ethyl ether (55 mL) is added absolute ethanol (3.3 mL). The solution is cooled to 0 °C and saturated with dry HCl gas. The reaction mixture is then left to stand at ambient temperature for 7 days after which time it is filtered under a stream of dry nitrogen to give the title compound of Step A (10.99 g) as a white solid. ¹H NMR (Me₂SO-*d*₆) δ 8.2 (m,1H), 7.95 (d,1H), 7.83 (s,1H), 7.59 (m,1H), 4.66 (q,2H), 1.52 (t,3H).

15

Step B: Preparation of 3-(trifluoromethoxy)benzenecarboximidamide hydrochloride

20

To a solution of the title compound of Step A (10.99 grams, 40.76 mmol) in methanol (15 mL) is added ammonia (8.2 mL, 7N solution in methanol). This mixture was stirred for 5 days before being concentrated to give the title compound of Step B (10.36 g). ¹H NMR (Me₂SO-*d*₆) δ 9.4-8.8 (br,4H), 8.01 (m,1H), 7.97 (m,1H), 7.81 (m,2H).

25

Step C: Preparation of 5-chloro-3-[3-(trifluoromethoxy)phenyl]-1,2,4-thiadiazole

To a solution of the title compound of Step B (10.36 g, 43.06 mmol) in water (100 mL) is added methylene chloride (200 mL), benzyltriethylammonium chloride (0.8 g) and perchloromethyl mercaptan (4.7 mL, 32.6 mmol) and the mixture is cooled in an ice bath. With efficient stirring, sodium hydroxide (6.89 g) in water (100 mL) is then added dropwise such that the internal temperature does not exceed 10 °C. After the addition is complete, the cooling bath is removed and the reaction mixture stirred for a further 1.5 h. The organic layer is then separated, dried over magnesium sulfate and concentrated. The yellow/brown tar is extracted with boiling hexane and the hot solution is filtered through a pad of silica gel. The silica gel is washed with hexane and the solution is then concentrated to give the title compound of Step C as a yellow oil which

30

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is used without further purification. ^1H NMR (CDCl_3) δ 8.18 (d,1H), 8.11 (s,1H), 7.49 (t,1H), 7.34 (m,1H).

Step D: Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[3-[3-(trifluoromethoxy)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (71.44 g, 323.3 mmol) in DMF (680 mL) is added freshly ground potassium carbonate (93.9 g) and the title compound of Step C (95.5 g, 340 mmol). The mixture was stirred at ambient temperature for 3 days before being diluted with water and extracted with ethyl acetate. The aqueous phase was re-extracted with ethyl acetate and the combined organic layers were washed with water. The organic layer was dried over magnesium sulfate and concentrated. The material was purified by column chromatography (silica gel, 40%, then 60%, and then 80% ethyl ether in petroleum ether) followed by crystallization of the material from the concentrated fractions to yield 65g of the title compound of Step D, a compound of the invention, as an off white solid melting at 112-113 °C. ^1H NMR (CDCl_3) δ 8.10 (d,1H), 8.05 (s,1H), 7.6-7.4 (m,5H), 7.27 (m,1H), 3.79 (s,3H), 3.37 (s,3H).

EXAMPLE 3

Step A: Preparation of 2-(4-chlorophenyl)-5-(methylthio)-1,3,4-oxadiazole

To a solution of 4-chlorobenzoic hydrazide (15.0 g, 87.92 mmol) in ethanol (133 mL) and water (10 mL) is added potassium hydroxide (5.18 g, 92.3 mmol) and carbon disulfide (5.82 mL) in a dropwise fashion. The mixture was further diluted with ethanol (88 mL) and the mixture is heated at reflux overnight. Methyl iodide (6.02 mL) is then added and the mixture is cooled in an ice bath and stirred for a further 0.5 h. The solution is concentrated and redissolved in methylene chloride. The solution is filtered through a pad of silica gel and concentrated to give the title compound of Step A (17.69 g) as a white solid.

Step B: Preparation of 2-(4-chlorophenyl)-5-(methylsulfonyl)-1,3,4-oxadiazole

To a solution of the title compound from Step A (17.69 g, 78.1 mmol) in acetic acid (156 mL) was added a solution of potassium permanganate (25.92 g, 164.01 mmol) in water (547 mL) in a dropwise fashion. A slight exotherm was controlled with an ice bath. On complete addition, sodium hydrosulfite (80 mL, 40% aqueous solution) was added and the resultant precipitate was filtered to give the title compound of Step B. ^1H NMR (CDCl_3) δ 8.70 (m,2H), 7.57 (m,2H), 3.53 (s,3H).

Step C: Preparation of 4-[2-[[5-(4-chlorophenyl)-1,3,4-oxadiazol-2-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (0.5 g, 2.26 mmol) in acetone (5 mL) was added potassium carbonate (406 mg) and the title compound of Step B (585 mg). The mixture was stirred overnight before being diluted with methylene chloride and washed with water. The aqueous phase was re-extracted with methylene chloride and the combined organic phases were dried over magnesium sulfate and the solution was concentrated under reduced pressure. The resulting solid was triturated with ethyl ether to give the title compound of Step C (719 mg, 80 %), a compound of the invention, as a solid melting at 130-132 °C. ¹H NMR (CDCl₃) δ 7.92 (d,2H), 7.85 (d,1H), 7.6-7.4 (m,5H), 3.88 (s,3H), 3.43 (s,3H).

EXAMPLE 4

Preparation of 2,4-dihydro-4-[2-[(3-iodo-1,2,4-thiadiazol-5-yl)oxy]phenyl]-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (3.0 g, 13.6 mmol) in acetone (27 mL) was added potassium carbonate (2.44 g) and 3-iodo-5-(methylsulfonyl)-1,2,4-thiadiazole (*J. Org Chem.* (1973), 38, 469) (4.33 g). The mixture was stirred at ambient temperature for 36 h before being diluted with water. The resulting mixture was extracted twice with methylene chloride and the combined extracts were dried over magnesium sulfate. The solution was concentrated to a solid which was triturated with hot ethanol to give the title compound of Example 4 (2.8 g, 48%), a compound of the invention. ¹H NMR (CDCl₃) δ 7.55 (m,2H), 7.46 (m,2H), 3.86 (s,3H), 3.40 (s,3H).

EXAMPLE 5

Preparation of 4-[2-[[3-(3,3-dimethyl-1-butyne)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Example 4 (307 mg, 0.71 mmol) in DMF (4 mL) was added copper(I) iodide (14 mg), triethylamine (0.347 mL), 3,3-dimethyl-1-butyne (0.219 mL) and bis(triphenylphosphine)palladium(II) chloride (25 mg). The mixture was stirred for 40 h at ambient temperature before being diluted with ethyl acetate, washed with 1N HCl and dried over magnesium sulfate. The solution was concentrated and purified by column chromatography (silica gel, 80 % ethyl ether in petroleum ether) to give the title compound of Example 5, a compound of the invention. ¹H NMR (CDCl₃) δ 7.55 (m,2H), 7.45 (m,2H), 3.83 (s,3H), 3.39 (s,3H), 1.32 (s,9H).

EXAMPLE 6

Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[3-[3-[(trimethylsilyl)ethynyl]phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3H-1,2,4-triazol-3-one

To a solution of 2,4-dihydro-4-[2-[[3-(3-iodophenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one (prepared from 3-iodobenzonitrile according to the procedure described in Example 2) (1.0 g, 1.97 mmol) in DMF (4 mL) was added copper(I) iodide (38 mg), triethylamine (0.96 mL), (trimethylsilyl)acetylene (0.70 mL) and bis(triphenylphosphine)palladium(II) chloride (35 mg). The mixture was stirred overnight at ambient temperature before being diluted with ethyl ether. The resulting mixture was washed with a saturated aqueous solution of ethylenediaminetetraacetic acid, a saturated aqueous solution of NaHCO₃, and a saturated aqueous solution of NaCl and then was dried over magnesium sulfate. The solution was concentrated and the material was crystallized from ethanol to give the title compound of Example 6 (315 mg), a compound of the invention, as a solid melting at 133-134 °C. ¹H NMR (CDCl₃) δ 8.27 (s,1H), 8.05 (d,1H), 7.65-7.5 (m,5H), 7.4 (t,1H), 3.77 (s,3H), 3.37 (s,3H), 0.26 (s,9H).

EXAMPLE 7

Preparation of 4-[2-[[3-(3-ethynylphenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Example 6 (300 mg, 0.629 mmol) in methanol (3 mL) was added potassium carbonate (87 mg). The mixture was stirred at ambient temperature for 10 min before being diluted with water and extracted three times with methylene chloride. The combined organic layers were dried over magnesium sulfate and concentrated under reduced pressure. Recrystallization from ethanol afforded the title compound of Example 7 (153 mg), a compound of the invention, as a white solid melting at 177-178 °C. ¹H NMR (CDCl₃) δ 8.29 (s,1H), 8.15 (d,1H), 7.62 (m,1H), 7.57 (m,2H), 7.49 (m,2H), 7.4 (t,1H), 3.78 (s,3H), 3.37 (s,3H).

EXAMPLE 8

Step A: Preparation of 3-(5-chloro-1,2,4-thiadiazol-3-yl)phenol

To a solution of 3-chloro-5-(3-methoxyphenyl)-1,2,4-thiadiazole (prepared from 3-methoxybenzonitrile according to the procedure described in Step C in Example 2) (11.4 g, 50.4 mmol) in methylene chloride (150 mL) was added boron tribromide (5.25 mL) with ice bath cooling. The reaction was allowed to warm slowly to ambient temperature. After 20 h, a saturated aqueous solution of NaHCO₃ was added and the mixture was extracted with ethyl ether and the extract was dried over magnesium sulfate. Purification by column chromatography (silica gel, 20% and then 40% ethyl ether in

petroleum ether) gives the title compound of Step A. ¹H NMR (CDCl₃) δ 7.85 (d,1H), 7.73 (s,1H), 7.36 (t,1H), 6.95 (d,1H), 5.42 (s,1H).

Step B: Preparation of [3-[5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenoxy]-1,2,4-thiadiazol-3-yl]phenyl] benzoate

- 5 To a solution of the title compound of Step A (7.15 g, 33.6 mmol) in methylene chloride (112 mL) was added triethylamine (6.1 mL), 4-(dimethylamino)pyridine (206 mg) and benzoyl chloride (4.5 mL) with ice bath cooling. The ice bath was removed and the mixture was stirred at ambient temperature for 15 min. HCl (1 N aqueous solution) was then added and the mixture was extracted with ethyl ether
10 then with methylene chloride. The combined organic layers were dried over magnesium sulfate and concentrated to give a solid. To this solid was added the title compound of Step D in Example 1 (7.44 g), potassium carbonate (6.04 g) and acetone (150 mL). The mixture was stirred for 5 days before being diluted with water. The resulting mixture was extracted with methylene chloride and the extract was dried over magnesium sulfate.
15 Purification by column chromatography (silica gel, 1% and then 2% methanol in methylene chloride) gave the title compound of Step B (10.1g), a compound of the invention. ¹H NMR (CDCl₃) δ 8.21 (d,2H), 8.1 (d,2H), 7.7-7.4 (m,9H), 3.77 (s,3H), 3.37 (s,3H).

EXAMPLE 9

- 20 Preparation of 2,4-dihydro-4-[2-[[3-(3-hydroxyphenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

- To a solution of the title compound of Step B in Example 8 (10.1 g, 20 mmol) in methanol (50 mL) was added sodium methoxide (1.306g). Ethanol (50 mL) and methylene chloride (25 mL) were then added and the mixture was stirred overnight. The
25 mixture was acidified with HCl (1 N aqueous solution) and was extracted twice with methylene chloride. The combined extracts were dried over magnesium sulfate. Purification by column chromatography (silica gel, 30% and then 40% ethyl acetate in benzene) gave the title compound of Example 9 (4.8 g), a compound of the invention. ¹H NMR (CDCl₃) δ 7.7 (d,1H), 7.6-7.4 (m,5H), 7.25 (m,1H), 6.9 (dd,1H), 6.75 (s,1H),
30 3.80 (s,3H), 3.34 (s,3H).

EXAMPLE 10

Preparation of [3-[5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenoxy]-1,2,4-thiadiazol-3-yl]phenyl] trifluoromethanesulfonate

- To a solution of the title compound of Example 9 (0.2 g, 0.5 mmol) in methylene
35 chloride (2.5 mL) was added pyridine (0.061 mL), trifluoromethanesulfonic anhydride (0.102 mL) and a catalytic amount of 4-(dimethylamino)pyridine. The reaction mixture

was stirred for 3 days before being diluted with methylene chloride and washed with HCl (1 N aqueous solution). The organic layer was dried over magnesium sulfate.

Concentration yielded the title compound of Example 10, a compound of the invention, as an off white solid. ¹H NMR (CDCl₃) δ 8.2 (d,1H), 8.1 (s,1H), 7.65-7.45 (m,5H), 7.35 (dd,1H), 3.80 (s,3H), 3.37 (s,3H).

EXAMPLE 11

Step A: Preparation of 2-furancarboximidamide

(See *Tetr. Lett.* (1990), 31, 1969). To a solution of trimethylaluminum (18 mL, 2 M in hexanes) in toluene (40 mL) at 0 °C was added ammonium chloride (1.926 g) in small portions. Upon complete addition, the cooling bath was removed and the mixture was stirred for a further 1.5 h. 2-furonitrile (3.15 mL, 36.0 mmol) was added and the mixture was heated at 85 °C overnight. The mixture was then cooled and poured onto a slurry of silica gel (600 g) in chloroform (300 mL). The mixture was stirred for 5 min, filtered and washed with methanol (800 mL). Concentration yielded the title compound of Step A (4.01 g). ¹H NMR (Me₂SO-*d*₆) δ 9.6 (br s, 2H), 9.3 (br s, 2H), 8.2 (m,1H), 7.98 (m,1H), 6.88 (m,1H).

Step B: Preparation of 5-chloro-3-(2-furanyl)-1,2,4-thiadiazole

To a solution of the title compound of Step A (4.01 g, 36 mmol) in water (89 mL) and methylene chloride (177 mL) was added benzyltriethylammonium chloride (675 mg) and perchloromethyl mercaptan (4.0 mL) and the mixture was cooled in an ice bath. A solution of sodium hydroxide (4.36 g) in water (89 mL) was then added such that the internal temperature did not exceed 10 °C. Upon complete addition, the cooling bath was removed and the mixture was stirred for 3 h. The layers were separated and the organic layer was dried over magnesium sulfate. Purification by column chromatography (petroleum ether and then 1-chlorobutane) gave the title compound of Step B. ¹H NMR (CDCl₃) δ 7.6 (m,1H), 7.19 (m,1H), 6.57 (m,1H).

Step C: Preparation of 4-[2-[[3-(2-furanyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (355 mg, 1.61 mmol) in acetone (3 mL) was added potassium carbonate (289 mg) and the title compound of Step B. The mixture was stirred overnight at ambient temperature before being diluted with water. The resulting mixture was extracted with methylene chloride three times and the combined extracts were dried over magnesium sulfate. The solution was concentrated to a solid which was recrystallized from ethanol to give the title compound of Step C (213 mg), a compound of the invention, as a solid melting at

107-108 °C. ¹H NMR (CDCl₃) δ 7.55 (m,3H), 7.49 (m,2H), 7.07 (m,1H), 6.5 (m,1H), 3.79 (s,3H), 3.38 (s,3H).

EXAMPLE 12

Preparation of 4-[2-[[3-(5-bromo-2-thienyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of 5-chloro-3-(2-thienyl)-1,2,4-thiadiazole (prepared from 2-thiophenecarbonitrile according to the procedure described in Step C in Example 2) (1.0 g, 4.94 mmol) in methylene chloride was added bromine (0.253 mL). After 1 h, the mixture was concentrated and redissolved in acetone (8 mL). The title compound of Step D in Example 1 (850 mg) and potassium carbonate (1.33 g) were added and the mixture was stirred overnight before being diluted with water and twice extracted with methylene chloride. The organic phases were combined, dried over magnesium sulfate, and concentrated. The residue was purified by column chromatography (silica gel, ethyl ether) to give the title compound of Example 12, a compound of the invention.

¹H NMR (CDCl₃) δ 7.65-7.55 (m,2H), 7.5-7.45 (m,3H), 7.04 (d,1H), 3.80 (s,3H), 3.38 (s,3H).

EXAMPLE 13

Step A: Preparation of 5-chloro-3-(2,5-dichloro-3-thienyl)-1,2,4-thiadiazole

A solution of 5-chloro-3-(3-thienyl)-1,2,4-thiadiazole (prepared from 3-thiophenecarbonitrile according to the procedure described in Step C in Example 2) (2.0 g, 9.88 mmol) in sulfuryl chloride (10 mL) was stirred at ambient temperature for 1.5 h before being poured into water and extracted with ethyl ether. The ether layer was washed with a saturated aqueous solution of NaHCO₃ and dried over magnesium sulfate. Concentration yielded the title compound of Step A (1.87 g). ¹H NMR (CDCl₃) δ 7.45 (s,1H).

Step B: Preparation of 4-[2-[[3-(2,5-dichloro-3-thienyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (1.83 g, 6.74 mmol) in acetone (13 mL) was added potassium carbonate (1.21 g) and the title compound of Step A. The mixture was stirred at ambient temperature for 30 h at which point extra potassium carbonate (0.6 g) was added. When the reaction was judged to be complete by TLC analysis, it was diluted with ethyl acetate, washed twice with water, with saturated aqueous NaCl and the combined aqueous layers were re-extracted with ethyl acetate. The combined organic phases were dried over magnesium sulfate, concentrated and the residue was purified by crystallization from ethanol. The mother liquor was concentrated and purified by column chromatography (silica gel, 60% and then 80%

ethyl ether in petroleum ether) to give the title compound of Step B (2.5 g), a compound of the invention, as a solid melting at 144-147 °C. ¹H NMR (CDCl₃) δ 7.65 (d,1H), 7.6-7.5 (m,1H), 7.5-7.4 (m,2H), 7.37 (s,1H), 3.81 (s,3H), 3.39 (s,3H).

EXAMPLE 14

5 Step A: Preparation of 2,2-dimethylpropanimidic acid hydrochloride

To a solution of trimethylacetonitrile (100 g, 1.203 mol) in ethyl ether (600 mL) is added absolute ethanol (74.1 mL). The solution is cooled to 0 °C and then is saturated with dry HCl gas. The reaction mixture is then left to stand at ambient temperature for 6 days after which time it is concentrated to give the title compound of Step A (54.37 g) as a white solid. ¹H NMR (Me₂SO-*d*₆) δ 11.4 (br s, 2H), 4.4 (q,2H), 1.22 (s,9H), 1.05 (t,3H).

15 Step B: Preparation of 2,2-dimethylpropanimidamide hydrochloride

To a solution of the title compound of Step A (54.37 g, 328.2 mmol) in methanol (20 mL) is added ammonia (65.7 mL, 7N solution in methanol). This mixture was stirred for 3 days before being concentrated to give the title compound of Step B (33.15 g) as an off white solid. ¹H NMR (Me₂SO-*d*₆) δ 1.25 (s,9H).

20 Step C: Preparation of 5-chloro-3-(1,1-dimethylethyl)-1,2,4-thiadiazole

To a solution of the title compound of Step B (5.0 g, 36.61 mmol) in water (23 mL) and methylene chloride (45 mL) is added perchloromethyl mercaptan (4.0 mL, 36.61 mmol) and the mixture is cooled in an ice bath. With efficient stirring, a solution of sodium hydroxide (5.86 g) in water (23 mL) is then added dropwise such that the internal temperature does not exceed 10 °C. After the addition is complete, the cooling bath is removed and the reaction mixture is stirred for a further 1.5 h. The organic layer is then separated, dried over magnesium sulfate and concentrated. The yellow/brown tar is extracted with boiling hexane and the hot solution is filtered through a pad of silica gel. The silica gel is washed with hexane and the solution is concentrated to give the title compound of Step C (5.88 g) as a yellow oil which is used without further purification. ¹H NMR (CDCl₃) δ 1.42 (s,9H).

25 Step D: Preparation of 4-[2-[[3-(1,1-dimethylethyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (500 mg, 2.26 mmol) in DMF (4.5 mL) is added freshly ground potassium carbonate (406 mg) and the title compound of Step C (399 mg). The mixture was stirred at ambient temperature for 2 days before being diluted with ethyl acetate and washed with water. The organic layer was dried over magnesium sulfate and concentrated. Purification by column chromatography (silica gel, 40%, then 60%, and then 80% ethyl ether in petroleum

ether) yields the title compound of Step D (0.19 g), a compound of invention, as an off white solid melting at 110-111 °C. ¹H NMR (CDCl₃) δ 7.58 (d,1H), 7.50 (m,1H), 7.47 (m,1H), 7.44 (m,1H), 3.78 (s,3H), 3.40 (s,3H), 1.36 (s,9H).

EXAMPLE 15

5 Preparation of 4-[2-[(6-chloro-2-pyrazinyl)oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (2.2 g, 10.0 mmol) in DMF (10 mL) was added sodium hydride (0.47g, 60% oil dispersion) in small portions. The resulting slurry was stirred for 5 min and then 2,6-dichloropyrazine (1.5 g, 10.1 mmol) was added all at once. The reaction mixture was stirred for 16 h at 70-75 °C, and then the DMF was removed by vacuum distillation. The residue was partitioned between 125 mL of ethyl acetate and 50 mL of water. The organic layer was dried over anhydrous magnesium sulfate and concentrated to give a brown solid which was triturated with diethyl ether to afford 1.65 g of the title compound of Example 15, a compound of invention, as a white solid melting at 135-137 °C. ¹H NMR (CDCl₃) δ 8.30 (m,2H), 7.50 (m,1H), 7.40 (m,3H), 3.81 (s,3H), 3.33 (s,3H).

EXAMPLE 16

20 Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[6-[4-(trifluoromethyl)phenyl]-2-pyrazinyl]oxy]phenyl]-3H-1,2,4-triazol-3-one

A slurry made up of the title compound of Example 15 (3.0g, 9.12 mmol) and palladium acetate (76 mg) in dimethoxyethane (18.5 mL) was stirred for 0.5 h. To this mixture was added a solution of 4-trifluoromethylbenzene boronic acid (2.5 g, 13.1 mmol, available from Lancaster Synthesis Inc.) and sodium carbonate (3.1 g) in 46 mL of water. The reaction mixture was stirred at 100 °C for 5 h. The dimethoxyethane was removed under reduced pressure and the resulting mixture was partitioned between 150 mL of ethyl acetate and 50 mL of water. The aqueous layer was extracted with 50 mL of ethyl acetate and the combined organic layers were filtered through Celite®, dried over anhydrous potassium carbonate, and concentrated under reduced pressure to give a crude product. Flash column chromatography (gradient elution with 60-75% ethyl acetate in hexane) gave the title compound of Example 16, a compound of the invention, as a white solid (3.3 g) melting at 145-148 °C. ¹H NMR (CDCl₃) δ 8.79 (s,1H), 8.39 (s,1H), 7.98 (d,2H), 7.67 (d,2H), 7.51 (m,1H), 7.41 (m,3H), 3.65 (s,3H), 3.31 (s,3H).

EXAMPLE 17Preparation of 4-[6-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenoxy]-2-pyrazinyl]benzonitrile

To a solution of the title compound of Example 15 (333 mg, 1.0 mmol) and tetrakis(triphenylphosphine)palladium (60 mg) in nitrogen-purged tetrahydrofuran (2.8 mL) was added a solution of bromo(4-cyanophenyl)zinc (2.8 mL, 0.5M in tetrahydrofuran, available from Rieke Metals, Inc.). The resulting dark solution was stirred for 22 h at room temperature and an additional 1.5 mL of the organozinc reagent was then added to complete the reaction. After stirring for another 6 h, the reaction mixture was partitioned between 100 mL of ethyl acetate and 50 mL of diluted aqueous hydrochloric acid. The aqueous layer was extracted with 50 mL of ethyl acetate and the combined organic layers were dried over anhydrous magnesium sulfate and concentrated under reduced pressure to give a crude product. Flash column chromatography (gradient elution with 50-70% ethyl acetate in hexane) gave the title compound of Example 17, a compound of invention, as a white solid (230 mg) melting at 195-199 °C. ¹H NMR (CDCl₃) δ 8.79 (s,1H), 8.40 (s,1H), 8.00 (d,2H), 7.72 (d,2H), 7.53 (m,1H), 7.41 (m,3H), 3.68 (s,3H), 3.30 (s,3H).

EXAMPLE 18Step A: Preparation of 5-(4-chlorophenyl)-1,3,4-thiadiazol-2-amine

The title compound was prepared according to Zubets, I. V.; Boikov, Yu. A.; Viktorovskii, I. V.; V'yunov, K. A.; *Chem. Het. Comp.* 1148 (1986). Starting from 4-chlorobenzaldehyde thiosemicarbazone (8.6g, 50.1 mmol), the reaction afforded 6.3 g of the title compound of Step A as an off white solid. ¹H NMR (Me₂SO-*d*₆) δ 7.82-7.54 (AA'BB', 4H), 7.48 (s, 2H). Elemental analysis: (Calculated) C: 44.97, H: 3.77, N: 19.66, S:15.00. (Found) C: 45.10, H: 3.92, N: 19.67, S: 14.65.

Step B: Preparation of 2-chloro-5-(4-chlorophenyl)-1,3,4-thiadiazole

The title compound was prepared according to Zubets, I. V.; Boikov, Yu. A.; Viktorovskii, I. V.; V'yunov, K. A.; *Chem. Het. Comp.* 1148 (1986). Starting from the title compound of Step A (0.6g, 3 mmol), the reaction afforded 0.4 g of a yellow oil which was used without further purification. ¹H NMR (Me₂SO-*d*₆) δ 8.02-7.67 (AA'BB', 4H).

Step C: Preparation of 4-[2-[[5-(4-chlorophenyl)-1,3,4-thiadiazol-2-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2 methyl-3H-1,2,4-triazol-3-one

The title compound of Step B (0.46 g, 2 mmol), the title compound of Step D in Example 1 (0.44 g, 2 mmol) and potassium carbonate (0.8 g, 5.8 mmol) were combined in 30 mL of 4-methyl-pentane-2-one. The mixture was heated at reflux temperature for

5 h and was then allowed to cool to ambient temperature. The solvent was removed under reduced pressure and the residue was partitioned between 50 mL of ethyl acetate and 50 mL of water. The aqueous layer was extracted with ethyl acetate (2x30 mL). The combined organic layers were extracted with 1N sodium hydroxide (2x20 mL) and saturated aqueous NaCl (2x20 mL), respectively. The organic layer was dried over magnesium sulfate and concentrated under reduced pressure. Preparative TLC (eluent: ethyl acetate/hexane=2/1) afforded the title compound of Step C, a compound of invention, as a white solid. ¹H NMR (Me₂SO-*d*₆) δ 7.92-7.45 (m,8H), 3.79 (s,3H), 3.25 (s,3H).

10

EXAMPLE 19

Step A: Preparation of 4-[3-(trifluoromethyl)phenyl]-2-thiazolamine

To a stirring solution of 10 g of 3'-(trifluoromethyl)acetophenone in 100 mL of dichloromethane was added dropwise 8.5 g of bromine. The bromine color dissipated immediately during the dropwise addition. The reaction mixture was then concentrated under reduced pressure and the resulting oil was dissolved in 80 mL of ethanol. To this oil was added 4.0 g of thiourea and the resulting mixture was heated at reflux for 8 h. Upon cooling, a solid precipitated. Approximately 100 mL of diethyl ether was added to enhance precipitation. The solid was collected and washed with diethyl ether followed by neutralization with excess aqueous sodium bicarbonate. The free base was extracted into ethyl acetate. The ethyl acetate solution was washed with water and saturated aqueous NaCl. The ethyl acetate solution was then dried over MgSO₄ and concentrated under reduced pressure to give 11.5 g of the title compound of Step A as a white (yellow tinted) solid melting at 87-88 °C. ¹H NMR (CDCl₃) δ 8.05 (s,1H), 7.95 (d,1H), 7.5 (m,2H), 6.8 (s,1H), 5.15 (br s,2H).

25 Step B: Preparation of 5-bromo-4-[3-(trifluoromethyl)phenyl]-2-thiazolamine

To a stirring solution of 8.5 g of the title compound of Step A in 100 mL of dichloromethane was added dropwise 6 g of bromine. The bromine color dissipated immediately during the dropwise addition. The reaction mixture was stirred for 10 minutes after the addition and then was concentrated under reduced pressure. The resulting residue was partitioned between 150 mL of ethyl acetate and 100 mL of saturated aqueous NaHCO₃ and the mixture was stirred for 0.5 h. The organic layer was separated, washed with saturated aqueous NaCl, dried over MgSO₄ and concentrated under reduced pressure to give an oil which soon crystallized. The solid was suspended in hexanes, then collected by filtration to give 10.5 g of the title compound of Step B as a white solid melting at 96-98 °C. ¹H NMR (CDCl₃) δ 8.15 (s,1H), 8.05 (d,1H), 7.65 (d,1H), 7.55 (t,1H), 5.75 (br s,2H).

35

Step C: Preparation of 5-bromo-2-chloro-4-[3-(trifluoromethyl)phenyl]thiazole

The title compound of Step B (3 g) was dissolved in 50 mL of acetonitrile and to this solution was added with stirring 2.5 g of copper(II) chloride followed by 2 mL of *tert*-butylnitrite (dropwise). Nitrogen evolution was evident and the reaction
5 exothermically warmed to approximately 30 °C. The dark reaction mixture was stirred for 45 min and was then partitioned between 200 mL of ethyl acetate and 200 mL of distilled water. The organic layer was separated, washed with 1N aqueous HCl, water, and then saturated aqueous NaCl. The organic layer was dried over MgSO₄ and then was concentrated under reduced pressure to give a dark oil/solid residue. The main
10 component was isolated by flash chromatography on silica gel using 5-10% ethyl acetate in hexanes as eluant to give 2.4 g of the title compound of Step C as a red tinted solid melting at 52-55 °C. ¹H NMR (CDCl₃) δ 8.2 (s,1H), 8.15 (d,1H), 7.65 (d,1H), 7.6 (t,1H).

Step D: Preparation of 4-[2-[[5-bromo-4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a stirring solution of 2.2 g of the title compound of Step C in 50 mL of acetonitrile was added 1.4 g of the title compound of Step D in Example 1 and 1.8 g of potassium carbonate. The reaction mixture was heated at reflux for 14 h and then was
20 allowed to cool. The reaction mixture was partitioned between 100 mL of ethyl acetate and 100 mL of distilled water. The organic layer was separated, washed with distilled water, dried over MgSO₄, and then concentrated under reduced pressure to give a dark oil. The main component was isolated by flash chromatography on silica gel using 50% ethyl acetate in hexanes as eluant to give 2.1 g of the title compound of Step D, a
25 compound of the invention, as a gum. ¹H NMR (CDCl₃) δ 8.2 (s,1H), 8.1 (d,1H), 7.6 (d,1H), 7.35-7.55 (m,5H), 3.84 (s,3H), 3.40 (s,3H).

EXAMPLE 20

Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-3H-1,2,4-triazol-3-one

A mixture of 0.8 g of the title compound of Step D in Example 19, 2.0 g of ammonium formate and 0.3 g of 10% palladium on carbon in 20 mL methanol was stirred at room temperature for 2 days. The reaction mixture was then filtered through Celite® rinsing thoroughly with ethyl acetate. The filtrate was partitioned between
30 100 mL of ethyl acetate and 50 mL of distilled water. The organic layer was separated, washed with distilled water and then with saturated aqueous NaCl. The organic layer was dried over MgSO₄ and then was concentrated under reduced pressure to give an oil.

The main component was isolated by flash chromatography on silica gel using 50% ethyl acetate in hexanes as eluant to give a white foam which crystallized to a white solid upon the addition of a small amount of diethyl ether. The solid was filtered to give 0.52 g of the title compound of Example 20, a compound of the invention, as a white solid melting at 116-118 °C. ¹H NMR (CDCl₃) δ 8.05 (s,1H), 7.95 (d,1H), 7.35-7.6 (m,6H), 7.1 (s,1H), 3.81 (s,3H), 3.37 (s,3H).

EXAMPLE 21

Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[5-methyl-4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-3H-1,2,4-triazol-3-one

To a stirring solution of 0.75 g of the title compound of Example 19 in Step D in 7 mL of tetrahydrofuran at -78 °C under N₂ was added dropwise 0.70 mL of *n*-butyllithium (2.5 M in hexanes). The reaction was allowed to stir at -78 °C for 0.5 h, and then 0.12 mL of iodomethane was slowly added. After stirring at -78 °C for another 2 min, the cooling bath was removed and the reaction mixture was stirred an additional 2 h at ambient temperature. The reaction mixture was diluted with diethyl ether and washed with distilled water and saturated aqueous NaCl. The organic layer was dried over MgSO₄ and then was concentrated under reduced pressure. Purification by flash chromatography on silica gel using 50-60% ethyl acetate in hexanes as eluant gave 0.40 g of the title compound of Example 21, a compound of the invention, as an oil. ¹H NMR (CDCl₃) δ 7.85 (s,1H), 7.8 (d,1H), 7.3-7.6 (m,6H), 3.85 (s,3H), 3.40 (s,3H), 2.49 (s,3H).

EXAMPLE 22

Step A: Preparation of *N*-(2-methoxy-6-methylphenyl)-2,2-dimethylhydrazinecarboxamide

To a stirred solution of phosgene (108 g, 1.09 moles) in ethyl acetate (750 mL) at 0 °C was added dropwise 2-methoxy-6-methylaniline (125.0 g, 911 mmol) dissolved in ethyl acetate (250 mL) over 20 min. The reaction mixture was slowly warmed to room temperature and was then heated at reflux for 1 h. The solution was cooled to room temperature and was concentrated under reduced pressure to provide the crude isocyanate as a dark red liquid which was redissolved in ethyl acetate (1 L) and cooled to 0 °C. 1,1-Dimethylhydrazine (55.0 g, 911 mmol) was added dropwise over 30 min and then the mixture was allowed to warm to room temperature and stir overnight. The mixture was cooled, filtered, and the solid was washed with ethyl acetate and dried to provide 200.0 g of the title compound of Step A as a white solid melting at 151-153 °C. ¹H NMR (CDCl₃) δ 7.58 (br s,1H), 7.10 (t,1H), 6.84 (d,1H), 6.74 (d,1H), 5.22 (br s,1H), 3.80 (s,3H), 2.63 (s,6H), 2.31 (s,3H).

Step B: Preparation of 5-chloro-2,4-dihydro-4-(2-methoxy-6-methylphenyl)-2-methyl-3H-1,2,4-triazol-3-one

The title compound of Step A (100.0 g, 447.9 mmol) was suspended in ethyl acetate (1 L) and added dropwise, via mechanical pump, over 3.5 h to a stirring solution of phosgene (177 g, 1.79 moles) in ethyl acetate (1.5 L) which was heated at reflux. After the addition was complete, the mixture was heated at reflux for a further 3 h, cooled to room temperature and stirred overnight. The solution was concentrated under reduced pressure and the residue was dissolved in ethyl acetate and water and extracted four times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated to afford 111.4 g of the title compound of Step B as a pale yellow solid melting at 132-134 °C. ¹H NMR (CDCl₃) δ 7.34 (t,1H), 6.93 (d,1H), 6.85 (d,1H), 3.79 (s,3H), 3.54 (s,3H), 2.20 (s,3H).

Step C: Preparation of 5-chloro-2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-2-methyl-3H-1,2,4-triazol-3-one

To a stirring solution of the title compound of Step B (15.0 g, 59.3 mmol) in benzene (200 mL) at 0 °C was added aluminum chloride (23.7 g, 178 mmol) in small portions. The mixture was warmed to room temperature and stirred for 2 days. The mixture was poured over ice and water and then extracted four times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated to an oil that was purified by flash column chromatography on silica gel to provide 13.6 g of the title compound of Step C as a pale orange solid melting at 175-178 °C. ¹H NMR (CDCl₃) δ 8.11 (s,1H), 6.92 (t,1H), 6.71 (d,1H), 6.41 (d,1H), 3.56 (s,3H), 2.12 (s,3H).

Step D: Preparation of 2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a stirred solution of the title compound of Step C (133.5 g, 557.0 mmol) in tetrahydrofuran (1.5 L) was added dropwise sodium methoxide (25% by weight in methanol, 382 mL, 1.67 moles). The mixture was heated at reflux for 3 h, cooled to room temperature and then diluted with aqueous ammonium chloride and ethyl acetate. The aqueous layer was acidified (pH 4-5) with 1N HCl and extracted three times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated to a dark brown solid which was triturated with ethyl acetate to afford 75.0 g of the title compound of Step D as a white solid melting at 194-196 °C. ¹H NMR (Me₂SO-*d*₆) δ 9.91 (s,1H), 7.17 (t,1H), 6.78 (m,2H), 3.84 (s,3H), 3.30 (s,3H), 2.03 (s,3H).

Step E: Preparation of 4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of 5-chloro-3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazole (1.50 g, 4.51 mmol, available from Maybridge, Catalog No. RDR03892) in DMF (10 mL) was added the title compound of Step D (1.06 g, 4.51 mmol) at room temperature. Potassium carbonate (1.25 g, 9.02 mmol) was added and the mixture was stirred for 18 h. The mixture was diluted with water and extracted three times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated. The residue was purified by flash column chromatography on silica gel to provide 2.20 g of the title compound of Step E, a compound of the invention, as a white solid melting at 95-98 °C. ¹H NMR (CDCl₃) δ 8.64 (s,2H), 7.95(s,1H), 7.50 (t,1H), 7.42 (d,1H), 7.37 (d,1H), 3.80 (s,3H), 3.39 (s,3H), 2.33 (s,3H).

EXAMPLE 23

Step A: Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[tris(1-methylethyl)silyl]oxy]phenyl]-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (10.54 g, 47.65 mmol) and imidazole (6.50 g, 95.3 mmol) in DMF (100 mL) was added dropwise triisopropylsilyl chloride (13.3 mL, 61.9 mmol) at 0 °C. The mixture was allowed to warm to room temperature and was stirred for 3 h. Then mixture was then diluted with aqueous sodium bicarbonate and water and was extracted three times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated to an oil which was purified by flash column chromatography on silica gel to give 16.8 g of the title compound of Step A as a light tan solid melting at 107-109 °C. ¹H NMR (CDCl₃) δ 7.27 (m,2H), 6.98 (m,2H), 3.89 (s,3H), 3.42 (s,3H), 1.25 (m,3H), 1.04 (m,18H).

Step B: Preparation of 4-[2-ethyl-6-[[tris(1-methylethyl)silyl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

A solution of the title compound of Step A (2.16 g, 5.72 mmol) in anhydrous tetrahydrofuran was cooled to -78 °C and *tert*-butyllithium (4.0 mL, 1.7 M solution in pentane, 6.8 mmol) was added dropwise. The resulting dark yellow solution was stirred for 1 h at -78 °C and ethyl iodide (4.6 mL, 57.2 mmol) was then added dropwise and the mixture was slowly warmed to 0 °C and stirred for 20 min. The mixture was diluted with aqueous ammonium chloride and extracted three times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄),

filtered and concentrated. The crude product was purified by flash column chromatography on silica gel to afford 1.64 g of the title compound of Step B as a white solid melting at 90-92 °C. ¹H NMR (CDCl₃) δ 7.23 (t,1H), 6.90 (d,1H), 6.77 (d,1H), 3.89 (s,3H), 3.43 (s,3H), 2.53 (q,2H), 1.24 (m, 3H), 1.15 (t,3H), 1.04 (m,18H).

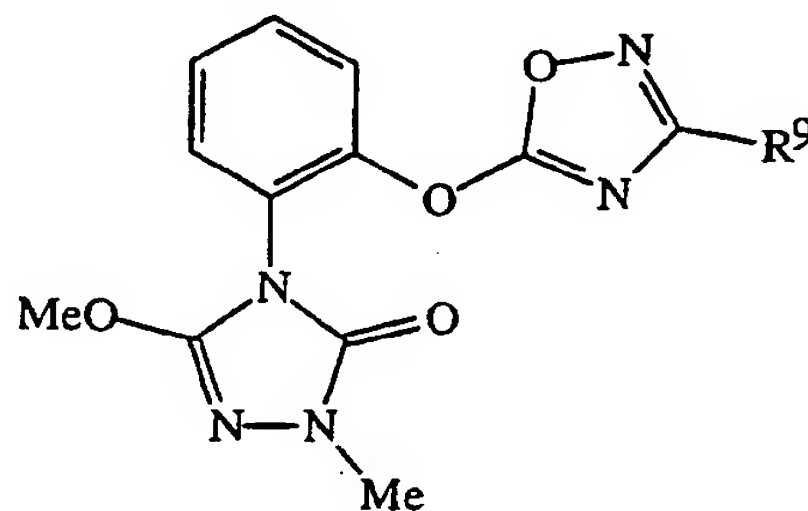
5 Step C: Preparation of 4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]-6-ethylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

10 The title compound of Step B (0.244 g, 0.60 mmol) and 5-chloro-3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazole (0.200 g, 0.60 mmol) was dissolved in anhydrous tetrahydrofuran (10 mL). A solution of tetrabutylammonium fluoride (0.70 mL, 1.0M solution in tetrahydrofuran, 0.70 mmol) was added dropwise and the solution was stirred for 1 h at room temperature. The mixture was diluted with water and extracted three times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated. The residue
15 was purified by flash column chromatography on silica gel to afford 0.32 g of the title compound of Step C, a compound of the invention, as a white solid melting at 136-138 °C. ¹H NMR (CDCl₃) δ 8.64 (s,2H), 7.94 (s,1H), 7.56 (t, 1H), 7.42 (m, 2H), 3.80 (s,3H), 3.40 (s,3H), 2.63 (m,2H), 1.23 (t,3H).

20 By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 14 can be prepared. The following abbreviations are used in the Tables which follow: *t* = tertiary, Me = methyl, Et = ethyl, Bu = butyl, Ph = phenyl, MeO = methoxy, EtO = ethoxy, CN = cyano, and NO₂ = nitro.

TABLE 1

Compounds of Formula 1A defined as:

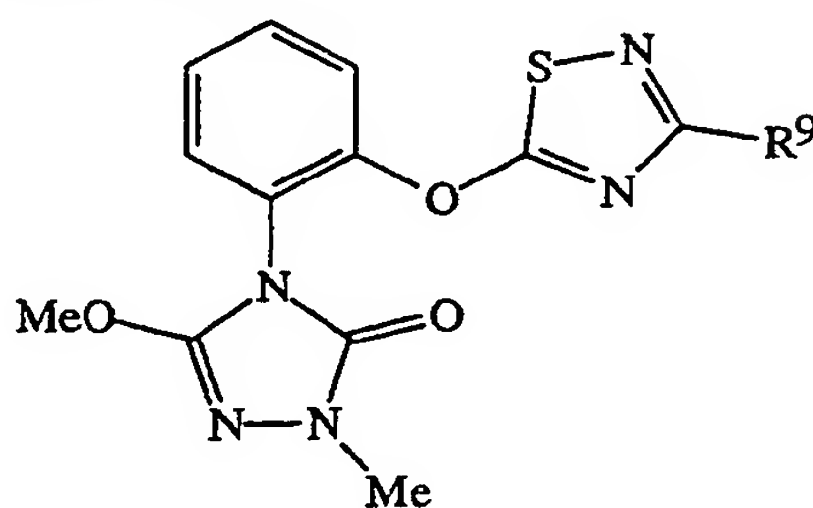


| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|-----------------------|----------------------|--------------------------------|----------------------------------|
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 4-EtO-2-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 4,6-diMeO-2-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 6-CF ₃ -2-pyridinyl | 4,6-diMe-2-pyrimidinyl |
| 2-CF ₃ -Ph | 3,5-diCl-Ph | 2-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |

| | | | |
|---------------------------|---------------------------|-------------------------|--------------------------------------|
| 2-I-Ph | 3,5-diCF ₃ -Ph | 4-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 4-NO ₂ -Ph | 2-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 4-CF ₃ O-Ph | 2,6-diMeO-Ph | 4-Me-2-pyrimidinyl | 5-CF ₃ -3-pyridinyl |
| 4-Me-Ph | 3-CF ₃ O-Ph | 6-MeO-4-pyrimidinyl | 3-MeO-2-pyridinyl |
| 4-Cl-Ph | 4-Br-Ph | 5-Me-2-furanyl | 5-CN-2-pyridinyl |
| 3-Me-Ph | 3-Et-Ph | 2,5-diMe-3-thienyl | 6-Me-2-pyridinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 3-OCF ₂ H-Ph | 3,5-diBr-Ph |
| 3-Cl-2-Me-Ph | 4- <i>t</i> -Bu-Ph | 4-OCF ₂ H-Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4-CN-Ph | 3-Me ₃ Si-Ph | 4-Me ₃ Si-2-pyridinyl |
| 3-F-Ph | 4-NO ₂ -Ph | 4-Me ₃ Si-Ph | 4-Me ₃ Ge-2-pyridinyl |
| 4-CF ₃ -Ph | 3,4-diMe-Ph | 3-Me ₃ Ge-Ph | 4,6-diCF ₃ -2-pyrimidinyl |
| 3,4-diCl-Ph | 3,5-diMe-Ph | 4-Me ₃ Ge-Ph | 5-CF ₃ -2-furanyl |
| 3,4-diCF ₃ -Ph | 4-F-3-CF ₃ -Ph | 3-EtO-Ph | 5-CF ₃ -2-thienyl |
| 4-F-Ph | 5-F-3-CF ₃ -Ph | Ph | (2-CN-Ph)CH ₂ |
| 3-Cl-Ph | 3-Br-Ph | 3-I-Ph | 4-I-Ph |
| <i>t</i> -Bu | | | |

TABLE 2

Compounds of Formula IA defined as:

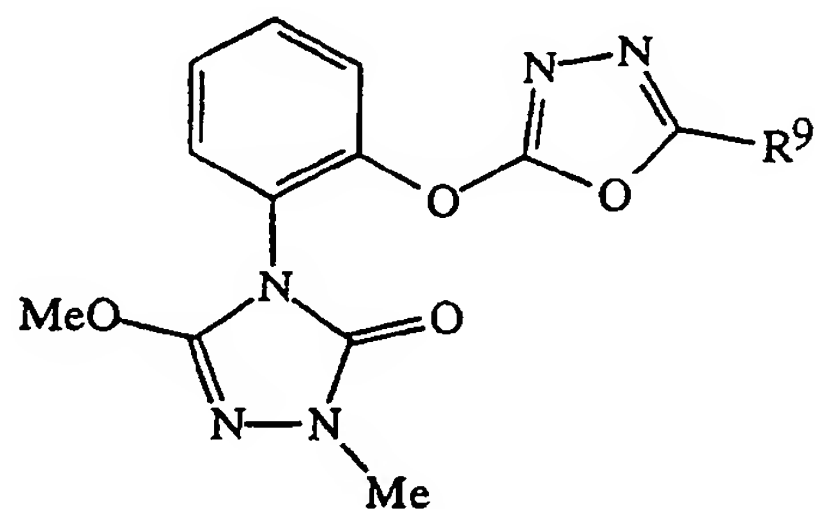


| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|----------------------------------|----------------------|--------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |

| | | |
|---|--|--------------------------------------|
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

TABLE 3

Compounds of Formula IA defined as:

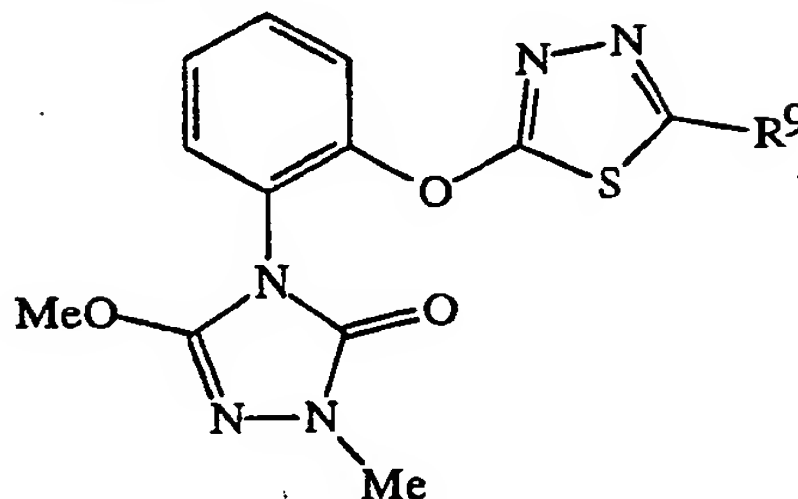


| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|----------------------------------|---------------------------|-------------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |

| | | |
|---|--|--------------------------------------|
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

TABLE 4

Compounds of Formula IA defined as:

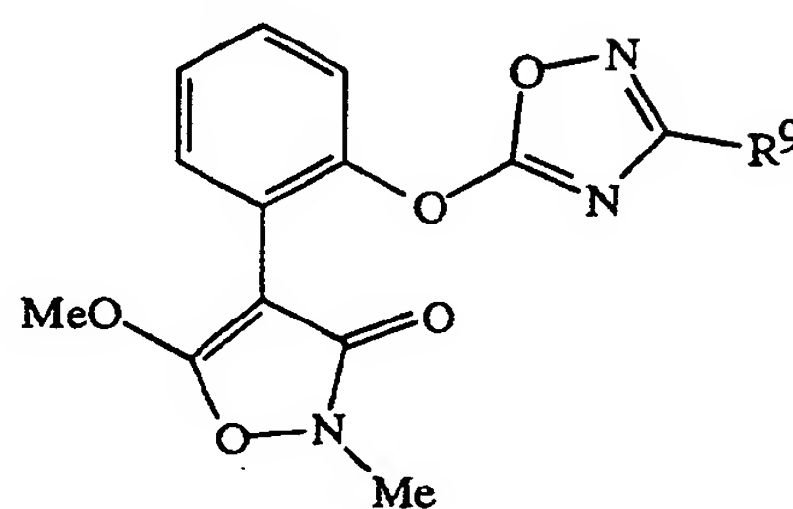


| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|------------------------|---------------------------|--------------------------------|----------------------------------|
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 4-EtO-2-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 4,6-diMeO-2-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 6-CF ₃ -2-pyridinyl | 4,6-diMe-2-pyrimidinyl |
| 2-CF ₃ -Ph | 3,5-diCl-Ph | 2-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 2-I-Ph | 3,5-diCF ₃ -Ph | 4-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 4-NO ₂ -Ph | 2-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 4-CF ₃ O-Ph | 2,6-diMeO-Ph | 4-Me-2-pyrimidinyl | 5-CF ₃ -3-pyridinyl |
| 4-Me-Ph | 3-CF ₃ O-Ph | 6-MeO-4-pyrimidinyl | 3-MeO-2-pyridinyl |
| 4-Cl-Ph | 4-Br-Ph | 5-Me-2-furanyl | 5-CN-2-pyridinyl |
| 3-Me-Ph | 3-Et-Ph | 2,5-diMe-3-thienyl | 6-Me-2-pyridinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 3-OCF ₂ H-Ph | 3,5-diBr-Ph |
| 3-Cl-2-Me-Ph | 4- <i>t</i> -Bu-Ph | 4-OCF ₂ H-Ph | 4- <i>t</i> -Bu-2-pyridinyl |

| | | | |
|---------------------------|---------------------------|-------------------------|--------------------------------------|
| 3- <i>t</i> -Bu-Ph | 4-CN-Ph | 3-Me ₃ Si-Ph | 4-Me ₃ Si-2-pyridinyl |
| 3-F-Ph | 4-NO ₂ -Ph | 4-Me ₃ Si-Ph | 4-Me ₃ Ge-2-pyridinyl |
| 4-CF ₃ -Ph | 3,4-diMe-Ph | 3-Me ₃ Ge-Ph | 4,6-diCF ₃ -2-pyrimidinyl |
| 3,4-diCl-Ph | 3,5-diMe-Ph | 4-Me ₃ Ge-Ph | 5-CF ₃ -2-furanyl |
| 3,4-diCF ₃ -Ph | 4-F-3-CF ₃ -Ph | 3-EtO-Ph | 5-CF ₃ -2-thienyl |
| 4-F-Ph | 5-F-3-CF ₃ -Ph | Ph | (2-CN-Ph)CH ₂ |
| 3-Cl-Ph | 3-Br-Ph | 3-I-Ph | 4-I-Ph |
| <i>t</i> -Bu | | | |

TABLE 5

Compounds of Formula IA defined as:

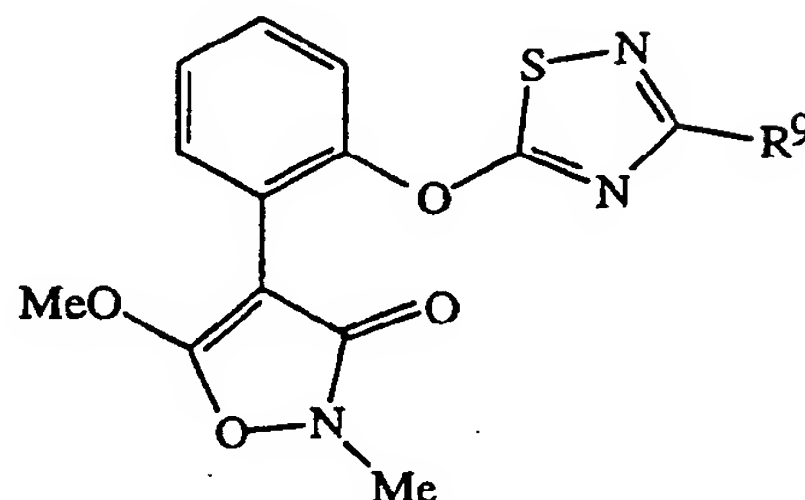


| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|---------------------------|---------------------------|--------------------------------|--------------------------------------|
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 4-EtO-2-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 4,6-diMeO-2-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 6-CF ₃ -2-pyridinyl | 4,6-diMe-2-pyrimidinyl |
| 2-CF ₃ -Ph | 3,5-diCl-Ph | 2-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 2-I-Ph | 3,5-diCF ₃ -Ph | 4-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 4-NO ₂ -Ph | 2-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 4-CF ₃ O-Ph | 2,6-diMeO-Ph | 4-Me-2-pyrimidinyl | 5-CF ₃ -3-pyridinyl |
| 4-Me-Ph | 3-CF ₃ O-Ph | 6-MeO-4-pyrimidinyl | 3-MeO-2-pyridinyl |
| 4-Cl-Ph | 4-Br-Ph | 5-Me-2-furanyl | 5-CN-2-pyridinyl |
| 3-Me-Ph | 3-Et-Ph | 2,5-diMe-3-thienyl | 6-Me-2-pyridinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 3-OCF ₂ H-Ph | 3,5-diBr-Ph |
| 3-Cl-2-Me-Ph | 4- <i>t</i> -Bu-Ph | 4-OCF ₂ H-Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4-CN-Ph | 3-Me ₃ Si-Ph | 4-Me ₃ Si-2-pyridinyl |
| 3-F-Ph | 4-NO ₂ -Ph | 4-Me ₃ Si-Ph | 4-Me ₃ Ge-2-pyridinyl |
| 4-CF ₃ -Ph | 3,4-diMe-Ph | 3-Me ₃ Ge-Ph | 4,6-diCF ₃ -2-pyrimidinyl |
| 3,4-diCl-Ph | 3,5-diMe-Ph | 4-Me ₃ Ge-Ph | 5-CF ₃ -2-furanyl |
| 3,4-diCF ₃ -Ph | 4-F-3-CF ₃ -Ph | 3-EtO-Ph | 5-CF ₃ -2-thienyl |

| | | | |
|--------------|---------------------------|--------|--------------------------|
| 4-F-Ph | 5-F-3-CF ₃ -Ph | Ph | (2-CN-Ph)CH ₂ |
| 3-Cl-Ph | 3-Br-Ph | 3-I-Ph | 4-I-Ph |
| <i>t</i> -Bu | | | |

TABLE 6

Compounds of Formula IA defined as:

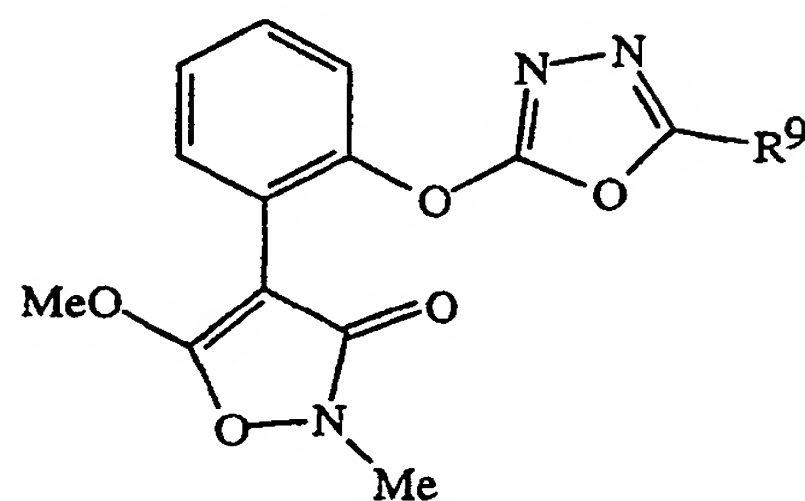


| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|----------------------------------|---------------------------|-------------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |

| | | |
|---|--|--------------------------------------|
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

TABLE 7

Compounds of Formula IA defined as:

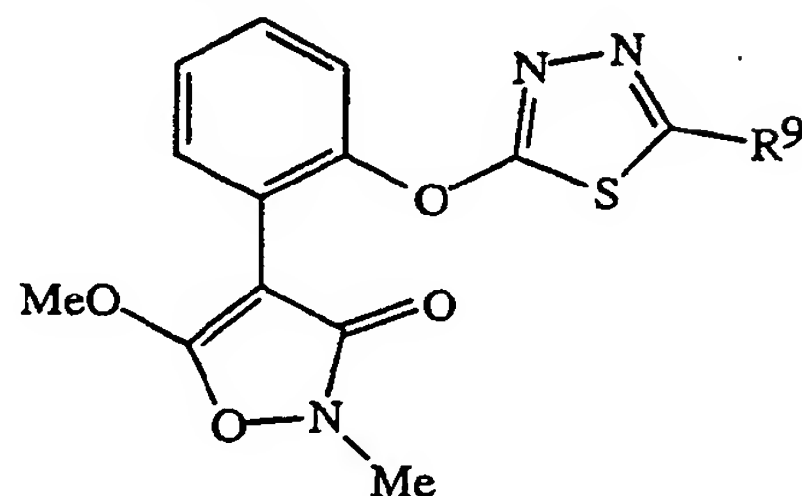


| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|------------------------|---------------------------|--------------------------------|----------------------------------|
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 4-EtO-2-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 4,6-diMeO-2-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 6-CF ₃ -2-pyridinyl | 4,6-diMe-2-pyrimidinyl |
| 2-CF ₃ -Ph | 3,5-diCl-Ph | 2-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 2-I-Ph | 3,5-diCF ₃ -Ph | 4-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 4-NO ₂ -Ph | 2-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 4-CF ₃ O-Ph | 2,6-diMeO-Ph | 4-Me-2-pyrimidinyl | 5-CF ₃ -3-pyridinyl |

| | | | |
|---------------------------|---------------------------|-------------------------|--------------------------------------|
| 4-Me-Ph | 3-CF ₃ O-Ph | 6-MeO-4-pyrimidinyl | 3-MeO-2-pyridinyl |
| 4-Cl-Ph | 4-Br-Ph | 5-Me-2-furanyl | 5-CN-2-pyridinyl |
| 3-Me-Ph | 3-Et-Ph | 2,5-diMe-3-thienyl | 6-Me-2-pyridinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 3-OCF ₂ H-Ph | 3,5-diBr-Ph |
| 3-Cl-2-Me-Ph | 4- <i>t</i> -Bu-Ph | 4-OCF ₂ H-Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4-CN-Ph | 3-Me ₃ Si-Ph | 4-Me ₃ Si-2-pyridinyl |
| 3-F-Ph | 4-NO ₂ -Ph | 4-Me ₃ Si-Ph | 4-Me ₃ Ge-2-pyridinyl |
| 4-CF ₃ -Ph | 3,4-diMe-Ph | 3-Me ₃ Ge-Ph | 4,6-diCF ₃ -2-pyrimidinyl |
| 3,4-diCl-Ph | 3,5-diMe-Ph | 4-Me ₃ Ge-Ph | 5-CF ₃ -2-furanyl |
| 3,4-diCF ₃ -Ph | 4-F-3-CF ₃ -Ph | 3-EtO-Ph | 5-CF ₃ -2-thienyl |
| 4-F-Ph | 5-F-3-CF ₃ -Ph | Ph | (2-CN-Ph)CH ₂ |
| 3-Cl-Ph | 3-Br-Ph | 3-I-Ph | 4-I-Ph |
| <i>t</i> -Bu | | | |

TABLE 8

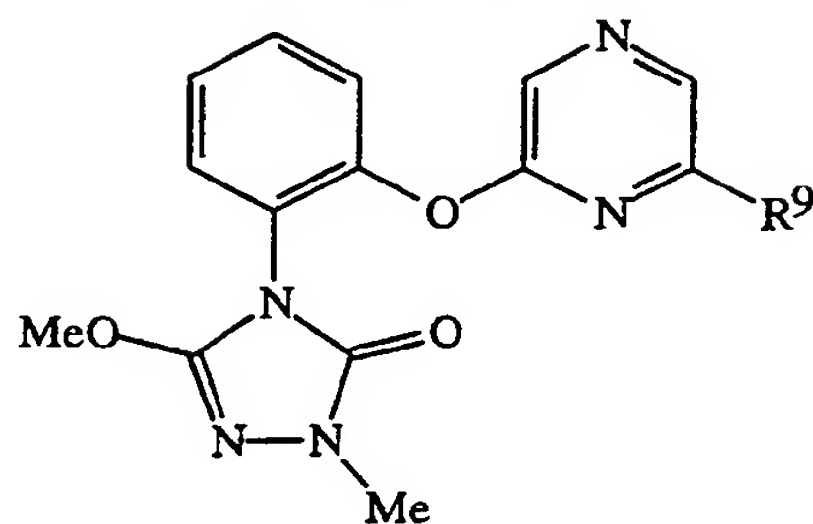
Compounds of Formula IA defined as:



| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|------------------------|---------------------------|--------------------------------|----------------------------------|
| 2-Br-Ph | 2-Me-Ph | 2-Et-Ph | 4-EtO-2-pyrimidinyl |
| 2-CN-Ph | 2-F-Ph | 2-Cl-Ph | 4,6-diMeO-2-pyrimidinyl |
| 2,4-diCl-Ph | 2-Me-4-Cl-Ph | 6-CF ₃ -2-pyridinyl | 4,6-diMe-2-pyrimidinyl |
| 2-CF ₃ -Ph | 3,5-diCl-Ph | 2-pyrimidinyl | 6-CF ₃ -4-pyrimidinyl |
| 2-I-Ph | 3,5-diCF ₃ -Ph | 4-pyrimidinyl | 4-CF ₃ -2-pyridinyl |
| 4-NO ₂ -Ph | 2-MeO-Ph | 4-MeO-2-pyrimidinyl | 4-CF ₃ -2-pyrimidinyl |
| 4-CF ₃ O-Ph | 2,6-diMeO-Ph | 4-Me-2-pyrimidinyl | 5-CF ₃ -3-pyridinyl |
| 4-Me-Ph | 3-CF ₃ O-Ph | 6-MeO-4-pyrimidinyl | 3-MeO-2-pyridinyl |
| 4-Cl-Ph | 4-Br-Ph | 5-Me-2-furanyl | 5-CN-2-pyridinyl |
| 3-Me-Ph | 3-Et-Ph | 2,5-diMe-3-thienyl | 6-Me-2-pyridinyl |
| 3-CF ₃ -Ph | 4-MeO-Ph | 3-OCF ₂ H-Ph | 3,5-diBr-Ph |
| 3-Cl-2-Me-Ph | 4- <i>t</i> -Bu-Ph | 4-OCF ₂ H-Ph | 4- <i>t</i> -Bu-2-pyridinyl |

| | | | |
|---------------------------|---------------------------|-------------------------|--------------------------------------|
| 3- <i>t</i> -Bu-Ph | 4-CN-Ph | 3-Me ₃ Si-Ph | 4-Me ₃ Si-2-pyridinyl |
| 3-F-Ph | 4-NO ₂ -Ph | 4-Me ₃ Si-Ph | 4-Me ₃ Ge-2-pyridinyl |
| 4-CF ₃ -Ph | 3,4-diMe-Ph | 3-Me ₃ Ge-Ph | 4,6-diCF ₃ -2-pyrimidinyl |
| 3,4-diCl-Ph | 3,5-diMe-Ph | 4-Me ₃ Ge-Ph | 5-CF ₃ -2-furanyl |
| 3,4-diCF ₃ -Ph | 4-F-3-CF ₃ -Ph | 3-EtO-Ph | 5-CF ₃ -2-thienyl |
| 4-F-Ph | 5-F-3-CF ₃ -Ph | Ph | (2-CN-Ph)CH ₂ |
| 3-Cl-Ph | 3-Br-Ph | 3-I-Ph | 4-I-Ph |
| <i>t</i> -Bu | | | |

TABLE 9



R⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph
 3-F-4-Cl-Ph
 3-MeO-Ph
 3-Cl-Ph
 C(CH₃)₃
 3-Br-Ph
 2-Br-Ph
 2-CN-Ph
 2,4-diCl-Ph
 2-CF₃-Ph
 2-I-Ph
 4-NO₂-Ph
 4-CF₃O-Ph

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl
 5-Br-2-thienyl
 5-Cl-2-thienyl
 2,5-diF-3-thienyl
 2,5-diCl-3-thienyl
 2,5-diBr-3-thienyl
 4-SCF₂H-Ph
 2-Me-Ph
 2-F-Ph
 2-Me-4-Cl-Ph
 3,5-diCl-Ph
 3,5-diCF₃-Ph
 2-MeO-Ph

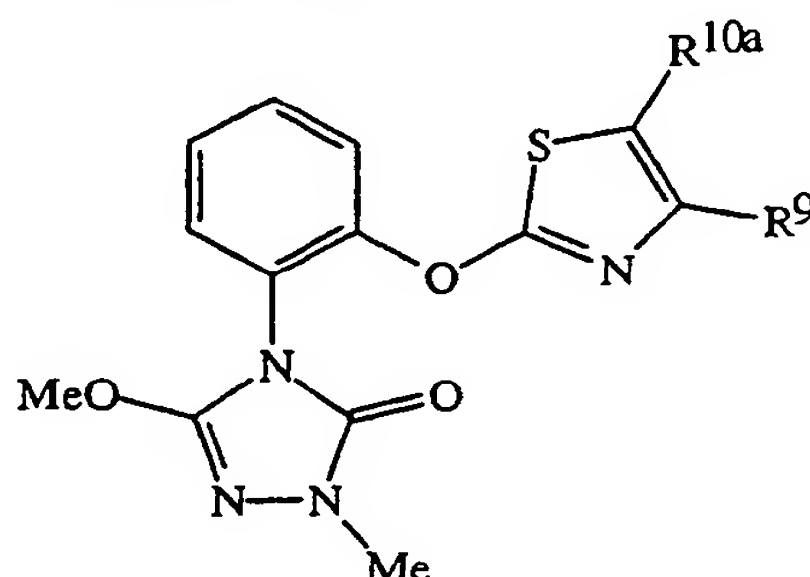
R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl
 4-Me-2-pyrimidinyl
 6-MeO-4-pyrimidinyl
 5-Me-2-furanyl
 2,5-diMe-3-thienyl
 3-OCF₂H-Ph
 4-OCF₂H-Ph
 3-Me₃Si-Ph
 4-Me₃Si-Ph
 3-Me₃Ge-Ph
 4-Me₃Ge-Ph
 Ph
 3-CN-Ph

| | | |
|---|--|--------------------------------------|
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

TABLE 10

Compounds of Formula IA defined as:

R^{10a} = H and

R⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph
 3-F-4-Cl-Ph
 3-MeO-Ph
 3-Cl-Ph
 C(CH₃)₃
 3-Br-Ph
 2-Br-Ph
 2-CN-Ph
 2,4-diCl-Ph
 2-CF₃-Ph
 2-I-Ph
 4-NO₂-Ph
 4-CF₃O-Ph
 4-Me-Ph
 4-Cl-Ph
 3-Me-Ph
 3-CF₃-Ph
 3-Cl-2-Me-Ph
 3-*t*-Bu-Ph
 3-F-Ph

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl
 5-Br-2-thienyl
 5-Cl-2-thienyl
 2,5-diF-3-thienyl
 2,5-diCl-3-thienyl
 2,5-diBr-3-thienyl
 4-SCF₂H-Ph
 2-Me-Ph
 2-F-Ph
 2-Me-4-Cl-Ph
 3,5-diCl-Ph
 3,5-diCF₃-Ph
 2-MeO-Ph
 2,6-diMeO-Ph
 3-CF₃O-Ph
 4-Br-Ph
 3-Et-Ph
 4-MeO-Ph
 4-*t*-Bu-Ph
 4-CN-Ph

R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl
 4-Me-2-pyrimidinyl
 6-MeO-4-pyrimidinyl
 5-Me-2-furanyl
 2,5-diMe-3-thienyl
 3-OCF₂H-Ph
 4-OCF₂H-Ph
 3-Me₃Si-Ph
 4-Me₃Si-Ph
 3-Me₃Ge-Ph
 4-Me₃Ge-Ph
 Ph
 3-CN-Ph
 4-CO₂Me-Ph
 4-CO₂-*t*-Bu-Ph
 4-CO₂Et-Ph
 6-CF₃-4-pyrimidinyl
 4-CF₃-2-pyridinyl
 4-CF₃-2-pyrimidinyl
 5-CF₃-3-pyridinyl

4-CF₃-Ph
 3,4-diCl-Ph
 3,4-diCF₃-Ph
 4-F-Ph
 3-I-Ph
 2-Br-5-pyridinyl
 4,5-diBr-2-thienyl
 4,5-diCl-2-thienyl
 4,5-diF-2-thienyl
 3,4,5-triCl-2-thienyl
 3-(C≡CH)-Ph
 4-(C≡CH)-Ph
 2-CF₃CH₂O-5-pyridinyl
 4-Cl-benzyl
 2-Et-Ph
 2-Cl-Ph

4-NO₂-Ph
 3,4-diMe-Ph
 3,5-diMe-Ph
 4-F-3-CF₃-Ph
 5-F-3-CF₃-Ph
 3-Cl-benzyl
 2-Cl-benzyl
 2-CN-benzyl
 3-(Me₃Si-C≡C)-Ph
 4-(Me₃Si-C≡C)-Ph
 3,5-diCF₃-benzyl
 3-OSO₂CF₃-Ph
 4-OSO₂CF₃-Ph
 4-EtO-2-pyrimidinyl
 4,6-diMeO-2-pyrimidinyl
 4,6-diMe-2-pyrimidinyl

3-MeO-2-pyridinyl
 5-CN-2-pyridinyl
 6-Me-2-pyridinyl
 3,5-diBr-Ph
 4-*t*-Bu-2-pyridinyl
 4-Me₃Si-2-pyridinyl
 4-Me₃Ge-2-pyridinyl
 4,6-diCF₃-2-pyrimidinyl
 5-CF₃-2-furanyl
 5-CF₃-2-thienyl
 3-EtO-Ph
 4-I-Ph
 3-CO₂Me-Ph
 3-CO₂-*t*-Bu-Ph
 3-CO₂Et-Ph

R^{10a} = Me and

R⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph
 3-F-4-Cl-Ph
 3-MeO-Ph
 3-Cl-Ph
 C(CH₃)₃
 3-Br-Ph
 2-Br-Ph
 2-CN-Ph
 2,4-diCl-Ph
 2-CF₃-Ph
 2-I-Ph
 4-NO₂-Ph
 4-CF₃O-Ph
 4-Me-Ph

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl
 5-Br-2-thienyl
 5-Cl-2-thienyl
 2,5-diF-3-thienyl
 2,5-diCl-3-thienyl
 2,5-diBr-3-thienyl
 4-SCF₂H-Ph
 2-Me-Ph
 2-F-Ph
 2-Me-4-Cl-Ph
 3,5-diCl-Ph
 3,5-diCF₃-Ph
 2-MeO-Ph
 2,6-diMeO-Ph

R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl
 4-Me-2-pyrimidinyl
 6-MeO-4-pyrimidinyl
 5-Me-2-furanyl
 2,5-diMe-3-thienyl
 3-OCF₂H-Ph
 4-OCF₂H-Ph
 3-Me₃Si-Ph
 4-Me₃Si-Ph
 3-Me₃Ge-Ph
 4-Me₃Ge-Ph
 Ph
 3-CN-Ph
 4-CO₂Me-Ph

| | | |
|---|--|--------------------------------------|
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

R^{10a} = Br and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|----------------------------------|-------------------------|--------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |

2,4-diCl-Ph
 2-CF₃-Ph
 2-I-Ph
 4-NO₂-Ph
 4-CF₃O-Ph
 4-Me-Ph
 4-Cl-Ph
 3-Me-Ph
 3-CF₃-Ph
 3-Cl-2-Me-Ph
 3-*t*-Bu-Ph
 3-F-Ph
 4-CF₃-Ph
 3,4-diCl-Ph
 3,4-diCF₃-Ph
 4-F-Ph
 3-I-Ph
 2-Br-5-pyridinyl
 4,5-diBr-2-thienyl
 4,5-diCl-2-thienyl
 4,5-diF-2-thienyl
 3,4,5-triCl-2-thienyl
 3-(C≡CH)-Ph
 4-(C≡CH)-Ph
 2-CF₃CH₂O-5-pyridinyl
 4-Cl-benzyl
 2-Et-Ph
 2-Cl-Ph

R^{10a} = Cl and

R⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph
 3-F-4-Cl-Ph

2-F-Ph
 2-Me-4-Cl-Ph
 3,5-diCl-Ph
 3,5-diCF₃-Ph
 2-MeO-Ph
 2,6-diMeO-Ph
 3-CF₃O-Ph
 4-Br-Ph
 3-Et-Ph
 4-MeO-Ph
 4-*t*-Bu-Ph
 4-CN-Ph
 4-NO₂-Ph
 3,4-diMe-Ph
 3,5-diMe-Ph
 4-F-3-CF₃-Ph
 5-F-3-CF₃-Ph
 3-Cl-benzyl
 2-Cl-benzyl
 2-CN-benzyl
 3-(Me₃Si-C≡C)-Ph
 4-(Me₃Si-C≡C)-Ph
 3,5-diCF₃-benzyl
 3-OSO₂CF₃-Ph
 4-OSO₂CF₃-Ph
 4-EtO-2-pyrimidinyl
 4,6-diMeO-2-pyrimidinyl
 4,6-diMe-2-pyrimidinyl

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl
 5-Br-2-thienyl

4-Me₃Si-Ph
 3-Me₃Ge-Ph
 4-Me₃Ge-Ph
 Ph
 3-CN-Ph
 4-CO₂Me-Ph
 4-CO₂-*t*-Bu-Ph
 4-CO₂Et-Ph
 6-CF₃-4-pyrimidinyl
 4-CF₃-2-pyridinyl
 4-CF₃-2-pyrimidinyl
 5-CF₃-3-pyridinyl
 3-MeO-2-pyridinyl
 5-CN-2-pyridinyl
 6-Me-2-pyridinyl
 3,5-diBr-Ph
 4-*t*-Bu-2-pyridinyl
 4-Me₃Si-2-pyridinyl
 4-Me₃Ge-2-pyridinyl
 4,6-diCF₃-2-pyrimidinyl
 5-CF₃-2-furanyl
 5-CF₃-2-thienyl
 3-EtO-Ph
 4-I-Ph
 3-CO₂Me-Ph
 3-CO₂-*t*-Bu-Ph
 3-CO₂Et-Ph

R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl
 4-Me-2-pyrimidinyl

| | | |
|---|--|--------------------------------------|
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

R^{10a} = CN and

R⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph
 3-F-4-Cl-Ph
 3-MeO-Ph
 3-Cl-Ph
 C(CH₃)₃
 3-Br-Ph
 2-Br-Ph
 2-CN-Ph
 2,4-diCl-Ph
 2-CF₃-Ph
 2-I-Ph
 4-NO₂-Ph
 4-CF₃O-Ph
 4-Me-Ph
 4-Cl-Ph
 3-Me-Ph
 3-CF₃-Ph
 3-Cl-2-Me-Ph
 3-*t*-Bu-Ph
 3-F-Ph
 4-CF₃-Ph
 3,4-diCl-Ph
 3,4-diCF₃-Ph
 4-F-Ph
 3-I-Ph
 2-Br-5-pyridinyl
 4,5-diBr-2-thienyl
 4,5-diCl-2-thienyl
 4,5-diF-2-thienyl
 3,4,5-triCl-2-thienyl

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl
 5-Br-2-thienyl
 5-Cl-2-thienyl
 2,5-diF-3-thienyl
 2,5-diCl-3-thienyl
 2,5-diBr-3-thienyl
 4-SCF₂H-Ph
 2-Me-Ph
 2-F-Ph
 2-Me-4-Cl-Ph
 3,5-diCl-Ph
 3,5-diCF₃-Ph
 2-MeO-Ph
 2,6-diMeO-Ph
 3-CF₃O-Ph
 4-Br-Ph
 3-Et-Ph
 4-MeO-Ph
 4-*t*-Bu-Ph
 4-CN-Ph
 4-NO₂-Ph
 3,4-diMe-Ph
 3,5-diMe-Ph
 4-F-3-CF₃-Ph
 5-F-3-CF₃-Ph
 3-Cl-benzyl
 2-Cl-benzyl
 2-CN-benzyl
 3-(Me₃Si-C≡C)-Ph
 4-(Me₃Si-C≡C)-Ph

R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl
 4-Me-2-pyrimidinyl
 6-MeO-4-pyrimidinyl
 5-Me-2-furanyl
 2,5-diMe-3-thienyl
 3-OCF₂H-Ph
 4-OCF₂H-Ph
 3-Me₃Si-Ph
 4-Me₃Si-Ph
 3-Me₃Ge-Ph
 4-Me₃Ge-Ph
 Ph
 3-CN-Ph
 4-CO₂Me-Ph
 4-CO₂-*t*-Bu-Ph
 4-CO₂Et-Ph
 6-CF₃-4-pyrimidinyl
 4-CF₃-2-pyridinyl
 4-CF₃-2-pyrimidinyl
 5-CF₃-3-pyridinyl
 3-MeO-2-pyridinyl
 5-CN-2-pyridinyl
 6-Me-2-pyridinyl
 3,5-diBr-Ph
 4-*t*-Bu-2-pyridinyl
 4-Me₃Si-2-pyridinyl
 4-Me₃Ge-2-pyridinyl
 4,6-diCF₃-2-pyrimidinyl
 5-CF₃-2-furanyl
 5-CF₃-2-thienyl

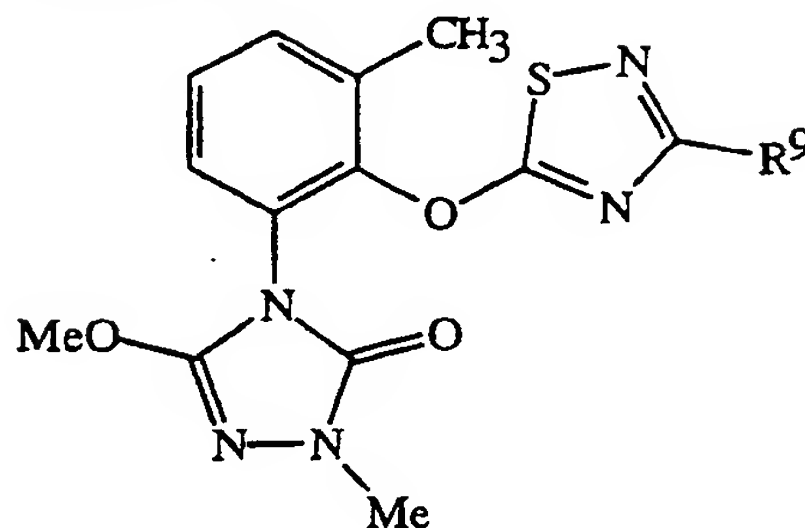
| | | |
|---|--|-------------------------------------|
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

| <u>R^{10a} = F and</u> <u>R⁹</u> | <u>R^{10a} = I and</u> <u>R⁹</u> | <u>R^{10a} = <i>n</i>-propyl and</u> <u>R⁹</u> | <u>R^{10a} = isopropyl and</u> <u>R⁹</u> |
|--|--|--|--|
| 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph |
| 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph |
| 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph |
| 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph |
| 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph |
| 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph |
| C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ |

| <u>R^{10a} = <i>n</i>-butyl and</u> <u>R⁹</u> | <u>R^{10a} = <i>tert</i>-butyl and</u> <u>R⁹</u> | <u>R^{10a} = CF₃ and</u> <u>R⁹</u> | <u>R^{10a} = MeO and</u> <u>R⁹</u> |
|---|--|---|--|
| 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph |
| 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph |
| 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph |
| 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph |
| 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph |
| 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph |
| C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ |

TABLE 11

Compounds of Formula IA defined as:

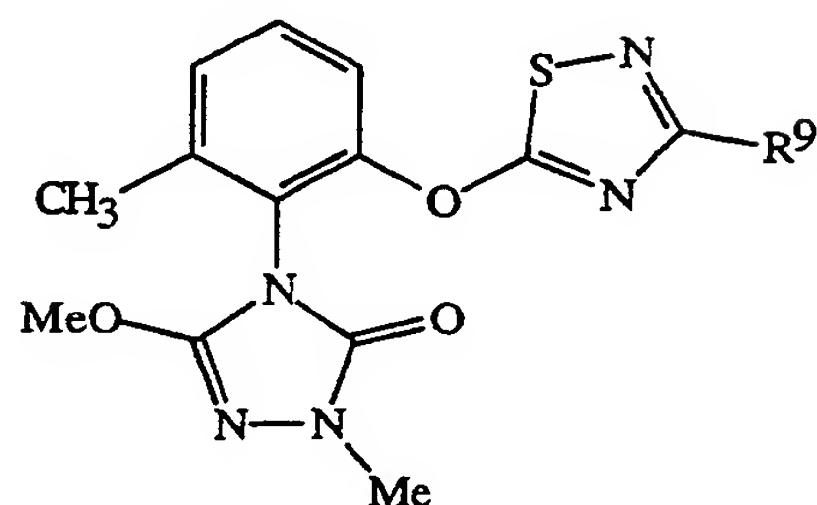


| R^9 | R^9 | R^9 |
|----------------------------------|---------------------------|-------------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |

| | | |
|---|--|--------------------------------------|
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

TABLE 12

Compounds of Formula IA defined as:

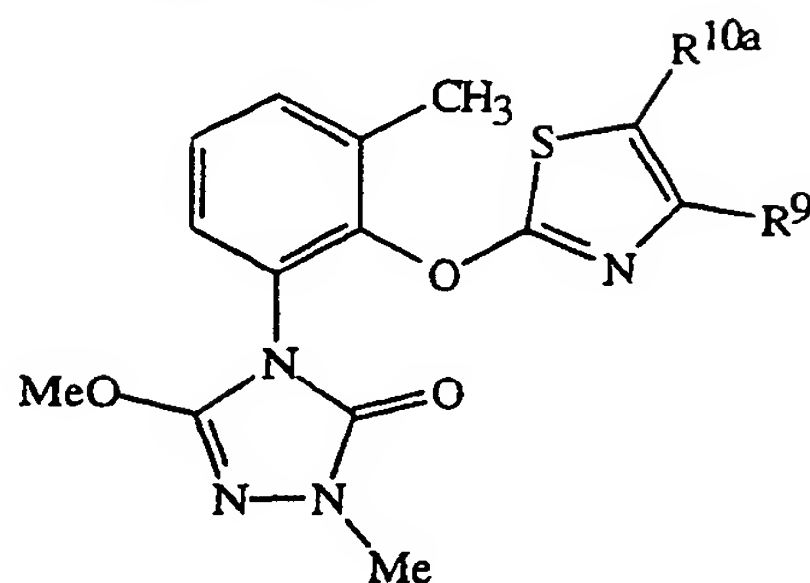


| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|----------------------------------|-------------------------|--------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |

| | | |
|---|--|--------------------------------------|
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

TABLE 13

Compounds of Formula IA defined as:

R^{10a} = H and

R⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph
 3-F-4-Cl-Ph
 3-MeO-Ph
 3-Cl-Ph
 C(CH₃)₃
 3-Br-Ph
 2-Br-Ph
 2-CN-Ph
 2,4-diCl-Ph
 2-CF₃-Ph
 2-I-Ph
 4-NO₂-Ph
 4-CF₃O-Ph
 4-Me-Ph
 4-Cl-Ph
 3-Me-Ph
 3-CF₃-Ph
 3-Cl-2-Me-Ph
 3-*t*-Bu-Ph
 3-F-Ph

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl
 5-Br-2-thienyl
 5-Cl-2-thienyl
 2,5-diF-3-thienyl
 2,5-diCl-3-thienyl
 2,5-diBr-3-thienyl
 4-SCF₂H-Ph
 2-Me-Ph
 2-F-Ph
 2-Me-4-Cl-Ph
 3,5-diCl-Ph
 3,5-diCF₃-Ph
 2-MeO-Ph
 2,6-diMeO-Ph
 3-CF₃O-Ph
 4-Br-Ph
 3-Et-Ph
 4-MeO-Ph
 4-*t*-Bu-Ph
 4-CN-Ph

R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl
 4-Me-2-pyrimidinyl
 6-MeO-4-pyrimidinyl
 5-Me-2-furanyl
 2,5-diMe-3-thienyl
 3-OCF₂H-Ph
 4-OCF₂H-Ph
 3-Me₃Si-Ph
 4-Me₃Si-Ph
 3-Me₃Ge-Ph
 4-Me₃Ge-Ph
 Ph
 3-CN-Ph
 4-CO₂Me-Ph
 4-CO₂-*t*-Bu-Ph
 4-CO₂Et-Ph
 6-CF₃-4-pyrimidinyl
 4-CF₃-2-pyridinyl
 4-CF₃-2-pyrimidinyl
 5-CF₃-3-pyridinyl

4-CF₃-Ph
 3,4-diCl-Ph
 3,4-diCF₃-Ph
 4-F-Ph
 3-I-Ph
 2-Br-5-pyridinyl
 4,5-diBr-2-thienyl
 4,5-diCl-2-thienyl
 4,5-diF-2-thienyl
 3,4,5-triCl-2-thienyl
 3-(C≡CH)-Ph
 4-(C≡CH)-Ph
 2-CF₃CH₂O-5-pyridinyl
 4-Cl-benzyl
 2-Et-Ph
 2-Cl-Ph

4-NO₂-Ph
 3,4-diMe-Ph
 3,5-diMe-Ph
 4-F-3-CF₃-Ph
 5-F-3-CF₃-Ph
 3-Cl-benzyl
 2-Cl-benzyl
 2-CN-benzyl
 3-(Me₃Si-C≡C)-Ph
 4-(Me₃Si-C≡C)-Ph
 3,5-diCF₃-benzyl
 3-OSO₂CF₃-Ph
 4-OSO₂CF₃-Ph
 4-EtO-2-pyrimidinyl
 4,6-diMeO-2-pyrimidinyl
 4,6-diMe-2-pyrimidinyl

3-MeO-2-pyridinyl
 5-CN-2-pyridinyl
 6-Me-2-pyridinyl
 3,5-diBr-Ph
 4-*t*-Bu-2-pyridinyl
 4-Me₃Si-2-pyridinyl
 4-Me₃Ge-2-pyridinyl
 4,6-diCF₃-2-pyrimidinyl
 5-CF₃-2-furanyl
 5-CF₃-2-thienyl
 3-EtO-Ph
 4-I-Ph
 3-CO₂Me-Ph
 3-CO₂-*t*-Bu-Ph
 3-CO₂Et-Ph

R^{10a} = Me and

R⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph
 3-F-4-Cl-Ph
 3-MeO-Ph
 3-Cl-Ph
 C(CH₃)₃
 3-Br-Ph
 2-Br-Ph
 2-CN-Ph
 2,4-diCl-Ph
 2-CF₃-Ph
 2-I-Ph
 4-NO₂-Ph
 4-CF₃O-Ph

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl
 5-Br-2-thienyl
 5-Cl-2-thienyl
 2,5-diF-3-thienyl
 2,5-diCl-3-thienyl
 2,5-diBr-3-thienyl
 4-SCF₂H-Ph
 2-Me-Ph
 2-F-Ph
 2-Me-4-Cl-Ph
 3,5-diCl-Ph
 3,5-diCF₃-Ph
 2-MeO-Ph

R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl
 4-Me-2-pyrimidinyl
 6-MeO-4-pyrimidinyl
 5-Me-2-furanyl
 2,5-diMe-3-thienyl
 3-OCF₂H-Ph
 4-OCF₂H-Ph
 3-Me₃Si-Ph
 4-Me₃Si-Ph
 3-Me₃Ge-Ph
 4-Me₃Ge-Ph
 Ph
 3-CN-Ph

| | | |
|---|--|--------------------------------------|
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

R^{10a} = Br and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|----------------------------------|-------------------------|--------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |

| | | |
|---|--|--------------------------------------|
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

R^{10a} = Cl andR⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl

R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl

| | | |
|---|--|--------------------------------------|
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

R^{10a} = CN and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|----------------------------------|-------------------------------|--------------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |

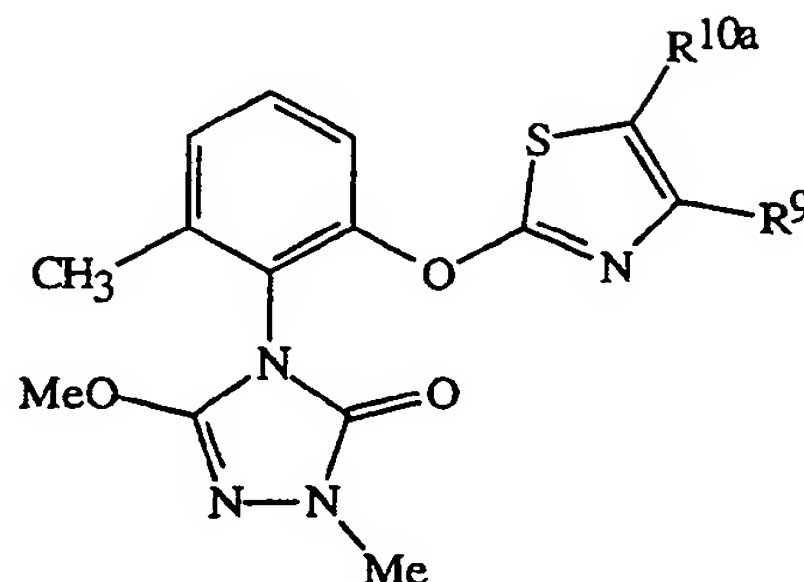
| | | |
|---|--|-------------------------------------|
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

| <u>R^{10a} = F and</u> <u>R⁹</u> | <u>R^{10a} = I and</u> <u>R⁹</u> | <u>R^{10a} = <i>n</i>-propyl and</u> <u>R⁹</u> | <u>R^{10a} = isopropyl and</u> <u>R⁹</u> |
|--|--|--|--|
| 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph |
| 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph |
| 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph |
| 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph |
| 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph |
| 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph |
| C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ |

| <u>R^{10a} = <i>n</i>-butyl and</u> <u>R⁹</u> | <u>R^{10a} = <i>tert</i>-butyl and</u> <u>R⁹</u> | <u>R^{10a} = CF₃ and</u> <u>R⁹</u> | <u>R^{10a} = MeO and</u> <u>R⁹</u> |
|---|--|---|--|
| 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph |
| 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph |
| 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph |
| 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph |
| 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph |
| 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph |
| C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ |

TABLE 14

Compounds of Formula IA defined as:

R^{10a} = H and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|----------------------------------|---------------------------|-------------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |

| | | |
|---|--|--------------------------------------|
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

R^{10a} = Me and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|----------------------------------|---------------------------|--------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |

| | | |
|---|--|--------------------------------------|
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

R^{10a} = Br and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|----------------------------------|-------------------------|--------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |

| | | |
|---|--|--------------------------------------|
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

R^{10a} = Cl andR⁹

3,4-diF-Ph
 3,5-diBr-4-MeO-Ph
 3-Cl-4-Me-Ph
 3,5-diF-Ph

R⁹

4-Ph-Ph
 4-Br-3-Me-Ph
 3-Br-4-MeO-Ph
 5-F-2-thienyl

R⁹

6-CF₃-2-pyridinyl
 2-pyrimidinyl
 4-pyrimidinyl
 4-MeO-2-pyrimidinyl

| | | |
|---|--|--------------------------------------|
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

| <u>R^{10a}</u> = CN and <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|---|-------------------------------|--------------------------------------|
| 3,4-diF-Ph | 4-Ph-Ph | 6-CF ₃ -2-pyridinyl |
| 3,5-diBr-4-MeO-Ph | 4-Br-3-Me-Ph | 2-pyrimidinyl |
| 3-Cl-4-Me-Ph | 3-Br-4-MeO-Ph | 4-pyrimidinyl |
| 3,5-diF-Ph | 5-F-2-thienyl | 4-MeO-2-pyrimidinyl |
| 3-F-4-Cl-Ph | 5-Br-2-thienyl | 4-Me-2-pyrimidinyl |
| 3-MeO-Ph | 5-Cl-2-thienyl | 6-MeO-4-pyrimidinyl |
| 3-Cl-Ph | 2,5-diF-3-thienyl | 5-Me-2-furanyl |
| C(CH ₃) ₃ | 2,5-diCl-3-thienyl | 2,5-diMe-3-thienyl |
| 3-Br-Ph | 2,5-diBr-3-thienyl | 3-OCF ₂ H-Ph |
| 2-Br-Ph | 4-SCF ₂ H-Ph | 4-OCF ₂ H-Ph |
| 2-CN-Ph | 2-Me-Ph | 3-Me ₃ Si-Ph |
| 2,4-diCl-Ph | 2-F-Ph | 4-Me ₃ Si-Ph |
| 2-CF ₃ -Ph | 2-Me-4-Cl-Ph | 3-Me ₃ Ge-Ph |
| 2-I-Ph | 3,5-diCl-Ph | 4-Me ₃ Ge-Ph |
| 4-NO ₂ -Ph | 3,5-diCF ₃ -Ph | Ph |
| 4-CF ₃ O-Ph | 2-MeO-Ph | 3-CN-Ph |
| 4-Me-Ph | 2,6-diMeO-Ph | 4-CO ₂ Me-Ph |
| 4-Cl-Ph | 3-CF ₃ O-Ph | 4-CO ₂ - <i>t</i> -Bu-Ph |
| 3-Me-Ph | 4-Br-Ph | 4-CO ₂ Et-Ph |
| 3-CF ₃ -Ph | 3-Et-Ph | 6-CF ₃ -4-pyrimidinyl |
| 3-Cl-2-Me-Ph | 4-MeO-Ph | 4-CF ₃ -2-pyridinyl |
| 3- <i>t</i> -Bu-Ph | 4- <i>t</i> -Bu-Ph | 4-CF ₃ -2-pyrimidinyl |
| 3-F-Ph | 4-CN-Ph | 5-CF ₃ -3-pyridinyl |
| 4-CF ₃ -Ph | 4-NO ₂ -Ph | 3-MeO-2-pyridinyl |
| 3,4-diCl-Ph | 3,4-diMe-Ph | 5-CN-2-pyridinyl |
| 3,4-diCF ₃ -Ph | 3,5-diMe-Ph | 6-Me-2-pyridinyl |
| 4-F-Ph | 4-F-3-CF ₃ -Ph | 3,5-diBr-Ph |
| 3-I-Ph | 5-F-3-CF ₃ -Ph | 4- <i>t</i> -Bu-2-pyridinyl |
| 2-Br-5-pyridinyl | 3-Cl-benzyl | 4-Me ₃ Si-2-pyridinyl |
| 4,5-diBr-2-thienyl | 2-Cl-benzyl | 4-Me ₃ Ge-2-pyridinyl |
| 4,5-diCl-2-thienyl | 2-CN-benzyl | 4,6-diCF ₃ -2-pyrimidinyl |
| 4,5-diF-2-thienyl | 3-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-furanyl |
| 3,4,5-triCl-2-thienyl | 4-(Me ₃ Si-C≡C)-Ph | 5-CF ₃ -2-thienyl |

| | | |
|---|--|-------------------------------------|
| 3-(C≡CH)-Ph | 3,5-diCF ₃ -benzyl | 3-EtO-Ph |
| 4-(C≡CH)-Ph | 3-OSO ₂ CF ₃ -Ph | 4-I-Ph |
| 2-CF ₃ CH ₂ O-5-pyridinyl | 4-OSO ₂ CF ₃ -Ph | 3-CO ₂ Me-Ph |
| 4-Cl-benzyl | 4-EtO-2-pyrimidinyl | 3-CO ₂ - <i>t</i> -Bu-Ph |
| 2-Et-Ph | 4,6-diMeO-2-pyrimidinyl | 3-CO ₂ Et-Ph |
| 2-Cl-Ph | 4,6-diMe-2-pyrimidinyl | |

| <u>R^{10a} = F and</u> <u>R⁹</u> | <u>R^{10a} = I and</u> <u>R⁹</u> | <u>R^{10a} = <i>n</i>-propyl and</u> <u>R⁹</u> | <u>R^{10a} = isopropyl and</u> <u>R⁹</u> |
|--|--|--|--|
| 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph |
| 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph |
| 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph |
| 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph |
| 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph |
| 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph |
| C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ |

| <u>R^{10a} = <i>n</i>-butyl and</u> <u>R⁹</u> | <u>R^{10a} = <i>tert</i>-butyl and</u> <u>R⁹</u> | <u>R^{10a} = CF₃ and</u> <u>R⁹</u> | <u>R^{10a} = MeO and</u> <u>R⁹</u> |
|---|--|---|--|
| 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph | 3-CF ₃ -Ph |
| 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph | 3-CF ₃ O-Ph |
| 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph | 3-OCF ₂ H-Ph |
| 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph | 3,5-diF-Ph |
| 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph | 3,5-diCl-Ph |
| 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph | 3,5-diCF ₃ -Ph |
| C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ | C(CH ₃) ₃ |

Formulation/Utility

- 5 Compounds of this invention will generally be used as a formulation or composition with an agriculturally suitable carrier comprising at least one of a liquid diluent, a solid diluent or a surfactant. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature.
- 10 Useful formulations include liquids such as solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful formulations further

include solids such as dusts, powders, granules, pellets, tablets, films, and the like which can be water-dispersible ("wettable") or water-soluble. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or
 5 "overcoated"). Encapsulation can control or delay release of the active ingredient. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High-strength compositions are primarily used as intermediates for further formulation.

The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100
 10 percent by weight.

| | Weight Percent | | |
|---|------------------------------|----------------|-------------------|
| | <u>Active Ingredient</u> | <u>Diluent</u> | <u>Surfactant</u> |
| Water-Dispersible and Water-soluble Granules, Tablets and Powders. | 5-90 | 0-94 | 1-15 |
| Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates) | 5-50 | 40-95 | 0-15 |
| Dusts | 1-25 | 70-99 | 0-5 |
| Granules and Pellets | 0.01-99 | 5-99.99 | 0-15 |
| High Strength Compositions | 90-99 | 0-10 | 0-2 |

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New
 15 York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

20 Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, and polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for
 25 example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and

bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, paraffins, alkylbenzenes, alkylnaphthalenes, oils of olive, castor, linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A-M.

30

Example AWettable Powder

| | |
|---|-------|
| Compound 345 | 65.0% |
| dodecylphenol polyethylene glycol ether | 2.0% |
| sodium ligninsulfonate | 4.0% |
| sodium silicoaluminate | 6.0% |
| montmorillonite (calcined) | 23.0% |

115

Example BGranule

| | | |
|---|---|--------|
| | Compound 515 | 10.0% |
| 5 | attapulgit granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves) | 90.0%. |

Example CExtruded Pellet

| | | |
|----|-----------------------------------|--------|
| | Compound 680 | 25.0% |
| | anhydrous sodium sulfate | 10.0% |
| 10 | crude calcium ligninsulfonate | 5.0% |
| | sodium alkyl naphthalenesulfonate | 1.0% |
| | calcium/magnesium bentonite | 59.0%. |

Example DEmulsifiable Concentrate

| | | |
|----|---|--------|
| 15 | Compound 699 | 20.0% |
| | blend of oil soluble sulfonates and polyoxyethylene ethers | 10.0% |
| | isophorone | 70.0%. |

20 The compounds of this invention are useful as plant disease control agents. The
 present invention therefore further comprises a method for controlling plant diseases
 caused by fungal plant pathogens comprising applying to the plant or portion thereof to
 be protected, or to the plant seed or seedling to be protected, an effective amount of a
 compound of the invention or a fungicidal composition containing said compound. The
 compounds and compositions of this invention provide control of diseases caused by a
 25 broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete
 and Deuteromycete classes. They are effective in controlling a broad spectrum of plant
 diseases, particularly foliar pathogens of ornamental, vegetable, field, cereal, and fruit
 crops. These pathogens include *Plasmopara viticola*, *Phytophthora infestans*,
Peronospora tabacina, *Pseudoperonospora cubensis*, *Pythium aphanidermatum*,
 30 *Alternaria brassicae*, *Septoria nodorum*, *Septoria tritici*, *Cercosporidium personatum*,
Cercospora arachidicola, *Pseudocercospora herpotrichoides*, *Cercospora beticola*,
Botrytis cinerea, *Monilinia fructicola*, *Pyricularia oryzae*, *Podosphaera leucotricha*,
Venturia inaequalis, *Erysiphe graminis*, *Uncinula necator*, *Puccinia recondita*,
Puccinia graminis, *Hemileia vastatrix*, *Puccinia striiformis*, *Puccinia arachidis*,
 35 *Rhizoctonia solani*, *Sphaerotheca fuliginea*, *Fusarium oxysporum*, *Verticillium dahliae*,
Pythium aphanidermatum, *Phytophthora megasperma*, *Sclerotinia sclerotiorum*,

Sclerotium rolfsii, *Erysiphe polygoni*, *Pyrenophora teres*, *Gaeumannomyces graminis*, *Rynchosporium secalis*, *Fusarium roseum*, *Bremia lactucae* and other genera and species closely related to these pathogens.

The compounds of this invention also exhibit activity against a wide spectrum of foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and soil-inhabiting arthropods (term "arthropods" includes insects, mites and nematodes) which are pests of growing and stored agronomic crops, forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests. Nevertheless, all of the compounds of this invention display activity against pests that include: eggs, larvae and adults of the Order Lepidoptera; eggs, foliar-feeding, fruit-feeding, root-feeding, seed-feeding larvae and adults of the Order Coleoptera; eggs, immatures and adults of the Orders Hemiptera and Homoptera; eggs, larvae, nymphs and adults of the Order Acari; eggs, immatures and adults of the Orders Thysanoptera, Orthoptera and Dermaptera; eggs, immatures and adults of the Order Diptera; and eggs, juveniles and adults of the Phylum Nematoda. The compounds of this invention are also active against pests of the Orders Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura and Psocoptera; pests belonging to the Class Arachnida and Phylum Platyhelminthes. Specifically, the compounds are active against southern corn rootworm (*Diabrotica undecimpunctata howardi*), aster leafhopper (*Mascrosteles fascifrons*), boll weevil (*Anthonomus grandis*), two-spotted spider mite (*Tetranychus urticae*), fall armyworm (*Spodoptera frugiperda*), black bean aphid (*Aphis fabae*), green peach aphid (*Myzus persica*), cotton aphid (*Aphis gossypii*), Russian wheat aphid (*Diuraphis noxia*), English grain aphid (*Sitobion avenae*), tobacco budworm (*Heliothis virescens*), rice water weevil (*Lissorhoptrus oryzophilus*), rice leaf beetle (*Oulema oryzae*), whitebacked planthopper (*Sogatella furcifera*), green leafhopper (*Nephotettix cincticeps*), brown planthopper (*Nilaparvata lugens*), small brown planthopper (*Laodelphax striatellus*), rice stem borer (*Chilo suppressalis*), rice leafroller (*Cnaphalocrocis medinalis*), black rice stink bug (*Scotinophara lurida*), rice stink bug (*Oebalus pugnax*), rice bug (*Leptocorisa chinensis*), slender rice bug (*Cletus punctiger*), and southern green stink bug (*Nezara viridula*). The compounds are active on mites, demonstrating ovicidal, larvicidal and chemosterilant activity against such families as Tetranychidae including *Tetranychus urticae*, *Tetranychus cinnabarinus*, *Tetranychus mcdanieli*, *Tetranychus pacificus*, *Tetranychus turkestani*, *Byrobia rubrioculus*, *Panonychus ulmi*, *Panonychus citri*, *Eotetranychus carpini borealis*, *Eotetranychus*, *hicoriae*, *Eotetranychus sexmaculatus*,

Eotetranychus yumensis, *Eotetranychus banksi* and *Oligonychus pratensis*; Tenuipalpidae including *Brevipalpus lewisi*, *Brevipalpus phoenicis*, *Brevipalpus californicus* and *Brevipalpus obovatus*; Eriophyidae including *Phyllocoptruta oleivora*, *Eriophyes sheldoni*, *Aculus cornutus*, *Epitrimerus pyri* and *Eriophyes mangiferae*. See
5 WO 90/10623 and WO 92/00673 for more detailed pest descriptions.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an
10 even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorpyrifos, chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenpropathrin, fenvalerate, fipronil,
15 flucythrinate, tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and
20 triflumuron; fungicides such as azoxystrobin (ICIA5504), benomyl, blasticidin-S, Bordeaux mixture (tribasic copper sulfate), bromuconazole, captan, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, cyprodinil (CGA 219417), diclomezine, dicloran, difenoconazole, dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxyconazole
25 (BAS 480F), fenarimol, fenbuconazole, fenpiclonil, fenpropidin, fenpropimorph, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl (BAS 490F), mancozeb, maneb, mepronil, metalaxyl, metconazole, myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, pencycuron,
30 probenazole, prochloraz, propiconazole, pyrifenox, pyroquilon, sulfur, tebuconazole, tetraconazole, thiabendazole, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, triticonazole, uniconazole, validamycin and vinclozolin; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, fenazaquin,
35 fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and

tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

In certain instances, combinations with other fungicides or arthropodicides having a similar spectrum of control but a different mode of action will be particularly
5 advantageous for resistance management.

Preferred for better control of plant diseases caused by fungal plant pathogens (e.g., lower use rate or broader spectrum of plant pathogens controlled) or resistance management are mixtures of a compound of this invention with a fungicide selected from the group cyproconazole, cyprodinil (CGA 219417), epoxyconazole (BAS 480F),
10 fenpropidin, fenpropimorph, flusilazole and tebuconazole. Specifically preferred mixtures (compound numbers refer to compounds in Index Tables A-M) are selected from the group: compound 290 and cyproconazole; compound 290 and cyprodinil (CGA 219417); compound 290 and epoxyconazole (BAS 480F); compound 290 and fenpropidin; compound 290 and fenpropimorph; compound 290 and flusilazole;
15 compound 290 and tebuconazole; compound 295 and cyproconazole; compound 295 and cyprodinil (CGA 219417); compound 295 and epoxyconazole (BAS 480F); compound 295 and fenpropidin; compound 295 and fenpropimorph; compound 295 and flusilazole; compound 295 and tebuconazole; compound 343 and cyproconazole; compound 343 and cyprodinil (CGA 219417); compound 343 and epoxyconazole
20 (BAS 480F); compound 343 and fenpropidin; compound 343 and fenpropimorph; compound 343 and flusilazole; compound 343 and tebuconazole; compound 345 and cyproconazole; compound 345 and cyprodinil (CGA 219417); compound 345 and epoxyconazole (BAS 480F); compound 345 and fenpropidin; compound 345 and fenpropimorph; compound 345 and flusilazole; compound 345 and tebuconazole;
25 compound 358 and cyproconazole; compound 358 and cyprodinil (CGA 219417); compound 358 and epoxyconazole (BAS 480F); compound 358 and fenpropidin; compound 358 and fenpropimorph; compound 358 and flusilazole; compound 358 and tebuconazole; compound 507 and cyproconazole; compound 507 and cyprodinil (CGA 219417); compound 507 and epoxyconazole (BAS 480F); compound 507 and fenpropidin; compound 507 and fenpropimorph; compound 507 and flusilazole;
30 compound 507 and tebuconazole; compound 515 and cyproconazole; compound 515 and cyprodinil (CGA 219417); compound 515 and epoxyconazole (BAS 480F); compound 515 and fenpropidin; compound 515 and fenpropimorph; compound 515 and flusilazole; compound 515 and tebuconazole; compound 538 and cyproconazole; compound 538 and cyprodinil (CGA 219417); compound 538 and epoxyconazole
35 (BAS 480F); compound 538 and fenpropidin; compound 538 and fenpropimorph;

compound 538 and flusilazole; compound 538 and tebuconazole; compound 699 and cyproconazole; compound 699 and cyprodinil (CGA 219417); compound 699 and epoxyconazole (BAS 480F); compound 699 and fenpropidin; compound 699 and fenpropimorph; compound 699 and flusilazole; and compound 699 and tebuconazole.

5 Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

10 For plant disease control, rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.

15 Arthropod pests are controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. Thus, the present invention further
20 comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of the invention, or compositions containing at least one such compound, in an effective amount, to the environment of the pests including the
25 agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. A preferred method of application is by spraying. Alternatively, granular formulations of these compounds can be applied to the plant foliage or the soil. Other methods of application include direct and residual sprays, aerial
30 sprays, seed coats, microencapsulations, systemic uptake, baits, eartags, boluses, foggers, fumigants, aerosols, dusts and many others. The compounds can be incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

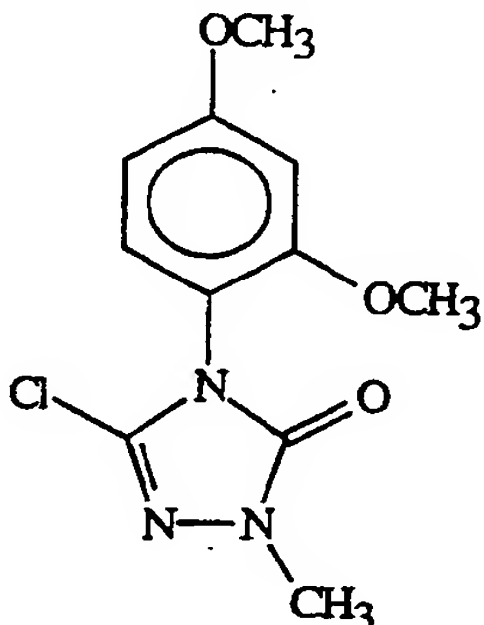
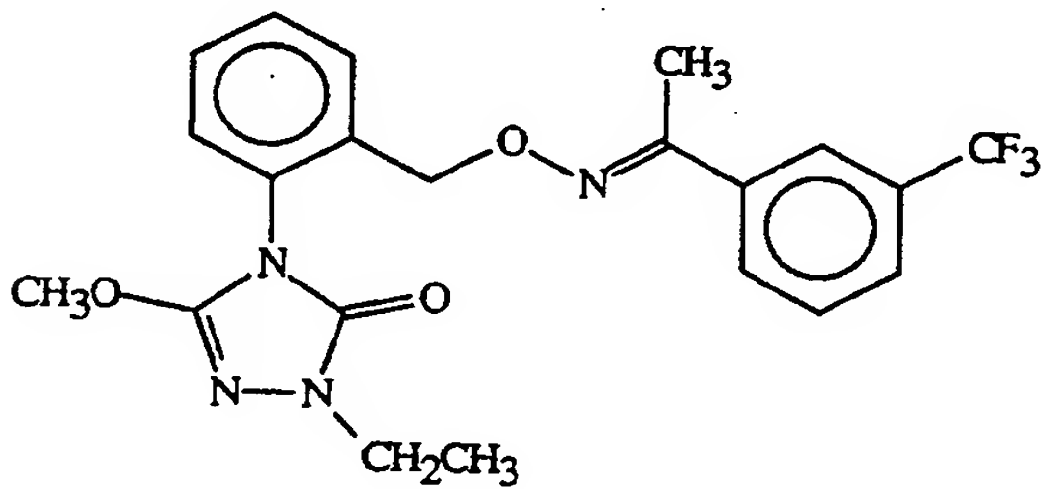
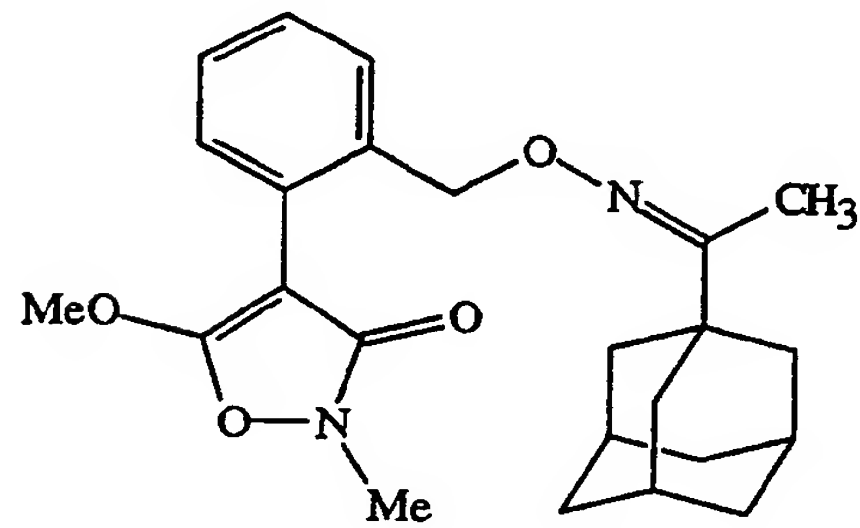
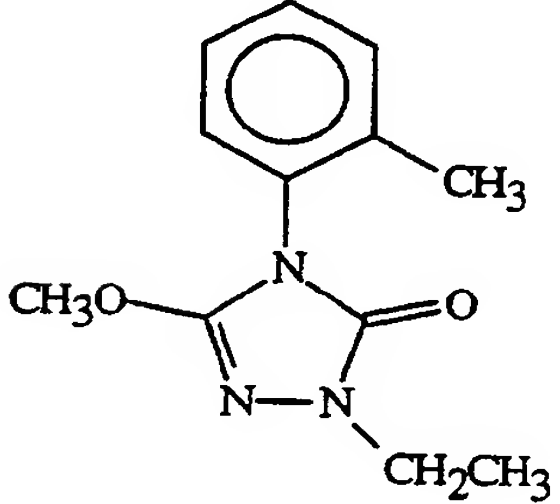
For the control arthropod pests, the compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in
35 combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water dispersion or refined oil solution of the compounds.

Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy.

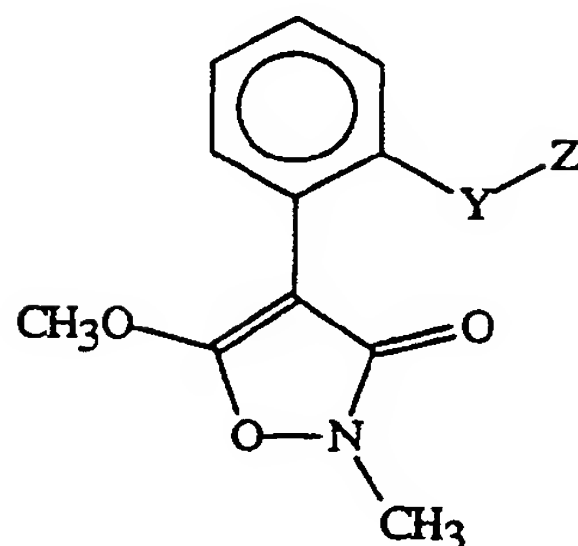
5 The rate of application required for effective control will depend on such factors as the species of arthropod to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. Under normal circumstances, application rates of about 0.01 to 2 kg of active ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 kg/hectare may be sufficient or as much as 8 kg hectare
10 may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required.

The following TESTS demonstrate the control efficacy of compounds of this invention on specific pathogens and arthropod pests. For the tests on arthropod pests,
15 "control efficacy" represents inhibition of arthropod development (including mortality) that causes significantly reduced feeding. The pathogen and arthropod pest control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-M for compound descriptions. The following abbreviations are used in the Index Tables which follow: *t* = tertiary, *n* = normal, *i* = iso, *c* = cyclo, Me = methyl,
20 Et = ethyl, Pr = propyl, *i*-Pr = isopropyl, Bu = butyl, Ph = phenyl, MeO and OMe = methoxy, EtO = ethoxy, PhO = phenoxy, MeS = methylthio, CHO = formyl, CN = cyano, CO₂Me = methoxycarbonyl, CO₂Et = ethoxycarbonyl, NO₂ = nitro, Me₃Si = trimethylsilyl, Et₃Si = triethylsilyl, MeNH = methylamino, Me₂N = dimethylamino, MeS(O) = methylsulfinyl, and
25 MeSO₂ and SO₂Me = methylsulfonyl. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

INDEX TABLE A

| Cmpd No. | Structure | m. p. (°C) |
|----------|--|------------|
| 1 |  | 140-142 |
| 237 |  | oil* |
| 238 |  | oil* |
| 732 |  | 85-88 |

*See Index Table M for ^1H NMR data.

INDEX TABLE B

| <u>Cmpd No.</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|--------------------------|-------------------------------|------------------|
| 2 | O | 2-MeO-Ph | oil* |
| 3 | O | CH ₂ -Ph | oil* |
| 4 | - | Me | oil* |
| 5 | CH ₂ O | 2-Me-Ph | oil* |
| 122 | CH ₂ ON=C(Me) | 3-CF ₃ -Ph | 59-61 |
| 123 | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | oil* |
| 124 | CH ₂ ON=C(Me) | Me | 71-73 |
| 125 | CH ₂ ON=C(Me) | 3-Cl-Ph | oil* |
| 126 | CH ₂ ON=C(Me) | 3-Br-Ph | oil* |
| 127 | CH ₂ ON=C(Me) | 4-Cl-Ph | oil* |
| 128 | CH ₂ ON=C(Me) | 4-Br-Ph | oil* |
| 129 | CH ₂ ON=C(Me) | 4-F-Ph | oil* |
| 130 | CH ₂ ON=C(Me) | 4-MeO-Ph | oil* |
| 131 | CH ₂ ON=C(Me) | 3-CN-Ph | oil* |
| 132 | CH ₂ ON=C(Me) | 4-CN-Ph | oil* |
| 133 | CH ₂ ON=C(Me) | 4-Me-Ph | oil* |
| 134 | CH ₂ ON=C(Me) | 4-Cl-3-Me-Ph | oil* |
| 135 | CH ₂ ON=C(Me) | 3,4-(-OCH ₂ O-)-Ph | oil* |
| 136 | CH ₂ ON=C(Me) | 3,4-diMe-Ph | oil* |
| 137 | CH ₂ ON=C(Me) | 3,4-diCl-Ph | oil* |
| 138 | CH ₂ ON=C(Me) | 4-Ph-Ph | oil* |
| 139 | CH ₂ ON=C(Me) | 3- <i>i</i> -Bu-Ph | oil* |
| 140 | CH ₂ ON=C(Me) | 3,5-diCF ₃ -Ph | oil* |
| 141 | CH ₂ ON=C(Me) | 3-MeO-Ph | oil* |

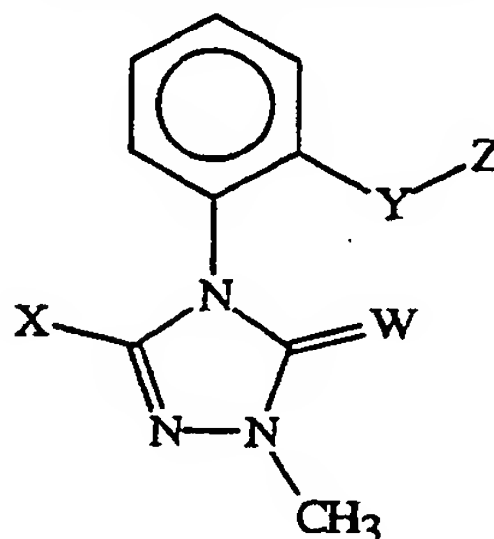
123

| | | | |
|-----|---------------------------|-------------------------------------|---------|
| 142 | CH ₂ ON=C(Me) | 3-Ph-Ph | oil* |
| 143 | CH ₂ ON=C(Me) | 4-PhO-Ph | oil* |
| 144 | CH ₂ ON=C(Me) | 2-pyridinyl | oil* |
| 145 | CH ₂ ON=C(Me) | 3-Me ₂ N-Ph | oil* |
| 146 | CH ₂ ON=C(Me) | 3-CF ₃ O-Ph | oil* |
| 147 | CH ₂ ON=C(Me) | 4-(4-MeO-PhO)-Ph | oil* |
| 148 | CH ₂ ON=C(Me) | 4-CF ₃ -2-pyridinyl | 94-96 |
| 149 | CH ₂ ON=C(Me) | 5-Cl-2-thienyl | 123-125 |
| 150 | CH ₂ ON=C(Me) | 4-Me-2-thienyl | 130-132 |
| 151 | CH ₂ ON=C(Me) | 2-thienyl | 124-126 |
| 152 | CH ₂ ON=C(Me) | 3-thienyl | 129-131 |
| 153 | CH ₂ ON=C(Me) | 3-PhO-Ph | oil* |
| 154 | CH ₂ ON=C(Me) | 3- <i>i</i> -PrO-Ph | oil* |
| 155 | CH ₂ ON=C(Me) | 3,5-diCl-Ph | oil* |
| 156 | CH ₂ ON=C(Et) | 3-CF ₃ -Ph | oil* |
| 157 | CH ₂ ON=C(Me) | <i>c</i> -hexyl | oil* |
| 158 | CH ₂ ON=C(Me) | 4- <i>t</i> -Bu- <i>c</i> -hexyl | oil* |
| 159 | CH ₂ ON=C(Me) | 3-(3-CF ₃ -Ph)-Ph | oil* |
| 160 | CH ₂ ON=C(Me) | 3-(3-CF ₃ -PhO)-Ph | oil* |
| 161 | CH ₂ ON=C(Me) | 3-F-5-CF ₃ -Ph | oil* |
| 162 | CH ₂ ON=C(Me) | 3,5-diMe-Ph | oil* |
| 163 | CH ₂ ON=C(Me) | 2-benzofuranyl | 101-104 |
| 164 | CH ₂ ON=C(Me) | 5-Me-2-furanyl | oil* |
| 165 | CH ₂ ON=C(Me) | 4,6-diMe-2-pyridinyl | oil* |
| 166 | CH ₂ ON=C(Me) | 4- <i>c</i> -hexyl-Ph | oil* |
| 167 | CH ₂ ON=C(Me) | 2-quinolinyl | 134-136 |
| 168 | CH ₂ ON=C(Me) | 4-Me-2-Ph-5-pyrimidinyl | oil* |
| 169 | CH ₂ ON=C(Me) | benzo[<i>b</i>]thiophen-3-yl | oil* |
| 170 | CH ₂ ON=C(Me) | 5-(3-CF ₃ -Ph)-2-thienyl | 135-138 |
| 171 | CH ₂ ON=C(Me) | 3,5-diBr-Ph | oil* |
| 172 | CH ₂ ON=C(Me) | 4-F-3-CF ₃ -Ph | oil* |
| 173 | CH ₂ ON=C(Me) | 2-Cl-6-MeO-4-pyridinyl | oil* |
| 174 | CH ₂ ON=C(Me) | 4,5-diMe-2-thiazolyl | 76-78 |
| 175 | CH ₂ ON=C(Me) | 1-Me-3-indolyl | 114-116 |
| 176 | CH ₂ ON=C(OMe) | 3,5-diCl-Ph | oil* |
| 177 | CH ₂ ON=C(Me) | 3-Et-Ph | oil* |

| | | | |
|-----|--------------------------|---|--------|
| 178 | CH ₂ ON=C(Me) | 6-MeO-2-pyrimidinyl | oil* |
| 179 | CH ₂ ON=C(Me) | 2-naphthalenyl | oil* |
| 180 | CH ₂ ON=C(Me) | 6-Me-2-naphthalenyl | oil* |
| 181 | CH ₂ ON=C(Me) | 6-MeO-2-naphthalenyl | oil* |
| 182 | CH ₂ ON=C(Me) | 6-Br-2-naphthalenyl | oil* |
| 183 | CH ₂ ON=C(Me) | 5,6,7,8-tetrahydro-2-naphthalenyl | oil* |
| 239 | O | 3-[3,5-bis(trifluoromethyl)-phenyl]-1,2,4-thiadiazol-5-yl | 94-97 |
| 240 | OCH ₂ | 4-MeO-Ph | solid* |

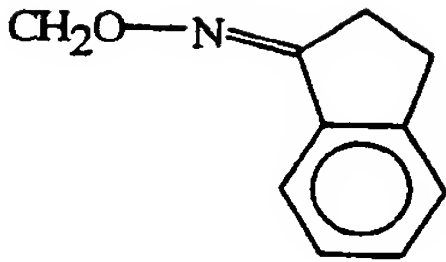
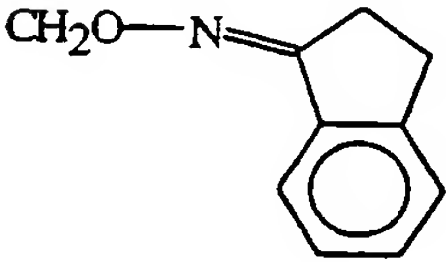
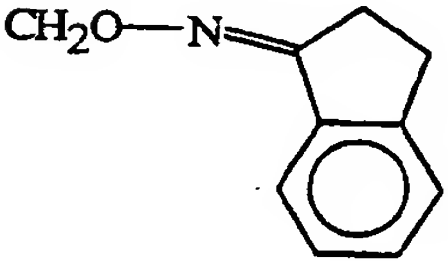
*See Index Table M for ¹H NMR data.

INDEX TABLE C



| <u>Cmpd</u> | <u>W</u> | <u>X</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-------------|----------|-----------------------|--------------------------|----------|------------------|
| 6 | O | MeS | O | Ph | 129-130 |
| 7 | O | MeO | O | Me | 123-126 |
| 8 | O | MeO | - | Me | 95-97 |
| 9 | O | MeS | - | Me | 95-97 |
| 10 | O | Cl | - | Me | 99-100 |
| 11 | O | MeO | O | Ph | 88-91 |
| 12 | O | Cl | CH ₂ O | 2-Me-Ph | 88-96 |
| 13 | O | MeO | CH ₂ O | 2-Me-Ph | 110-113 |
| 14 | O | EtO | CH ₂ O | 2-Me-Ph | oil* |
| 15 | O | MeS | CH ₂ O | 2-Me-Ph | 80-88 |
| 16 | O | OCH ₂ C≡CH | CH ₂ O | 2-Me-Ph | 122-130 |
| 17 | O | Cl | CH ₂ ON=C(Me) | 4-Me-Ph | oil* |
| 18 | O | MeO | CH ₂ ON=C(Me) | 4-Me-Ph | 116-118 |
| 19 | O | MeS | CH ₂ ON=C(Me) | 4-Me-Ph | oil* |

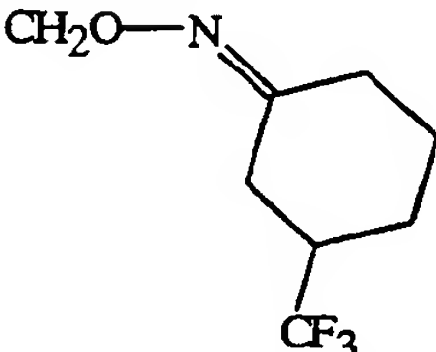
125

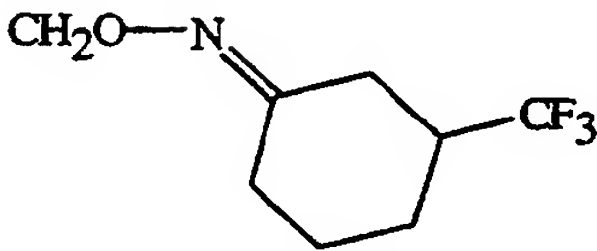
| | | | | | |
|----|---|-----|--|--|---------|
| 20 | O | Cl | |  | oil* |
| 21 | S | MeS | O | Ph | oil* |
| 22 | O | MeO | |  | 126-130 |
| 23 | O | Cl | CH ₂ ON=C(H) | Ph | oil* |
| 24 | O | MeS | |  | oil* |
| 25 | O | Cl | CH ₂ O | 3-(PhO)-Ph | oil* |
| 26 | O | MeO | CH ₂ O | 3-(PhO)-Ph | oil* |
| 27 | O | MeO | CH ₂ ON=C(H) | Ph | 101-104 |
| 28 | O | MeS | CH ₂ O | 3-(PhO)-Ph | 95-100 |
| 29 | O | Cl | CH ₂ S | 2-Me-Ph | 106-109 |
| 30 | O | MeO | CH ₂ S | 2-Me-Ph | 115-118 |
| 31 | O | MeS | CH ₂ S | 2-Me-Ph | 82-86 |
| 32 | O | Cl | CH ₂ S | 2-benzothiazolyl | 95-97 |
| 33 | O | MeO | C≡C | Ph | 164-166 |
| 34 | O | MeO | CH ₂ ON=C(Me) | 4-Br-Ph | 115-120 |
| 35 | O | Cl | CH ₂ ON=C(Me) | 4-Br-Ph | gum* |
| 36 | O | Cl | CH ₂ O | 3-(benzoyl)-Ph | oil* |
| 37 | O | MeS | CH ₂ ON=C(Me) | 4-Br-Ph | 117-122 |
| 38 | O | MeO | CH ₂ O | 3-(benzoyl)-Ph | oil* |
| 39 | O | Cl | CH=NOCH ₂ | 4-Cl-Ph | oil* |
| 40 | O | Cl | CH ₂ ON=C(Me) | 1,3-benzodioxol-5-yl | oil* |
| 41 | O | MeO | CH=NOCH ₂ | 4-Cl-Ph | oil* |
| 42 | O | MeO | CH ₂ ON=C(Me) | 1,3-benzodioxol-5-yl | oil* |
| 43 | O | Cl | O | 6-PhO-4-pyrimidinyl | oil* |
| 44 | O | MeO | CH ₂ S | 2-benzothiazolyl | 95-97 |
| 45 | O | MeO | CH ₂ ON=C(Me) | 2-Me-Ph | oil* |
| 46 | O | MeO | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | 138-144 |
| 47 | O | MeO | CH ₂ ON=C(CF ₃) | Ph | oil* |

| | | | | | |
|----|---|-----|--|--|-------------|
| 48 | O | MeO | CH ₂ ON=C(Me) | Ph | oil* |
| 49 | O | MeO | CH ₂ ON=C(Me) | 3-Me-Ph | oil* |
| 50 | O | MeO | CH ₂ ON=C(Me) | 4-MeO-Ph | oil* |
| 51 | O | MeO | CH ₂ ON=C(Me) | 3-Cl-Ph | oil* |
| 52 | O | MeO | CH=NOCH(Me) | Ph | oil* |
| 53 | O | MeO | CH=NOCH ₂ | 2-Me-Ph | oil* |
| 54 | O | Cl | O | Ph | solid* |
| 55 | O | Cl | - | CH ₂ Cl:CH ₂ Br(60:40) | solid* |
| 56 | O | MeO | - | CH ₂ Br | solid* |
| 57 | O | Cl | O | Me | 152-154 |
| 58 | O | Cl | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | 111-118 |
| 59 | O | MeO | CH ₂ ON=C(Me) | 3-CF ₃ -Ph | 103.5-105.5 |
| 60 | O | MeS | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | oil* |
| 61 | O | MeO | CH ₂ ON=C(CF ₃) | 3-CF ₃ -Ph | oil* |
| 62 | O | MeO | O | 6-(2-CN-PhO)-4-pyrimidinyl | solid/gum* |
| 63 | O | MeO | O | 6-Cl-4-pyrimidinyl | 133-136 |
| 64 | O | MeO | O | 6-(2-Me-PhO)-4-pyrimidinyl | solid/gum* |
| 65 | O | MeO | O | 6-PhO-4-pyrimidinyl | gum* |
| 66 | O | MeO | CH ₂ ON=C(Me) | 2-pyridinyl | 122-124 |
| 67 | O | Cl | CH ₂ ON=C(Me) | 4-pyridinyl | 153-155 |
| 68 | O | MeO | CH ₂ O | 2,5-diMe-Ph | 130-135 |
| 69 | O | MeO | CH ₂ ON=C(Me) | 4- <i>t</i> -Bu-Ph | gum* |
| 70 | O | MeO | CH ₂ ON=C(Me) | 3,4-diMe-Ph | gum* |
| 71 | O | MeO | OCH ₂ | 2,5-diMe-Ph | 119-122 |
| 72 | O | MeO | CH ₂ ON=C(Me) | 3,4-diCl-Ph | 128-129 |
| 73 | O | MeO | CH ₂ ON=C(Me) | 3-pyridinyl | 90-109 dec. |
| 74 | O | MeO | CH ₂ ON=C(Me) | 4-pyridinyl | 140-142 |
| 75 | O | Cl | O | 6-Cl-4-pyrimidinyl | solid* |
| 76 | O | MeO | CH ₂ ON=C(Me) | 4-Ph-Ph | about 55* |
| 77 | O | Cl | CH ₂ O | 2,5-diMe-Ph | solid* |
| 78 | O | Cl | CH ₂ ON=C(Me) | 1-Me-3-pyrrolyl | 124-131 |
| 79 | O | MeO | CH ₂ ON=C(Me) | 1-Me-3-pyrrolyl | 135-137.5 |
| 80 | O | Cl | CH ₂ ON=C(Me) | 2-pyrazinyl | 108-111 |
| 81 | O | MeO | CH ₂ ON=C(Me) | 2-pyrazinyl | 119-121 |
| 82 | O | Cl | CH ₂ ON=C(Me) | 3,5-diCF ₃ -Ph | oil* |
| 83 | O | MeO | CH ₂ ON=C(Me) | 3,5-diCF ₃ -Ph | 147-149 |

| | | | | | |
|-----|---|-------------------|-------------------------------------|--|-----------|
| 84 | O | MeO | CH ₂ ON=C(<i>c</i> -Pr) | 4-Cl-Ph | oil* |
| 85 | O | MeSO ₂ | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | 50-55 |
| 86 | O | MeS(O) | CH ₂ ON=C(Me) | 4-CF ₃ -Ph | oil/gum* |
| 87 | O | MeO | CH ₂ ON=C(Me) | 6-Me-3-pyridinyl | 134-136 |
| 88 | O | MeO | CH ₂ ON=C(Me) | 3- <i>t</i> -Bu-Ph | oil* |
| 89 | O | MeO | CH ₂ ON=C(Me) | 3-Ph-Ph | oil* |
| 90 | O | MeO | CH ₂ ON=C(Me) | 3- <i>i</i> -PrO-Ph | oil* |
| 91 | O | MeO | CH ₂ ON=C(Me) | 4,6-diMe-2-pyrimidinyl | 119-121 |
| 92 | O | MeO | CH ₂ ON=C(Me) | 3-CF ₃ O-Ph | 90-92 |
| 93 | O | MeO | CH ₂ ON=C(Me) | 3-Me ₂ N-Ph | 106-110 |
| 94 | O | Cl | CH ₂ ON=C(Me) | 3,4-diCl-Ph | solid* |
| 95 | O | MeO | CH ₂ ON=C(Me) | 4-CF ₃ -2-pyridinyl | 144-145 |
| 96 | O | MeO | CH ₂ ON=C(Me) | 3- <i>n</i> -C ₄ F ₉ -Ph | oil* |
| 97 | O | MeO | CH ₂ ON=C(Me) | 4-CN-2-pyridinyl | 120-125 |
| 98 | O | MeO | CH ₂ ON=C(Me) | 3-PhO-Ph | oil* |
| 99 | O | MeO | CH ₂ ON=C(Et) | 3-CF ₃ -Ph | oil* |
| 100 | O | MeO | CH ₂ ON=C(Me) | 3-NO ₂ -Ph | gum* |
| 101 | O | MeO | CH ₂ ON=C(Me) | 4-Ph-2-pyridinyl | 115-117.5 |
| 102 | O | MeO | CH ₂ ON=C(Me) | 2-thienyl | 100-105 |
| 103 | O | MeO | CH ₂ ON=C(Me) | 4- <i>t</i> -Bu-2-pyridinyl | 103-105.5 |
| 104 | O | MeO | CH ₂ ON=C(Me) | 2-benzofuranyl | 149-154 |
| 105 | O | MeO | CH ₂ ON=C(Me) | 5-Cl-3-Me-benzo[<i>b</i>]thiophen-2-yl | 167-169 |
| 106 | O | MeO | CH ₂ ON=C(Me) | 3,5-diCl-Ph | 149-153 |
| 107 | O | MeO | CH ₂ ON=C(Me) | 2,4-diMe-5-thiazolyl | 123-124 |
| 108 | O | Cl | CH ₂ ON=C(Me) | 2-quinoxaliny | 173-174 |
| 109 | O | MeO | CH ₂ ON=C(Me) | 2-quinoxaliny | 225-227 |
| 110 | O | MeO | CH ₂ ON=C(Me) | 3,5-diMe-Ph | oil* |
| 111 | O | Cl | CH ₂ ON=C(Me) | 3-CF ₃ -Ph | oil* |
| 112 | O | Cl | CH ₂ ON=C(<i>c</i> -Pr) | 4-Cl-Ph | gum* |
| 113 | O | MeO | CH ₂ ON=C(Me) | 3-CN-Ph | gum* |
| 114 | O | Cl | CH ₂ O | 5-Me-2-(2-pyridinyl)-4-thiazolyl | oil* |
| 115 | O | MeO | CH ₂ ON=C(Me) | 3-F-5-CF ₃ -Ph | oil* |
| 116 | O | MeO | CH ₂ ON=C(CN) | 3-CF ₃ -Ph | 138-141 |

| | | | | | |
|------------------|---|--------------------|-------------------------------------|--|-------------|
| 117 | O | MeO | CH ₂ ON=C(Me) | 6-Me-2-CF ₃ -thiazolo[2,3-c]- 1,2,4-triazol-5-yl | 157-160 |
| 118 | O | MeO | CH ₂ ON=C(Me) | 3,5-diF-Ph | 103-106 |
| 119 | O | MeO | CH ₂ ON=C(Me) | 3,5-diBr-Ph | 139-141 |
| 120 | O | MeO | CH ₂ ON=C(Me) | 2-quinoliny | 168-171 |
| 121 | O | Cl | CH ₂ ON=C(Me) | 3-CF ₃ O-Ph | oil* |
| 184 | O | MeO | CH ₂ ON=C(Me) | 4-EtO-2-pyrimidiny | 75-78 |
| 185 | O | MeO | CH ₂ ON=C(<i>c</i> -Pr) | 2-thienyl | 137-139 |
| 186 | O | MeO | CH ₂ ON=C(Me) | 2-Ph-4-thiazolyl | 112-113 |
| 187 | O | MeO | O | 3-[3,5-bis(trifluoromethyl)- phenyl]-1,2,4-thiadiazol-5-yl | 139.5-141.5 |
| Ex. 1 | | | | | |
| 188 | O | MeO | CH ₂ ON=C(Me) | 6-Br-2-pyridiny | 151-153 |
| 189 | O | MeO | CH ₂ ON=C(OMe) | Ph | oil* |
| 190 | O | MeO | CH ₂ ON=C(Me) | 3-Br-Ph | oil* |
| 191 | O | MeO | CH ₂ ON=C(Me) | 4-CO ₂ Et-2-pyridiny | 133-134 |
| 192 | O | MeO | CH=NOCH(Me) | 3-CF ₃ -Ph | oil* |
| 193 | O | Cl | O | 3-PhO-Ph | oil* |
| 194 | O | MeO | O | 3-PhO-Ph | oil* |
| 195 | O | MeO | CH ₂ ON=C(Me) | 4-CO ₂ Me-2-pyridiny | 150-151.5 |
| 196 | O | MeO | CH ₂ ON=C(Me) | 5-Me-1-Ph-1 <i>H</i> -pyrazol-4-yl | 45-49 |
| 197 | O | MeO | CH ₂ ON=C(Me) | 4-CF ₃ -2-pyrimidiny | 103-105 |
| 198 | O | MeO | CH ₂ ON=C(Me) | 3-I-Ph | oil* |
| 199 | O | MeO | CH ₂ ON=C(OMe) | 2,6-diCl-4-pyridiny | oil* |
| 200 | O | MeO | CH ₂ ON=C(OMe) | 3-CF ₃ -Ph | oil* |
| 201 | O | F ₂ CHO | direct bond | Me | solid* |
| 202 | O | MeO | CH ₂ ON=C(Me) | 2-Cl-4-pyrimidiny | 195-200 |
| 203 | O | Cl | CH ₂ ON=C(OMe) | 2,6-diCl-4-pyridiny | oil* |
| 204 ^a | O | F ₂ CHO | CH ₂ ON=C(Me) | 3-CF ₃ -Ph | oil* |
| 205 | O | Cl | CH ₂ ON=C(Me) | 3,5-diCl-Ph | gum* |
| 206 | O | MeO | CH ₂ ON=C(Me) | 2-naphthalenyl | 91-94 |
| 207 | O | MeO | CH ₂ ON=C(Me) | 5,6,7,8-tetrahydro-5,5,8,8- tetramethyl-2-naphthalenyl | 50* |
| 208 | O | MeO | CH ₂ ON=C(Me) | 5,6,7,8-tetrahydro-2- naphthalenyl | 106-109 |
| 209 | O | MeO | CH ₂ SC(Et)=N | 4-Cl-Ph | gum* |
| 210 ^b | O | MeO | CH=C(Cl)C(=O)O | <i>t</i> -Bu | semi-solid* |

| | | | | | |
|------------------|---|-----------------|--|---|-------------|
| 211 | O | MeO | CH ₂ ON=C(CN)C(=O) | 3-CF ₃ -Ph | gum* |
| 212 | O | MeO | CH ₂ ON=C(SMe) | 3,4-diCl-Ph | solid* |
| 213 | O | MeO | C(=O) | Ph | 126-134 |
| 214 | O | MeO | CH ₂ ON=C(SO ₂ Me) | 3,4-diCl-Ph | semi-solid* |
| 215 | O | MeO | CH ₂ SC(Me)=N | 3-CF ₃ -Ph | oil* |
| 216 | O | MeNH | CH ₂ O | 2,5-diMe-Ph | 131-136 |
| 217 | O | MeNH | CH ₂ ON=C(Me) | 5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl | about 50* |
| 218 | S | CF ₃ | direct bond | Me | 79-83 |
| 219 | O | CF ₃ | direct bond | Me | 73-77 |
| 220 | O | CF ₃ | O | 3-PhO-Ph | oil* |
| 221 | S | CF ₃ | CH ₂ O | 2,5-diMe-Ph | gum* |
| 241 | O | MeO | CH ₂ O | 2-CN-2-(3-CF ₃ -Ph)ethenyl | 115-118 |
| 242 | O | MeO | CH ₂ O—N |  | 136-138 |
| 243 | O | MeO | CH ₂ O-N=C(CH ₃)CH ₂ O | 3-CF ₃ -Ph | oil* |
| 244 | O | MeO | CH ₂ O-N=C(CH ₃)CH ₂ S | 1-CH ₃ -1 <i>H</i> -tetrazol-5-yl | oil* |
| 245 | O | MeO | CH ₂ O-N=C(CH ₃) | 2,6-diCl-4-pyridinyl | 144-146 |
| 246 | O | MeO | CH ₂ O-N=C(CH ₃)CH ₂ S | 2-benzoxazolyl | oil* |
| 247 | O | MeO | CH ₂ O-N=C(SCH ₃) | 3,5-diCl-Ph | oil* |
| 249 | O | MeO | CH ₂ O-N=C(CH ₃)CH ₂ O | 3,5-diCl-Ph | oil* |
| 250 | O | MeO | CH ₂ S-C(CH ₂ CH ₃)=N | 3-CF ₃ -Ph | oil* |
| 251 | O | MeO | CH ₂ O-N=C(H) | 3,5-diCF ₃ -Ph | 115-118 |
| 252 | O | MeO | CH ₂ O-N=C(CH ₃) | 2,6-diCl-4-pyridinyl | oil* |
| 253 | O | MeO | CH ₂ O-N=C(CH ₃) | 4-(CO ₂ - <i>t</i> -Bu)-2-pyridinyl | 174-175 |
| 254 | O | MeO | CH ₂ O-N=C(OCH ₃) | 3,5-diCl-Ph | oil* |
| 255 | O | Cl | O | 3-MeO-Ph | oil* |
| 256 | O | MeO | CH ₂ O-N=C(CH ₃) | 2,6-diCl-4-pyrimidinyl | 139-140 |
| 257 | O | MeO | CH ₂ O-N=C(CH ₃) | 5,6-diCl-3-pyridinyl | 130-132 |
| 258 ^c | O | MeO | CH ₂ O-N=C(CH ₃) | 5,6-diCl-3-pyridinyl | 112-130 |
| 259 | O | Cl | CH ₂ O-N=C(CH ₃) | CH ₃ | oil* |
| 260 ^d | O | MeO | CH ₂ O-N=C(CH ₃) | 2,6-diCl-4-pyrimidinyl | 93-123 |
| 261 | O | MeO | CH ₂ O-N=C(CH ₃) | 3-CF ₃ -4-MeO-Ph | 112-121 |

| | | | | | |
|------------------|---|-----|---|---|---------|
| 262 | O | MeO | CH ₂ O-N=C(CH ₃) | 3-CF ₃ -4-F-Ph | oil* |
| 263 | O | MeO | O | 5-Ph-1,3,4-oxadiazol-2-yl | 130-132 |
| 264 | O | MeO | O | 5-(4-Me-Ph)-1,3,4-oxadiazol-2-yl | 150-151 |
| 265 | O | MeO | O | 5-(4-Br-Ph)-1,3,4-oxadiazol-2-yl | solid* |
| 266 | O | MeO | O | 5-(4-Cl-Ph)-1,3,4-oxadiazol-2-yl | 130-132 |
| Ex. 3 | | | | | |
| 267 | O | MeO | O | 5-(3-MeO-Ph)-1,3,4-oxadiazol-2-yl | 108-111 |
| 268 | O | MeO | O | 5-(3-Me-Ph)-1,3,4-oxadiazol-2-yl | 119-121 |
| 269 | O | MeO | O | 5-(4- <i>t</i> -Bu-Ph)-1,3,4-oxadiazol-2-yl | 159-161 |
| 270 | O | MeO | O | 5-(3-F-Ph)-1,3,4-oxadiazol-2-yl | 105-108 |
| 271 | O | MeO | O | 5-(4-F-Ph)-1,3,4-oxadiazol-2-yl | 124-125 |
| 272 | O | MeO | O | 5-(3-Cl-Ph)-1,3,4-oxadiazol-2-yl | 130-135 |
| 273 | O | MeO | O | 5-(4-CF ₃ -Ph)-1,3,4-oxadiazol-2-yl | solid* |
| 274 | O | MeO |  | | 101-105 |
| 275 | O | MeO | O | 3-(2-CN-PhO)-Ph | oil* |
| 276 | O | MeO | O | 3-(2-NO ₂ -PhO)-Ph | oil* |
| 277 | O | MeO | O | 3-(3-NO ₂ -2-pyridinyl-O)-Ph | oil* |
| 278 | O | Cl | CH ₂ O-N=C(CH ₃) | 4-CF ₃ -2-pyridinyl | 85-86 |
| 279 | O | MeO | O | 5-(3-Br-Ph)-1,3,4-oxadiazol-2-yl | 147-157 |
| 280 | O | MeO | O | 3-(3-NO ₂ -Ph)-1,2,4-thiadiazol-5-yl | 169-170 |
| 281 | O | MeO | CH ₂ O-N=C(OCH ₃) | 3,5-diCF ₃ -Ph | oil* |
| 282 | O | MeO | CH ₂ O-N=C(CH ₃)CH ₂ S | 3,5-diCF ₃ -Ph | oil* |
| 283 | O | MeO | CH ₂ O-N=C(CH ₃)CH ₂ O | 3,5-diCF ₃ -Ph | oil* |
| 284 ^e | O | MeO | CH ₂ O-N=C(CH ₃) | 2,8-diCF ₃ -quinolin-4-yl | 149-151 |

| | | | | | |
|------------------|---|-----|--|---|---------|
| 285 ^f | O | MeO | CH ₂ O-N=C(CH ₃) | 2,8-diCF ₃ -quinolin-4-yl | 150-155 |
| 286 | O | MeO | CH ₂ O-N=C(CH ₃) | 5-Br-3-pyridinyl | 120-122 |
| 287 | O | MeO | O | 3-(3-Cl-Ph)-1,2,4-thiadiazol-5-yl | 121-122 |
| 288 | O | MeO | O | 3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 135-136 |
| 289 | O | MeO | O | 3-(4-Me-Ph)-1,2,4-thiadiazol-5-yl | 139-142 |
| 290 | O | MeO | O | 3-(4-Br-Ph)-1,2,4-thiadiazol-5-yl | 137 |
| 291 | O | MeO | O | 3-(3-Me-Ph)-1,2,4-thiadiazol-5-yl | 125-126 |
| 292 | O | MeO | O | 3-(3,4-diF-Ph)-1,2,4-thiadiazol-5-yl | 140-141 |
| 293 | O | MeO | O | 3-(3-Cl-4-Me-Ph)-1,2,4-thiadiazol-5-yl | 113-115 |
| 294 | O | MeO | O | 3-(3,5-diBr-4-MeO-Ph)-1,2,4-thiadiazol-5-yl | 178-179 |
| 295 | O | MeO | O | 3-(3,4-diCl-Ph)-1,2,4-thiadiazol-5-yl | 156-158 |
| 296 | O | MeO | O | 3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl | 142-144 |
| 297 | O | MeO | O | 3-(4-NO ₂ -Ph)-1,2,4-thiadiazol-5-yl | 192-193 |
| 298 ^g | O | MeO | CH ₂ O-N=C(SCH ₃) | 3-CF ₃ -Ph | oil* |
| 299 | O | MeO | CH ₂ O-N=C(CH ₃)CH ₂ S | 2-benzothiazolyl | oil* |
| 300 | O | MeO | CH ₂ O-N=C(OCH ₃) | 2-naphthalenyl | oil* |
| 301 | O | MeO | O | 3-(4-CN-PhO)-Ph | oil* |
| 302 | O | MeO | O | 3-(4-NO ₂ -PhO)-Ph | oil* |
| 303 | O | MeO | O | 3-F-2-NO ₂ -Ph | 118-120 |
| 304 | O | MeO | O | 6-(3-CF ₃ -Ph)-pyrimidin-4-yl | 123-126 |
| 305 | O | MeO | O | 4-CF ₃ O-Ph | oil* |
| 306 | O | Cl | O | 3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 48-51 |
| 307 | O | MeO | CH ₂ O-N=C(CH ₃) | 6-MeO-pyridin-3-yl | oil* |
| 308 | O | MeO | CH ₂ O-N=C(CH ₃)CH ₂ S | 3,5-diCl-Ph | oil* |

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|--------|---|-----|-------------------|---|---------|
| 309 | O | MeO | O | 3-(4-Cl-3-F-Ph)-1,2,4-thiadiazol-5-yl | 137-138 |
| 310 | O | MeO | O | 3-(3-MeO-Ph)-1,2,4-thiadiazol-5-yl | 97-98 |
| 311 | O | MeO | O | 3-(4-F-Ph)-1,2,4-thiadiazol-5-yl | * |
| 312 | O | MeO | O | 5-(3,4-diCl-Ph)-1,3,4-oxadiazol-2-yl | 152-155 |
| 313 | O | MeO | O | 6-(3,5-diCF ₃ -Ph)-pyrimidin-4-yl | 168-170 |
| 314 | O | MeO | O | 3-(2-pyridinyl-O)-Ph | oil* |
| 315 | O | MeO | O | 3-(2-pyrimidinyl-O)-Ph | oil* |
| 316 | O | MeO | O | 6-(4-Me-PhO)-pyrimidin-4-yl | oil* |
| 317 | O | MeO | O | 6-Cl-pyrazin-2-yl | 135-137 |
| Ex. 15 | | | | | |
| 318 | O | Cl | CH ₂ S | 5,7-diMe-6-Ph-[1,2,4]triazolo[1,5- <i>a</i>]pyrimidin-2-yl | 121-124 |
| 319 | O | MeO | CH ₂ S | 5,7-diMe-6-Ph-[1,2,4]triazolo[1,5- <i>a</i>]pyrimidin-2-yl | 155-160 |
| 320 | O | MeO | O | 3-(4-Ph-Ph)-1,2,4-thiadiazol-5-yl | 159-161 |
| 321 | O | MeO | O | 3-(3-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 122-123 |
| 322 | O | MeO | O | 3-(4- <i>t</i> -Bu-Ph)-1,2,4-thiadiazol-5-yl | 174-175 |
| 323 | O | MeO | O | 3-(3-Br-Ph)-1,2,4-thiadiazol-5-yl | 137-139 |
| 324 | O | MeO | O | 3-(3-Br-4-MeO-Ph)-1,2,4-thiadiazol-5-yl | 161-162 |
| 325 | O | MeO | O | 3-(4-F-3-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 164-165 |
| 326 | O | MeO | O | 3-(4-Br-3-Me-Ph)-1,2,4-thiadiazol-5-yl | 160-162 |
| 327 | O | MeO | O | 5-(4-MeO-Ph)-1,3,4-oxadiazol-2-yl | 180-181 |

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|--------|---|-----|--|---|---------|
| 328 | O | MeO | O | 5-(4-Ph-Ph)-1,3,4-oxadiazol-2-yl | 179-180 |
| 329 | O | MeO | O | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 159-160 |
| 330 | O | MeO | O | 5-(3,5-diCF ₃ -Ph)-1,3,4-oxadiazol-2-yl | 175-176 |
| 331 | O | MeO | O | 5-(2-F-Ph)-1,3,4-oxadiazol-2-yl | 139-140 |
| 332 | O | MeO | O | 5-(2-Cl-Ph)-1,3,4-oxadiazol-2-yl | 139-140 |
| 333 | O | MeO | O | 5-(2,4-diCl-Ph)-1,3,4-oxadiazol-2-yl | 181-182 |
| 334 | O | MeO | O | 3-(4-MeS-Ph)-1,2,4-thiadiazol-5-yl | solid* |
| 335 | O | MeO | O | 3-(3-F-Ph)-1,2,4-thiadiazol-5-yl | 116-118 |
| 336 | O | MeO | O | 3-CF ₃ -Ph | solid* |
| 337 | O | MeO | O | 5-(4-Cl-Ph)-1,3,4-thiadiazol-2-yl | solid* |
| Ex. 18 | | | | | |
| 338 | O | MeO | O | 6-F-pyridin-2-yl | 175-178 |
| 339 | O | MeO | O | 6-(3-Me-PhO)-pyrimidin-4-yl | oil* |
| 340 | O | MeO | CH ₂ | 3-(4-Cl-Ph)-1 <i>H</i> -pyrazol-1-yl | 159-163 |
| 341 | O | MeO | O | 3-(4-Cl-Ph)-1,2,4-thiadiazol-5-yl | 126-127 |
| 342 | O | MeO | O | 3-(4-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl | solid* |
| 343 | O | MeO | O | 3-(3-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl | 112-113 |
| Ex. 2 | | | | | |
| 344 | O | MeO | O | 3-(4-HCF ₂ O-Ph)-1,2,4-thiadiazol-5-yl | solid* |
| 345 | O | MeO | O | 3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl | 110-111 |
| Ex. 14 | | | | | |
| 346 | O | MeO | CH ₂ O-N=C(CH ₃) | 4-(CF ₃ CH ₂ O)-3-CF ₃ -Ph | oil* |
| 347 | O | MeO | CH ₂ O-N=C(OCH ₃) | 3-Br-Ph | oil* |
| 348 | O | MeO | O | 6-(4-CF ₃ -Ph)-pyrimidin-4-yl | 163-165 |
| 349 | O | MeO | O | 3-(2-CHO-PhO)-Ph | 106-108 |
| 350 | O | MeO | O | 3-(2-Me-PhO)-2-NO ₂ -Ph | 131-133 |
| 351 | O | MeO | O | 5-NO ₂ -6-PhO-pyridin-2-yl | 127-130 |

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|--------|---|-----|--|--|---------|
| 352 | O | MeO | O | 3-(2-Me-PhO)-Ph | oil* |
| 353 | O | MeO | O | 3- <i>c</i> -Pr-1,2,4-thiadiazol-5-yl | * |
| 354 | O | MeO | O | 3- <i>c</i> -pentyl-1,2,4-thiadiazol-5-yl | * |
| 355 | O | Cl | CH ₂ | 3-(4-Cl-Ph)-1 <i>H</i> -pyrazol-1-yl | * |
| 356 | O | MeO | O | 4-(4-Cl-Ph)-1,2,5-thiadiazol-3-yl | * |
| 357 | O | MeO | OCH ₂ | 2-Cl-5-thiazolyl | * |
| 358 | O | MeO | O | 6-(4-CF ₃ -Ph)-2-pyrazinyl | 145-148 |
| Ex. 16 | | | | | |
| 359 | O | MeO | CH ₂ O | 5-CF ₃ -2-pyridinyl | 128-130 |
| 360 | O | MeO | CH ₂ O-N=C(CH ₃) | 3-[<i>t</i> -BuOC(=O)]-Ph | gum* |
| 361 | O | MeO | O | 6-(3,5-diCF ₃ -Ph)-2-pyrazinyl | 173-174 |
| 362 | O | MeO | O | 6-(2,4-diCl-Ph)-4-pyrimidinyl | 170-175 |
| 363 | O | Cl | O | 3-(3-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl | * |
| 364 | O | Cl | O | 3-(3,4-diCl-Ph)-1,2,4-thiadiazol-5-yl | * |
| 365 | O | Cl | O | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 149-150 |
| 366 | O | Cl | O | 3-(4-Br-Ph)-1,2,4-thiadiazol-5-yl | 158-159 |
| 367 | O | Cl | O | 3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | * |
| 368 | O | Cl | O | 3-(4- <i>t</i> -Bu-Ph)-1,2,4-thiadiazol-5-yl | * |
| 369 | O | Cl | O | 3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl | * |
| 370 | O | MeO | O | 6-PhO-2-pyridinyl | oil* |
| 371 | O | MeO | O | 3-(4-Me-PhO)-2-NO ₂ -Ph | 150-152 |
| 372 | O | MeO | O | 3-(2-CO ₂ Me-6-NO ₂ -PhO)-Ph | oil* |
| 373 | O | MeO | CH ₂ O-N=C(SCH ₃) | 3,5-diCF ₃ -Ph | solid* |
| 374 | O | MeO | CH ₂ O-N=C(CH ₃) | 4-Me ₃ Si-benzyl | oil* |
| 375 | O | Cl | CH ₂ O-N=C(CH ₃) | 4-Me ₃ Si-benzyl | oil* |
| 376 | O | MeO | O | 3-(3-CN-2-pyridinyl-O)-Ph | 132-134 |
| 377 | O | MeO | O | 6-Cl-3-NO ₂ -2-pyridinyl | 146-151 |
| 378 | O | MeO | O | 3-(3,5-diCF ₃ -Ph)-Ph | 52-57 |
| 379 | O | MeO | CH ₂ O-N=C(CH ₃) | 4-(CO ₂ - <i>n</i> -Bu)-2-pyridinyl | 106-108 |

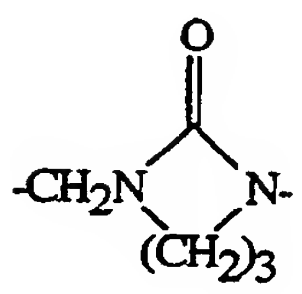
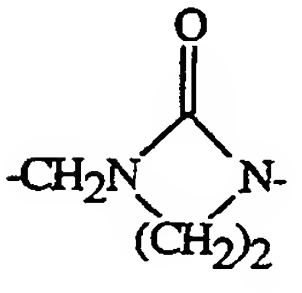
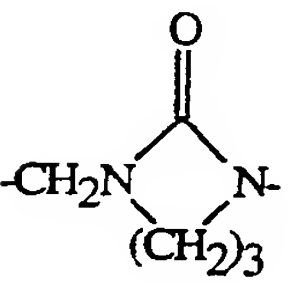
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| 380 | O | MeO | CH ₂ O-N=C(CH ₃) | 4-(CO ₂ - <i>i</i> -Bu)-2-pyridinyl | 147-149 |
| 381 | O | MeO | O | 5-(3-Br-Ph)-1,3,4-thiadiazol-2-yl | oil* |
| 382 | O | MeO | O | 3-(6-Cl-5-NO ₂ -4-pyrimidinyl-O)-Ph | 70-74 |
| 383 | O | Cl | O | 2-naphthalenyl | 147-150 |
| 384 | O | MeO | O | 2-naphthalenyl | oil* |
| 385 | O | MeO | O | 3-I-Ph | 126-128 |
| 386 | O | MeO | O | 3-(4-Me-Ph-O)-Ph | oil* |
| 387 | O | MeO | O | 3-(2-CO ₂ Me-Ph-O)-Ph | oil* |
| 388 | O | MeO | O | 3-(2,6-diCN-Ph-O)-Ph | 65-68 |
| 389 | O | MeO | O | 3-(3-Me-Ph-O)-Ph | oil* |
| 390 | O | MeO | O | 4-(3-Cl-Ph)-1,2,5-thiadiazol-3-yl | * |
| 391 | O | MeO | CH ₂ | 3-(3-Cl-Ph)-1 <i>H</i> -pyrazol-1-yl | * |
| 392 | O | MeO | O | 6-Cl-2-benzothiazolyl | solid* |
| 393 | O | MeO | O | 5-MeSO ₂ -1,3,4-oxadiazol-2-yl | * |
| 394 | O | Cl | O | 5-MeSO ₂ -1,3,4-oxadiazol-2-yl | * |
| 395 | O | Cl | O | 3-(4-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl | * |
| 396 | O | MeO | O | 5-(2-Br-Ph)-1,3,4-thiadiazol-2-yl | * |
| 397 | O | MeO | O | 5-(2-Cl-Ph)-1,3,4-thiadiazol-2-yl | * |
| 398 | O | MeO | CH ₂ S | 5-Ph-2-benzoxazolyl | 55 |
| 399 | O | MeO | OCH ₂ | 5,7-diCl-2-benzoxazolyl | 173-175 |
| 400 | O | MeO | CH ₂ O-N=C(OCH ₃) | 3-Br-5-I-Ph | oil* |
| 401 | O | MeO | CH ₂ O-N=C(OCH ₃) | 3-F-5-CF ₃ -Ph | oil* |
| 402 | O | MeO | CH ₂ S | 5-Cl-2-benzothiazolyl | solid* |
| 403 | O | MeO | O | 4-Cl-2-benzothiazolyl | 178-181 |
| 404 | O | MeO | O | 4-(3-CF ₃ -Ph)-2-pyrimidinyl | 50 |
| 405 | O | MeO | OCH ₂ | 5-(3-CF ₃ -Ph)-1,2,4-oxadiazol-3-yl | 148-150 |
| 406 | O | MeO | OCH ₂ | 2-(4-Cl-Ph)-4-thiazolyl | * |
| 407 | O | MeO | O | 6-Cl-2-pyridinyl | 161-163 |
| 408 | O | MeO | O | 3-(4-CF ₃ -Ph)-Ph | 149-152 |

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|-----|---|-----|--------------------------|--|---------|
| 409 | O | MeO | O | 6-(3,5-diCF ₃ -Ph)-2-pyridinyl | 176-178 |
| 410 | O | MeO | O | 5-(2-F-Ph)-1,3,4-thiadiazol-2-yl | oil* |
| 411 | O | MeO | O | 5-(4-Br-Ph)-1,3,4-thiadiazol-2-yl | solid* |
| 412 | O | MeO | O | 5-(4- <i>t</i> -Bu-Ph)-1,3,4-thiadiazol-2-yl | solid* |
| 413 | O | MeO | O | 5-Br-4-(3,4-diF-Ph)-2-thiazolyl | 155-157 |
| 414 | O | MeO | O | 3-(3,5-diCl-Ph)-Ph | 145-147 |
| 415 | O | MeO | O | 3-(4-F-PhO)-Ph | oil* |
| 416 | O | MeO | O | 3-(4-F-PhO)-2-NO ₂ -Ph | 105-108 |
| 417 | O | MeO | O | 6-(2-Me-PhO)-2-pyridinyl | oil* |
| 418 | O | MeO | O | 3-(2-F-PhO)-Ph | oil* |
| 419 | O | MeO | O | 3-(4-NO ₂ -2-CF ₃ -PhO)-Ph | oil* |
| 420 | O | MeO | O | 3-(2-MeO-PhO)-Ph | oil* |
| 421 | O | MeO | O | 3-(2-MeO-PhO)-2-NO ₂ -Ph | oil* |
| 422 | O | MeO | O | 3-(3-NO ₂ -2-thienyl-O)-Ph | oil* |
| 423 | O | MeO | O | 3-(2-CF ₃ -PhO)-Ph | oil* |
| 424 | O | MeO | O | 3-(2,6-diMe-PhO)-Ph | oil* |
| 425 | O | MeO | O | 5-(3,5-diCl-Ph)-1,3,4-thiadiazol-2-yl | * |
| 426 | O | MeO | O | 2-Cl-4-pyrimidinyl | 156-158 |
| 427 | O | Cl | O | 3-(4-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl | * |
| 428 | O | MeO | O | 5-(3-Cl-Ph)-1,3,4-thiadiazol-2-yl | * |
| 429 | O | MeO | O | 2-(3,5-diCF ₃ -Ph)-4-pyrimidinyl | 107-113 |
| 430 | O | MeO | CH ₂ O | 3-Ph-Ph | gum* |
| 431 | O | MeO | O | 5-Cl-2-pyrimidinyl | 171-173 |
| 432 | O | MeO | OCH ₂ | 5-Ph-2-oxazolyl | 150-152 |
| 433 | O | MeO | CH=N-N(CH ₃) | 3-CF ₃ -2-pyridinyl | 185-187 |
| 434 | O | MeO | CH=N-N(CH ₃) | 4-CF ₃ -2-pyridinyl | 169-171 |
| 435 | O | Cl | CH ₂ S | 4,5-dihydro-3-Ph-1,2,4-triazin-6-yl | 79-95 |
| 436 | O | MeO | CH ₂ S | 3-(3,5-diCl-Ph)-4,5-dihydro-1,2,4-triazin-6-yl | 174-179 |

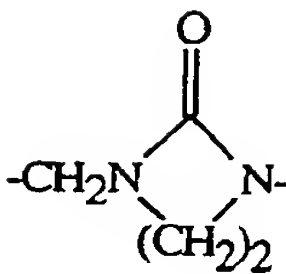
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| 437 | O | MeO | CH ₂ S | 4,5-dihydro-3-(3-CF ₃ -Ph)- 1,2,4-triazin-6-yl | 63-72 |
| 438 | O | MeO | O | 6-(4-CF ₃ -Ph)-2-pyridinyl | 75-85 |
| 439 | O | MeO | O | 3-(3-CF ₃ -Ph)-Ph | 43-45 |
| 440 | O | MeO | O | 3-(4-CN-Ph)-Ph | 170-171 |
| 441 | O | MeO | CH ₂ O-N=C(CH ₃) | 4-Br-2-pyridinyl | 96-99 |
| 442 | O | MeO | CH ₂ O-N=C(OCH ₃) | 3-CHCl ₂ -Ph | oil* |
| 443 | O | MeO | O | 4-(3,5-diCF ₃ -Ph)-2-pyrimidinyl | 157-159 |
| 444 | O | MeO | CH ₂ O | 2-Me-5- <i>i</i> -Pr-Ph | 84-86 |
| 445 | O | MeO | O | 5-Br-2-thiazolyl | 153-156 |
| 446 | O | MeO | O | 5-(3-CF ₃ -Ph)-2-thiazolyl | 124-127 |
| 447 | O | MeO | O | 3-(2-Br-PhO)-Ph | oil* |
| 448 | O | MeO | O | 3-(2-Et-PhO)-Ph | oil* |
| 449 | O | MeO | O | 3-Br-1,2,4-thiadiazol-5-yl | * |
| 450 | O | MeO | CH ₂ O | 2-Cl-5-CF ₃ -Ph | 143-149 |
| 451 | O | MeO | O | 5-(3-CF ₃ -Ph)-2-pyrimidinyl | 145-147 |
| 452 | O | MeO | O | 3-(3-thienyl)-1,2,4-thiadiazol-5- yl | solid* |
| 453 | O | MeO | O | 3-(2-thienyl)-1,2,4-thiadiazol-5- yl | solid* |
| 454 | O | MeO | O | 5-(2,4-diCl-Ph)-1,3,4- thiadiazol-2-yl | * |
| 455 | O | MeO | O | 5-(3,5-diCF ₃ -Ph)-1,3,4- thiadiazol-2-yl | * |
| 456 | O | MeO | O | 6-(4-CN-Ph)-4-pyrimidinyl | 149-151 |
| 457 | O | MeO | O | 6-(3-CF ₃ -Ph)-2-pyrazinyl | 118-121 |
| 458 | O | MeO | O | 6-(4-CN-Ph)-2-pyrazinyl | 195-199 |
| Ex. 17 | | | | | |
| 459 | O | MeO | O | 6-(3-Cl-4-F-Ph)-2-pyrazinyl | 147-149 |
| 460 | O | MeO | CH ₂ O-N=C(CH ₃) | 4-(C≡CH)-2-pyridinyl | 99-102 |
| 461 | O | MeO | O | 5-Br-2-pyrimidinyl | 172-174 |
| 462 | O | MeO | O | 3-(5-Br-2-thienyl)-1,2,4- thiadiazol-5-yl | * |
| Ex. 12 | | | | | |
| 463 | O | MeO | CH ₂ S | 3-(3-Cl-Ph)-4,5-dihydro-5-Me- 1,2,4-triazin-6-yl | 65-78 |

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|--------|---|-----|---|---|---------|
| 464 | O | Cl | CH ₂ S | 3-(3-Cl-Ph)-4,5-dihydro-5-Me-1,2,4-triazin-6-yl | 171-172 |
| 465 | O | Cl | CH ₂ S | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 108-111 |
| 466 | O | MeO | O | 5-(4-CF ₃ -Ph)-1,3,4-thiadiazol-2-yl | * |
| 467 | O | MeO | O | 5-Br-4-(3-CF ₃ -Ph)-2-thiazolyl | gum* |
| Ex. 19 | | | | | |
| 468 | O | MeO | O | 7-MeO-2-naphthalenyl | oil* |
| 469 | O | MeO | O | 3-(2-CN-3-F-PhO)-Ph | oil* |
| 470 | O | MeO | O | 3-(2-CN-6-F-PhO)-Ph | oil* |
| 471 | O | MeO | O | 3-(2,6-diNO ₂ -PhO)-Ph | oil* |
| 472 | O | MeO | O | 3-(2,5-diF-PhO)-Ph | oil* |
| 473 | O | MeO | O | 3-(2,5-diMe-PhO)-Ph | oil* |
| 474 | O | MeO | O | 3-(2,5-diCl-3-thienyl)-1,2,4-thiadiazol-5-yl | 144-147 |
| Ex. 13 | | | | | |
| 475 | O | MeO | O | 3-(4-I-Ph)-1,2,4-thiadiazol-5-yl | 167-168 |
| 476 | O | MeO | O | 3-(6-Cl-3-pyridinyl)-1,2,4-thiadiazol-5-yl | 169-170 |
| 477 | O | MeO | O | 3-(3-I-Ph)-1,2,4-thiadiazol-5-yl | 171-172 |
| 478 | O | MeO | O | 4-(3-CF ₃ -Ph)-2-thiazolyl | 116-118 |
| Ex. 20 | | | | | |
| 479 | O | MeO | CH ₂ O-N=C(CH ₃) | 3,4-dihydro-4,4-diMe-2H-1-benzothiopyran-6-yl | oil* |
| 480 | O | MeO | CH ₂ O-N=C(CH ₃) | 3,4-dihydro-2H-1-benzothiopyran-7-yl | oil* |
| 481 | O | Cl | CH ₂ O-N=C(CH ₃) | 3,4-dihydro-4,4-diMe-2H-1-benzothiopyran-6-yl | oil* |
| 482 | O | Cl | CH ₂ O-N=C(CH ₃) | 3,4-dihydro-2H-1-benzothiopyran-7-yl | oil* |
| 483 | O | MeO | CH ₂ O-N=C(CH ₃) | 3-(CF ₃ CH ₂ O)-Ph | gum* |
| 484 | O | MeO | CH ₂ O-N=C(NH ₂) | 3,5-diCF ₃ -Ph | 177-178 |
| 485 | O | MeO | O | 3-(4,5-diCl-2-thienyl)-1,2,4-thiadiazol-5-yl | solid* |
| 486 | O | MeO | CH ₂ S | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 193-195 |

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|-------|---|-----|-------------------|---|---------|
| 487 | O | MeO | CH ₂ S | 3-(3-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 139-140 |
| 488 | O | MeO | O | 3-(3,4,5-triCl-2-thienyl)-1,2,4-thiadiazol-5-yl | 175-177 |
| 489 | O | MeO | O | 3-(5-Cl-2-thienyl)-1,2,4-thiadiazol-5-yl | 130-131 |
| 490 | O | MeO | O | 3-[3-(PhC≡C)-Ph]-1,2,4-thiadiazol-5-yl | * |
| 491 | O | MeO | O | 3-[3-(Me ₃ SiC≡C)-Ph]-1,2,4-thiadiazol-5-yl | 133-134 |
| Ex. 6 | | | | | |
| 492 | O | MeO | O | 3-[3-(EtOC≡C)-Ph]-1,2,4-thiadiazol-5-yl | solid* |
| 493 | O | MeO | O | 3-[3-(4-F-PhC≡C)-Ph]-1,2,4-thiadiazol-5-yl | solid* |
| 494 | O | MeO | O | 3-[3-(2-pyridinyl-C≡C)-Ph]-1,2,4-thiadiazol-5-yl | solid* |
| 495 | O | MeO | O | 3-[3-(tetrahydropyran-2-yl-OCH ₂ -C≡C)-Ph]-1,2,4-thiadiazol-5-yl | solid* |
| 496 | O | MeO | O | 3-[3-(<i>t</i> -Bu-C≡C)-Ph]-1,2,4-thiadiazol-5-yl | 130-131 |
| 497 | O | MeO | O | 3-(3-CHO-Ph)-1,2,4-thiadiazol-5-yl | * |
| 498 | O | MeO | O | 3-(2,5-diCl-PhO)-Ph | 110-112 |
| 499 | O | MeO | O | 3-(3,5-diCl-PhO)-Ph | oil* |
| 500 | O | MeO | CH ₂ O | 3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 107-111 |
| 501 | O | MeO | CH ₂ O | 3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 132-136 |
| 502 | O | MeO | CH ₂ O | 2-(3-Cl-4-MeO-Ph)-5-Me-4-thiazolyl | 172-175 |
| 503 | O | MeO | O | 3-(3-CF ₃ -PhO)-Ph | oil* |
| 504 | O | MeO | O | 3-(3-F-PhO)-Ph | oil* |
| 505 | O | MeO | O | 3-(2,3-diF-PhO)-Ph | oil* |
| 506 | O | MeO | O | 3-(2,4-diF-PhO)-Ph | oil* |

| | | | | | |
|--------|---|-----|--|--|---------|
| 507 | O | MeO | O | 3-(3-HC≡C-Ph)-1,2,4- | 177-178 |
| Ex. 7 | | | | thiadiazol-5-yl | |
| 508 | O | MeO | O | 3-(6-CF ₃ CH ₂ O-3-pyridinyl)- | solid* |
| | | | | 1,2,4-thiadiazol-5-yl | |
| 509 | O | MeO | O | 6-(4-Cl-Ph)-2-pyrazinyl | 156-158 |
| 510 | O | MeO | O | 6-(4-F-Ph)-2-pyrazinyl | 151-153 |
| 511 | O | MeO | O | 6-Ph-2-pyrazinyl | 135-136 |
| 512 | O | MeO | CH ₂ O-N=C(CH ₃) | 3-Et-Ph | 81-85 |
| 513 | O | MeO | CH ₂ S | 3-(3,5-diCF ₃ -Ph)-1,2,4- | 157-159 |
| | | | | thiadiazol-5-yl | |
| 514 | O | MeO | O | 6-(4-Cl-Ph)-4-pyrimidinyl | 115-120 |
| 515 | O | MeO | O | 5-Me-4-(3-CF ₃ -Ph)-2-thiazolyl | oil* |
| Ex. 21 | | | | | |
| 516 | O | MeO | O | 6-(4-CO ₂ Et-Ph)-2-pyrazinyl | 119-127 |
| 517 | O | MeO | O | 3-(5-Br-3-pyridinyl)-1,2,4- | 188-189 |
| | | | | thiadiazol-5-yl | |
| 518 | O | MeO | O | 3-(2,6-diCl-4-pyridinyl)-1,2,4- | 148-149 |
| | | | | thiadiazol-5-yl | |
| 519 | O | MeO | O | 4-Cl-5-CN-2-thiazolyl | 119-122 |
| 520 | O | MeO | O | 3-(2-furanyl)-1,2,4-thiadiazol- | 107-108 |
| Ex. 11 | | | | 5-yl | |
| 521 | O | Cl |  | 2-thiazolyl | 95-100 |
| 522 | O | Cl |  | 3-CF ₃ -Ph | 125-130 |
| 523 | O | MeO |  | 2-thiazolyl | 166-170 |

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| | | | | | |
|--------|---|-----|--|---|---------|
| 524 | O | MeO |  | 3-CF ₃ -Ph | 130-135 |
| 525 | O | MeO | CH ₂ O | 1,6-diBr-2-naphthalenyl | 189-191 |
| 526 | O | MeO | O | 3-(5-Br-2-furanyl)-1,2,4-thiadiazol-5-yl | solid* |
| 527 | O | MeO | O | 3-[3-PhC(=O)O-Ph]-1,2,4-thiadiazol-5-yl | * |
| Ex. 8 | | | | | |
| 528 | O | MeO | O | 3-(3-HO-Ph)-1,2,4-thiadiazol-5-yl | solid* |
| Ex. 9 | | | | | |
| 529 | O | MeO | O | 6-Ph-4-pyrimidinyl | 123-125 |
| 530 | O | MeO | O | 3-(<i>t</i> -Bu-C≡C)-1,2,4-thiadiazol-5-yl | * |
| Ex. 5 | | | | | |
| 531 | O | MeO | O | 3-(3-Et ₃ SiO-Ph)-1,2,4-thiadiazol-5-yl | * |
| 532 | O | MeO | O | 3-[3-(<i>t</i> -BuMe ₂ SiO)-Ph]-1,2,4-thiadiazol-5-yl | * |
| 533 | O | MeO | O | 3-[3-Cl ₃ CCH ₂ OC(=O)O-Ph]-1,2,4-thiadiazol-5-yl | * |
| 534 | O | MeO | O | 3-[3-MeCHClOC(=O)O-Ph]-1,2,4-thiadiazol-5-yl | * |
| 535 | O | MeO | O | 3-[3-[CH ₂ =CHOC(=O)O]-Ph]-1,2,4-thiadiazol-5-yl | * |
| 536 | O | MeO | O | 3-[3-(<i>t</i> -BuC(=O)O)-Ph]-1,2,4-thiadiazol-5-yl | * |
| 537 | O | MeO | O | 3-[3-[Me ₃ Si(CH ₂) ₂ OCH ₂ O]-Ph]-1,2,4-thiadiazol-5-yl | * |
| 538 | O | MeO | O | 3-[3-CF ₃ S(O) ₂ O-Ph]-1,2,4-thiadiazol-5-yl | solid* |
| Ex. 10 | | | | | |
| 539 | O | MeO | O | 3-(2,5-diBr-3-thienyl)-1,2,4-thiadiazol-5-yl | solid* |
| 540 | O | MeO | O | 3-(3-Cl-benzyl)-1,2,4-thiadiazol-5-yl | solid* |
| 541 | O | MeO | O | 3-(4-Cl-benzyl)-1,2,4-thiadiazol-5-yl | solid* |

| | | | | | |
|------------------|---|-----|--|--|---------|
| 542 | O | MeO | O | 6-(4-F-Ph)-4-pyrimidinyl | 65-70 |
| 543 | O | MeO | CH ₂ S | 3-(3,5-diCl-Ph)-5-Me-1,2,4-triazin-6-yl | 196-198 |
| 544 | O | MeO | CH ₂ S | 4,5-dihydro-5-Me-3-Ph-1,2,4-triazin-6-yl | 66-68 |
| 545 | O | MeO | CH ₂ S | 5-Me-3-Ph-1,2,4-triazin-6-yl | 168-171 |
| 546 | O | MeO | CH ₂ S | 5-Me-3-(3-CF ₃ -Ph)-1,2,4-triazin-6-yl | 64-66 |
| 547 | O | MeO | O | 3-(3-Me ₃ SiO-Ph)-1,2,4-thiadiazol-5-yl | * |
| 548 | O | MeO | O | 3-[3-(CH ₂ =CHCH ₂ O)-Ph]-1,2,4-thiadiazol-5-yl | * |
| 549 | O | MeO | O | 3-[3-(CH ₂ =CBrCH ₂ O)-Ph]-1,2,4-thiadiazol-5-yl | * |
| 550 | O | MeO | O | 5-Br-4-(3,5-diCl-Ph)-2-thiazolyl | 153-155 |
| 551 | O | MeO | CH ₂ S | 3-(3-Cl-Ph)-5-Me-1,2,4-triazin-6-yl | 117-119 |
| 552 | O | MeO | CH ₂ O-N=C(CH ₃) | <i>t</i> -Bu | 96-98 |
| 553 | O | MeO | CH ₂ O | CF ₃ CH ₂ | oil* |
| 554 | O | MeO | O | 4-(3,5-diCl-Ph)-2-thiazolyl | solid* |
| 555 | O | MeO | O | 4-(3,5-diCl-Ph)-5-Me-2-thiazolyl | 60 |
| 556 | O | MeO | O | 3-[3-(CH ₂ =CH)-Ph]-1,2,4-thiadiazol-5-yl | * |
| 557 | O | MeO | O | 3-[4-(4-F-PhC≡C)-Ph]-1,2,4-thiadiazol-5-yl | 154-157 |
| 558 | O | MeO | O | 5-CN-2-Ph-4-thiazolyl | 144-147 |
| 559 | O | MeO | O | 3-Et-7-CF ₃ -2-quinoxaliny | 138-141 |
| 560 | O | MeO | CH ₂ O | 3-Et-7-CF ₃ -2-quinoxaliny | 155-157 |
| 561 | O | MeO | O | 6-(4-CO ₂ Et-Ph)-4-pyrimidinyl | 147-149 |
| 562 | O | MeO | O | 5-Cl-4-(3-CF ₃ -Ph)-2-thiazolyl | gum* |
| 563 | O | MeO | O | 5-CN-4-Et ₂ N-2-thiazolyl | solid* |
| 564 | O | MeO | O | 5-Et-4-(3-CF ₃ -Ph)-2-thiazolyl | gum* |
| 565 ^h | O | MeO | CH ₂ O-N=C(CH ₃) | 3-CF ₃ -Ph | 84-87 |
| 566 | O | MeO | CH ₂ O-N=C(OCH ₃) | 4-CF ₃ -2-pyridinyl | solid* |

| | | | | | |
|-------|---|-------------------|--|---|---------|
| 567 | O | MeO | O | 3-[4-(HC≡C)-Ph]-1,2,4-thiadiazol-5-yl | * |
| 568 | O | MeO | O | 3-[4-(Me ₃ SiC≡C)-Ph]-1,2,4-thiadiazol-5-yl | * |
| 569 | O | MeO | O | 3-I-1,2,4-thiadiazol-5-yl | solid* |
| Ex. 4 | | | | | |
| 570 | O | MeO | CH ₂ O-N=C(CH ₃) | 4-MeS-Ph | oil* |
| 571 | O | MeO | CH ₂ S-C(SCH ₃)=N | 3-CF ₃ -Ph | oil* |
| 572 | O | MeO | O | 3-[3-(Br ₂ C=CH)-Ph]-1,2,4-thiadiazol-5-yl | * |
| 573 | O | MeO | O | 3-[3,5-bis-[Me ₃ Si(CH ₂) ₂ OCH ₂ O]-Ph]-1,2,4-thiadiazol-5-yl | * |
| 574 | O | MeO | direct bond | 3-(3-CF ₃ -Ph)-1,2,4-oxadiazol-5-yl | 114-115 |
| 575 | O | MeO | direct bond | 5- <i>t</i> -Bu-1,3-benzodioxol-2-yl | oil* |
| 576 | O | MeO | CH ₂ O | 1-(2,4-diCl-Ph)-3-Me-1 <i>H</i> -pyrazol-4-yl | glass* |
| 577 | O | MeO | O | 3-[3,5-bis-[CF ₃ CH ₂ O]-Ph]-1,2,4-thiadiazol-5-yl | * |
| 578 | O | MeO | CH ₂ O | 8-Br-3-Me-6-CF ₃ -2-quinoxaliny | 180-184 |
| 579 | O | MeO | O | 8-Br-3-Me-6-CF ₃ -2-quinoxaliny | 157-159 |
| 580 | O | MeO | CH ₂ S-C(SCH ₃)=N | 4-Br-Ph | oil* |
| 581 | O | MeO | CH ₂ S-C(SCH ₃)=N | 3,5-diCl-Ph | oil* |
| 582 | O | Cl | CH ₂ | 3-(3,5-diCF ₃ -Ph)-1,2,4-oxadiazol-5-yl | 94-103 |
| 645 | O | MeNH | CH ₂ ON=C(CH ₃) | 3-Me ₃ Si-Ph | gum* |
| 646 | O | MeNH | CH ₂ ON=C(CH ₃) | 3,5-diCF ₃ -Ph | 140-143 |
| 647 | S | CF ₃ | CH ₂ ON=C(CH ₃) | 3-CF ₃ -Ph | 128-131 |
| 648 | O | CF ₃ | CH ₂ O | 2,5-diCH ₃ -Ph | 162-165 |
| 649 | O | H | CH ₂ ON=C(CH ₃) | 3,5-diCl-Ph | gum* |
| 650 | O | MeNH | CH ₂ ON=C(CH ₃) | 3,5-bis(Me ₃ Si)-Ph | gum* |
| 651 | O | Et | CH ₂ ON=C(CH ₃) | 3-CF ₃ -Ph | 102-106 |
| 652 | O | Me ₂ N | CH ₂ ON=C(CH ₃) | 3-Me ₃ Si-Ph | oil* |

| | | | | | |
|-----|---|----|--|-----------------------|--------|
| 653 | O | Me | CH ₂ ON=C(CH ₃) | 3-CF ₃ -Ph | oil* |
| 654 | O | H | direct bond | CH ₃ | solid* |
| 655 | O | Et | direct bond | CH ₃ | oil* |

^a Compound contains 15% by weight of 4-[2-(bromomethyl)phenyl]-5-(difluoromethoxy)-2,4-dihydro-1-methyl-3H-1,2,4-triazol-3-one.

^b Compound isolated in a 1:1 ratio of *Z* and *E* isomers.

^c Compound isolated in a 2:1 ratio of *Z* and *E* isomers.

^d Compound isolated in a 2:3 ratio of *Z* and *E* isomers.

^e Compound isolated as the *Z* isomer.

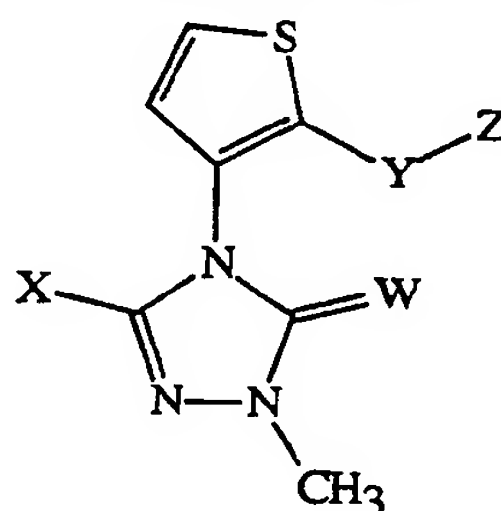
^f Compound isolated as the *E* isomer.

^g Compound isolated in a 1:2 ratio of geometric isomers.

^h Compound isolated as the *Z* isomer.

*See Index Table M for ¹H NMR data.

INDEX TABLE D



| <u>Cmpd No.</u> | <u>W</u> | <u>X</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|------------------|----------|----------|---|--|------------------|
| 222 | O | MeO | CH ₂ ON=C(Me) | 3-Me ₃ Si-Ph | oil/gum* |
| 223 | O | MeO | CH ₂ O | 2,5-diMe-Ph | 151-153 |
| 224 | O | MeO | direct bond | CH ₂ Br | 117-118 |
| 225 | O | MeO | CH ₂ ON=C(Me) | 3-CF ₃ -Ph | 91-93 |
| 226 ^a | O | MeO | CH=C(Cl)C(=O)O | <i>t</i> -Bu | 105-115 |
| 227 ^b | O | MeO | CH=C(Cl)C(=O)O | <i>t</i> -Bu | 104 |
| 228 | O | MeO | CH ₂ ON=C(Me) | 4-CF ₃ -2-pyridinyl | 101-103.5 |
| 583 | O | MeO | direct bond | 3-(3-CF ₃ -Ph)-1,2,4-oxadiazol-5-yl | 158 |
| 584 | O | MeO | CH ₂ O-N=C(CH ₃) | 3,4-diCl-Ph | 132-134 |
| 585 | O | MeO | CH ₂ O-N=C(NH ₂) | 3-CF ₃ -Ph | 123-124 |
| 586 | O | MeO | CH ₂ O-N=C(CH ₃) | 3,5-diBr-Ph | 150.5-151 |
| 587 | O | MeO | CH ₂ O-N=C(CH ₃) | 3,5-diCl-Ph | 159-160 |

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| | | | | | |
|------------------|---|-----|---|---|---------|
| 588 | O | MeO | CH ₂ O-N=C(CH ₃) | 2-naphthalenyl | 124-125 |
| 589 ^c | O | MeO | CH ₂ O-N=C(CH ₂ CH ₃) | 3-CF ₃ -Ph | oil* |
| 590 | O | MeO | CH ₂ O | 3-(4-Cl-Ph)-1,2,4-thiadiazol-5-yl | 184-185 |
| 591 | O | MeO | CH ₂ O | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 185-186 |
| 592 | O | MeO | CH ₂ O | 3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 138-139 |

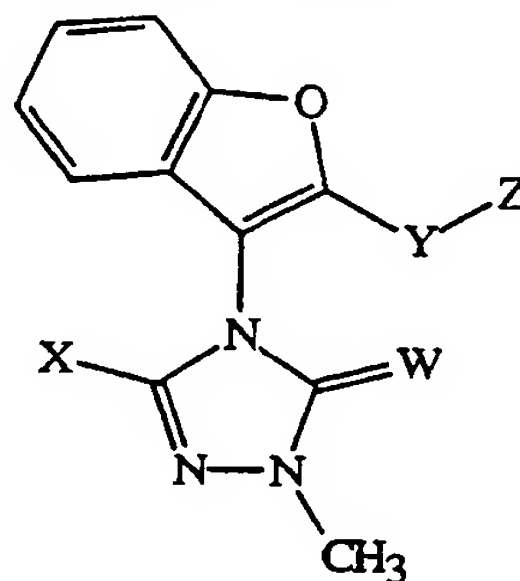
*See Index Table M for ¹H NMR data.

^a Compound isolated in a 7:3 ratio of *Z* and *E* isomers, respectively.

^b Compound isolated in a 5:1 ratio of *Z* and *E* isomers, respectively.

^c Compound contains 28% by weight of 2,4-dihydro-5-methoxy-2-methyl-4-[5-methyl-2-[[[1-[3-(trifluoromethyl)phenyl]ethylidene]amino]oxy]methyl]-3-thienyl]-3*H*-1,2,4-triazol-3-one which is also a compound of this invention.

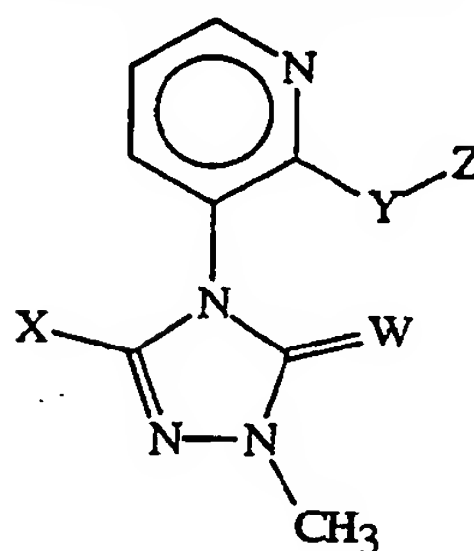
INDEX TABLE E



| <u>Cmpd</u> | <u>W</u> | <u>X</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-------------|----------|----------|--------------------------|--------------------------------|------------------|
| 229 | O | MeO | direct bond | CH ₂ Br | 132-133 |
| 230 | O | MeO | CH ₂ ON=C(Me) | 3,4-diCl-Ph | 143-144 |
| 231 | O | MeO | CH ₂ ON=C(Me) | 3-Me ₃ Si-Ph | oil* |
| 232 | O | MeO | CH ₂ ON=C(Me) | 4-CF ₃ -2-pyridinyl | 123-125 |
| 233 | O | MeO | CH ₂ ON=C(Me) | 3-CF ₃ -Ph | 87-89 |

*See Index Table M for ¹H NMR data.

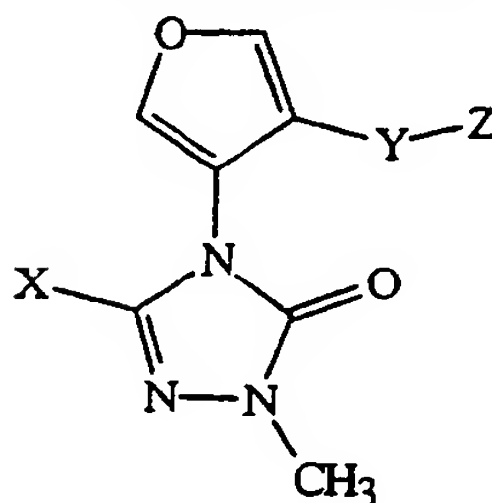
INDEX TABLE F



| <u>Cmpd No.</u> | <u>W</u> | <u>X</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|----------|----------|---|---|------------------|
| 234 | O | Cl | direct bond | Me | 99-101 |
| 235 | O | MeO | direct bond | Me | 123-125 |
| 236 | O | MeO | CH ₂ ON=C(Me) | 3-CF ₃ -Ph | oil* |
| 593 | O | MeO | CH ₂ O-N=C(CH ₃) | 4-CF ₃ -pyridin-2-yl | 106-107 |
| 594 | O | MeO | CH ₂ O-N=C(CH ₃) | 3,4-diCl-Ph | 102-104 |
| 595 | O | MeO | CH ₂ O-N=C(CH ₃) | 3-Me ₃ Si-Ph | 135-137 |
| 596 | O | MeO | CH ₂ O-N=C(CH ₃) | 3,5-diCl-Ph | 135-137 |
| 597 | O | MeO | CH ₂ O-N=C(CH ₃) | 3,5-diBr-Ph | 145-147 |
| 598 | O | MeO | CH ₂ O-N=C(NH ₂) | 3-CF ₃ -Ph | 147-148 |
| 599 | O | MeO | CH ₂ S | 5-CF ₃ -4 <i>H</i> -1,2,4-triazol-3-yl | 178-179 |
| 600 | O | MeO | direct bond | 3-(3-CF ₃ -Ph)-1,2,4-oxadiazol-5-yl | 165-166 |
| 601 | O | MeO | CH ₂ | 3-CF ₃ -1 <i>H</i> -pyrazol-1-yl | 99-100 |
| 602 | O | MeO | CH ₂ O | 2-Cl-5-CF ₃ -Ph | 106-108 |
| 603 | O | MeO | CH ₂ O | 2,5-diCH ₃ -Ph | 91-93 |
| 604 | O | MeO | CH ₂ O-N=C(CH ₃) | 2-naphthalenyl | semisolid* |
| 605 | O | MeO | O | 3-PhO-Ph | 113-114 |
| 606 | O | Cl | O | 3-PhO-Ph | 72-75 |

*See Index Table M for ¹H NMR data.

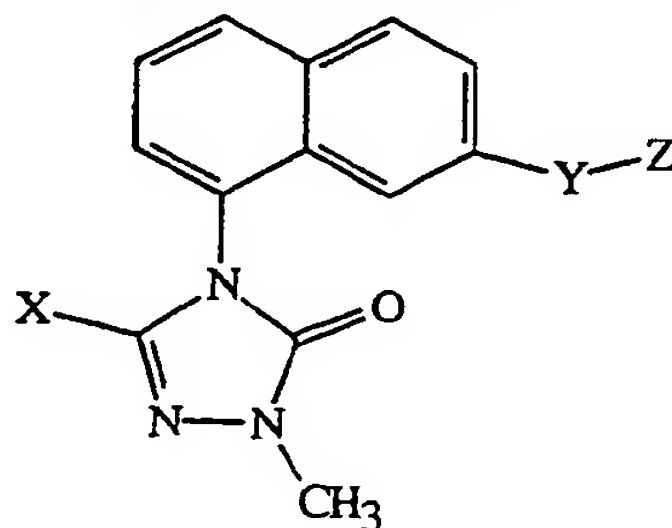
INDEX TABLE G



| <u>Cmpd No.</u> | <u>X</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|----------|---|--|------------------|
| 607 | MeO | direct bond | 3-(3-CF ₃ -Ph)-1,2,4-oxadiazol-5-yl | 149-150 |
| 608 | MeO | direct bond | CH ₂ Br | 147-149 |
| 609 | MeO | CH ₂ O-N=C(CH ₃) | 2-naphthalenyl | 134-136 |
| 610 | MeO | CH ₂ O-N=C(CH ₃) | 3,4-diCl-Ph | 118-119 |
| 611 | MeO | CH ₂ O-N=C(CH ₃) | 4-CF ₃ -pyridin-2-yl | 125-127 |
| 612 | MeO | CH ₂ O-N=C(CH ₃) | 3,5-diCl-Ph | 148.5-150.5 |
| 613 | MeO | CH ₂ O-N=C(CH ₃) | 3-Me ₃ Si-Ph | oil* |
| 614 | MeO | CH ₂ O-N=C(NH ₂) | 3-CF ₃ -Ph | semisolid* |
| 615 | MeO | CH ₂ O-N=C(CH ₃) | 3-CF ₃ -Ph | 81-83 |
| 616 | MeO | CH ₂ O-N=C(CH ₃) | 3,5-diBr-Ph | 126.5-127.5 |

*See Index Table M for ¹H NMR data.

INDEX TABLE H



| <u>Cmpd No.</u> | <u>X</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|----------|----------|---|------------------|
| 617 | Cl | O | Me | 142-143 |
| 618 | MeO | O | 3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 216-217 |
| 619 | MeO | O | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 222-223 |
| 621 | MeO | O | 3-(4-Cl-Ph)-1,2,4-thiadiazol-5-yl | 226-227 |

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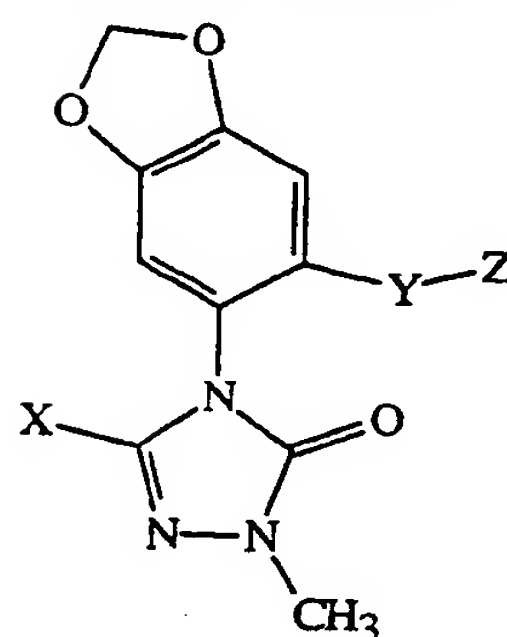
621

MeO

O

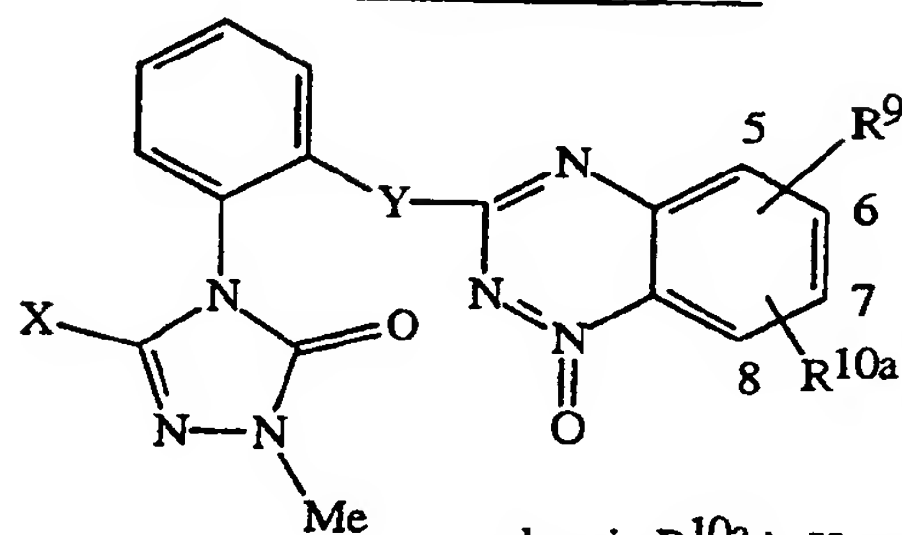
Me

180-181

INDEX TABLE I

| <u>Cmpd No.</u> | <u>X</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|----------|---|-----------------------|------------------|
| 622 | MeO | CH ₂ O-N=C(CH ₃) | 3-CF ₃ -Ph | 153-155 |

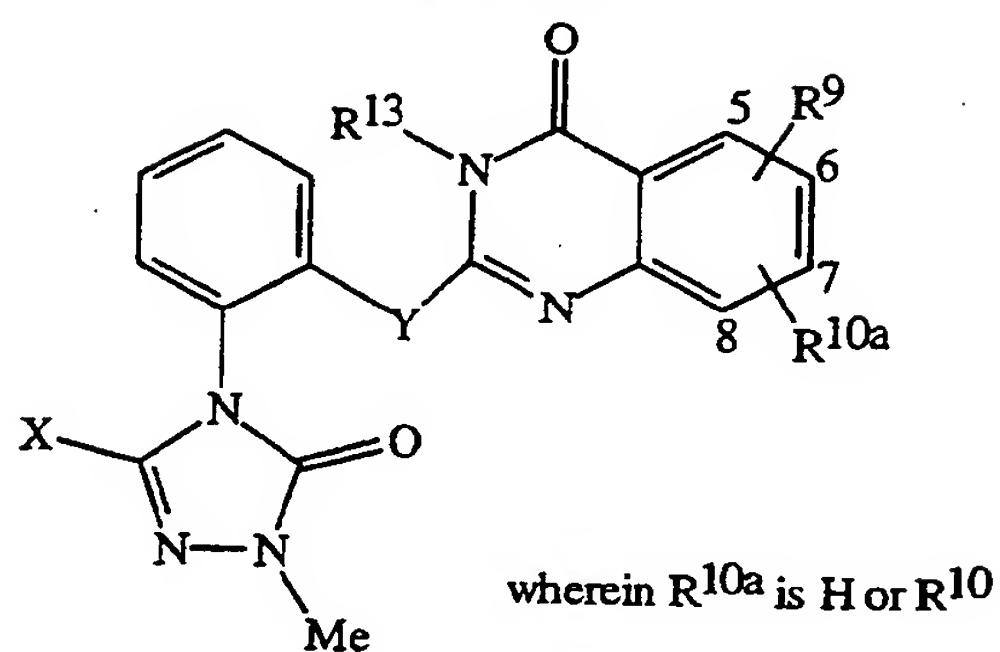
5

INDEX TABLE Jwherein R^{10a} is H or R¹⁰

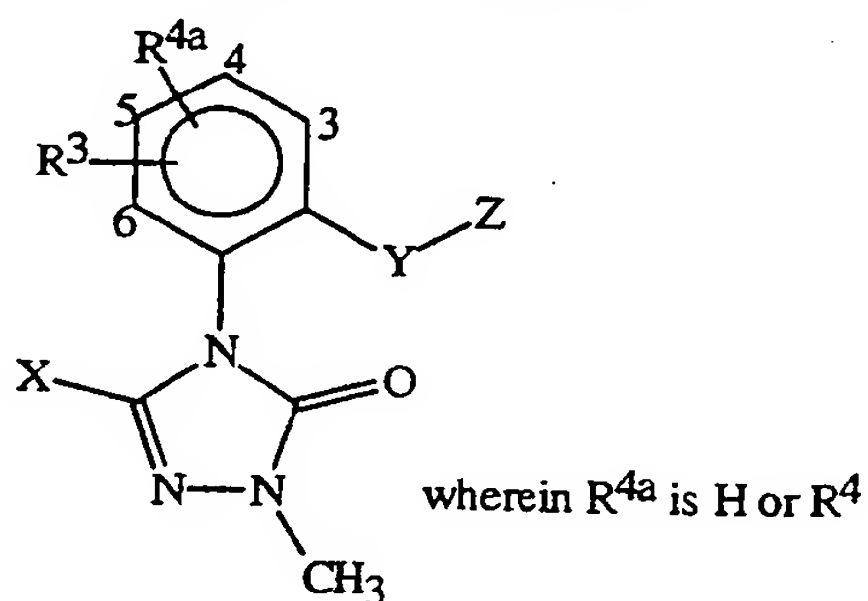
| <u>Cmpd No.</u> | <u>X</u> | <u>R⁹</u> | <u>R^{10a}</u> | <u>Y</u> | <u>m.p. (°C)</u> |
|-----------------|----------|----------------------|------------------------|-------------------|------------------|
| 623 | Cl | H | H | CH ₂ O | 159-162 |
| 624 | Cl | 5-Me | 7-Me | CH ₂ O | 204-209 |
| 625 | Cl | 6-Cl | H | CH ₂ O | 175-181 |
| 626 | MeO | 5-Me | 7-Me | CH ₂ O | 187-197 |
| 627 | MeO | 7-MeO | H | CH ₂ O | 207-210 |
| 628 | MeO | 6-Br | 7-Me | CH ₂ O | 205-209 |
| 629 | MeO | 5-Me | H | CH ₂ O | 205-208 |
| 630 | MeO | 5-Me | 6-Me | CH ₂ O | 210-214 |
| 631 | MeO | 5-Me | 7-Me | O | 210-216 |
| 632 | MeO | 7-MeO | H | O | 191-192 |
| 633 | MeO | 7-Cl | H | CH ₂ O | 225-229 |

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| | | | | | |
|-----|-----|------|------|-------------------|---------|
| 634 | MeO | 6-Me | 7-Me | O | 218-219 |
| 635 | MeO | 5-Me | H | O | 195-199 |
| 636 | MeO | 6-Br | 7-Me | O | 187-189 |
| 637 | MeO | 7-F | H | O | 221-226 |
| 638 | MeO | 7-F | H | CH ₂ O | 181-184 |
| 639 | MeO | H | H | O | 230-233 |
| 640 | MeO | H | H | CH ₂ O | 190-195 |

INDEX TABLE K

| Cmpd No. | X | R ¹³ | R ⁹ | R ^{10a} | Y | m.p. (°C) |
|----------|-----|-----------------|----------------|------------------|-------------------|-----------|
| 641 | MeO | <i>n</i> -Bu | 6-I | 8-I | CH ₂ O | 166-169 |
| 642 | MeO | <i>n</i> -Pr | 6-Br | 8-Br | CH ₂ O | 160-163 |
| 643 | MeO | Me | 6-I | H | CH ₂ O | 200-204 |
| 644 | MeO | <i>n</i> -Bu | 6-I | 8-I | O | 165-167 |

INDEX TABLE L

| Cmpd No. | X | R ³ | R ^{4a} | Y | Z | m.p. (°C) |
|----------|-----|----------------|-----------------|---|--|-----------|
| 656 | MeO | 5-Cl | H | O | 3-(3-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl | * |
| 657 | MeO | 5-Cl | H | O | 3-(3,4-diCl-Ph)-1,2,4-thiadiazol-5-yl | 154-155 |

| | | | | | | |
|--------|-----|---------------------|---|-------------------|---|---------|
| 658 | MeO | 5-Cl | H | O | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | * |
| 659 | MeO | 5-Cl | H | O | 3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl | * |
| 660 | MeO | 5-Cl | H | O | 3-(4-Br-Ph)-1,2,4-thiadiazol-5-yl | 166-168 |
| 661 | MeO | 5-Cl | H | O | 3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | * |
| 662 | MeO | 5-Cl | H | O | 3-(4- <i>t</i> -Bu-Ph)-1,2,4-thiadiazol-5-yl | 159-160 |
| 663 | MeO | 5-Cl | H | O | 3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl | * |
| 664 | MeO | 3-Cl | H | O | 3-(4-Br-Ph)-1,2,4-thiadiazol-5-yl | * |
| 665 | MeO | 3-Cl | H | O | 3-(3,4-diCl-Ph)-1,2,4-thiadiazol-5-yl | * |
| 666 | MeO | 3-Cl | H | O | 3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 120-124 |
| 667 | MeO | 3-Cl | H | O | 3-(3-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl | * |
| 668 | MeO | 3-Cl | H | O | 3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl | * |
| 669 | MeO | 3-Cl | H | O | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 130-135 |
| 670 | MeO | 3-Cl | H | O | 3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl | * |
| 671 | MeO | 3-Cl | H | O | 3-(4- <i>t</i> -Bu-Ph)-1,2,4-thiadiazol-5-yl | * |
| 672 | MeO | 6-CH ₃ | H | O | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 152-158 |
| 673 | MeO | 6-CH ₃ | H | O | 3-(3,4-diCl-Ph)-1,2,4-thiadiazol-5-yl | 162-164 |
| 674 | MeO | 6-CH ₃ | H | O | 3-(3-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 119-120 |
| 675 | MeO | 6-CH ₃ | H | O | 3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl | 124-126 |
| 676 | MeO | 6-CH ₃ | H | O | 3-(3-CH ₃ -Ph)-1,2,4-thiadiazol-5-yl | 109-111 |
| 677 | MeO | 6-CH ₃ | H | O | 3-(3-CF ₃ O-Ph)-1,2,4-thiadiazol-5-yl | 91-93 |
| 678 | MeO | 6-CH ₃ | H | O | 3-(4-CH ₃ -Ph)-1,2,4-thiadiazol-5-yl | 123-125 |
| 679 | MeO | 6-CH ₃ | H | O | 3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 109-111 |
| 680 | MeO | 6-CH ₃ | H | O | 3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 95-98 |
| Ex. 22 | | | | | | |
| 681 | MeO | 6-CH ₃ | H | O | 3-(2-CH ₃ -PhO)-Ph | oil* |
| 682 | MeO | 6-CH ₃ | H | O | 3-PhO-Ph | oil* |
| 683 | MeO | 6-CH ₃ | H | O | 3-(2-Cl-PhO)-Ph | oil* |
| 684 | MeO | 6-CH ₃ | H | O | 3-(2-F-PhO)-Ph | oil* |
| 685 | Cl | 6-CH ₃ | H | CH ₂ O | 3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 130-132 |
| 686 | Cl | 6-CH ₃ | H | bond | CH ₂ Br | 120-121 |
| 687 | MeO | 6-CH ₃ | H | O | 6-(2-CH ₃ -PhO)-4-pyrimidinyl | 135-136 |
| 688 | MeO | 6-CH ₃ | H | O | 3-(4-F-PhO)-Ph | oil* |
| 689 | MeO | 6-CH ₃ | H | O | 3-(2,6-diF-PhO)-Ph | oil* |
| 690 | MeO | 4-CH ₃ O | H | O | 3-(4-CF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 110-112 |
| 691 | MeO | 4-CH ₃ O | H | O | 3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 107-110 |

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| | | | | | | |
|--------|-----|----------------------|---|-----------------|---|------------|
| 692 | MeO | 6-CH ₃ | H | O | 3-(2,6-diCl-4-pyridinyl)-1,2,4-thiadiazol-5-yl | oil/solid* |
| 693 | MeO | 6-CH ₃ | H | O | 3-(2,6-diCl-benzyl)-1,2,4-thiadiazol-5-yl | 128-129 |
| 694 | MeO | 4-CH ₃ O | H | O | 3-(2,6-diCl-4-pyridinyl)-1,2,4-thiadiazol-5-yl | 150-156 |
| 695 | MeO | 4-CH ₃ O | H | O | 3-(2,6-diCl-benzyl)-1,2,4-thiadiazol-5-yl | 113-119 |
| 696 | Cl | 6-CH ₃ | H | O | CH ₃ | 132-134 |
| 697 | Cl | 4-CH ₃ O | H | O | CH ₃ | 144-146 |
| 698 | MeO | 6-CH ₃ | H | O | 6-Cl-4-pyrimidinyl | 108-110 |
| 699 | MeO | 6-CH ₃ | H | O | 3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl | 146-147 |
| 700 | MeO | 4-CH ₃ O | H | O | 3- <i>t</i> -Bu-1,2,4-thiadiazol-5-yl | oil* |
| 701 | MeO | 6-CH ₃ | H | O | 6-(3,5-diCF ₃ -Ph)-4-pyrimidinyl | 195-198 |
| 702 | MeO | 4-CH ₃ | H | CH ₂ | 3-CF ₃ -1 <i>H</i> -pyrazol-1-yl | 368** |
| 703 | MeO | 6-CH ₃ | H | O | 6-(4-CF ₃ -Ph)-4-pyrimidinyl | 148-150 |
| 704 | MeO | 6-CH ₃ | H | O | 6-(4-CF ₃ -Ph)-2-pyrazinyl | 128-131 |
| 705 | MeO | 4-CH ₃ O | H | O | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 173-176 |
| 706 | MeO | 6-CH ₃ | H | O | 6-(3-CF ₃ -Ph)-2-pyrazinyl | 118-120 |
| 707 | MeO | 6-CH ₃ | H | O | 3-F-2-NO ₂ -Ph | oil* |
| 708 | MeO | 6-CH ₃ | H | O | 6-(3,5-diCF ₃ -Ph)-2-pyrazinyl | 185-187 |
| 709 | MeO | 6-CH ₃ | H | O | 6-Cl-2-pyrazinyl | 122-124 |
| 710 | Cl | 6-CO ₂ Me | H | bond | CH ₂ Br | 168-170 |
| 711 | Cl | 6-CO ₂ Me | H | bond | CHBr ₂ | 129-131 |
| 712 | MeO | 4-CH ₃ O | H | O | 3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl | 149-153 |
| 713 | MeO | 6-CH ₃ | H | O | 6-(4-CO ₂ Et-Ph)-4-pyrimidinyl | 97-103 |
| 714 | MeO | 6-CH ₃ | H | O | 6-(4-CO ₂ Et-Ph)-2-pyrazinyl | 158-161 |
| 715 | MeO | 6-CH ₃ | H | O | 6-(3-CF ₃ -Ph)-4-pyrimidinyl | 125-127 |
| 716 | MeO | 6-CH ₃ | H | O | 6-Ph-2-pyrazinyl | 137-139 |
| 717 | MeO | 6-CH ₃ | H | O | 6-(4-Cl-Ph)-2-pyrazinyl | 166-171 |
| 718 | MeO | 6-CH ₃ | H | O | 6-(2-Br-PhO)-4-pyrimidinyl | 127-129 |
| 719 | MeO | 6-Et | H | O | 3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 136-138 |
| Ex. 23 | | | | | | |
| 720 | MeO | 6-CH ₃ S | H | O | 3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 154-156 |
| 721 | MeO | 6-CH ₃ S | H | O | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 204-208 |
| 722 | MeO | 6-CH ₃ S | H | O | 3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl | 164-166 |

| | | | | | | |
|-----|-----|---------------------|---|---|---|---------|
| 723 | MeO | 6-Et | H | O | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 139-142 |
| 724 | MeO | 6-Et | H | O | 3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl | 115-119 |
| 725 | MeO | 6-CHO | H | O | 3-(3,5-diF-Ph)-1,2,4-thiadiazol-5-yl | 125-128 |
| 726 | MeO | 6-CH ₃ | H | O | 3-(2-Br-PhO)-Ph | oil* |
| 727 | MeO | 6-Et | H | O | 3-(4-CH ₃ -Ph)-1,2,4-thiadiazol-5-yl | 43-55 |
| 728 | MeO | 6-CH ₃ S | H | O | 3-(4-CH ₃ -Ph)-1,2,4-thiadiazol-5-yl | 138-140 |
| 729 | MeO | 6-CHO | H | O | 3-(3,5-diCl-Ph)-1,2,4-thiadiazol-5-yl | 180-185 |
| 730 | MeO | 6-CHO | H | O | 3-(3,5-diCF ₃ -Ph)-1,2,4-thiadiazol-5-yl | 144-146 |
| 731 | MeO | 6-CHO | H | O | 3-(4-CH ₃ -Ph)-1,2,4-thiadiazol-5-yl | 118-123 |

*See Index Table M for ¹H NMR data.

** Protonated parent molecular ion (m/e) measured by mass spectrometry using atmospheric pressure chemical ionization in the positive ion mode (APCI⁺). The ion shown corresponds to the M+H⁺ ion calculated from the integral values of the atomic weights of the most abundant isotope of each element present.

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INDEX TABLE M

| Cmpd No. | ¹ H NMR Data (CDCl ₃ solution unless indicated otherwise) ^a |
|----------|---|
| 2 | δ 7.51(dd,1H), 7.27(dt,1H), 7.17(m,2H), 6.97(dd,1H), 6.6(m,3H), 3.92(s,3H), 3.74 (s,3H), 3.33 (s,3H). |
| 3 | δ 7.32(m,7H), 6.99(m,2H), 5.08(s,2H), 3.84(s,3H), 3.42(s,3H). |
| 4 | δ 7.25(m,4H), 3.98(s,3H), 3.45(s,3H), 2.30(s,3H). |
| 5 | δ 7.61(d,1H), 7.35(m,3H), 7.11(m,2H), 6.84(t,2H), 5.12(s,2H), 3.96(s,3H), 3.415(s,3H), 2.24(s,3H). |
| 14 | δ 7.65(d,1H), 7.45(m,2H), 7.23(m,1H), 7.10(m,2H), 6.82(t,1H), 6.78(d,1H), 5.08(s,2H), 4.29(m,2H), 3.41(s,3H), 2.24(s,3H), 1.31(t,3H). |
| 17 | δ 7.6-7.45(m,5H), 7.20(m,1H), 7.14(d,2H), 5.27(d,1H), 5.16(d,1H), 3.46(s,3H), 2.34(s,3H), 2.16(s,3H). |
| 19 | δ 7.6(d,1H), 7.5(m,3H), 7.4(t,1H), 7.25(m,1H), 7.15(d,2H), 5.26(d,1H), 5.20(d,1H), 3.48(s,3H), 2.41(s,3H), 2.43(s,3H), 2.18(s,3H). |
| 20 | δ 7.62(m,2H), 7.5(m,2H), 7.35-7.2(m,4H), 5.25(d,1H), 5.15(d,1H), 3.48(s,3H), 3.02(m,2H), 2.85(m,2H). |
| 21 | δ 7.42(m,2H), 7.10(m,1H), 7.06(m,3H), 6.99(t,1H), 6.68(d,2H), 3.37(s,3H), 2.51(s,3H). |
| 23 | δ 8.01(s,1H), 7.61(d,1H), 7.52(m,4H), 7.35(m,3H), 7.25(d,1H), 5.23(d,1H), 5.15(d,1H), 3.49(s,3H). |
| 24 | δ 7.6(m,2H), 7.5-7.4(m,3H), 7.3-7.2(m,3H), 5.24(d,1H), 5.20(d,1H), |

- 3.48(s,3H), 2.40(s,3H).
- 25 δ 7.6-7.4(m,4H), 7.35(m,2H), 7.2(m,2H), 7.0(d,2H), 6.6(m,3H),
5.04(d,1H), 5.00(d,1H), 3.45(s,3H).
- 26 δ 7.6(d,1H), 7.45(m,2H), 7.33(t,2H), 7.19(m,2H), 7.10(t,1H), 7.01(d,2H),
6.6(m,3H), 5.03(m,2H), 3.87(s,3H), 3.39(s,3H).
- 35 δ 7.6-7.4(m,7H), 7.23(d,1H), 5.28(d,1H), 5.17(d,1H), 3.46(s,3H),
2.14(s,3H).
- 36 δ 7.80(d,2H), 7.65-7.45(m,6H), 7.36(d,2H), 7.30(m,1H), 7.25(m,1H),
7.10(t,1H), 5.15(d,1H), 5.10(d,1H), 3.45(s,2H).
- 38 δ 7.77(d,2H), 7.6(m,2H), 7.47(m,4H), 7.35(m,3H), 7.25(m,1H), 7.10
(m,1H), 5.13(d,1H), 5.12(d,1H), 3.89(s,3H), 3.38(s,3H).
- 39 δ 8.03(s,1H), 7.70(d,1H), 7.53(m,2H), 7.35-7.25(m,5H), 5.06(s,2H),
3.46(s,3H).
- 40 δ 7.6-7.5(m,3H), 7.24(m,1H), 7.13(s,1H), 7.02(d,1H), 6.78(d,1H),
5.96(s,2H), 5.26(d,1H), 5.14(d,1H), 3.48(s,3H), 2.13(s,3H).
- 41 δ 8.04(s,1H), 7.8(m,1H), 7.45(m,2H) 7.35-7.25(m,5H), 5.10(s,2H),
3.86(s,3H), 3.41(s,3H).
- 42 δ 7.58(m,1H), 7.43(m,2H), 7.25(m,1H), 7.15(m,1H), 7.02(d,1H),
6.76(d,1H), 5.96(s,2H), 5.22(d,1H), 5.18(d,1H) 3.89(s,3H), 3.42(s,3H),
2.15(s,3H).
- 43 δ 8.40(s,1H), 7.6(m,1H), 7.5-7.4(m,5H), 7.3(d,1H), 7.18(m,2H),
6.38(s,1H), 3.45(s,3H).
- 45 δ 7.55(d,1H), 7.40(m,3H), 7.20(m,4H), 5.21(d,1H), 3.87(s,3H),
3.42(s,3H), 2.24(s,3H).
- 47 δ 7.6-7.2(m,9H), 5.4-5.2(m,2H), 3.87,3.83(s,3H), 3.41,3.40(s,3H).
- 48 δ 7.6(m,3H), 7.44(m,2H), 7.35(m,3H), 7.25(m,1H), 5.26(d,1H),
5.22(d,1H), 3.88(s,3H), 3.49(s,3H), 2.20(s,3H).
- 49 δ 7.5(d,1H), 7.40(m,4H), 7.23(m,2H), 7.18(d,1H), 5.26(d,1H),
5.21(d,1H), 3.88(s,3H) 3.41(s,3H), 2.36(s,3H), 2.19(s,3H).
- 50 δ 7.56(m,3H), 7.45(m,2H), 7.25(m,1H), 6.86(d,2H), 5.24(d,1H),
5.19(d,1H), 3.88(s,3H), 3.81(s,3H), 3.41(s,3H), 2.17(s,3H).
- 51 δ 7.5(m,2H), 7.45(m,3H), 7.3(m,3H), 5.27(d,1H), 5.22(d,1H), 3.89(s,3H).
- 52 δ 8.02,8.01(s,1H), 7.8,7.7(m,1H), 7.45(m,2H), 7.35(m,4H), 7.25(m,2H),
5.25(m,1H), 3.88,3.74(s,3H), 3.45,3.39(s,3H), 1.62-1.56(m,3H).
- 53 δ 8.04(s,1H), 7.81(m,1H), 7.45(m,2H), 7.38-7.18(m,5H), 5.18(s,2H),
3.86(s,3H), 3.42(s,3H), 2.38(s,3H).

- 54 δ 7.35(m,4H), 7.20(m,2H), 7.05(d,2H), 6.95(d,1H), 3.46(s,3H).
- 55 δ 7.6-7.45(m,3H), 7.2(m,1H), 4.67(d,1H), 4.48(d,1H), 3.56(s,3H).
- 56 δ 7.5(m,1H), 7.44(m,2H), 7.22(m,1H), 4.60(d,1H), 4.36(d,1H), 3.96(s,3H), 3.47(s,3H).
- 60 δ 7.72(d,2H), 7.58(d,3H), 7.50(m,2H), 7.26(m,1H), 5.30(d,1H), 5.24(d,1H), 3.48(s,3H), 2.42(s,3H), 2.21(s,3H).
- 61 δ 7.70(m,2H), 7.60(m,2H), 7.43(m,3H), 7.23(m,1H), 5.30(d,1H), 5.25(d,1H), 3.85(s,3H), 3.41(s,3H).
- 62 δ 8.40(s,1H), 7.70(m,2H), 7.6-7.3(m,6H), 6.59(s,1H), 3.80(s,3H), 3.39(s,3H).
- 64 δ 8.40(s,1H), 7.5-7.2(m,7H), 7.02(,1H), 6.33(s,1H)3.78(s,3H), 3.36(s,3H), 2.18(s,3H).
- 65 δ 8.42(s,1H), 7.55-7.26(m,7H), 7.16(d,2H), 6.36(s,1H), 3.79(s,3H)3.36(s,3H).
- 69 δ 7.6-7.3(m,7H), 7.25(m,1H), 5.24(d,1H), 5.21(d,1H)3.89(s,3H), 3.41(s,3H), 2.18(s,3H), 1.31(s,9H).
- 70 δ 7.60(d,1H), 7.45-7.38(m,3H), 7.35-7.20(m,2H), 7.11(d,1H), 5.74(d,1H), 5.21(d,1H), 3.88(s,3H), 3.41(s,3H), 2.27(s,3H), 2.26(s,3H), 2.18(s,3H).
- 75 δ 8.56(s,1H), 7.58(m,1H), 7.40(m,3H), 6.99(s,1H), 3.43(s,3H).
- 76 δ 7.66(d,2H), 7.58(m,5H), 7.5-7.3(m,5H), 7.25(m,1H), 5.28(d,1H), 5.24(d,1H), 3.90(s,3H), 3.47(s,3H), 2.23(s,3H).
- 77 δ 7.68(d,1H), 7.6-7.5(m,2H), 7.25(m,1H), 7.00(d,1H), 6.68(d,1H), 6.61(s,1H), 5.05(d,1H), 5.00(d,1H), 3.49(s,3H), 2.29(s,3H), 2.16(s,3H).
- 82 δ 8.02(s,2H), 7.82(s,1H), 7.6-7.45(m,3H), 7.25(m,1H), 5.33(d,1H), 5.21(d,1H), 3.50(s,3H), 2.23(s,3H).
- 84 δ 7.6(d,1H), 7.5-7.4(m,2H), 7.4-7.2(m,5H) 5.20(d,2H), 3.89(s,3H), 3.40(s,3H), 2.18(m,1H), 0.90(m,2H), 0.60(m,2H).
- 86 Two isomers: δ 7.75-7.40(m,8H), [5.29(s) and 5.22(m)](2H), [3.58(s) and 3.55(s)](3H), [2.88(s) and 2.83(s)](3H), [2.23(s) and 2.17(s)](3H).
- 88 δ 7.60(m,2H), 7.40(m,4H), 7.26(m,2H), 5.25(d,1H), 5.22(d,1H), 3.88(s,3H), 3.40(s,3H), 2.20(s,3H), 1.33(s,9H).
- 89 δ 7.80(s,1H), 7.58(m,5H), 7.40(m,6H), 7.25(m,1H), 5.25(m,2H), 3.87(s,3H), 3.39(s,3H), 2.25(s,3H).
- 90 δ 7.58(d,1H), 7.42(m,2H), 7.24(m,2H), 7.17(m,2H), 6.85(d,1H), 5.22(m,2H), 4.58(m,1H), 3.89(s,3H), 3.41(s,3H), 2.17(s,3H), 1.33(d,6H).
- 94 δ 7.67(s,1H), 7.60-7.45(m,3H), 7.41(s,2H), 7.22(m,1H), 5.30(d,1H),

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- 5.16(d,1H), 3.49(s,3H), 2.14(s,3H).
- 96 δ 7.80(m,2H), 7.58(m,2H), 7.50(m,3H), 7.25(m,1H), 5.28(d,1H),
5.25(d,1H), 3.89(s,3H), 3.40(s,3H), 2.22(s,3H).
- 99 δ 7.82(s,1H), 7.79(d,1H), 7.58(m,2H), 7.45(m,3H), 7.25(m,1H),
5.22(m,2H), 3.89(s,3H), 3.41(s,3H), 2.77(q,2H), 1.10(t,3H).
- 100 δ 8.45(s,1H), 8.20(m,1H), 7.95(d,1H), 7.6-7.4(m,4H), 7.25(m,1H),
5.30(d,1H), 5.26(d,1H), 3.90(s,3H), 3.41(s,3H), 2.24(s,3H).
- 110 δ 7.60(d,1H), 7.45(m,2H), 7.25(m,1H), 7.20(s,2H), 7.00(s,1H),
5.25(d,1H), 5.21(d,1H), 3.88(s,3H), 3.41(s,3H), 2.32(s,6H), 2.18(s,3H).
- 111 δ 7.8(m,1H), 7.75(m,1H), 7.6-7.4(m,5H), 7.2(m,1H), 5.33(d,1H),
5.17(d,1H), 3.45(s,3H), 2.18(s,3H).
- 112 Major Isomer: δ 7.6-7.4(m,3H), 7.34-7.20(m,5H), 5.24(d,1H),
5.14(d,1H), 3.46(s,3H), 2.10(m,1H), 0.90(m,2H), 0.55(m,2H).
- 113 δ 7.89(s,1H), 7.80(d,1H), 7.60(m,2H), 7.43(m,3H), 7.25(m,1H),
5.28(d,1H), 5.24(d,1H), 3.90(s,3H), 3.42(s,3H), 2.19(s,3H).
- 114 δ 8.6(d,1H), 8.0(d,1H), 7.6(m,2H), 7.5(m,3H), 7.2(m,1H), 5.48(d,1H),
4.6(d,1H), 3.56(s,3H), 3.4(s,3H).
- 115 δ 7.64(s,1H), 7.58-7.42(m,4H), 7.30(m,1H), 7.25(m,1H), 5.29(d,1H),
5.24(d,1H), 3.90(s,3H), 3.41(s,3H), 2.19(s,3H).
- 121 δ 7.6-7.4(m,5H), 7.36(t,1H), 7.20(m,2H), 5.30(d,1H), 5.18(d,1H),
3.47(s,3H), 2.17(s,3H).
- 123 δ 7.72(d,2H), 7.58(d,2H), 7.51(m,1H), 7.34(m,3H), 5.31(s,2H),
3.94(s,3H), 3.43(s,3H), 2.24(s,3H).
- 125 δ 7.62(m,1H), 7.49(m,2H), 7.32(m,5H), 5.28(s,2H), 3.95(s,3H),
3.44(s,3H), 2.21(s,3H).
- 126 δ 7.77(t,1H), 7.49(m,3H), 7.34(m,3H), 7.22(m,1H), 5.28(s,2H),
3.94(s,3H), 3.44(s,3H), 2.2(s,3H).
- 127 δ 7.53(m,3H), 7.32(m,5H), 5.27(s,2H), 3.93(s,3H), 3.43(s,3H),
2.20(s,3H).
- 128 δ 7.48(m,5H), 7.33(m,3H), 5.27(s,2H), 3.93(s,3H), 3.42(s,3H), 2.2(s,3H).
- 129 δ 7.59(m,2H), 7.52(m,1H), 7.34(m,3H), 7.02(m,2H), 5.27(s,2H),
3.94(s,3H), 3.43(s,3H), 2.22(s,3H).
- 130 δ 7.56(m,3H), 7.33(m,3H), 6.86(m,2H), 5.25(s,2H), 3.93(s,3H),
3.81(s,3H), 2.43(s,3H), 2.21(s,3H).
- 131 δ 7.92(m,1H), 7.84(d,1H), 7.6(m,1H), 7.47(m,2H), 7.33(m,3H),
5.30(s,2H), 3.98(s,3H), 3.45(s,3H), 2.23(s,3H).

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- 132 δ 7.73(d,2H), 7.62(d,2H), 7.50(m,1H), 7.35(m,3H), 5.31(s,2H),
3.96(s,3H), 3.44(s,3H), 2.23(s,3H).
- 133 δ 7.5(m,3H), 7.33(m,3H), 7.14(d,2H), 5.26(s,2H), 3.92(s,3H), 3.43(s,3H),
2.34(s,3H), 2.21(s,3H).
- 134 δ 7.51(m,2H), 7.34(m,5H), 5.27(s,2H), 3.94(s,3H), 3.43(s,3H),
2.37(s,3H), 2.2(s,3H).
- 135 δ 7.51(m,1H), 7.33(m,3H), 7.18(d,1H), 7.06(m,1H), 6.76(d,1H),
5.95(s,2H), 5.24(s,2H), 3.94(s,3H), 3.43(s,3H), 2.18(s,3H).
- 136 δ 7.53(m,1H), 7.40(s,1H), 7.34(m,4H), 7.1(d,1H), 5.26(s,2H), 3.93(s,3H),
3.43(s,3H), 2.26(s,3H), 2.25(s,3H), 2.21(s,3H).
- 137 δ 7.72(d,1H), 7.44(m,3H), 7.33(m,3H), 5.28(s,2H), 3.96(s,3H),
3.44(s,3H), 2.19(s,3H).
- 138 δ 7.71(m,2H), 7.58(m,5H), 7.44(m,2H), 7.34(m,4H), 5.3(s,2H),
3.93(s,3H), 3.43(s,3H), 2.26(s,3H).
- 139 δ 7.63(m,1H), 7.54(m,1H), 7.37(m,3H), 7.3(m,3H), 5.28(s,2H), 3.92(s,3H),
3.43(s,3H), 2.24(s,3H), 1.33(s,9H).
- 140 δ 8.07(s,2H), 7.83(s,1H), 7.51(m,1H), 7.35(m,3H), 5.35(s,2H),
3.96(s,3H), 3.44(s,3H), 2.27(s,3H).
- 141 δ 7.53(d,1H), 7.34(m,3H), 7.24(m,1H), 7.18(m,2H), 6.89(m,1H),
5.28(s,2H), 3.94(s,3H), 3.82(s,3H), 3.44(s,3H), 2.22(s,3H).
- 142 δ 7.83(t,1H), 7.58(m,5H), 7.43(m,3H), 7.34(m,4H), 5.3(s,2H), 3.91(s,3H),
3.42(s,3H), 2.28(s,3H).
- 143 δ 7.56(m,3H), 7.33(m,5H), 7.13(m,1H), 6.99(m,4H), 5.26(s,2H),
3.94(s,3H), 3.43(s,3H), 2.22(s,3H).
- 144 δ 8.57(d,1H), 7.85(d,1H), 7.65(t,1H), 7.53(d,1H), 7.3-7.4(m,3H),
7.22(t,1H), 5.32(s,2H), 3.95(s,3H), 3.44(s,3H), 2.3(s,3H).
- 145 δ 7.54(m,1H), 7.32(m,3H), 7.2(t,1H), 6.95(m,2H), 6.73(m,1H),
5.27(s,2H), 3.91(s,3H), 3.43(s,3H), 2.95(s,6H), 2.22(s,3H).
- 146 δ 7.52(m,3H), 7.34(m,4H), 7.18(m,1H), 5.29(s,2H), 3.94(s,3H),
3.43(s,3H), 2.22(s,3H).
- 147 δ 7.54(m,3H), 7.33(m,3H), 6.96(m,2H), 6.88(m,4H), 5.25(s,2H),
3.93(s,3H), 3.8(s,3H), 3.43(s,3H), 2.21(s,3H).
- 153 δ 7.5(m,1H), 7.34(m,7H), 7.26(m,1H), 7.11(m,1H), 6.97(m,2H),
5.25(s,2H), 3.92(s,3H), 3.42(s,3H), 2.2(s,3H).
- 154 δ 7.53(m,1H), 7.33(m,3H), 7.24(m,1H), 7.15(m,2H), 6.86(m,1H),
5.27(s,2H), 4.57(m,1H), 3.92(s,3H), 3.43(s,3H), 2.21(s,3H), 1.33(d,6H).

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- 155 δ 7.49(m,3H), 7.34(m,4H), 5.29(s,2H), 3.95(s,3H), 3.44(s,3H), 2.18(s,3H).
- 156 δ 7.87(d,1H), 7.78(d,1H), 7.6(m,1H), 7.5(m,2H), 7.33(m,3H), 5.3(s,2H), 3.95(s,3H), 3.44(s,3H), 2.77(q,2H), 1.12(t,3H).
- 157 δ 7.47-7.45(m,1H), 7.39-7.27(m,3H), 5.09(s,2H), 3.95(s,3H), 3.43(s,3H), 1.79-1.68(m,9H), 1.31-1.20(m,5H).
- 158 δ 7.48-7.28(m,4H), 5.10 and 5.08(2s,2H total), 3.95 and 3.81(2s,2H total), 3.44 and 3.35(2s,3H total), 1.85-1.79(m,8H), 1.26-0.84(m,14H).
- 159 δ 7.82(d,2H), 7.77(d,1H), 7.58(m,5H), 7.45(t,1H), 7.34(m,3H), 5.31(s,2H), 3.92(s,3H), 3.42(s,3H) 2.29(s,3H).
- 160 δ 7.48(m,3H), 7.33(m,6H), 7.23(m,1H), 7.14(d,1H), 7.00(d,1H), 5.26(s,2H), 3.93(s,3H), 3.42(s,3H), 2.2(s,3H).
- 161 δ 7.66(s,1H), 7.51(m,2H), 7.33(m,4H), 5.32(s,2H), 3.96(s,3H), 3.44(s,3H), 2.22(s,3H).
- 162 δ 7.53(d,1H), 7.35(m,3H), 7.24(m,2H), 6.98(s,1H), 5.27(s,2H), 3.92(s,3H), 3.43(s,3H), 2.31(s,6H), 2.21(s,3H).
- 164 δ 7.45-7.55(d,1H), 7.30-7.35(m,3H), 6.45(d,1H), 6.05(d,1H), 5.26(s,2H), 3.96(s,3H), 3.43(s,3H), 2.33(s,3H), 2.13(s,3H).
- 165 δ 7.52(d,1H), 7.45(s,1H), 7.37-7.31(m,3H), 6.92(s,1H), 5.30(s,2H), 3.95(s,3H), 3.44(s,3H), 2.50(s,3H), 2.32(s,3H), 2.30(s,3H).
- 166 δ 7.53(m,3H), 7.34(m,3H), 7.18(d,2H), 5.26(s,2H), 3.93(s,3H), 3.43(s,3H), 2.5(br,1H), 2.22(s,3H), 1.78(m,6H), 1.41(m,4H).
- 168 δ 8.57(s,1H), 8.40-8.50(m,2H), 7.43-7.50(m,4H), 7.35-7.40(m,3H), 5.30(s,2H), 3.96(s,3H), 3.44(m,3H), 2.55(s,3H), 2.24(s,3H).
- 169 δ 8.45(t,1H), 7.80(t,1H), 7.57(s,1H), 7.33-7.50(m,6H), 5.35(s,2H), 3.89(s,3H), 3.43(s,3H), 2.33(s,3H).
- 171 δ 7.69(d,2H), 7.62(m,1H), 7.49(m,1H), 7.34(m,3H), 5.29(s,2H), 3.96(s,3H), 3.45(s,3H), 2.17(s,3H).
- 172 δ 7.86(m,2H), 7.5(m,1H), 7.33(m,3H), 7.18(m,1H), 5.29(s,2H), 3.96(s,3H), 3.44(s,3H), 2.23(s,3H).
- 173 δ 7.47(d,1H), 7.30-7.39(m,3H), 7.19(s,1H), 6.79(s,1H), 5.30(s,2H), 3.97(s,3H), 3.91(s,3H), 3.45(s,3H), 2.15(s,3H).
- 176 Major isomer: δ 7.69(t,1H), 7.57(d,2H), 7.35(m,4H), 5.17(s,2H), 4.03(s,3H), 3.97(s,3H), 3.45(s,3H). Minor isomer: δ 7.7(t,1H), 7.6(d,2H), 7.50(m,4H), 5.11(s,2H), 3.88(s,3H), 3.73(s,3H), 3.43(s,3H).

- 177 δ 7.53(m,1H), 7.45(m,1H), 7.42(m,1H), 7.34(m,3H), 7.24(m,1H),
7.17(m,1H), 5.28(s,2H), 3.91(s,3H), 3.42(s,3H), 2.65(q,2H), 2.23(s,3H),
1.23(t,3H).
- 178 δ 7.60-7.30(m,7H), 5.29(s,2H), 3.95(s,3H), 3.94(s,3H), 3.44(s,3H),
2.30(s,3H).
- 179 δ 2.34(s,3H), 3.43(s,3H), 3.93(s,3H), 5.33(s,2H), 7.35(m,3H),
7.47(m,2H), 7.56(t,1H), 7.83(m,4H), 7.97(d,1H).
- 180 δ 2.34(s,3H), 2.51(s,3H), 3.44(d,3H), 3.94(d,3H), 5.32(s,2H), 7.35(m,4H),
7.565(m,2H), 7.71(m,2H), 7.83(m,1H), 7.93(s,1H).
- 181 δ 2.33(s,3H), 3.43(s,3H), 3.91(s,3H), 3.93(s,3H), 5.32(s,2H), 7.13(m,2H),
7.35(m,3H), 7.56(m,1H), 7.70(m,1H), 7.75(m,1H), 7.83(m,1H),
7.905(s,1H).
- 182 δ 2.33(s,3H), 3.44(s,3H), 3.95(s,3H), 5.33(s,2H), 7.35(m,3H),
7.55(m,2H), 7.70(t,2H), 7.925(m,3H).
- 183 δ 1.78(m,4H), 2.21(s,3H), 2.75(d,4H), 3.43(s,3H), 3.92(s,3H), 5.26(s,2H),
7.01(m,1H), 7.20(m,1H), 7.32(m,5H), 7.52(m,1H).
- 189 δ 7.63(m,3H), 7.40(m,5H), 7.20(m,1H), 5.12(AB q, 2H), 3.94(d,3H),
3.875(s,3H), 3.41(s,3H).
- 190 δ 7.75(m,1H), 7.48(m,5H), 7.22(m,2H), 5.24(q,2H), 3.89(s,3H),
3.41(s,3H), 2.16(s,3H).
- 192 δ 8.05(d,1H), 7.6-7.9(m,1H), 7.6(s,1H), 7.4-7.6(m,5H), 7.2-7.3(1H),
5.3(m,1H), 3.7-3.9(d,3H), 3.45(m,3H), 1.6(m,3H).
- 193 δ 3.47(s,3H), 6.7-6.8(m,3H), 7.0(m,3H), 7.12(t,3H), 7.2-7.3(m,2H),
7.3-7.5(m,4H).
- 194 δ 3.38(s,3H), 3.83(s,3H), 6.7-6.8(m,3H), 7.0-7.1(m,3H), 7.1-7.2(m,3H),
7.3-7.4(m,4H).
- 198 δ 7.94(t,1H), 7.66(m,1H), 7.54(m,2H), 7.43(m,2H), 7.23(d,1H),
7.06(t,1H), 5.24(q,2H), 3.88(s,3H), 3.41(s,3H), 2.14(s,3H).
- 199 δ 7.55(m,1H), 7.51(m,2H), 7.46(m,2H), 7.25(m,1H), 5.14(q,2H),
4.08(s,3H), 3.915(s,3H), 3.435(s,3H).
- 200 δ 7.92(s,1H), 7.85(d,1H), 7.60(m,2H), 7.45(m,3H), 7.24(m,1H),
5.14(q,2H), 4.03(s,3H), 3.88(s,3H), 3.41(s,3H).
- 201 δ 7.2-7.5(m,4H), 6.9-7.3(t,1H), 3.42(s,3H), 2.22(s,3H).
- 203 δ 7.55(m,5H), 7.25(d,1H), 5.12(q,2H), 4.07(s,3H), 3.53(s,3H).
- 204 δ 7.85(s,1H), 7.75(d,1H), 7.4-7.7(m,5H), 7.3(d,1H), 7.05(t,1H),
5.23(m,2H), 3.34(s,3H), 2.19(s,3H).

- 205 δ 7.45-7.65(m,5H), 7.33(s,1H), 7.26(d,1H), 5.1-5.4(m,2H), 3.5(s,3H), 2.13(s,3H).
- 207 δ 7.60(d,1H), 7.50-7.30(m,4H), 7.25(m,2H), 5.23(d,1H), 5.20(d,1H), 3.89(s,3H), 3.41(s,3H), 2.18(s,3H), 1.68(s,4H), 1.26(m,12H).
- 209 δ 7.58(m,1H), 7.37(m,2H), 7.23(m,3H), 6.60(d,2H), 4.23(s,2H), 3.86(s,3H), 3.41(s,3H).
- 210 (for the *E/Z* mixture) δ 7.66 and 7.21 (2s,1H total), 7.25-7.54 (m,4H total), 3.93 and 3.91 (2s,3H total), 3.44 (s,3H), 1.54 and 1.25 (2s,9H total).
- 211 δ 8.18(s,1H), 7.98(m,1H), 7.82(m,1H), 7.50(m,4H), 7.30(d,1H), 5.59(d,1H), 5.46(d,1H), 3.39(s,3H), 3.41(s,3H).
- 212 δ 7.56(m,2H), 7.44(m,3H), 7.25(m,2H), 5.26(AB q, 2H), 3.9(s,3H), 3.41(s,3H), 2.1(s,3H).
- 214 δ 7.68(d,1H), 7.6(m,1H), 7.48(m,4H), 7.28(m,1H), 5.41(AB q,2H), 3.91(s,3H), 3.38(s,3H), 3.20(s,3H).
- 215 δ 7.6(d,1H), 7.3-7.5(m,4H), 7.2(d,1H), 6.95(s,1H), 6.9(d,1H), 4.27(s,2H), 3.86(s,3H), 3.41(s,3H), 1.95(s,3H).
- 217 δ 7.65(d,1H), 7.6-7.1(m,6H), 5.15(m,2H), 3.95(m,1H), 3.45(s,3H), 2.6(d,3H), 2.2(s,3H), 1.65(s,4H), 1.25(s,12H).
- 220 δ 3.6(s,3H), 6.8(m,3H), 7.0-7.1(m,3H), 7.1-7.2(m,2H), 7.3(m,1H), 7.35(m,3H), 7.45(m,1H).
- 221 [in Me₂SO-*d*₆]: δ 1.95(s,3H), 2.0(s,3H), 3.75(d,2H), 3.85(s,3H), 6.55(m,2H), 7.05(m,1H), 7.4-7.5(m,3H), 9.05(s,1H).
- 222 δ 7.76(s,1H), 7.60(m,1H), 7.54(m,1H), 7.36(d,1H), 7.32(d,1H), 6.94(d,1H), 5.29(s,2H), 3.89(s,3H), 3.41(s,3H), 2.21(s,3H), 0.28(s,9H).
- 231 δ 7.67(m,1H), 7.52(m,3H), 7.36(m,4H), 5.35(s,2H), 3.77(s,3H), 3.44(s,3H), 2.20(s,3H), 0.27(s,9H).
- 236 δ 8.68(d,1H), 7.80(s,1H), 7.75(d,1H), 7.60(m,2H), 7.43(m,2H), 5.54(d,1H), 5.40(d,1H), 3.82(s,3H), 3.35(s,3H), 2.17(s,3H).
- 237 δ 7.84(s,1H), 7.77(d,J=8Hz, 1H), 7.58(m,2H), 7.45(m,3H), 7.26(m,1H), 5.31(d,J=13Hz, 1H), 5.22(d,J=13Hz, 1H), 3.89(s,3H), 3.81(m,2H), 2.21 (s,3H), 1.33(t,J=7Hz, 3H).
- 238 δ 1.6-1.8 (m,13H), 2.0-2.1 (m,5H), 3.44 (s,3H), 3.94 (s,3H), 5.09 (s,2H), 7.32 (m,3H), 7.48 (m,1H).
- 240 δ 7.39 (m,1H), 7.33 (d,2H), 7.26 (m,1H), 6.99 (m,2H), 6.88 (m,2H), 5.01 (s,2H), 3.84 (s,3H), 3.81 (s,3H), 3.41 (s,3H).

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| 243 | δ 7.43 (m,3H), 7.28 (m,1H), 7.22 (m,2H), 7.15 (m,1H), 7.04 (m,1H), 5.12 (q,2H) 4.51 (s,2H), 3.89 (s,3H), 3.43 (s,3H), 1.91 (s,3H). |
| 244 | δ 7.45 (m,3H), 7.23 (m,1H), 5.06 (q,2H), 4.05 (s,2H), 3.93 (s,3H), 3.895 (s,3H), 3.44(s,3H), 1.94 (s,3H). |
| 246 | δ 7.58 (m,1H), 7.41 (m,4H), 7.26 (m,3H), 5.12 (q,2H), 4.08 (d,2H), 3.92 (s,3H), 3.44 (s,3H), 1.96 (s,3H). |
| 247 | δ 7.57 (m,1H), 7.44 (m,3H), 7.32 (d,2H), 7.24 (m,1H), 5.27 (q,2H), 3.9 (s,3H), 3.415 (s,3H), 2.12 (s,3H). |
| 249 | δ 7.44 (m,3H), 7.23 (m,1H), 6.96 (m,1H), 6.81 (m,2H), 5.12 (q,2H), 4.44 (s,2H), 3.9 (s,3H), 3.43 (s,3H), 1.89 (s,3H). |
| 250 | δ 7.6 (d,1H), 7.15-7.5 (m,5H), 6.95 (s,1H), 6.85 (d,1H), 4.24 (s,2H), 3.85 (s,3H), 3.41 (s,3H), 2.3 (m,2H), 1.05 (t,3H). |
| 252 | δ 7.45 (m,4H), 7.25 (m,2H), 5.30 and 5.10 (2m,2H total), 3.91 and 3.88 (2s,3H total), 3.42 and 3.41 (2s,3H total), 2.14 and 2.11 (2s,3H total). |
| 254 | δ 7.56 (m,3H), 7.45 (m,2H), 7.35 (t,1H), 7.25 (m,1H), 5.12 (q,2H), 4.01 (s,3H), 3.89 (s,3H), 3.43 (s,3H). |
| 255 | δ 3.47 (s,3H), 3.77 (s,3H), 6.61 (m,2H), 6.70 (m,1H), 7.01 (dd,1H,J=1.2,8.2), 7.2-7.3 (m,2H), 7.34-7.42 (m,2H). |
| 259 | δ 1.80 (d,6H), 3.52 (s,3H), 5.01 (q,2H), 7.26 (m,1H), 7.52 (m,3H). |
| 262 | δ 7.85 (d,1H), 7.8 (m,1H), 7.55 (d,1H), 7.45 (m,2H), 7.25 (1H), 7.2 (t,1H), 5.25 (m,2H), 3.9 (s,3H), 3.4 (s,3H), 2.19 (s,3H). |
| 265 | δ 7.84 (m,3H), 7.64 (d,2H), 7.6-7.55 (m,1H), 7.5-7.4 (m,2H), 3.88 (s,3H), 3.43 (s,3H). |
| 273 | δ 8.11 (d,2H), 7.85 (d,1H), 7.77 (d,2H), 7.6-7.5 (m,1H), 7.5-7.4 (m,2H), 3.89 (s,3H), 3.43 (s,3H). |
| 275 | δ 3.38 (s,3H), 3.85 (s,3H), 6.7-6.9 (m,3H), 6.95 (m,1H), 7.1 (m,1H), 7.2-7.4 (m,5H), 7.5 (m,1H), 7.6 (m,1H). |
| 276 | δ 3.38 (s,3H), 3.84 (s,3H), 6.71-6.78 (m,3H), 7.0-7.1 (m,2H), 7.2-7.4 (m,5H), 7.54 (m,1H), 7.95 (dd,1H,J=1.7,8.0). |
| 277 | δ 3.39 (s,3H), 3.85 (s,3H), 6.87 (t,1H), J=2.2), 6.92-6.96 (m,2H), 7.08 (d,1H, J=8.2), 7.17-7.26 (m,2H), 7.3-7.4 (m,3H), 8.3-8.4 (m,2H). |
| 281 | δ 8.13 (s,2H), 7.86 (s,1H), 7.58 (m,1H), 7.46 (m,2H), 7.24 (m,1H), 5.15 (q,2H), 4.11 (s,3H), 3.9 (s,3H), 3.41 (s,3H). |
| 282 | δ 7.78 (s,2H), 7.625 (s,1H), 7.42 (m,3H), 7.23 (m,1H), 5.03 (q,2H), 3.92 (d,3H), 3.67 (s,2H), 3.42 (s,3H), 1.905 (s,3H). |
| 283 | δ 7.45 (m,4H), 7.33 (s,2H), 7.24 (m,1H), 5.12 (q,2H), 4.57 (s,2H), 3.91 |

- (s,3H), 3.43 (s,3H), 1.925 (s,3H).
- 298 δ 8.0 (m,1H), 7.88 (m,1H), 7.625 (m,1H), 7.48 (m,4H), 7.25 (m,1H), 5.09 (m,2H), 4.046 (s,3H), 3.75 (s,3H), 3.49 (s,3H), 2.41 (s,3H), 2.32 (s,3H).
Compound is a 1:2 mixture of geometric isomers.
- 299 δ 7.85 (m,1H), 7.75 (m,1H), 7.38 (m,6H), 5.10 (q,2H), 4.10 (s,2H), 3.91 (s,3H), 3.44 (s,3H), 1.95 (s,3H).
- 300 δ 3.41 (s,3H), 3.88 (s,3H), 4.02 (s,3H), 5.17 (AB q,2H), 7.25 (m,1H), 7.46 (m,4H), 7.64 (m,1H), 7.8 (m,4H), 8.12 (s,1H).
- 301 δ 3.37 (s,3H), 3.86 (s,3H), 6.7-6.9 (m,3H), 7.0-7.1 (m,3H), 7.2-7.5 (m,4H), 7.61 (d,2H,J=9.0).
- 302 3.37 (s,3H), 3.86 (s,3H), 6.8-6.9 (m,3H), 7.03 (dd,2H,J=2.3,7.1), 7.1 (m,1H), 7.25 (m,1H), 7.3-7.5 (m,3H), 8.21 (dd,1H,J=2.3,7.1).
- 305 δ 7.37 (m,2H), 7.26 (m,3H), 7.02 (m,3H), 3.86 (s,3H), 3.37 (s,3H).
- 307 δ 8.30 (d,1H), 7.85 (dd,1H), 7.60-7.40 (m,3H), 7.20 (d,1H), 6.70 (d,1H), 5.21 (AB q,2H), 3.94 (s,3H), 3.89 (s,3H), 3.41(s,3H), 2.17 (s,3H).
- 308 δ 7.41 (m,3H), 7.21 (m,3H), 7.14 (m,1H), 5.06 (q,2H), 3.9 (s,3H), 3.58 (s,2H), 3.43 (s,3H), 1.89 (s,3H).
- 311 δ 8.18 (m,2H), 7.65 (m,1H), 7.55 (t,1H), 7.49 (s,2H), 7.13 (t,2H), 3.78 (s,3H), 3.36 (s,3H).
- 314 δ 3.39 (s,3H), 3.85 (s,3H), 6.8-7.0 (m,4H), 7.0-7.1 (m,2H), 7.2 (m,1H), 7.3-7.4 (m,3H), 7.69 (m,1H), 8.19 (dd,1H,J=1.8,5.0).
- 315 δ 3.40 (s,3H), 3.84 (s,3H), 6.9-7.0 (m,3H), 7.0-7.1 (m,2H), 7.2-7.3 (m,1H), 7.35-7.40 (m,3H), 8.55 (d,2H,J=4.7).
- 316 δ 2.38 (s,3H), 3.36 (s,3H), 3.79 (s,3H), 6.35 (d,1H,J=0.7), 7.0 (m,2H), 7.2-7.25 (m,2H), 7.3-7.6 (m,4H), 8.41 (d,1H,J=0.7).
- 334 δ 8.08 (d,2H), 7.65 (d,1H), 7.55 (m,1H), 7.49 (m,2H), 7.28 (d,2H), 3.77 (s,3H), 3.37 (s,3H), 2.52 (s,3H).
- 336 δ 7.41 (m,4H), 7.26 (m,2H), 7.21 (m,1H), 7.02 (d,1H), 3.81 (s,3H), 3.357 (s,3H).
- 337 [in Me₂SO-d₆]: δ 7.92-7.45 (m,8H), 3.79 (s,3H), 3.25 (s,3H).
- 339 δ 2.88 (s,3H), 3.37 (s,3H), 3.79 (s,3H), 6.35 (d,1H,J=0.7), 6.9 (m,2H), 7.10 (d,1H,J=7.5), 7.3-7.5 (m,5H), 8.43 (d,1H,J=0.7).
- 342 δ 8.22 (d,2H), 7.61-7.48 (m,4H), 7.28 (m,2H), 3.78 (s,3H), 3.36 (s,3H).
- 344 δ 8.19 (d,2H), 7.65-7.50 (m,2H), 7.49 (s,2H), 7.17 (d,2H), 6.57 (t,1H), 3.78 (s,3H), 3.36 (s,3H).
- 346 δ 7.86 (d,1H), 7.77 (m,1H), 7.55 (m,1H), 7.44 (m,2H), 7.23 (d,1H), 6.95

- (d,1H), 5.24 (q,2H), 4.44 (q,2H), 3.9 (s,3H), 3.4 (s,3H), 2.18 (s,3H).
- 347 δ 7.79 (t,1H), 7.57 (d,2H), 7.5 (m,3H), 7.23 (m,2H), 5.12 (AB q,2H), 3.98 (s,3H), 3.88 (s,3H), 3.42 (s,3H).
- 352 δ 2.22 (s,3H), 3.38 (s,3H), 3.82 (s,3H), 6.60-6.66 (m,3H), 6.97 (m,1H), 7.0-7.1 (m,2H), 7.1-7.3 (m,4H), 7.4-7.5 (m,2H).
- 353 δ 7.53 (m,2H), 7.45 (m,2H), 3.81 (s,3H), 3.40 (s,3H), 2.15 (m,1H), 1.0 (m,4H).
- 354 δ 7.56 (m,1H), 7.50 (m,1H), 7.46 (m,2H), 3.79 (s,3H), 3.40 (s,3H), 3.23 (t,1H), 2.05 (br m,2H), 1.90 (br m,2H), 1.80 (br m,2H), 1.70 (br m,2H).
- 355 [in C_6D_6]: δ 7.7 (d,2H), 7.2 (d,2H), 6.85 (m,4H), 6.6 (d,1H), 6.2 (d,1H), 5.35 (d,1H), 4.75 (d,1H), 3.10 (s, 3H).
- 356 δ 8.09 (d,2H), 7.65 (d,1H), 7.55 (m,1H), 7.5-7.35 (m,4H), 3.70 (s,3H), 3.24 (s,3H).
- 357 δ 7.49 (s,1H), 7.4 (m,1H), 7.3 (d,1H), 7.1 (m,2H), 5.19 (dd,2H), 3.90 (s,3H), 3.44 (s,3H).
- 360 δ 8.2 (s,1H), 7.95 (d,1H), 7.8 (d,1H), 7.6 (d,1H), 7.45 (m,3H), 7.25 (1H), 5.25 (m,2H), 3.89 (s,3H), 3.41 (s,3H), 2.22 (s,3H), 1.6 (s,9H).
- 363 δ 8.1 (d,1H), 8.0 (s,1H), 7.75-7.6 (m,2H), 7.55-7.4 (m,3H), 7.3 (m,1H), 3.45 (s,3H).
- 364 δ 8.24 (s,1H), 8.0 (d,1H), 7.65 (m,2H), 7.5 (m,3H), 3.45 (s,3H).
- 367 δ 8.26 (d,2H), 7.75-7.6 (m,4H), 7.55-7.45 (m,2H), 3.45 (s,3H).
- 368 δ 8.06 (d,2H), 7.75 (d,1H), 7.7-7.6 (m,1H), 7.5-7.4 (m, 4H), 3.46 (s,3H), 1.34 (s,9H).
- 369 δ 7.71 (d,1H), 7.65-7.55 (m,1H), 7.5-7.4 (m,2H), 3.49 (s,3H), 1.35 (s,9H).
- 370 δ 3.34 (s,3H), 3.76 (s,3H), 6.49 (d,1H,J=7.9), 6.53 (d,1H,J=7.9), 7.1-7.2 (m,3H), 7.2-7.4 (m,6H), 7.64 (t,1H,J=8.0).
- 372 δ 3.40 (s,3H), 3.74 (s,3H), 3.84 (s,3H), 6.5-6.6 (m,2H), 6.7 (m,1H), 6.95 (m,1H), 7.2-7.3 (m,2H), 7.3-7.4 (m,2H), 7.6-7.7 (m,1H), 8.07 (dd,1H,J=1.8, 8.1), 8.15 (dd,1H,J=1.7,7.8).
- 373 δ 7.93 (m,3H), 7.56 (m,1H), 7.43 (m,2H), 7.25 (m,1H), 5.3 (q,2H), 3.89 (s,3H), 3.4 (s,3H), 2.15 (s,3H).
- 374 δ 7.5 (d,1H), 7.4 (m,4H), 7.2 (d,1H), 7.1 (d,2H), 5.1 (q,2H), 3.888 (s,3H), 3.4 (m,5H), 1.715 (s,3H), 0.252 (s,10H).
- 375 δ 7.4-7.6 (m,5H), 7.2 (d,2H), 7.1 (d,2H), 5.0-5.2 (q,2H), 3.5 (s, 4H), 3.382 (s,2H), 1.695 (s,3H), 0.250 (s,10H).
- 381 δ 3.4 (s,3H), 3.8 (s,3H), 7.2-7.6 (m,6H), 7.8 (d,1H), 8.0 (s,1H).

- 384 δ 3.34 (s,3H), 3.82 (s,3H), 7.05 (m,1H), 7.21 (m,2H), 7.36-7.50 (m,5H),
7.71 (d,1H), 7.81 (d,2H).
- 386 δ 2.33 (s,3H), 3.38 (s,3H), 3.83 (s,3H), 6.65-6.75 (m,3H), 6.95
(d,2H,J=8.5), 7.01 (dd,1H,J=1.3,8.3), 7.14 (d,2H,J=8.2), 7.2-7.3(m,2H),
7.3-7.4 (m,2H).
- 387 δ 3.39 (s,3H), 3.82 (s,3H), 3.83 (s,3H), 6.65-6.72 (m,3H), 6.99-7.04
(m,2H), 7.2-7.3 (m,3H), 7.3-7.4 (m,2H), 7.5 (m,1H), 7.91
(dd,1H,J=1.8,7.8)
- 389 δ 2.05 (s,3H), 3.39 (s,3H), 3.83 (s,3H), 6.7-6.8 (m,3H), 6.8-6.9 (m,2H),
6.95 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,3H), 7.3-7.4 (m,2H).
- 390 δ 8.15 (s,1H), 8.05 (m,1H), 7.65 (d,1H), 7.55 (t,1H), 7.46 (m,4H), 3.70
(s,3H), 3.24 (s,3H).
- 391 δ 7.80 (s,1H), 7.65 (d,1H), 7.45 (m,2H), 7.35 (m,2H), 7.30-7.20 (m,3H),
6.53 (s,1H), 5.50 (d,1H), 5.35 (d,1H), 3.80 (s,3H), 3.43 (s,3H).
- 392 δ 7.67 (d,2H), 7.62 (d,1H), 7.60-7.35 (m,4H), 3.77 (s,3H), 3.35 (s,3H).
- 393 δ 7.55 (m,2H), 7.45 (m,2H), 3.89 (s,3H), 3.42 (s,3H), 3.40 (s,3H).
- 394 δ 7.63 (d,2H), 7.5 (m,1H), 7.43 (m,1H), 3.5 (s,3H), 3.42 (s,3H).
- 395 δ 8.18 (d,2H), 7.75-7.6 (m,2H), 7.55-7.45 (m,2H), 7.25 (m,2H), 3.45
(s,3H).
- 396 δ 3.4 (s,3H), 3.9 (s,3H), 7.3-7.6 (m,8H), 8.1 (d,1H).
- 397 δ 3.4 (s,3H), 3.9 (s,3H), 7.38-7.5 (m,7H), 8.2 (1H), 7.61 (d,1H).
- 400 δ 7.93 (t,1H), 7.845 (t,1H), 7.77 (t,1H), 7.57 (m,1H), 7.45 (m,2H), 7.25
(m,1H), 5.12 (q,2H), .40 (s,3H), 3.9 (s,3H), 3.43 (s,3H).
- 401 δ 7.74 (s,1H), 7.6 (m,2H), 7.45 (m,2H), 7.31 (d,1H), 7.2 (m,1H), 5.13
(AB q,2H), 4.06 (s,3H), 3.90 (s,3H), 3.42 (s,3H).
- 402 δ 7.85 (1H), 7.65 (m,2H), 7.4 (m,2H), 7.25 (m,2H), 4.6 (m,2H), 3.94
(s,3H), 3.39 (s,3H).
- 406 δ 7.87 (d,2H), 7.45-7.35 (m,3H), 7.3 (m,2H), 7.07 (t,2H), 5.33 (s,2H),
3.92 (s,3H), 3.46 (s,3H).
- 410 δ 3.4 (s,3H), 3.89 (s,3H), 7.4-7.73 (m,8H).
- 411 δ 3.4 (s,3H), 3.87 (s,3H), 7.3-7.6 (m,12H).
- 412 δ 2.3 (s,9H), 3.4 (s,3H), 3.87 (s,3H), 7.5 (m,6H), 7.7 (d,2H).
- 415 δ 3.40 (s,3H), 3.80 (s,3H), 6.6-6.8 (m,3H), 6.9-7.1 (m,4H), 7.2 (m,2H),
7.4 (m,3H).
- 417 δ 2.14 (s,3H), 3.35 (s,3H), 3.75 (s,3H), 6.40 (d,1H,J=8.0), 6.48
(d,1H,J=8.0), 7.03 (m,1H), 7.1-7.3 (m,5H), 7.3-7.4 (m,2H), 7.59 (m,1H).

- 418 δ 3.38 (s,3H), 3.82 (s,3H), 6.65-6.75 (m,3H), 7.0-7.3 (m,7H), 7.3-7.4 (m,2H).
- 419 δ 3.38 (s,3H), 3.86 (s,3H), 6.8-6.9 (m,2H), 7.0-7.1 (m,2H), 7.15 (m,1H), 7.2-7.3 (m,1H), 7.4-7.5 (m,3H), 8.35 (m,1H), 8.6 (m,1H).
- 420 δ 3.39 (s,3H), 3.82 (s,3H), 3.83 (s,3H), 6.6-6.7 (m,3H), 6.9-7.0 (m,1H), 7.0 (m,3H), 7.1-7.2 (m,3H), 7.3-7.4 (m,2H).
- 421 δ 3.39 (s,3H), 3.82 (s,3H), 3.93 (s,3H), 6.46 (d,1H,J=7.8), 6.66 (d,1H,J=7.7), 6.9-7.0 (m,3H), 7.1-7.3 (m,4H), 7.4 (m,2H).
- 422 δ 3.39 (s,3H), 3.85 (s,3H), 6.68 (d,1H,J=6.5), 6.85-6.95 (m,3H), 7.04 (dd,1H,J=1.2,8.3), 7.25 (m,1H), 7.30-7.45 (m,4H).
- 423 δ 3.38 (s,3H), 3.83 (s,3H), 6.7-6.8 (m,3H), 7.0-7.1 (m,2H), 7.2-7.3 (m,3H), 7.4 (m,2H), 7.5 (m,1H), 7.66 (m,1H).
- 424 δ 2.13 (s,6H), 3.38 (s,3H), 3.81 (s,3H), 6.5 (m,2H), 6.6 (m,1H), 7.0-7.2 (m,6H), 7.35 (m,2H).
- 425 δ 3.4 (s,3H), 3.89 (s,3H), 7.4 (m,5H), 7.7 (s,2H).
- 427 δ 8.18 (s,1H), 8.05 (d,1H), 7.75 (m,2H), 7.55 (m,2H), 7.4 (m,2H), 3.45 (s,3H).
- 428 δ 3.4 (s,3H), 3.89 (s,3H), 7.3-7.6 (m,6H), 7.65 (d,1H), 7.8 (3,1H).
- 430 δ 7.65 (d,1H), 7.05-7.6 (m,11H), 6.9 (d,1H), 5.15 (m,2H), 3.89 (s,3H), 3.38 (s,3H).
- 442 δ 7.82 (t,1H), 7.64 (m,3H), 7.44 (m,3H), 7.25 (m,1H), 6.71 (s,1H), 5.13 (q,2H), 4.0 (s,3H), 3.88 (s,3H), 3.41 (s,3H).
- 447 δ 3.39 (s,3H), 3.83 (s,3H), 6.60-6.75 (m,3H), 7.00-7.10 (m,3H), 7.2-7.4 (m,5H), 7.62 (dd,1H,J=1.6,7.8).
- 448 δ 1.19 (t,3H), 2.62 (q,2H,J=7.5), 3.39 (s,3H), 3.82 (s,3H), 6.60-6.70 (m,3H), 6.95 (m,1H), 7.05 (m,1H), 7.1-7.3 (m,5H), 7.38 (m,2H).
- 449 δ 7.55 (m,2H), 7.47 (m,2H), 3.86 (s,3H), 3.39 (s,3H).
- 452 δ 8.05 (s,1H), 7.65 (d,1H), 7.60 (m,1H), 7.55 (m,1H), 7.45 (m,2H), 7.35 (m,1H), 3.78 (s,3H), 3.36 (s,3H).
- 453 δ 7.75 (s,1H), 7.60 (d,1H), 7.55 (m,1H), 7.45 (m,2H), 7.40 (d,1H), 7.10 (m,1H), 3.79 (s,3H), 3.38 (s,3H).
- 454 δ 3.4 (s,3H), 3.87 (s,3H), 7.3-7.6 (m,13H).
- 455 δ 3.4 (s,3H), 3.9 (s,3H), 7.4-7.6 (m,4H), 8.0 (s,1H), 8.3 (s,2H).
- 462 δ 7.65-7.55 (m,2H), 7.5-7.45 (m,3H), 7.04 (d,1H), 3.80 (s,3H), 3.38 (s,3H).
- 466 δ 3.408 (s,3H), 3.89 (s,3H), 7.4-7.6 (m,3H), 7.7 (d,1H), 7.9 (d,1H).

- 467 δ 8.2 (s,1H), 8.1 (d,1H), 7.6 (d,1H), 7.35-7.55 (m,5H), 3.84 (s,3H), 3.40 (s,3H).
- 468 δ 3.35 (s,3H), 3.84 (s,3H), 3.88 (s,3H), 7.0 (m,4H), 7.2 (m,2H), 7.4 (m,2H), 7.71 (dd,2H), 8.03 (s,1H).
- 469 δ 3.38 (s,3H), 3.86 (s,3H), 6.68 (d,1H,J=8.5), 6.80 (m,1H), 6.82-6.91 (m,3H), 7.07 (dd,1H,J=1.0,8.2), 7.2(m,1H), 7.3-7.5 (m,4H).
- 470 δ 3.40 (s,3H), 3.83 (s,3H), 6.66 (m,2H), 6.76 (m,1H), 7.04 (d,1H,J=8.2), 7.2-7.5 (m,7H).
- 471 δ 3.40 (s,3H), 3.83 (s,3H), 6.6 (m,1H), 6.65 (m,1H), 6.80 (m,1H), 7.00 (m,1H), 7.2-7.3 (m,2H), 7.35-7.40 (m,2H), 7.55-7.60 (m,1H), 8.19 (d,2H,J=8.2).
- 472 δ 3.38 (s,3H), 3.84 (s,3H), 6.7-6.9 (m,5H), 7.0-7.5 (m,6H).
- 473 δ 2.16 (s,3H), 2.29 (s,3H), 3.38 (s,3H), 3.83 (s,3H), 6.6-6.7 (m,3H), 6.76 (m,1H), 6.89 (d,1H,J=7.8), 7.02 (m,1H), 7.1 (m,1H), 7.2-7.3 (m,2H), 7.3-7.4 (m,2H).
- 479 δ 7.57 (m,2H), 7.44 (m,2H), 7.24 (m,2H), 7.05 (d,1H), 5.21 (q,2H), 3.89 (s,3H), 3.4 (s,3H), 3.02 (m,2H), 2.15 (s,3H), 1.95 (m,2H), 1.33 (s,6H).
- 480 δ 7.48 (m,4H), 7.27 (m,3H), 5.23 (q,2H), 3.89 (s,3H), 3.66 (t,2H), 3.4 (s,3H), 3.09 (t,2H), 2.17 (s,3H), 2.06 (m,2H).
- 481 δ 7.5 (m,4H), 7.2(m,2H), 7.03 (d,1H), 5.26 (AB q,2H), 3.46 (s,3H), 3.01 (m,2H), 2.14 (s,3H), 1.9 (m,2H), 1.32 (s,6H).
- 482 δ 7.51 (m,4H), 7.26 (m,3H), 5.22 (dd,2H), 3.65 (t,2H), 3.46 (s,3H), 3.08 (t,2H), 2.15 (s,3H), 2.08 (m,2H).
- 483 δ 7.55 (d,1H), 7.45 (m,2H), 7.2-7.35 (m,4H), 6.95 (d,1H), 5.25 (m,2H), 4.4 (m,2H), 3.88 (s,3H), 3.40 (s,3H), 2.18 (s,3H).
- 485 δ 7.6-7.45 (m,5H), 3.82 (s,3H), 3.38 (s,3H).
- 490 δ 8.35 (s,1H), 8.15 (d,1H), 7.7-7.4 (m,8H), 7.36 (m,3H), 3.78 (s,3H), 3.37 (s,3H).
- 492 δ 8.15 (s,1H), 8.00 (d,1H), 7.65-7.30 (m,6H), 4.24 (q,2H), 3.76 (s,3H), 3.37 (s,3H), 1.48 (t,3H).
- 493 δ 8.35 (s,1H), 8.15 (d,1H), 7.7-7.4 (m,7H), 7.09 (m,3H), 3.79 (s,3H), 3.38 (s,3H).
- 494 δ 8.65 (d,1H), 8.40 (s,1H), 8.20 (d,1H), 7.75-7.4 (m,9H), 3.78 (s,3H), 3.38 (s,3H).
- 495 δ 8.25 (s,1H), 8.10 (d,1H), 7.65-7.45 (m,5H), 7.40 (t,1H), 4.9 (m,1H), 4.51 (m,2H), 3.90 (m,1H), 3.77 (s,3H), 3.60 (m,1H), 3.37 (s,3H),

- 1.90-1.55 (m,6H).
- 497 δ 10.09 (s,1H), 8.66 (s,1H), 8.45 (d,1H), 8.0 (d,1H), 7.7-7.55 (m,3H) 7.5 (m,2H), 3.80 (s,3H), 3.36 (s,3H).
- 499 δ 3.38 (s,3H), 3.86 (s,3H), 6.75 (s,1H), 6.75-6.83 (m,2H), 6.88 (d,2H,J=1.7), 7.05 (m,2H), 7.09 (t,1H,J=1.7), 7.2-7.4 (m,3H).
- 503 δ 3.38 (s,3H), 3.84 (s,3H), 6.7-6.8 (m,3H), 7.04 (dd,1H,J=1.1, 8.2), 7.19-7.45 (m,9H).
- 504 δ 3.38 (s,3H), 3.84 (s,3H), 6.70 (m,2H), 6.75-6.83 (m,4H), 7.04 (dd,1H,J=1.0,8.2), 7.2-7.3 (m,3H), 7.35-7.40 (m,2H).
- 505 δ 3.38 (s,3H), 3.84 (s,3H), 6.7-6.8 (m,3H), 6.8-6.9 (m,1H), 6.9-7.1 (m,3H), 7.2-7.3 (m,2H), 7.35-7.40 (m,2H).
- 506 δ 3.38 (s,3H), 3.83 (s,3H), 6.6-6.7 (m,3H), 6.80-7.00 (m,4H), 7.20-7.25 (m,2H), 7.30-7.40 (m,2H).
- 508 δ 8.9 (s,1H), 8.4 (d,1H), 7.65 (d,1H), 7.55 (m,1H), 7.49 (m,2H), 6.9 (d,1H), 4.82 (q,2H), 3.80 (s,3H), 3.37 (s,3H).
- 515 δ 7.85 (s,1H), 7.8 (d,1H), 7.3-7.6 (m,6H), 3.85 (s,3H), 3.40 (s,3H), 2.49 (s,3H).
- 526 δ 7.57 (m,2H), 7.49 (m,2H), 7.02 (d,1H), 6.45 (d,1H), 3.80 (s,3H), 3.37 (s,3H).
- 527 δ 8.21 (d,2H), 8.1 (d,2H), 7.7-7.4 (m,9H), 3.77 (s,3H), 3.37 (s,3H).
- 528 δ 7.7 (d,1H), 7.6-7.4 (m,5H), 7.25 (m,1H), 6.9 (dd,1H), 6.75 (s,1H), 3.80 (s,3H), 3.34 (s,3H).
- 530 δ 7.55 (m,2H), 7.45 (m,2H), 3.83 (s,3H), 3.39 (s,3H), 1.32 (s,9H).
- 531 δ 7.75 (d,1H), 7.7-7.4 (m,5H), 7.3 (m,1H), 6.95 (dd,1H), 3.77 (s,3H), 3.38 (s,3H), 1.00 (t,9H), 0.76 (q,6H).
- 532 δ 7.75 (d,1H), 7.65 (m,2H), 7.6-7.45 (m,3H), 7.3 (m,1H), 6.9 (m,1H), 3.77 (s,3H), 3.38 (s,3H), 1.00 (s,9H), 0.22 (s,6H).
- 533 δ 8.1 (d,1H), 8.05 (s,1H), 7.65-7.55 (m,2H), 7.5-7.45 (m,3H), 7.3 (dd,1H), 4.88 (s,2H), 3.78 (s,3H), 3.37 (s,3H).
- 534 δ 8.1 (d,1H), 8.0 (s,1H), 7.65-7.45 (m,5H), 7.3 (m,1H), 6.5 (q,1H), 3.78 (s,3H), 3.37 (s,3H), 1.92 (d,3H).
- 535 δ 8.1 (d,1H), 8.0 (s,1H), 7.65-7.55 (m,2H), 7.5-7.45 (m,3H), 7.3 (m,1H), 7.15 (dd,1H), 5.05 (dd,1H), 4.7 (dd,1H), 3.78 (s,3H), 3.37 (s,3H).
- 536 δ 8.05 (d,1H), 7.95 (s,1H), 7.65-7.4 (m,5H), 7.15 (dd,1H), 3.77 (s,3H), 3.37 (s,3H), 1.37 (s,9H).
- 537 δ 7.95 (d,1H), 7.7-7.3 (m,6H), 7.15 (dd,1H), 5.28 (s,2H), 3.8 (s,2H), 3.77

- (s,3H), 3.38 (s,3H), 0.95 (m,2H), 0.0 (s,9H).
- 538 δ 8.2 (d,1H), 8.1 (s,1H), 7.65-7.45 (m,5H), 7.35 (dd,1H), 3.80 (s,3H), 3.37 (s,3H).
- 539 δ 7.64 (d,1H), 7.55 (m,1H), 7.47 (m,3H), 3.80 (s,3H), 3.39 (s,3H).
- 540 δ 7.54 (m,2H), 7.46 (m,2H), 7.33 (s,1H), 7.24 (m,3H), 4.09 (s,2H), 3.73 (s,3H), 3.39 (s,3H).
- 541 δ 7.53 (m,2H), 7.46 (m,2H), 7.27 (s,4H), 4.08 (s,2H), 3.73 (s,3H), 3.38 (s,3H).
- 547 [in C_6D_6]: δ 8.15 (s,1H), 8.1 (d,1H), 7.15 (m,1H), 7.1 (t,1H), 7.05 (m,1H), 6.9 (dd,1H), 6.8 (m,2H), 3.25 (s,3H), 3.0 (s,3H), 0.15 (s,9H).
- 548 δ 7.75 (d,1H), 7.7 (s,1H), 7.65 (s,1H), 7.55 (m,1H), 7.5 (m,2H), 7.35 (t,1H), 7.0 (d,1H), 6.15-6.0 (m,1H), 5.45 (d,1H), 5.3 (d,1H), 4.6 (d,2H), 3.77 (s,3H), 3.37 (s,3H).
- 549 δ 7.8 (d,1H), 7.75 (s,1H), 7.65 (s,1H), 7.55 (m,1H), 7.5 (m,2H), 7.35 (t,1H), 7.05 (dd,1H), 6.05 (s,1H), 5.7 (s,1H), 4.7 (s,2H), 3.78 (s,3H), 3.37 (s,3H).
- 553 δ 7.3-7.5 (m,3H), 7.2 (s,1H), 4.4-4.7 (q,2H), 3.861 (s,3H), 3.6 (q,2H), 3.384 (s,3H).
- 554 δ 7.65 (s,2H), 7.35-7.6 (m,4H), 7.3 (1H), 7.1 (1H), 3.8 (s,3H), 3.4 (s,3H).
- 556 δ 8.21 (s,1H), 8.05 (d,1H), 7.65 (d,1H), 7.55 (m,1H), 7.5 (m,3H), 7.4 (m,1H), 6.8 (dd,1H), 5.85 (d,1H), 5.3 (d,1H), 3.77 (s,3H), 3.37 (s,3H).
- 562 δ 8.2 (s,1H), 8.1 (d,1H), 7.35-7.65 (m,6H), 3.84 (s,3H), 3.40 (s,3H).
- 563 [in Me_2SO-d_6]: δ 7.75 (d,1H), 7.65 (m,2H), 7.55 (t,1H), 3.80 (s,3H), 3.5 (m,4H), 3.27 (s,3H), 1.15 (m,6H).
- 564 δ 7.85 (s,1H), 7.75 (d,1H), 7.3-7.6 (m,6H), 3.84 (s,3H), 3.40 (s,3H), 2.9 (m,2H), 1.3 (t,3H).
- 566 δ 8.82 (d,J=5Hz,1H), 7.95 (s,1H), 7.61 (m,1H), 7.47 (m,3H), 7.25 (m,1H), 5.19 (m,2H), 4.11 (s,3H), 3.90 (s,3H), 3.42 (s,3H).
- 567 δ 8.14 (d,2H), 7.6 (d,2H), 7.56 (m,2H), 7.49 (m,2H), 3.78 (s,3H), 3.36 (s,3H), 3.19 (s,1H).
- 568 δ 8.11 (d,2H), 7.6 (m,2H), 7.53 (d,2H), 7.48 (m,2H), 3.77 (s,3H), 3.36 (s,3H), 0.26 (s,9H).
- 569 δ 7.55 (m,2H), 7.46 (m,2H), 3.86 (s,3H), 3.40 (s,3H).
- 570 δ 7.51 (m,5H), 7.26 (m,3H), 5.23 (q,2H), 3.89 (s,3H), 3.41 (s,3H), 2.48 (s,3H), 2.17 (s,3H).
- 571 δ 7.55 (d,1H), 7.39 (m,4H), 7.2 (m,1H), 7.08 (1H), 6.99 (d,1H), 4.34

- (m,2H), 3.84 (s,3H), 3.42 (s,3H), 2.46 (s,3H).
- 572 δ 8.25 (m,1H), 8.15 (d,1H), 7.65-7.45 (m,6H), 7.39 (t,1H), 3.78 (s,3H), 3.37 (s,3H).
- 573 δ 7.65 (d,1H), 7.55 (m,1H), 7.49 (m,4H), 6.85 (m,1H), 5.26 (s,4H), 3.77 (m,7H), 3.39 (s,3H), 1.0 (m,4H), 0.00 (s,18H).
- 575 δ 7.78 (distorted d,1H), 7.57-7.50 (m,2H), 7.45-7.40 (m,2H), 7.30-7.28 (m,1H), 7.04 (s,1H), 6.83-6.80 (m,1H), 3.95 (apparent d, 3H), 1.28 (s,9H).
- 576 δ 7.6 (d,1H), 7.45 (m,4H), 7.4 (s,1H), 7.25 (m,2H), 5.0 (m,2H), 3.91 (s,3H), 3.41 (s,3H), 2.24 (s,3H).
- 577 δ 7.55 (m,2H), 7.5 (m,2H), 7.45 (d,2H), 6.67 (t,1H), 4.43 (q,4H), 3.81 (s,3H), 3.33 (s,3H).
- 580 δ 7.55 (s,1H), 7.4 (m,4H), 7.2 (m,1H), 6.7 (m,2H), 4.33 (m,2H), 3.86 (s,3H), 3.43 (s,3H), 2.44 (s,3H).
- 581 δ 7.53 (d,1H), 7.4 (m,2H), 7.2 (m,1H), 7.06 (m,1H), 6.71 (d,2H), 4.32 (q,2H), 3.875 (s,3H), 3.44 (s,3H), 2.46 (s,3H).
- 589 major component: δ 7.33 (d,1H), 6.95 (d,1H), 5.31 (d,2H), 3.904 (s,3H), 3.42 (s,3H), 2.74 (q,2H), 1.11 (t,3H) plus peaks overlapping with minor component at 7.88 (d), 7.79 (m), 7.61 (d), 7.49 (t);
minor component: δ 6.62 (s,1H), 5.22 (d,2H), 3.899 (s,3H), 3.41 (s,3H), 2.45 (s,3H), 2.22 (d,3H) plus peaks overlapping with major component at 7.88 (d), 7.79 (m), 7.61 (d), 7.49 (t).
- 604 δ 8.69 (m,1H), 7.94 (s,1H), 7.85-7.73 (m,4H), 7.61 (d,1H), 7.59-7.45 (m,2H), 7.38 (dd,1H), 5.50 (AB pattern, 2H), 3.78 (s,3H), 3.35 (s,3H), 2.25 (s,3H).
- 613 δ 7.70 (s,1H), 7.54 (m,4H), 7.34 (t,1H), 5.11 (s,2H), 3.86 (s,3H), 3.32 (s,3H), 2.14 (s,3H), 0.28 (s,9H).
- 614 δ 7.86 (s,1H), 7.76 (d,1H), 7.64 (d,1H), 7.59 (d,1H), 7.54 (d,1H), 7.53-7.47 (m,1H), 5.01 (br s,2H), 4.96 (s,2H), 3.89 (s,3H), 3.33 (s,3H).
- 645 δ 7.65 (d,1H), 7.6 (s,1H), 7.4-7.55 (m,4H), 7.35 (t,1H), 7.25 (d,1H), 5.2 (m,2H), 4.0 (m,1H), 3.43 (s,3H), 2.6 (d,3H), 2.23 (s,3H), 0.24 (s,9H).
- 649 δ 7.6 (d,1H), 7.4-7.55 (m,5H), 7.35 (m,2H), 5.24 (s,2H), 3.54 (s,3H), 2.15 (s,3H).
- 650 δ 7.65 (m,2H), 7.55 (s,2H), 7.5 (m,2H), 7.25 (1H), 5.2 (m,2H), 4.1 (m,1H), 3.43 (s,3H), 2.55 (d,3H), 2.24 (s,3H), 0.25 (s,18H).
- 652 δ 7.2-7.7 (m,8H), 5.3 (d,2H), 3.4 (s,3H), 2.6 (s,6H), 2.2 (s,3H), 0.3

- (s,9H).
- 653 δ 7.85 (s,1H), 7.75 (d,1H), 7.6 (m,2H), 7.45 (m,3H), 7.2 (d,1H), 5.2 (m,2H), 3.5 (s,3H), 2.2 (s,3H), 2.0 (s,3H).
- 654 δ 7.45 (s,1H), 7.25-7.4 (m,3H), 7.2 (d,1H), 3.55 (s,3H), 2.28 (s,3H).
- 655 δ 7.25-7.4 (m,3H), 7.15 (d,1H), 3.5 (s,3H), 2.3 (m,2H), 2.2 (s,3H), 1.1 (t,3H).
- 656 δ 8.1 (d,1H), 8.0 (s,1H), 7.65-7.4 (m,4H), 7.3 (m,1H), 3.79 (s,3H), 3.36 (s,3H).
- 658 δ 8.03 (s,2H), 7.55 (s,2H), 7.5 (s,1H), 7.45 (s,1H), 3.81 (s,3H), 3.35 (s,3H).
- 659 δ 8.61 (s,2H), 7.95 (s,1H), 7.56 (m,2H), 7.5 (m,1H), 3.82 (s,3H), 3.35 (s,3H).
- 661 δ 8.25 (d,2H), 7.69 (d,2H), 7.59 (d,1H), 7.55-7.5 (m,2H), 3.79 (s,3H), 3.35 (s,3H).
- 663 δ 7.55 (d,1H), 7.5 (m,2H), 3.79 (s,3H), 3.40 (s,3H), 1.35 (s,9H).
- 664 δ 7.98 (d,2H), 7.65 (d,1H), 7.53 (d,2H), 7.5-7.35 (m,2H), 3.77 (s,3H), 3.30 (s,3H).
- 665 δ 8.2 (s,1H), 7.95 (d,1H), 7.65 (d,1H), 7.5-7.35 (m,3H), 3.79 (s,3H), 3.31 (s,3H).
- 667 δ 8.05 (d,1H), 7.95 (s,1H), 7.65 (d,1H), 7.5-7.35 (m,3H), 7.3 (d,1H), 3.77 (s,3H), 3.45 (s,3H).
- 668 δ 7.6 (dd,1H), 7.5 (m,2H), 3.81 (s,3H), 3.36 (s,3H), 1.30 (s,9H).
- 670 δ 8.58 (s,2H), 7.95 (s,1H), 7.65 (d,1H), 7.5-7.35 (m,2H), 3.80 (s,3H), 3.31 (s,3H).
- 671 δ 8.04 (d,2H), 7.6 (dd,1H), 7.43 (d,4H), 3.75 (s,3H), 3.31 (s,3H), 1.32 (s,9H).
- 681 δ 2.22 (s,3H), 2.25 (s,3H), 3.39 (s,3H), 3.82 (s,3H), 6.80-6.90 (m,3H), 6.82 (d,1H,J=8.2), 6.93 (d,1H,J=7.7), 7.05-7.10 (m,2H), 7.15-7.30 (m,4H).
- 682 δ 2.26 (s,3H), 3.39 (s,3H), 3.82 (s,3H), 6.65 (m,1H), 6.70 (m,2H), 6.84 (d,1H,J=7.5), 7.0-7.1 (m,4H), 7.2-7.4 (m,4H).
- 683 δ 2.26 (s,3H), 3.39 (s,3H), 3.83 (s,3H), 6.6-6.7 (m,3H), 6.84 (d,1H,J=7.4), 7.0-7.15 (m,3H), 7.2-7.3 (m,3H), 7.49 (dd,1H,J=1.7,7.9).
- 684 δ 2.26 (s,3H), 3.39 (s,3H), 3.82 (s,3H), 6.6-6.7 (m,3H), 6.84 (d,1H,J=7.7), 7.0-7.2 (m,5H), 7.25 (m,1H), 7.28 (m,1H).
- 688 δ 2.26 (s,3H), 3.38 (s,3H), 3.84 (s,3H), 6.63 (t,1H,J=2.2), 6.68 (m,2H),

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| | 6.83 (d,1H,J=8.0), 6.95-7.10 (m,5H), 7.2 (m,1H), 7.26 (m,1H). |
| 689 | δ 2.26 (s,3H), 3.39 (s,3H), 3.81 (s,3H), 6.6-6.7 (m,3H), 6.82 (m,1H), 6.95-7.05 (m,3H), 7.1-7.2 (m,2H), 7.28 (m,1H). |
| 692 | δ 7.99 (s,2H), 7.50 (m,1H), 7.38 (m,2H), 3.82 (s,3H), 3.38 (s,3H), 2.32 (s,3H). |
| 700 | δ 7.35 (d,J=9.0Hz,1H), 7.15 (d,J=2.7Hz,1H), 6.93 (dd,J=9.0, 2.7 Hz,1H), 3.85 (s,3H), 3.78 (s,3H), 3.39 (s,3H), 1.37 s,9H). |
| 707 | δ 2.28 (s,3H), 3.36 (s,3H), 3.91 (s,3H), 6.85 (m,1H), 6.9-7.0 (m,2H), 7.25 (m,1H), 7.3-7.4 (m,2H). |
| 726 | δ 2.26 (s,3H), 3.39 (s,3H), 3.83 (s,3H), 6.6-6.7 (m,3H), 6.80-6.85 (m,1H), 7.0-7.1 (m,3H), 7.2-7.4 (m,3H), 7.61 (dd,1H,J=1.4,7.8). |

^a ¹H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (dd)-doublet of doublets, (dt)-doublet of triplets, (br)-broad, (br s)-broad singlet, (br m)-broad multiplet, (AB q)-AB pattern quartet. Coupling constants (indicated by J) are in Hertz.

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BIOLOGICAL EXAMPLES OF THE INVENTION

Test compounds were first dissolved in acetone in an amount equal to 3% of the final volume and then suspended at a concentration of 200 ppm in purified water containing 250 ppm of the surfactant Trem[®] 014 (polyhydric alcohol esters). The resulting test suspensions were then used in Tests A-F. Spraying these 200 ppm test suspensions to the point of run-off on the test plants is the equivalent of a rate of 500 g/ha.

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TEST A

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of *Erysiphe graminis* f. sp. *tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20°C for 7 days, after which disease ratings were made.

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TEST B

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of *Puccinia recondita* (the causal agent of wheat leaf rust) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 6 days, after which disease ratings were made.

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TEST C

The test suspension was sprayed to the point of run-off on rice seedlings. The following day the seedlings were inoculated with a spore suspension of *Pyricularia oryzae* (the causal agent of rice blast) and incubated in a saturated atmosphere at 27°C for 24 h, and then moved to a growth chamber at 30°C for 5 days, after which disease ratings were made.

TEST D

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

TEST E

The test suspension was sprayed to the point of run-off on grape seedlings. The following day the seedlings were inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h, moved to a growth chamber at 20°C for 6 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings were made.

TEST F

The test suspension was sprayed to the point of run-off on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Botrytis cinerea* (the causal agent of gray mold on many crops) and incubated in a saturated atmosphere at 20°C for 48 h, and moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

Results for fungicide Tests A-F are given in Table A for compounds of Formulae IA and IB. In the table, a rating of 100 indicates 100% disease control and a rating of 0 indicates no disease control (relative to the controls). A dash (-) indicates no test results. ND indicates disease control not determined due to phytotoxicity.

Table A

| <u>Cmpd No.</u> | <u>Test A</u> | <u>Test B</u> | <u>Test C</u> | <u>Test D</u> | <u>Test E</u> | <u>Test F</u> |
|-----------------|---------------|---------------|---------------|---------------|------------------|---------------|
| 187 | 100 | 96 | 74 | 75 | 100 ^a | 88 |
| 239 | 99 | 97 | 90 | 73 | 5 ^a | 0 |
| 263 | 35 | 99 | 32 | 77 | 43 ^a | 44 |

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|-----|------------------|-----------------|-----------------|-----------------|------------------|----|
| 264 | 91 | 97 | 0 | 86 | 94 ^a | 44 |
| 265 | 35 | 93 | 0 | 0 | 96 ^a | 3 |
| 266 | 0 | 93 | 0 | 86 | 46 ^a | 3 |
| 267 | 0 | 97 | 0 | 77 | 30 ^a | 44 |
| 268 | 35 | 97 | 32 | 77 | 48 ^a | 3 |
| 269 | 100 | 99 | 53 | 93 | 68 ^a | 44 |
| 270 | 61 | 97 | 0 | 77 | 69 ^a | 0 |
| 271 | 61 | 97 | 0 | 64 | 41 ^a | 3 |
| 272 | 61 | 93 | 0 | 93 | 82 ^a | 3 |
| 273 | 77 | 85 | 0 | 77 | 79 ^a | 3 |
| 279 | 60 | 84 | 32 | 63 | 70 ^a | 92 |
| 280 | 100 ^a | 99 ^a | 39 ^a | 31 ^b | 94 ^a | - |
| 287 | 100 | 100 | 86 | 86 | 94 ^a | 44 |
| 288 | 99 | 100 | 74 | 76 | 99 ^a | 32 |
| 289 | 99 | 100 | 53 | 63 | 100 ^a | 0 |
| 290 | 99 | 100 | 53 | 86 | 100 ^a | 0 |
| 291 | 99 | 100 | 97 | 25 | 87 ^a | 0 |
| 292 | 100 | 100 | 53 | 86 | 63 ^a | 5 |
| 293 | 100 | 100 | 86 | 93 | 100 ^a | 68 |
| 294 | 99 | 99 | 91 | 76 | 100 ^a | 45 |
| 295 | 99 | 100 | 74 | 46 | 99 ^a | 82 |
| 296 | 100 | 100 | 86 | 93 | 100 ^a | 5 |
| 297 | 55 | 65 | 53 | 0 | 96 ^a | 45 |
| 306 | 99 | 85 | 32 | 0 | 5 ^a | 0 |
| 309 | 100 | 100 | 97 | 25 | 99 ^a | 0 |
| 310 | 99 | 99 | 74 | 63 ^b | 96 ^a | 0 |
| 311 | - | - | - | - | - | - |
| 312 | 73 | 85 | 53 | 25 | 99 ^a | 45 |
| 317 | 86 | 85 | 0 | 91 | 2 ^a | 0 |
| 320 | 99 | 97 | 86 | 100 | 91 ^a | 0 |
| 321 | 100 | 99 | 100 | 96 | 97 ^a | 0 |
| 322 | 99 | 93 | 53 | 91 | 78 ^a | 0 |
| 323 | 99 | 100 | 53 | 96 | 99 ^a | 42 |
| 324 | 99 | 99 | 53 | 91 | 86 ^a | 42 |
| 325 | 100 | 99 | 86 | ND | 100 ^a | 42 |
| 326 | 99 | 100 | 86 | 72 ^b | 97 ^a | 0 |

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|-----|-----------------|-----------------|----------------|-----------------|------------------|-----------------|
| 327 | 36 | 93 | 0 | 91 | 36 ^a | 0 |
| 328 | 61 | 93 | 0 | 91 | 57 ^c | 0 |
| 329 | 99 | 99 | 86 | 96 | 100 ^a | 66 |
| 330 | 0 | 93 | 32 | 96 | 94 ^a | 0 |
| 331 | 0 | 85 | 32 | 83 | 5 ^a | 0 |
| 332 | 61 | 93 | 32 | 91 | 57 ^a | 0 |
| 333 | 95 | 93 | 53 | 96 | 94 ^a | 66 |
| 334 | 100 | 97 | 91 | 83 | 61 ^a | 94 |
| 335 | 100 | 99 | 86 | 96 | 94 ^a | 3 |
| 337 | 99 | 97 | 0 | 96 | 100 ^a | 68 |
| 341 | 100 | 100 | 94 | 100 | 99 ^a | 94 |
| 342 | 100 | 100 | 100 | 36 | 100 ^a | 98 |
| 343 | 100 | 99 | 97 | 91 | 100 ^a | 68 |
| 344 | 100 | 99 | 100 | 96 | 94 ^a | 94 |
| 345 | 100 | 99 | 53 | ND | 100 ^a | 68 |
| 349 | 58 | 93 | 0 | 44 | 61 ^a | 39 |
| 353 | 98 ^d | 97 ^d | 0 ^d | 75 ^d | 36 ^a | 65 ^d |
| 354 | 99 | 100 | 0 | ND | 90 ^a | 0 |
| 358 | 99 | 100 | 94 | 92 | 100 ^a | 0 |
| 361 | 100 | 97 | 53 | 22 | 48 ^a | 0 |
| 363 | 100 | 100 | 74 | 70 | 30 ^a | 0 |
| 364 | 99 | 97 | 74 | 53 | 23 ^a | 88 |
| 365 | 98 | 97 | 53 | 53 | 43 ^a | 0 |
| 366 | 99 | 97 | 53 | 82 | 15 ^a | 38 |
| 367 | 99 | 97 | 53 | 85 | 5 ^a | 81 |
| 368 | 99 | 93 | 53 | 3 | 10 ^a | 88 |
| 369 | 97 | 100 | 53 | ND | 100 ^b | 0 |
| 372 | 57 | 94 | 0 | 26 | 5 ^a | 0 |
| 381 | 74 | 94 | 0 | 61 | 15 ^a | 69 |
| 387 | 96 | 97 | 53 | 72 | 85 ^a | 0 |
| 393 | 0 | 86 | 0 | 20 | - | 0 |
| 394 | 0 | 86 | 0 | 20 | - | 0 |
| 395 | 100 | 100 | 91 | 20 | - | 7 |
| 396 | 99 | 99 | 0 | 97 | 67 ^a | 0 |
| 397 | 99 | 100 | 0 | 77 | 58 ^a | 0 |
| 405 | 89 | 86 | 0 | 57 | 26 ^a | 0 |

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| | | | | | | |
|-----|-----------------|-----------------|-----------------|-----------------|------------------|----|
| 410 | 50 | 94 | 0 | 57 | 21 ^a | 0 |
| 411 | 50 | 99 | 0 | 91 | 11 ^a | 0 |
| 412 | 93 | 94 | 53 | 0 | 19 ^a | 7 |
| 413 | 100 | 100 | 94 | 72 | 100 ^b | 0 |
| 425 | 61 | 94 | 0 | 60 | 11 ^a | 0 |
| 427 | 95 | 97 | 53 | 74 | 45 ^a | 0 |
| 428 | 36 | 94 | 74 | 74 | 2 ^a | 0 |
| 445 | 99 | 86 | 0 | 96 | 100 ^b | 1 |
| 446 | 100 | 100 | 53 | 84 | 45 ^a | 81 |
| 449 | 0 | 68 | 74 | 15 | - | 0 |
| 452 | 99 | 100 | 85 | 75 | 5 ^a | 31 |
| 453 | 99 | 99 | 85 | 75 | 16 ^a | 31 |
| 454 | 38 | 67 | 50 | 99 | 76 ^a | 0 |
| 455 | 0 | 0 | 0 | 54 | 18 ^a | 92 |
| 457 | 100 | 93 | 73 | 91 | 89 ^a | 0 |
| 458 | 63 | 85 | 73 | 91 | 55 ^a | 0 |
| 459 | 100 | 93 | 93 | 91 | 100 ^a | 0 |
| 462 | 99 | 93 | 73 | 91 | 87 ^a | 0 |
| 465 | 0 | 85 | 0 | 0 | 23 ^a | 0 |
| 466 | 0 | 26 | 0 | 82 | 6 ^a | 0 |
| 467 | 100 | 100 | 90 | ND ^b | 100 ^a | 0 |
| 474 | 99 | 97 | 85 | 99 | 100 ^a | 0 |
| 475 | 100 | 99 | 85 | 91 | 100 ^a | 0 |
| 476 | 77 | 26 | 50 | 91 | 24 ^a | 77 |
| 477 | 99 | 93 | 50 ^a | 91 | 100 ^a | 0 |
| 478 | 98 | 100 | 97 | 92 | 82 ^a | 22 |
| 485 | 99 | 97 | 60 | 95 | 94 ^a | 97 |
| 486 | 73 ^a | 48 ^a | 14 ^b | 13 ^b | 67 ^a | - |
| 487 | 73 ^a | 70 ^c | 81 ^b | 32 ^b | 74 ^a | - |
| 488 | 98 | 99 | 74 | 62 | 63 ^a | 77 |
| 489 | 99 | 99 | 74 | 95 | 56 ^a | 0 |
| 490 | 99 | 99 | 74 | 28 | 72 ^a | 0 |
| 491 | 98 | 99 | 93 | 62 | 2 ^a | 87 |
| 492 | 91 | 99 | 74 | 99 | 28 ^a | 97 |
| 493 | 95 | 99 | 74 | 0 | - | 77 |
| 494 | 91 | 94 | 28 | 83 | 32 ^a | 92 |

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| | | | | | | |
|-----|------------------|-----------------|-----------------|-----------------|------------------|-----------------|
| 495 | 97 | 99 | 83 | 95 | 57 ^a | 32 |
| 496 | 98 | 99 | 0 | 0 | 10 ^a | 99 |
| 497 | 86 | 97 | 28 | 95 | 17 ^a | 87 |
| 500 | 100 | 100 | 94 | 92 | 100 ^a | 0 |
| 501 | 100 | 100 | 85 | 16 | 70 ^a | 0 |
| 507 | 99 | 99 | 74 | 100 | 100 ^a | 92 |
| 508 | 100 | 100 | 74 | 95 | 88 ^a | 92 |
| 509 | 100 | 100 | 90 | 99 | 100 ^a | 0 |
| 510 | 99 | 100 | 85 | 92 | 79 ^a | 55 |
| 511 | 99 | 100 | 90 | 73 | 34 ^a | 22 |
| 513 | 91 | 67 | 30 | 16 | 21 ^a | 85 |
| 515 | 100 | 100 | 97 | 99 | 100 ^a | 0 |
| 516 | 91 | 100 | 73 | 84 | 60 ^a | 85 |
| 517 | 99 | 100 | 90 | 92 | 44 ^a | 22 |
| 518 | 100 | 100 | 90 | 100 | 91 ^a | 85 |
| 519 | 60 | 93 | 51 | 16 | - | 0 |
| 520 | 100 | 100 | 90 | 92 | 7 ^a | 55 |
| 526 | 84 | 97 | 32 | 65 | 62 ^a | 96 |
| 527 | 84 | 93 | 0 | 22 | 70 ^a | 0 |
| 528 | 56 | 99 | 53 | 89 | - | 81 |
| 530 | 99 | 100 | 51 | 40 | 11 ^a | 22 |
| 531 | 94 ^d | 97 ^d | 32 ^d | 65 ^d | - | 99 ^d |
| 532 | 98 | 99 | 86 | 79 | 12 ^a | 99 |
| 533 | 56 | 93 | 0 | 95 | 42 ^a | 98 |
| 534 | 26 | 93 | 32 | 89 | 16 ^a | 99 |
| 535 | 84 | 99 | 0 | 89 | 40 ^a | 98 |
| 536 | 84 | 97 | 32 | 89 | 59 ^a | 99 |
| 537 | 100 | 100 | 53 | 79 | - | 98 |
| 538 | 100 | 100 | 91 | 95 | 100 ^a | 99 |
| 539 | 100 | 99 | 53 | 79 | 97 ^a | 94 |
| 540 | 96 | 99 | 53 | ND | 100 ^a | 0 |
| 541 | 94 | 99 | 32 | ND | 95 ^a | 0 |
| 547 | 86 | 86 | 31 | 94 | 5 ^a | 0 |
| 548 | 91 | 94 | 94 | 100 | 58 ^a | 42 |
| 549 | 98 | 99 | 90 | 87 | 47 ^e | 42 |
| 550 | 100 ^a | 99 ^a | 59 ^b | - | 100 ^a | - |

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| | | | | | | |
|-----|------------------|-----------------|-----------------|-----|------------------|----|
| 554 | 100 ^a | 99 ^a | 87 ^b | - | 98 ^a | - |
| 555 | 100 ^a | 99 ^a | 88 ^b | - | 100 ^a | - |
| 556 | 99 | 97 | 74 | 100 | 72 ^a | 42 |
| 557 | 95 | 97 | 52 | 94 | 88 ^a | 81 |
| 561 | 90 | 94 | 53 | 84 | 11 ^a | 98 |
| 562 | 100 | 100 | 99 | 91 | 100 ^e | 0 |
| 563 | 99 | 100 | 86 | 91 | - | 0 |
| 564 | 100 | 100 | 86 | 58 | - | 0 |
| 567 | 100 | 100 | 90 | 29 | 67 ^a | 0 |
| 568 | 98 | 94 | 86 | 81 | 3 ^a | 47 |
| 569 | 0 | 68 | 0 | 29 | 14 ^a | 0 |
| 572 | 99 | 100 | 74 | 65 | 97 ^a | 0 |
| 573 | 94 | 94 | 0 | 0 | 13 ^a | 0 |
| 574 | 100 | 94 | 74 | 25 | - | 0 |
| 577 | 97 | 97 | 0 | 0 | 16 ^a | 0 |
| 582 | 57 | - | 0 | 0 | - | - |
| 656 | 95 | 97 | 32 | 82 | 10 ^a | 79 |
| 657 | 62 | 85 | 0 | 70 | 44 ^a | 38 |
| 658 | 86 | 93 | 0 | 31 | 44 ^a | 38 |
| 659 | 37 | 85 | 0 | 31 | 10 ^a | 38 |
| 660 | 77 | 93 | 32 | 70 | 29 ^a | 79 |
| 661 | 77 | 93 | 32 | 3 | 26 ^a | 38 |
| 662 | 86 | 85 | 32 | 3 | 37 ^a | 79 |
| 663 | 95 | 97 | 0 | ND | 66 ^b | 0 |
| 664 | 94 | 97 | 53 | 61 | 35 ^a | 46 |
| 665 | 98 | 94 | 53 | 43 | - | 7 |
| 666 | 99 | 99 | 53 | 20 | - | 7 |
| 667 | 99 | 100 | 53 | 20 | 99 ^a | 0 |
| 668 | - | - | - | - | - | - |
| 669 | 91 | 94 | 0 | 20 | 100 ^a | 82 |
| 670 | 100 | 86 | 53 | 20 | - | 7 |
| 671 | 86 | 94 | 53 | 60 | 39 ^a | 67 |
| 672 | 100 | 100 | 96 | 85 | 94 ^a | 0 |
| 673 | 99 | 99 | 90 | ND | 97 ^a | 0 |
| 674 | 99 | 100 | 90 | 20 | 75 ^a | 60 |
| 675 | 100 | 100 | 98 | ND | 100 ^a | 0 |

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| | | | | | | |
|-----|-----------------|-----------------|-----------------|-----|------------------|----|
| 676 | 100 | 100 | 94 | - | 100 ^a | 0 |
| 677 | 91 ^a | 99 | 100 | 91 | 100 ^a | 0 |
| 678 | 100 | 100 | 90 | ND | 100 ^a | 0 |
| 679 | 100 | 100 | 93 | 91 | 100 ^a | 0 |
| 680 | 100 | 99 | 97 | 62 | 86 ^a | 0 |
| 685 | 95 | 86 | 74 | 0 | 19 ^a | 61 |
| 690 | 99 | 99 | 74 | 0 | 10 ^a | 77 |
| 691 | 99 | 94 | 60 | 95 | 59 ^a | 87 |
| 692 | 99 | 99 | 74 | 100 | 96 ^a | 61 |
| 693 | 91 | 99 | 83 | 100 | 100 ^a | 0 |
| 694 | 86 | 94 | 83 | 83 | 63 ^a | 92 |
| 695 | 86 | 94 | 74 | 100 | 41 ^a | 0 |
| 699 | 100 | 100 | 93 | ND | 100 ^a | 0 |
| 700 | 98 | 99 | 0 | ND | 100 ^a | 0 |
| 704 | 100 | 100 | 100 | - | 100 ^a | 0 |
| 705 | 99 ^a | 99 ^a | 71 ^b | - | 62 ^a | - |
| 706 | 100 | 100 | 94 | ND | 100 ^a | 0 |
| 708 | 91 | 93 | 52 | 16 | 79 ^a | 0 |
| 709 | 98 | 97 | 0 | 63 | - | 0 |
| 712 | 91 | 97 | 31 | 78 | 97 ^a | 48 |
| 713 | 91 | 86 | 90 | 100 | 24 ^a | 94 |
| 714 | 84 | 86 | 94 | 14 | 24 ^a | 0 |
| 716 | 99 | 99 | 91 | - | 100 ^a | 0 |
| 717 | 100 | 99 | 91 | ND | 100 ^a | 0 |
| 719 | 100 | 100 | 90 | 1 | 39 ^a | 0 |
| 720 | 99 | 94 | 53 | 25 | 0 ^a | 47 |
| 721 | 99 | 94 | 32 | 25 | 94 ^a | 82 |
| 722 | 100 | 99 | 74 | 76 | 73 ^a | 47 |
| 723 | 100 | 100 | 91 | 76 | 84 ^a | 0 |
| 724 | 100 | 100 | 94 | - | 100 ^a | 0 |
| 725 | 100 | 99 | 91 | 76 | 100 ^a | 47 |
| 727 | 99 | 99 | 90 | 23 | 86 ^a | 83 |
| 728 | 99 | 100 | 90 | 23 | 91 ^a | 0 |
| 729 | 99 | 100 | 90 | 86 | 100 ^a | 0 |
| 730 | 100 | 99 | 90 | 0 | 50 ^a | 70 |
| 731 | 100 | 100 | 90 | 63 | 100 ^a | 0 |

- a Compound was tested at 10 ppm (equivalent to 25 g/ha).
b Compound was tested at 40 ppm (equivalent to 100 g/ha).
c Compound was tested at 2 ppm (equivalent to 5 g/ha).
d Compound was tested at 100 ppm (equivalent to 250 g/ha).

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Results for arthropodicide Tests G-L are given below for compounds of Formulae I, IA and IB.

TEST G

Fall Armyworm

- 10 Test units, each consisting of a H.I.S. (high impact styrene) tray with 16 cells were prepared. Wet filter paper and approximately 8 cm² of lima bean leaf was placed into twelve of the cells. A 0.5-cm layer of wheat germ diet was placed into the four remaining cells. Fifteen to twenty third-instar larvae of fall armyworm (*Spodoptera frugiperda*) were placed into a 230-mL (8-ounce) plastic cup. Solutions of each of the
15 test compounds in 75:25 acetone-distilled water solvent were sprayed into the tray and cup. Spraying was accomplished by passing the tray and cup on a conveyer belt directly beneath a flat fan hydraulic nozzle which discharged the spray at a rate of 0.138 kilograms of active ingredient per hectare (about 0.13 pounds per acre) at 207 kPa (30 p.s.i.). The insects were transferred from the 230-mL cup to the H.I.S. tray (one
20 insect per cell). The trays were covered and held at 27°C and 50% relative humidity for 48 hours, after which time readings were taken on the twelve cells with lima bean leaves. The four remaining cells were read at 6-8 days for delayed toxicity. Of the compounds tested, the following gave control efficacy levels of 80% or greater: 313, 329, 404, 493, 538, 543, 546, 672, 673, 674, 677, 678, 679, 680, 688, 699, 701, and 703.

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TEST H

Southern Corn Rootworm

- Test units, each consisting of a 230-mL (8-ounce) plastic cup containing a 6.5-cm² (1-square-inch) plug of a wheatgerm diet, were prepared. The test units were sprayed as described in TEST G with individual solutions of the test compounds. After the spray on
30 the cups had dried, five second-instar larvae of the southern corn rootworm (*Diabrotica undecimpunctata howardi*) were placed into each cup. The cups were held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. The same units were read again at 6-8 days for delayed toxicity. Of the compounds tested, the following gave control efficacy levels of 80% or greater: 11*, 207, 304, 313, 341,
35 345, 403, 404, 413, 442, 443, 445, 451, 479, 500, 506, 514, 515, 537, 542, 546, 550,

675, 677, 679, 680, 682, 683, 684, 687, 688, 689, 699, 700, 701, 703, 704, 705, 706, 715, and 717.

* Tested at 0.55 kg/ha.

TEST I

5 Aster Leafhopper

Test units were prepared from a series of 350-mL (12-ounce) cups, each containing oat (*Avena sativa*) seedlings in a 2.5-cm (1-inch) layer of sterilized soil. The test units were sprayed as described in TEST G with individual solutions of the test compounds. After the oats had dried from the spraying, 10 to 15 adult aster leafhoppers (*Mascrosteles fascifrons*) were aspirated into each of the cups. The cups were covered with vented lids and held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. Of the compounds tested, the following gave mortality levels of 80% or higher: 345, 672, 679, and 715.

TEST J

15 Contact Test Against Black Bean Aphid

Individual nasturtium leaves were infested with 10 to 15 aphids (all morphs and growth stages of *Aphis fabae*) and sprayed with their undersides facing up as described in TEST G. The leaves were then set in 0.94-cm (3/8-inch) diameter vials containing 4 mL of sugar solution (approximately 1.4 g per liter) and covered with a clear plastic 29-mL (1-ounce) cup to prevent escape of the aphids that drop from the leaves. The test units were held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. Of the compounds tested, the following gave mortality levels of 80% or higher: 187, 272, 288, 304, 321, 325, 329, 342, 343, 348, 400, 413, 515, 538, 550, 554, 674, 679, and 688.

TEST K

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Two-Spotted Spider Mite

Pieces of kidney bean leaves, each approximately 6.5 cm² (1 square inch) in area, that had been infested on the undersides with 25 to 30 adult mites (*Tetranychus urticae*), were sprayed with their undersides facing up on a hydraulic sprayer with a solution of the test compound in 75:25 acetone-distilled water solvent. Spraying was accomplished by passing the leaves, on a conveyor belt, directly beneath a flat fan hydraulic nozzle which discharged the spray at a rate of 0.138 kilograms of active ingredient per hectare (about 0.13 pounds per acre) at 207 kPa (30 p.s.i.). The leaf squares were then placed underside-up on a square of wet cotton in a petri dish and the perimeter of the leaf square was tamped down onto the cotton with forceps so that the mites could not escape onto the untreated leaf surface. The test units were held at 27°C and 50% relative

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humidity for 48 hours, after which time mortality readings were taken. Of the compounds tested, the following gave mortality levels of 80% or higher: 146, 162, 187, 239, 247, 296, 306, 321, 325, 329, 343, 345, 373, 378, 467, 490, 493, 500, 515, 531, 532, 537, 538, 550, 670, 672, 673, 674, 675, 676, 677, 679, 680, 681, 683, 690, 693, 699, 701, 715, and 717.

The same units were held an additional 5 days and read for larvicide/ovicide mortality and/or developmental effects. Of the compounds tested, the following gave activity levels of 80% or higher: 15*, 187, 343, 420, 466, 520, 534, 535, 536, 540, 541, 548, 550, 554, 682, 689, and 693.

* Tested at 0.55 kg/ha.

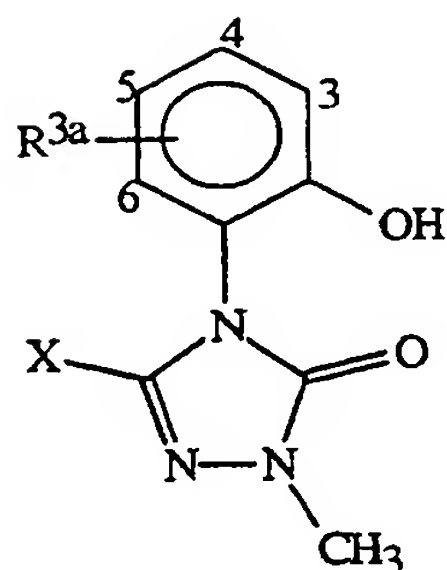
TEST L

Larval two-Spotted Spider Mites (*Tetranychus urticae*)

Solutions of the test compounds were prepared by dissolving in a minimum of acetone and then adding water containing a wetting agent until the concentration of the compound was 50 ppm. Two-week old red kidney bean plants infested with two-spotted spider mites eggs were sprayed to run-off (equivalent to 28 g/ha) with the test solution using a turntable sprayer. Plants were held in a chamber at 25°C and 50% relative humidity. Of the compounds tested, the following gave larvicide/ovicide activity of 80% or higher seven days after spraying: 187, 466, 670, 674, 675, and 677.

Specific compounds of Formula II which are useful as intermediates for the preparation of the fungicides and arthropodocides of Formula I where Y is oxygen are described in Index Tables N and O. The abbreviation "Ex." stands for "Example" and is followed by a number and step indicating in which example step the intermediate is prepared.

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INDEX TABLE Nwherein R^{3a} is H or R³

| <u>Cmpd No.</u> | <u>X</u> | <u>R^{3a}</u> | <u>m.p. (°C)</u> |
|-------------------|-------------------|-----------------------|------------------|
| 733 Ex. 1 Step C | Cl | H | solid* |
| 734 Ex. 1 Step D | CH ₃ O | H | solid* |
| 735 Ex. 22 Step D | CH ₃ O | 6-CH ₃ | 194-196 |
| 736 Ex. 22 Step C | Cl | 6-CH ₃ | 175-178 |
| 737 | CH ₃ O | 4-CH ₃ O | 163-165 |
| 738 | Cl | 4-CH ₃ O | 192-194 |

*See Index Table O for ¹H NMR data.

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INDEX TABLE O

| <u>Cmpd No.</u> | <u>¹H NMR Data (CDCl₃ solution unless indicated otherwise)^a</u> |
|-----------------|--|
| 733 | δ 8.18 (s,1H), 7.11 (t,2H), 6.91 (t,1H), 6.76 (d,1H), 3.56 (s,3H). |
| 734 | δ 8.40 (br s,1H), 7.20 (m,2H), 7.03 (d,1H), 6.94 (t,1H), 4.00 (s,3H), 3.48 (s,3H). |

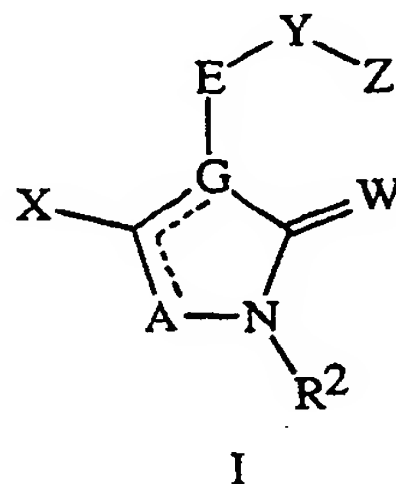
^a ¹H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (m)-multiplet, (br s)-broad singlet.

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CLAIMS

What is claimed is:

1. A method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of a compound selected from Formula I, *N*-oxides and agriculturally suitable salts thereof,



wherein

E is selected from:

- 10 i) 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;
- ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; and
- 15 iii) a ring system selected from 5 to 12-membered monocyclic and fused bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more
- 20 than 2 sulfurs, each fused bicyclic ring system optionally containing one nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from $C(=O)$ and $S(O)_2$, provided that G is attached to an aromatic ring, and when G and Y are attached to the same ring, then G and Y are attached to adjacent
- 25 ring members, each aromatic heterocyclic ring system optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;

A is O; S; N; NR^5 ; or CR^{14} ;

G is C or N; provided that when G is C, then A is O, S or NR^5 and the floating double bond is attached to G; and when G is N, then A is N or CR^{14} and the

30 floating double bond is attached to A;

W is O; S; NH; $N(C_1-C_6 \text{ alkyl})$; or $NO(C_1-C_6 \text{ alkyl})$;

X is H; OR¹; S(O)_mR¹; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₃-C₆ cycloalkyl; cyano; NH₂; NHR¹; N(C₁-C₆ alkyl)R¹; NH(C₁-C₆ alkoxy); or N(C₁-C₆ alkoxy)R¹;

R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;

R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆ alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O); (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each substituted with R⁸ and optionally substituted with one or more R¹⁰; or

when E is 1,2-phenylene and R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅ alkenylene or C₃-C₅ haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;

R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CHR⁶-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR¹⁵O-; -OCHR¹⁵-; -CHR¹⁵S(O)_n-; -S(O)_nCHR¹⁵-; -CHR¹⁵O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR¹⁵OC(=O)N(R¹⁵)-; -CHR¹⁵OC(=S)N(R¹⁵)-; -CHR¹⁵OC(=O)O-; -CHR¹⁵OC(=S)O-; -CHR¹⁵OC(=O)S-; -CHR¹⁵OC(=S)S-; -CHR¹⁵SC(=O)N(R¹⁵)-; -CHR¹⁵SC(=S)N(R¹⁵)-; -CHR¹⁵SC(=O)O-; -CHR¹⁵SC(=S)O-; -CHR¹⁵SC(=O)S-; -CHR¹⁵SC(=S)S-; -CHR¹⁵SC(=NR¹⁵)S-; -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=S)N(R¹⁵)-; -CHR¹⁵O-N=C(R⁷)NR¹⁵-; -CHR¹⁵O-N=C(R⁷)OCH₂-; -CHR¹⁵O-N=C(R⁷)-N=N-; -CHR¹⁵O-N=C(R⁷)-C(=O)-; -CHR¹⁵O-N=C(R⁷)-C(=N-A²-Z¹)-A¹-;

- CHR¹⁵O-N=C(R⁷)-C(R⁷)=N-A²-A³-; -CHR¹⁵O-N=C(-C(R⁷)=N-A²-Z¹)-;
 -CHR¹⁵O-N=C(R⁷)-CH₂O-; -CHR¹⁵O-N=C(R⁷)-CH₂S-;
 -O-CH₂CH₂O-N=C(R⁷)-; -CHR¹⁵O-C(R¹⁵)=C(R⁷)-; -CHR¹⁵O-C(R⁷)=N-;
 -CHR¹⁵S-C(R⁷)=N-; -C(R⁷)=N-NR¹⁵-; -CH=N-N=C(R⁷)-;
 5 -CHR¹⁵N(R¹⁵)-N=C(R⁷)-; -CHR¹⁵N(COCH₃)-N=C(R⁷)-;
 -OC(=S)NR¹⁵C(=O)-; -CHR⁶-C(=W¹)-A¹-; -CHR⁶CHR⁶-C(=W¹)-A¹-;
 -CR⁶=CR⁶-C(=W¹)-A¹-; -C≡C-C(=W¹)-A¹-; -N=CR⁶-C(=W¹)-A¹-; or a
 direct bond; and the directionality of the Y linkage is defined such that the
 moiety depicted on the left side of the linkage is bonded to E and the moiety
 10 on the right side of the linkage is bonded to Z;
- Z¹ is H or -A³-Z;
 W¹ is O or S;
 A¹ is O; S; NR¹⁵; or a direct bond;
 A² is O; NR¹⁵; or a direct bond;
 15 A³ is -C(=O)-; -S(O)₂-; or a direct bond;
- each R⁶ is independently H; 1-2 CH₃; C₂-C₃ alkyl; C₁-C₃ alkoxy; C₃-C₆
 cycloalkyl; formylamino; C₂-C₄ alkylcarbonylamino; C₂-C₄
 alkoxycarbonylamino; NH₂C(O)NH; (C₁-C₃ alkyl)NHC(O)NH;
 (C₁-C₃ alkyl)₂NC(O)NH; N(C₁-C₃ alkyl)₂; piperidinyl; morpholinyl;
 20 1-2 halogen; cyano; or nitro;
- each R⁷ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
 haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₁-C₆
 haloalkylthio; C₁-C₆ haloalkylsulfinyl; C₁-C₆ haloalkylsulfonyl; C₂-C₆
 alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆
 25 cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; halogen; cyano;
 nitro; hydroxy; amino; NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; or morpholinyl;
- each Z is independently selected from:
- i) C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, and C₂-C₁₀ alkynyl each substituted with R⁹
 and optionally substituted with one or more R¹⁰;
 - 30 ii) C₃-C₈ cycloalkyl, C₃-C₈ cycloalkenyl and phenyl each substituted with R⁹
 and optionally substituted with one or more R¹⁰;
 - iii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic
 and fused tricyclic nonaromatic heterocyclic ring systems and 5 to
 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic
 35 heterocyclic ring systems, each heterocyclic ring system containing 1 to 6
 heteroatoms independently selected from the group nitrogen, oxygen, and

- sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system substituted with R^9 and optionally substituted with one or more R^{10} ;
- 5 iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from $C(=O)$ and $S(O)_2$, and any remaining rings as aromatic carbocyclic rings, each
- 10 multicyclic ring system substituted with R^9 and optionally substituted with one or more R^{10} ; and
- v) adamantyl substituted with R^9 and optionally substituted with one or more R^{10} ;
- 15 each Q is independently selected from the group $-CHR^{13}-$, $-NR^{13}-$, $-O-$, and $-S(O)_p-$;
- R^8 is H; 1-2 halogen; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_1-C_6 alkylthio; C_1-C_6 haloalkylthio; C_1-C_6 alkylsulfinyl; C_1-C_6 alkylsulfonyl;
- 20 C_3-C_6 cycloalkyl; C_3-C_6 alkenyloxy; $CO_2(C_1-C_6$ alkyl); $NH(C_1-C_6$ alkyl); $N(C_1-C_6$ alkyl) $_2$; cyano; nitro; $SiR^{19}R^{20}R^{21}$; or $GeR^{19}R^{20}R^{21}$;
- R^9 is H; 1-2 halogen; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_1-C_6 alkylthio; C_1-C_6 haloalkylthio; C_1-C_6 alkylsulfinyl; C_1-C_6 alkylsulfonyl;
- 25 C_3-C_6 cycloalkyl; C_3-C_6 alkenyloxy; $CO_2(C_1-C_6$ alkyl); $NH(C_1-C_6$ alkyl); $N(C_1-C_6$ alkyl) $_2$; $-C(R^{18})=NOR^{17}$; cyano; nitro; SF_5 ; $SiR^{22}R^{23}R^{24}$; or $GeR^{22}R^{23}R^{24}$; or R^9 is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ;
- 30 each R^{10} is independently halogen; C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_1-C_4 alkoxy; nitro; or cyano; or
- when R^9 and an R^{10} are attached to adjacent atoms on Z, R^9 and said adjacently attached R^{10} can be taken together as $-OCH_2O-$ or $-OCH_2CH_2O-$; each CH_2 group of said taken together R^9 and R^{10} optionally substituted with 1-2
- 35 halogen; or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is

-CHR¹⁵O-N=C(R⁷)-, -O-N=C(R⁷)-, -O-CH₂CH₂O-N=C(R⁷)-,
 -CHR¹⁵O-C(R¹⁵)=C(R⁷)-, -CH=N-N=C(R⁷)-, -CHR¹⁵N(R¹⁵)-N=C(R⁷)- or
 -CHR¹⁵N(COCH₃)-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be
 taken together as -(CH₂)_r-J- such that J is attached to Z;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or
 -CH₂N(R¹⁶)-; each CH₂ group of said J optionally substituted with 1 to 2
 CH₃;

R¹¹ and R¹² are each independently 1-2 halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl;
 C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₂-C₆
 alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀
 tetrahydropyranyloxyalkynyl; benzyloxymethyl; C₁-C₄ alkoxy; C₁-C₄
 haloalkoxy; C₃-C₆ alkenyloxy; C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy;
 C₃-C₆ haloalkynyloxy; C₂-C₆ alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy;
 C₂-C₆ alkylthioalkoxy; C₁-C₄ alkylthio; C₁-C₄ haloalkylthio; C₁-C₄
 alkylsulfinyl; C₁-C₄ haloalkylsulfinyl; C₁-C₄ alkylsulfonyl; C₁-C₄
 haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₂-C₆
 alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; N(R²⁶)₂; SF₅;
 Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶;
 C(=S)R²⁶; C(=O)OR²⁶; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶;
 C(=O)N(R²⁶)₂; C(=S)N(R²⁶)₂; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶;
 SC(=S)R²⁶; N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷;
 OC(=O)SR²⁷; OC(=O)N(R²⁶)₂; SC(=O)OR²⁷; SC(=O)SR²⁷; S(O)₂OR²⁶;
 S(O)₂N(R²⁶)₂; OS(O)₂R²⁷; N(R²⁶)S(O)₂R²⁷; or phenyl, phenoxy, benzyl,
 benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally
 substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄
 haloalkoxy, nitro or cyano;

each R¹³ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or phenyl optionally
 substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄
 haloalkoxy, nitro or cyano;

R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl;
 C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

each R¹⁵ is independently H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl or benzyl,
 each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl,
 C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano; or

when Y is $-\text{CHR}^{15}\text{N}(\text{R}^{15})\text{C}(=\text{O})\text{N}(\text{R}^{15})-$, the two R^{15} attached to nitrogen atoms on said group can be taken together as $-(\text{CH}_2)_s-$; or

when Y is $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)\text{NR}^{15}-$, R^7 and the adjacently attached R^{15} can be taken together as $-\text{CH}_2-(\text{CH}_2)_s-$; $-\text{O}-(\text{CH}_2)_s-$; $-\text{S}-(\text{CH}_2)_s-$; or $-\text{N}(\text{C}_1-\text{C}_3 \text{ alkyl})-(\text{CH}_2)_s-$; with the directionality of said linkage defined such that the moiety depicted on the left side of the linkage is bonded to the carbon and the moiety on the right side of the linkage is bonded to the nitrogen;

R^{16} , R^{17} , and R^{18} are each independently H; C_1-C_3 alkyl; C_3-C_6 cycloalkyl; or phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano;

R^{19} , R^{20} , R^{21} , R^{22} , R^{23} , and R^{24} are each independently C_1-C_6 alkyl; C_2-C_6 alkenyl; C_1-C_4 alkoxy; or phenyl;

each R^{25} is independently C_1-C_4 alkyl; C_1-C_4 haloalkyl; C_2-C_4 alkenyl; C_1-C_4 alkoxy; or phenyl;

each R^{26} is independently H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano;

each R^{27} is independently C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1-C_4 alkyl, C_1-C_4 haloalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, nitro or cyano;

m, n and p are each independently 0, 1 or 2;

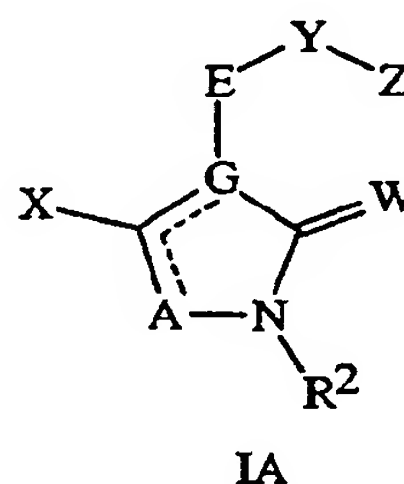
r is 0 or 1; and

s is 2 or 3;

provided that

(i) when E is 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; X is OR^1 , $\text{S}(\text{O})_m\text{R}^1$ or halogen; Y is $-\text{O}-$, $-\text{S}(\text{O})_n-$, $-\text{NR}^{15}-$, $-\text{C}(=\text{O})-$, $-\text{CH}(\text{OR}^{15})-$, $-\text{CHR}^6-$, $-\text{CHR}^6\text{CHR}^6-$, $-\text{CR}^6=\text{CR}^6-$, $-\text{C}\equiv\text{C}-$, $-\text{CHR}^{15}\text{O}-$, $-\text{OCHR}^{15}-$, $-\text{CHR}^{15}\text{S}(\text{O})_n-$, $-\text{S}(\text{O})_n\text{CHR}^{15}-$, $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-$, $-(\text{R}^7)\text{C}=\text{N}-\text{OCH}(\text{R}^{15})-$, $-\text{C}(\text{R}^7)=\text{N}-\text{O}-$, $-\text{O}-\text{N}=\text{C}(\text{R}^7)-$, $-\text{CHR}^{15}\text{OC}(=\text{O})\text{N}(\text{R}^{15})-$ or a direct bond; and R^9 is $\text{SiR}^{22}\text{R}^{23}\text{R}^{24}$ or $\text{GeR}^{22}\text{R}^{23}\text{R}^{24}$; then Z is other than phenyl or a 5 to 14-membered aromatic heterocyclic ring system each substituted with R^9 and optionally substituted with one or more R^{10} ;

- (ii) when E is a naphthalene ring optionally substituted with one of R³, R⁴, or both R³ and R⁴; R³ or R⁴ is Si(R²⁵)₃ or Ge(R²⁵)₃; and Y is -O-, -S(O)_n-, -C(=O)-, -CHR⁶-, -CHR⁶CHR⁶-, -CR⁶=CR⁶-, -C≡C-, -OCHR¹⁵-, -S(O)_nCHR¹⁵- or a direct bond; then Z is other than C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl or C₂-C₁₀ alkynyl each substituted with R⁹ and optionally substituted with one or more R¹⁰; and
- (iii) when E is a naphthalene ring optionally substituted with one of R³, R⁴, or both R³ and R⁴; R³ or R⁴ is Si(R²⁵)₃ or Ge(R²⁵)₃; and Y is -S(O)_n-, -C(=O)-, -C≡C- or a direct bond; then Z is other than phenyl substituted with R⁹ and optionally substituted with one or more R¹⁰.
2. A compound selected from Formula IA, N-oxides and agriculturally suitable salts thereof,



15 wherein

- E is 1,2-phenylene optionally substituted with one of R³, R⁴, or both R³ and R⁴;
 A is O or N;
 G is C or N; provided that when G is C, then A is O and the floating double bond is attached to G; and when G is N, then A is N and the floating double bond is attached to A;
- 20 W is O;
 X is OR¹;
 R¹ is C₁-C₃ alkyl;
 R² is H or C₁-C₂ alkyl;
- 25 R³ and R⁴ are each independently halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; or C₁-C₆ haloalkoxy; C₁-C₆ alkylsulfonyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); benzoyl; or phenylsulfonyl;
- 30 Y is -O-, -S(O)_n-, -NR¹⁵-, -C(=O)-, -CH(OR¹⁵)-, -CH₂-, -CH₂CH₂-, -CH=CH-, -C≡C-, -CH₂O-, -OCH₂-, -CH₂S(O)_n-, -S(O)_nCH₂-, or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on

the left side of the linkage is bonded to E and the moiety on the right side of the linkage is bonded to Z;

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; 1,3,4-thiadiazolyl; and pyrazinyl; each group substituted with R⁹ and optionally substituted with one or more R¹⁰;

R⁹ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; -C(R¹⁸)=NOR¹⁷; cyano; nitro; SF₅; SiR²²R²³R²⁴; or GeR²²R²³R²⁴; or R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy, furanyl, pyrimidinyl, or pyrimidinyloxy each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²; provided that when Z is pyrazinyl, then R⁹ is other than H or C₁-C₆ haloalkyl;

each R¹⁰ is independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy; nitro; or cyano; or

when R⁹ and an R¹⁰ are attached to adjacent atoms on Z, R⁹ and said adjacently attached R¹⁰ can be taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂ group of said taken together R⁹ and R¹⁰ optionally substituted with 1-2 halogen;

R¹¹ and R¹² are each independently 1-2 halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₂-C₆ alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀ tetrahydropyranyloxyalkynyl; benzyloxymethyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; C₃-C₆ alkenyloxy; C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy; C₃-C₆ haloalkynyloxy; C₂-C₆ alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy; C₂-C₆ alkylthioalkoxy; C₁-C₄ alkylthio; C₁-C₄ haloalkylthio; C₁-C₄ alkylsulfinyl; C₁-C₄ haloalkylsulfinyl; C₁-C₄ alkylsulfonyl; C₁-C₄ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₂-C₆ alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; N(R²⁶)₂; SF₅; Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶; C(=S)R²⁶; C(=O)OR²⁶; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶; C(=O)N(R²⁶)₂; C(=S)N(R²⁶)₂; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶; SC(=S)R²⁶; N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷; OC(=O)SR²⁷; OC(=O)N(R²⁶)₂; SC(=O)OR²⁷; SC(=O)SR²⁷; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂; OS(O)₂R²⁷; N(R²⁶)S(O)₂R²⁷; or phenyl, phenoxy, benzyl,

benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

R¹⁵ is H; C₁-C₃ alkyl; or cyclopropyl;

5 R¹⁷ and R¹⁸ are each independently H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

R²², R²³, and R²⁴ are each independently C₁-C₆ alkyl; C₂-C₆ alkenyl; C₁-C₄ alkoxy; or phenyl;

10 each R²⁵ is independently C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₁-C₄ alkoxy; or phenyl;

each R²⁶ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

15 each R²⁷ is independently C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano; and

20 n is 0, 1 or 2.

3. A compound of Claim 2 wherein:

R¹ is methyl;

R² is methyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; or a direct bond; and

25 R⁹ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; CO₂(C₁-C₆ alkyl); -C(R¹⁸)=NOR¹⁷; cyano; nitro; SF₅; SiR²²R²³R²⁴; or GeR²²R²³R²⁴; or R⁹ is phenyl, benzyl, phenoxy, pyridinyl, thienyl, furanyl, or pyrimidinyl each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹².

30

4. A compound of Claim 3 wherein:

Z is selected from the group 2-thiazolyl; 1,2,4-oxadiazolyl; 1,2,4-thiadiazolyl; and pyrazinyl; each group substituted with R⁹ and optionally substituted with R¹⁰; and

35

Y is -O-; and

R⁹ is phenyl optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹².

5. The compound of Claim 3 which is selected from the group:

4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-[3,5-bis(trifluoromethyl)phenyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-(1,1-dimethylethyl)-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-(1,1-dimethylethyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-(3,4-dichlorophenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

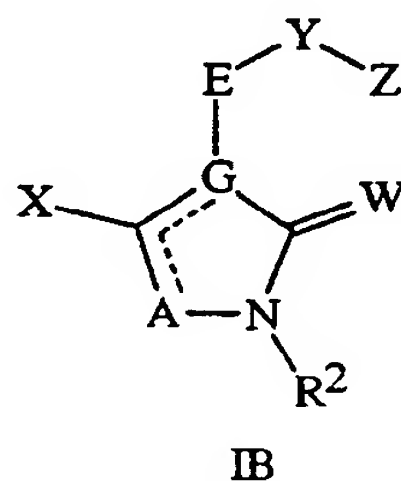
2,4-dihydro-5-methoxy-2-methyl-4-[2-[[3-[3-(trifluoromethoxy)phenyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-(4-bromophenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

2,4-dihydro-5-methoxy-2-methyl-4-[2-[[5-methyl-4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one; and

2,4-dihydro-5-methoxy-2-methyl-4-[2-[[6-[4-(trifluoromethyl)phenyl]-2-pyrazinyl]oxy]phenyl]-3*H*-1,2,4-triazol-3-one.

6. A compound selected from Formula IB, *N*-oxides and agriculturally suitable salts thereof,



wherein

E is selected from:

i) 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;

ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; and

iii) a ring system selected from 5 to 12-membered monocyclic and fused

bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each fused bicyclic ring system optionally containing one nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from C(=O) and S(O)₂, provided that G is attached to an aromatic ring, and when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, each aromatic heterocyclic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the floating double bond is attached to A;

W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl);

X is H; OR¹; S(O)_mR¹; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₃-C₆ cycloalkyl; cyano; NH₂; NHR¹; N(C₁-C₆ alkyl)R¹; NH(C₁-C₆ alkoxy); or N(C₁-C₆ alkoxy)R¹;

R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxy carbonyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxy carbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;

R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆ alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxy carbonyl; NH₂C(O); (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each substituted with R⁸ and optionally substituted with one or more R¹⁰; or when E is 1,2-phenylene and R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅

alkenylene or C₃-C₅ haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;

R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxy carbonyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CHR⁶-; -CHR⁶CHR⁶-; -CR⁶=CR⁶-; -C≡C-; -CHR¹⁵O-; -OCHR¹⁵-; -CHR¹⁵S(O)_n-; -S(O)_nCHR¹⁵-; -CHR¹⁵O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; -O-N=C(R⁷)-; -CHR¹⁵OC(=O)N(R¹⁵)-; -CHR¹⁵OC(=S)N(R¹⁵)-; -CHR¹⁵OC(=O)O-; -CHR¹⁵OC(=S)O-; -CHR¹⁵OC(=O)S-; -CHR¹⁵OC(=S)S-; -CHR¹⁵SC(=O)N(R¹⁵)-; -CHR¹⁵SC(=S)N(R¹⁵)-; -CHR¹⁵SC(=O)O-; -CHR¹⁵SC(=S)O-; -CHR¹⁵SC(=O)S-; -CHR¹⁵SC(=S)S-; -CHR¹⁵SC(=NR¹⁵)S-; -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=S)N(R¹⁵)-; -CHR¹⁵O-N=C(R⁷)NR¹⁵-; -CHR¹⁵O-N=C(R⁷)OCH₂-; -CHR¹⁵O-N=C(R⁷)-N=N-; -CHR¹⁵O-N=C(R⁷)-C(=O)-; -CHR¹⁵O-N=C(R⁷)-C(=N-A²-Z¹)-A¹-; -CHR¹⁵O-N=C(R⁷)-C(R⁷)=N-A²-A³-; -CHR¹⁵O-N=C(-C(R⁷)=N-A²-Z¹)-; -CHR¹⁵O-N=C(R⁷)-CH₂O-; -CHR¹⁵O-N=C(R⁷)-CH₂S-; -O-CH₂CH₂O-N=C(R⁷)-; -CHR¹⁵O-C(R¹⁵)=C(R⁷)-; -CHR¹⁵O-C(R⁷)=N-; -CHR¹⁵S-C(R⁷)=N-; -C(R⁷)=N-NR¹⁵-; -CH=N-N=C(R⁷)-; -CHR¹⁵N(R¹⁵)-N=C(R⁷)-; -CHR¹⁵N(COCH₃)-N=C(R⁷)-; -OC(=S)NR¹⁵C(=O)-; -CHR⁶-C(=W¹)-A¹-; -CHR⁶CHR⁶-C(=W¹)-A¹-; -CR⁶=CR⁶-C(=W¹)-A¹-; -C≡C-C(=W¹)-A¹-; -N=CR⁶-C(=W¹)-A¹-; or a direct bond; and the directionality of the Y linkage is defined such that the moiety depicted on the left side of the linkage is bonded to E and the moiety on the right side of the linkage is bonded to Z;

Z¹ is H or -A³-Z;

W¹ is O or S;

A¹ is O; S; NR¹⁵; or a direct bond;

A² is O; NR¹⁵; or a direct bond;

A³ is -C(=O)-; -S(O)₂-; or a direct bond;

each R⁶ is independently H; 1-2 CH₃; C₂-C₃ alkyl; C₁-C₃ alkoxy; C₃-C₆ cycloalkyl; formylamino; C₂-C₄ alkylcarbonylamino; C₂-C₄ alkoxy carbonylamino; NH₂C(O)NH; (C₁-C₃ alkyl)NHC(O)NH;

(C₁-C₃ alkyl)₂NC(O)NH; N(C₁-C₃ alkyl)₂; piperidinyl; morpholinyl;
1-2 halogen; cyano; or nitro;

each R⁷ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₁-C₆
haloalkylthio; C₁-C₆ haloalkylsulfinyl; C₁-C₆ haloalkylsulfonyl; C₂-C₆
alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆
cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; halogen; cyano;
nitro; hydroxy; amino; NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; or morpholinyl;

each Z is independently selected from:

- i) C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl each substituted with R⁹
and optionally substituted with one or more R¹⁰;
- ii) C₃-C₈ cycloalkyl, C₃-C₈ cycloalkenyl or phenyl each substituted with R⁹
and optionally substituted with one or more R¹⁰;
- iii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic
and fused tricyclic nonaromatic heterocyclic ring systems and 5 to
14-membered monocyclic, fused bicyclic and fused tricyclic aromatic
heterocyclic ring systems, each heterocyclic ring system containing 1 to 6
heteroatoms independently selected from the group nitrogen, oxygen, and
sulfur, provided that each heterocyclic ring system contains no more than 4
nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each
nonaromatic or aromatic heterocyclic ring system substituted with R⁹ and
optionally substituted with one or more R¹⁰;
- iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic
and fused-tricyclic ring systems which are an aromatic carbocyclic ring
system, a nonaromatic carbocyclic ring system, or a ring system containing
one or two nonaromatic rings that each include one or two Q as ring
members and one or two ring members independently selected from C(=O)
and S(O)₂, and any remaining rings as aromatic carbocyclic rings, each
multicyclic ring system substituted with R⁹ and optionally substituted with
one or more R¹⁰; and
- v) adamantyl substituted with R⁹ and optionally substituted with one or more
R¹⁰;

each Q is independently selected from the group -CHR¹³-, -NR¹³-, -O-, and
-S(O)_p-;

R⁸ is H; 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆
haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆

alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl;
C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl);
N(C₁-C₆ alkyl)₂; cyano; nitro; SiR¹⁹R²⁰R²¹; or GeR¹⁹R²⁰R²¹;

R⁹ is phenyl, benzyl, benzoyl, phenoxy, pyridinyl, pyridinyloxy, thienyl, thienyloxy,
5 furanyl, pyrimidinyl, or pyrimidinyloxy each substituted with R¹¹ and
optionally substituted with R¹²;

each R¹⁰ is independently halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₁-C₄ alkoxy;
nitro; or cyano; or

when R⁹ and an R¹⁰ are attached to adjacent atoms on Z, R⁹ and said adjacently
10 attached R¹⁰ can be taken together as -OCH₂O- or -OCH₂CH₂O-; each CH₂
group of said taken together R⁹ and R¹⁰ optionally substituted with 1-2
halogen; or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is

-CHR¹⁵O-N=C(R⁷)-, -O-N=C(R⁷)-, -O-CH₂CH₂O-N=C(R⁷)-,
15 -CHR¹⁵O-C(R¹⁵)=C(R⁷)-, -CH=N-N=C(R⁷)-, -CHR¹⁵N(R¹⁵)-N=C(R⁷)- or
-CHR¹⁵N(COCH₃)-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be
taken together as -(CH₂)_r-J- such that J is attached to Z;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or
-CH₂N(R¹⁶)-; each CH₂ group of said J optionally substituted with 1 to 2
20 CH₃;

R¹¹ is C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₂-C₆
alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀
tetrahydropyranyloxyalkynyl; benzyloxymethyl; C₃-C₆ alkenyloxy; C₃-C₆
haloalkenyloxy; C₃-C₆ alkynyloxy; C₃-C₆ haloalkynyloxy; C₂-C₆
25 alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy; C₂-C₆ alkylthioalkoxy; C₁-C₄
alkylthio; C₁-C₄ haloalkylthio; C₁-C₄ alkylsulfinyl; C₁-C₄ haloalkylsulfinyl;
C₁-C₄ alkylsulfonyl; C₁-C₄ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆
haloalkenylthio; C₂-C₆ alkylthioalkylthio; thiocyanato; hydroxy; N(R²⁶)₂;
SF₅; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶; C(=S)R²⁶;
30 C(=O)OR²⁶; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶; C(=O)N(R²⁶)₂;
C(=S)N(R²⁶)₂; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶; SC(=S)R²⁶;
N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷; OC(=O)SR²⁷;
OC(=O)N(R²⁶)₂; SC(=O)OR²⁷; SC(=O)SR²⁷; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂;
OS(O)₂R²⁷; N(R²⁶)S(O)₂R²⁷; or phenyl, phenoxy, benzyl, benzyloxy,
35 phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted

with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

R¹² is 1-2 halogen; C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₂-C₆ alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀ tetrahydropyranyloxyalkynyl; benzyloxymethyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; C₃-C₆ alkenyloxy; C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy; C₃-C₆ haloalkynyloxy; C₂-C₆ alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy; C₂-C₆ alkylthioalkoxy; C₁-C₄ alkylthio; C₁-C₄ haloalkylthio; C₁-C₄ alkylsulfinyl; C₁-C₄ haloalkylsulfinyl; C₁-C₄ alkylsulfonyl; C₁-C₄ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₂-C₆ alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; N(R²⁶)₂; SF₅; Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶; C(=S)R²⁶; C(=O)OR²⁶; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶; C(=O)N(R²⁶)₂; C(=S)N(R²⁶)₂; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶; SC(=S)R²⁶; N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷; OC(=O)SR²⁷; OC(=O)N(R²⁶)₂; SC(=O)OR²⁷; SC(=O)SR²⁷; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂; OS(O)₂R²⁷; N(R²⁶)S(O)₂R²⁷; or phenyl, phenoxy, benzyl, benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

each R¹³ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;

each R¹⁵ is independently H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano; or

when Y is -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-, the two R¹⁵ attached to nitrogen atoms on said group can be taken together as -(CH₂)_s-; or

when Y is -CHR¹⁵O-N=C(R⁷)NR¹⁵-, R⁷ and the adjacently attached R¹⁵ can be taken together as -CH₂-(CH₂)_s-, -O-(CH₂)_s-, -S-(CH₂)_s-, or -N(C₁-C₃ alkyl)-(CH₂)_s-; with the directionality of said linkage defined such that the moiety depicted on the left side of the linkage is bonded to the carbon and the moiety on the right side of the linkage is bonded to the nitrogen;

R¹⁶ is H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

R¹⁹, R²⁰, and R²¹ are each independently C₁-C₆ alkyl; C₂-C₆ alkenyl; C₁-C₄ alkoxy; or phenyl;

each R²⁵ is independently C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₁-C₄ alkoxy; or phenyl;

each R²⁶ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

each R²⁷ is independently C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;

m, n and p are each independently 0, 1 or 2;

r is 0 or 1; and

s is 2 or 3.

7. A compound of Claim 6 wherein:

E is selected from the group 1,2-phenylene; 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, 2,7-, 1,2-, and 2,3-naphthalenediyl; 1*H*-pyrrole-1,2-, 2,3- and 3,4-diyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 1*H*-pyrazole-1,5-, 3,4- and 4,5-diyl; 1*H*-imidazole-1,2-, 4,5- and 1,5-diyl; 3,4- and 4,5-isoxazolediyl; 4,5-oxazolediyl; 3,4- and 4,5-isothiazolediyl; 4,5-thiazolediyl; 1*H*-1,2,3-triazole-1,5- and 4,5-diyl; 2*H*-1,2,3-triazole-4,5-diyl; 1*H*-1,2,4-triazole-1,5-diyl; 4*H*-1,2,4-triazole-3,4-diyl; 1,2,3-oxadiazole-4,5-diyl; 1,2,5-oxadiazole-3,4-diyl; 1,2,3-thiadiazole-4,5-diyl; 1,2,5-thiadiazole-3,4-diyl; 1*H*-tetrazole-1,5-diyl; 2,3- and 3,4-pyridinediyl; 3,4- and 4,5-pyridazinediyl; 4,5-pyrimidinediyl; 2,3-pyrazinediyl; 1,2,3-triazine-4,5-diyl; 1,2,4-triazine-5,6-diyl; 1*H*-indole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 1,2-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; benzo[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 1*H*-indazole-1,4-, 1,5-, 1,6-, 1,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 1*H*-benzimidazole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and

- 6,7-diyl; 1,2-benzisoxazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzoxazolediyl; 1,2-benzisothiazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzothiazolediyl; 2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3-, 3,4-, 5,6-, 6,7- and 7,8-quinolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-isoquinolinediyl; 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-cinnolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 5,6-, 6,7- and 7,8-phthalazinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-quinazolinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 2,3-, 5,6-, 6,7- and 7,8-quinoxalinediyl; 1,8-naphthyridine-2,5-, 2,6-, 2,7-, 3,5-, 3,6-, 4,5-, 2,3- and 3,4-diyl; 2,6-, 2,7-, 4,6-, 4,7-, 6,7-pteridinediyl; pyrazolo[5,1-*b*]thiazole-2,6-, 2,7-, 3,6-, 3,7-, 2,3- and 6,7-diyl; thiazolo[2,3-*c*]-1,2,4-triazole-2,5-, 2,6-, 5,6-diyl;
- 2-oxo-1,3-benzodioxole-4,5- and 5,6-diyl; 1,3-dioxo-1*H*-isoindole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2-oxo-2*H*-1-benzopyran-3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-diyl; [1,2,4]triazolo[1,5-*a*]pyridine-2,5-, 2,6-, 2,7-, 2,8-, 5,6-, 6,7- and 7,8-diyl;
- 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazine-3,5-, 3,6-, 3,7-, 3,8-, 5,6-, 6,7- and 7,8-diyl; 2,3-dihydro-2-oxo-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl; thieno[3,2-*d*]thiazole-2,5-, 2,6-, and 5,6-diyl;
- 5,6,7,8-tetrahydro-2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3- and 3,4-quinolinediyl;
- 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazole-2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,3-benzodioxole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2,3-dihydro-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl; 2,3-dihydro-1,4-benzodioxin-2,5-, 2,6-, 2,7-, 2,8-, 5,6- and 6,7-diyl; and 5,6,7,8-tetrahydro-4*H*-cyclohepta[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 2,8-, 3,4-, 3,5-, 3,6-, 3,7-, 3,8-, and 2,3-diyl; each aromatic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

W is O;

R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;

R³ and R⁴ are each independently halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆

alkylsulfonyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxy carbonyl;
 (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); benzoyl; or phenylsulfonyl;
 Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; -CH₂CH₂-; -CH=CH-;
 -C≡C-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -S(O)_nCH₂-; -CH₂O-N=C(R⁷)-;
 5 -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; or a direct bond;
 R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio; C₂-C₆
 alkenyl; C₂-C₆ alkynyl; C₃-C₆ cycloalkyl; halogen; or cyano; or
 when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is
 -CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken
 10 together as -(CH₂)_r-J- such that J is attached to Z;
 Z is selected from the group C₁-C₁₀ alkyl; C₃-C₈ cycloalkyl; phenyl; naphthalenyl;
 anthracenyl; phenanthrenyl; 1*H*-pyrrolyl; furanyl; thienyl; 1*H*-pyrazolyl;
 1*H*-imidazolyl; isoxazolyl; oxazolyl; isothiazolyl; thiazolyl;
 15 1*H*-1,2,3-triazolyl; 2*H*-1,2,3-triazolyl; 1*H*-1,2,4-triazolyl; 4*H*-1,2,4-triazolyl;
 1,2,3-oxadiazolyl; 1,2,4-oxadiazolyl; 1,2,5-oxadiazolyl; 1,3,4-oxadiazolyl;
 1,2,3-thiadiazolyl; 1,2,4-thiadiazolyl; 1,2,5-thiadiazolyl; 1,3,4-thiadiazolyl;
 1*H*-tetrazolyl; 2*H*-tetrazolyl; pyridinyl; pyridazinyl; pyrimidinyl; pyrazinyl;
 1,3,5-triazinyl; 1,2,4-triazinyl; 1,2,4,5-tetrazinyl; 1*H*-indolyl; benzofuranyl;
 benzo[*b*]thiophenyl; 1*H*-indazolyl; 1*H*-benzimidazolyl; benzoxazolyl;
 20 benzothiazolyl; quinolinyl; isoquinolinyl; cinnolinyl; phthalazinyl;
 quinazolinyl; quinoxalinyl; 1,8-naphthyridinyl; pteridinyl;
 2,3-dihydro-1*H*-indenyl; 1,2,3,4-tetrahydronaphthalenyl;
 6,7,8,9-tetrahydro-5*H*-benzocycloheptenyl;
 5,6,7,8,9,10-hexahydrobenzocyclooctenyl; 2,3-dihydro-3-oxobenzofuranyl;
 25 1,3-dihydro-1-oxoisobenzofuranyl; 2,3-dihydro-2-oxobenzofuranyl;
 3,4-dihydro-4-oxo-2*H*-1-benzopyranyl;
 3,4-dihydro-1-oxo-1*H*-2-benzopyranyl;
 3,4-dihydro-3-oxo-1*H*-2-benzopyranyl;
 3,4-dihydro-2-oxo-2*H*-1-benzopyranyl; 4-oxo-4*H*-1-benzopyranyl;
 30 2-oxo-2*H*-1-benzopyranyl; 2,3,4,5-tetrahydro-5-oxo-1-benzoxepinyl;
 2,3,4,5-tetrahydro-2-oxo-1-benzoxepinyl;
 2,3-dihydro-1,3-dioxo-1*H*-isoindolyl;
 1,2,3,4-tetrahydro-1,3-dioxoisoquinolinyl;
 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazinyl; 2-oxo-1,3-benzodioxyl;
 35 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazolyl; 9*H*-fluorenyl; azulenyl; and

thiazolo[2,3-c]-1,2,4-triazolyl; each group substituted with R⁹ and optionally substituted with one or more R¹⁰; and

R¹⁵ is H; C₁-C₃ alkyl; or C₃-C₆ cycloalkyl.

8. A compound of Claim 7 wherein:

5 E is selected from the group 1,2-phenylene; 1,6-, 1,7-, 1,2-, and 2,3-naphthalenediyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 2,3- and 3,4-pyridinediyl; 4,5-pyrimidinediyl; 2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; and benzo[*b*]thiophene-2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-diyl; each aromatic ring system optionally substituted with one of R³,
10 R⁴, or both R³ and R⁴;

Z is selected from the group phenyl; naphthalenyl; 2-thiazolyl; 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; 1,3,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted with R⁹ and optionally substituted with one or more R¹⁰;

15 R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio; C₂-C₆ alkenyl; C₂-C₆ alkynyl; cyclopropyl; halogen; or cyano; or when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is -CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken together as -(CH₂)_r-J- such that J is attached to Z;

20 J is -CH₂- or -CH₂CH₂-; and
r is 1.

9. The compound of Claim 8 which is selected from the group:

4-[2-[[3-(3-ethynylphenyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one; and

25 [3-[5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4*H*-1,2,4-triazol-4-yl)phenoxy]-1,2,4-thiadiazol-3-yl]phenyl] trifluoromethanesulfonate.

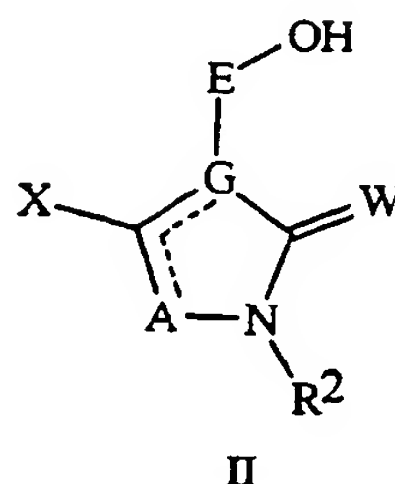
10. A fungicidal composition comprising a fungicidally effective amount of a compound of any of Claims 2-9 and at least one of a surfactant, a solid diluent or a liquid diluent.

30 11. An arthropodicidal composition comprising an arthropodicidally effective amount of a compound of any of Claims 2-9 and at least one of a surfactant, a solid diluent or a liquid diluent.

12. A method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a
35 fungicidally effective amount of a compound of any of Claims 2-9.

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13. A compound selected from Formula II,



wherein

- 5 E is 1,2-phenylene optionally substituted with one of R³, R⁴, or both R³ and R⁴;
 A is O; S; N; NR⁵; or CR¹⁴;
 G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating
 double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the
 floating double bond is attached to A;
- 10 W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl);
 X is OR¹; S(O)_mR¹; or halogen;
 R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆
 alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄
 alkoxycarbonyl;
- 15 R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆
 alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄
 alkoxycarbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;
- R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl;
 C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆
 haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆
 alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl;
 C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O);
 (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃;
 (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl, or phenylsulfonyl each
 substituted with R⁸ and optionally substituted with one or more R¹⁰; or
 when R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together
 as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅ alkenylene or C₃-C₅
 haloalkenylene each optionally substituted with 1-2 C₁-C₃ alkyl;
- 25 R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆
 alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄
 alkoxycarbonyl;
- 30

- 5 R^8 is H; 1-2 halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_1 - C_6 alkylthio; C_1 - C_6 haloalkylthio; C_1 - C_6 alkylsulfinyl; C_1 - C_6 alkylsulfonyl; C_3 - C_6 cycloalkyl; C_3 - C_6 alkenyloxy; $CO_2(C_1$ - C_6 alkyl); $NH(C_1$ - C_6 alkyl); $N(C_1$ - C_6 alkyl) $_2$; cyano; nitro; $SiR^{19}R^{20}R^{21}$; or $GeR^{19}R^{20}R^{21}$;
- each R^{10} is independently halogen; C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_1 - C_4 alkoxy; nitro; or cyano;
- R^{14} is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; or C_3 - C_6 cycloalkyl;
- 10 R^{19} , R^{20} and R^{21} are each independently C_1 - C_6 alkyl; C_2 - C_6 alkenyl; C_1 - C_4 alkoxy; or phenyl;
- each R^{25} is independently C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_4 alkenyl; C_1 - C_4 alkoxy; or phenyl; and
- m is 0, 1 or 2.
- 15 14. The compound of Claim 13 which is selected from the group:
- 2,4-dihydro-4-(2-hydroxyphenyl)-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
- 2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
- 5-chloro-2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-2-methyl-3*H*-1,2,4-triazol-3-one; and
- 20 5-chloro-2,4-dihydro-4-(2-hydroxyphenyl)-2-methyl-3*H*-1,2,4-triazol-3-one.

INTERNATIONAL SEARCH REPORT

Ir. Application No
PCT/US 96/10326

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 A01N43/00 C07D249/12 C07D261/12 C07D401/00 C07D403/00
C07D405/00 C07D409/00 C07D413/00 C07D417/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 A01N C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|-----------------------|
| X | DE,A,44 13 669 (BAYER AG) 12 January 1995 see the whole document --- | 1,6-14 |
| X | EP,A,0 508 126 (BAYER AG) 14 October 1992 see the whole document --- | 1,6-14 |
| X | WO,A,92 16510 (CIBA-GEIGY AG) 1 October 1992 see the whole document --- | 1,6-14 |
| X | WO,A,95 14009 (E.I. DU PONT DE NEMOURS AND COMPANY) 26 May 1995 cited in the application see the whole document --- | 2-14 |
| E | WO,A,96 26191 (E.I. DU PONT DE NEMOURS AND COMPANY) 29 August 1996 see the whole document --- | 2-14 |
| -/-- | | |

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- * & * document member of the same patent family

Date of the actual completion of the international search

15 October 1996

Date of mailing of the international search report

23.10.96

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Allard, M

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 96/10326

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|--|-----------------------|
| E | <p>WO,A,96 17851 (E.I. DU PONT DE NEMOURS AND COMPANY) 13 June 1996 see the whole document -----</p> | 1-14 |

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 96/ 10326

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
Claims 1, 6-8 and 10-12 of the present application cover such a large number of compounds over such a large number of classification units, that a complete search cannot be economically justified, see PCT-Search Guidelines, C-III, 2.1.

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 96/10326

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
|---|---------------------|---|--|
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| EP-A-508126 | 14-10-92 | DE-A- 4109208 JP-A- 5117240 US-A- 5474974 US-A- 5332720 US-A- 5358924 | 24-09-92 14-05-93 12-12-95 26-07-94 25-10-94 |
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| WO-A-9514009 | 26-05-95 | AU-A- 7953594 EP-A- 0729461 | 06-06-95 04-09-96 |
| WO-A-9626191 | 29-08-96 | NONE | |
| WO-A-9617851 | 13-06-96 | AU-A- 4243896 | 26-06-96 |



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

| | | |
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| (54) Title: ARTHROPODICIDAL AND FUNGICIDAL CYCLIC AMIDES (57) Abstract <p>Compounds of Formula (I), and their N-oxides and agriculturally suitable salts, are disclosed which are useful as fungicides and arthropodicides, wherein A is O; S; N; NR⁵; or CR¹⁴; G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the floating double bond is attached to A; W is O; S; NH; N(C₁-C₆alkyl); or NO(C₁-C₆alkyl); X is OR¹; S(O)_mR¹; or halogen; R¹ is C₁-C₆alkyl; C₁-C₆haloalkyl; C₂-C₆alkenyl; C₂-C₆haloalkenyl; C₂-C₆alkynyl; C₂-C₆haloalkynyl; C₃-C₆cycloalkyl; C₂-C₄alkylcarbonyl; or C₂-C₄alkoxycarbonyl; R² is H; C₁-C₆alkyl; C₁-C₆haloalkyl; C₂-C₆alkenyl; C₂-C₆haloalkenyl; C₂-C₆alkynyl; C₂-C₆haloalkynyl; C₃-C₆cycloalkyl; C₂-C₄alkylcarbonyl; C₂-C₄alkoxycarbonyl; hydroxy; C₁-C₂alkoxy; or acetyloxy; m is 0, 1 or 2; and E, R⁵, Y, Z and R¹⁴ are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling plant diseases caused by fungal plant pathogens which involves applying an effective amount of a compound of Formula (I). Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling arthropods which involves contacting the arthropods or their environment with an effective amount of a compound of formula (I).</p> <div data-bbox="1284 1622 1801 1936"><p style="text-align: right;">(I)</p></div> | | |

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TITLE

ARTHROPODICIDAL AND FUNGICIDAL CYCLIC AMIDES

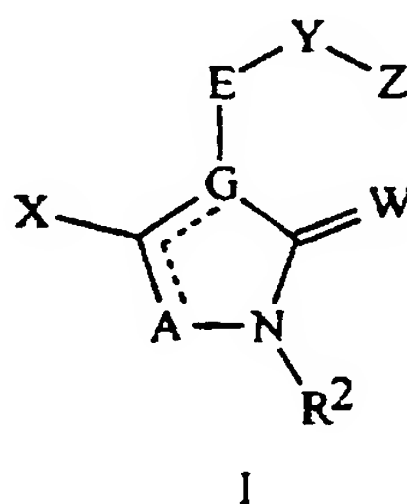
BACKGROUND OF THE INVENTION

5 This invention relates to certain cyclic amides, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as fungicides and arthropodicides.

The control of plant diseases caused by fungal plant pathogens is extremely important in achieving high crop efficiency. Plant disease damage to ornamental, vegetable, field, cereal, and fruit crops can cause significant reduction in productivity and thereby result in increased costs to the consumers. The control of arthropod pests is also extremely important in achieving high crop efficiency. Arthropod damage to growing and stored agronomic crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. The control of arthropod pests in forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, and public and animal health is also important. Many products are commercially available for these purposes, but the need continues for new compounds which are more effective, less costly, less toxic, environmentally safer or have different modes of action.

SUMMARY OF THE INVENTION

20 This invention is directed to compounds of Formula I including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, agricultural compositions containing them and their use as fungicides and arthropodicides:



25 wherein

E is selected from:

- i) 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;
- ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; and
- iii) a ring system selected from 5 to 12-membered monocyclic and fused bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system

containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each fused bicyclic ring system optionally containing one nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from C(=O) and S(O)₂, provided that G is attached to an aromatic ring, and when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, each aromatic heterocyclic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

A is O; S; N; NR⁵; or CR¹⁴;

G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the floating double bond is attached to A;

W is O; S; NH; N(C₁-C₆ alkyl); or NO(C₁-C₆ alkyl);

X is OR¹; S(O)_mR¹; or halogen;

R¹ is C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; C₂-C₄ alkoxycarbonyl; hydroxy; C₁-C₂ alkoxy; or acetyloxy;

R³ and R⁴ are each independently halogen; cyano; nitro; hydroxy; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyloxy; C₂-C₆ alkynyloxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; formyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; NH₂C(O); (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; or phenyl, phenylethynyl, benzoyl or phenylsulfonyl, each substituted with R⁸ and optionally substituted with one or more R¹⁰; or

when E is 1,2-phenylene and R³ and R⁴ are attached to adjacent atoms, R³ and R⁴ can be taken together as C₃-C₅ alkylene, C₃-C₅ haloalkylene, C₃-C₅ alkenylene or C₃-C₅ haloalkenylene, each optionally substituted with 1-2 C₁-C₃ alkyl;

R⁵ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₄ alkylcarbonyl; or C₂-C₄ alkoxycarbonyl;

- Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CHR⁶-; -CHR⁶CHR⁶-;
 -CR⁶=CR⁶-; -C≡C-; -CHR¹⁵O-; -OCHR¹⁵-; -CHR¹⁵S(O)_n-;
 -S(O)_nCHR¹⁵-; -CHR¹⁵O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-;
 -O-N=C(R⁷)-; -CHR¹⁵OC(=O)N(R¹⁵)-; -CHR¹⁵OC(=S)N(R¹⁵)-;
 5 -CHR¹⁵OC(=O)O-; -CHR¹⁵OC(=S)O-; -CHR¹⁵OC(=O)S-;
 -CHR¹⁵OC(=S)S-; -CHR¹⁵SC(=O)N(R¹⁵)-; -CHR¹⁵SC(=S)N(R¹⁵)-;
 -CHR¹⁵SC(=O)O-; -CHR¹⁵SC(=S)O-; -CHR¹⁵SC(=O)S-;
 -CHR¹⁵SC(=S)S-; -CHR¹⁵SC(=NR¹⁵)S-; -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-;
 -CHR¹⁵O-N(R¹⁵)C(=O)N(R¹⁵)-; -CHR¹⁵O-N(R¹⁵)C(=S)N(R¹⁵)-;
 10 -CHR¹⁵O-N=C(R⁷)NR¹⁵-; -CHR¹⁵O-N=C(R⁷)OCH₂-;
 -CHR¹⁵O-N=C(R⁷)-N=N-; -CHR¹⁵O-N=C(R⁷)-C(=O)-;
 -CHR¹⁵O-N=C(R⁷)-C(=N-A²-Z¹)-A¹-;
 -CHR¹⁵O-N=C(R⁷)-C(R⁷)=N-A²-A³-; -CHR¹⁵O-N=C(-C(R⁷)=N-A²-Z¹)-;
 -CHR¹⁵O-N=C(R⁷)-CH₂O-; -CHR¹⁵O-N=C(R⁷)-CH₂S-;
 15 -O-CH₂CH₂O-N=C(R⁷)-; -CHR¹⁵O-C(R¹⁵)=C(R⁷)-; -CHR¹⁵O-C(R⁷)=N-;
 -CHR¹⁵S-C(R⁷)=N-; -C(R⁷)=N-NR¹⁵-; -CH=N-N=C(R⁷)-;
 -CHR¹⁵N(R¹⁵)-N=C(R⁷)-; -CHR¹⁵N(COCH₃)-N=C(R⁷)-;
 -OC(=S)NR¹⁵C(=O)-; -CHR⁶-C(=W¹)-A¹-; -CHR⁶CHR⁶-C(=W¹)-A¹-;
 -CR⁶=CR⁶-C(=W¹)-A¹-; -C≡C-C(=W¹)-A¹-; -N=CR⁶-C(=W¹)-A¹-; or a
 20 direct bond; and the directionality of the Y linkage is defined such that the
 moiety depicted on the left side of the linkage is bonded to E and the moiety
 on the right side of the linkage is bonded to Z;
- Z¹ is H or -A³-Z²;
 W¹ is O or S;
 25 A¹ is O; S; NR¹⁵; or a direct bond;
 A² is O; NR¹⁵; or a direct bond;
 A³ is -C(=O)-; -S(O)₂-; or a direct bond;
 Z² is selected from:
- 30 i) C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl and C₂-C₁₀ alkynyl, each optionally
 substituted with one or more R¹⁰;
 ii) C₃-C₈ cycloalkyl, C₃-C₈ cycloalkenyl and phenyl, each optionally
 substituted with one or more R¹⁰;
 iii) a ring system selected from 3 to 14-membered monocyclic, fused
 bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 5 to
 35 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic
 heterocyclic ring systems, each heterocyclic ring system containing 1 to 6
 heteroatoms independently selected from the group nitrogen, oxygen, and
 sulfur, provided that each heterocyclic ring system contains no more than 4

nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system optionally substituted with one or more R^{10} ;

iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from $C(=O)$ and $S(O)_2$, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system optionally substituted with one or more R^{10} ; and
v) adamantyl optionally substituted with one or more R^{10} ;

each R^6 is independently H; 1-2 CH_3 ; C_2-C_3 alkyl; C_1-C_3 alkoxy; C_3-C_6 cycloalkyl; formylamino; C_2-C_4 alkylcarbonylamino; C_2-C_4 alkoxycarbonylamino; $NH_2C(O)NH$; $(C_1-C_3 \text{ alkyl})NHC(O)NH$; $(C_1-C_3 \text{ alkyl})_2NC(O)NH$; $N(C_1-C_3 \text{ alkyl})_2$; piperidinyl; morpholinyl; 1-2 halogen; cyano; or nitro;

each R^7 is independently H; C_1-C_6 alkyl; C_1-C_6 haloalkyl; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; C_1-C_6 alkylthio; C_1-C_6 alkylsulfinyl; C_1-C_6 alkylsulfonyl; C_1-C_6 haloalkylthio; C_1-C_6 haloalkylsulfinyl; C_1-C_6 haloalkylsulfonyl; C_2-C_6 alkenyl; C_2-C_6 haloalkenyl; C_2-C_6 alkynyl; C_2-C_6 haloalkynyl; C_3-C_6 cycloalkyl; C_2-C_4 alkylcarbonyl; C_2-C_4 alkoxycarbonyl; halogen; cyano; nitro; hydroxy; amino; $NH(C_1-C_6 \text{ alkyl})$; $N(C_1-C_6 \text{ alkyl})_2$; or morpholinyl;

Z is selected from:

- i) C_3-C_8 cycloalkyl, C_3-C_8 cycloalkenyl and phenyl, each substituted with R^9 and optionally substituted with one or more R^{10} ;
- ii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 5 to 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system substituted with R^9 and optionally substituted with one or more R^{10} ;
- iii) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing

one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from C(=O) and S(O)₂, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system substituted with R⁹ and optionally substituted with one or more R¹⁰; and

iv) adamantyl substituted with R⁹ and optionally substituted with one or more R¹⁰;

each Q is independently selected from the group -CHR¹³-, -NR¹³-, -O- and -S(O)_p-;

10 R⁸ is H; 1-2 halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₁-C₆ alkylthio; C₁-C₆ haloalkylthio; C₁-C₆ alkylsulfinyl; C₁-C₆ alkylsulfonyl; C₃-C₆ cycloalkyl; C₃-C₆ alkenyloxy; CO₂(C₁-C₆ alkyl); NH(C₁-C₆ alkyl); N(C₁-C₆ alkyl)₂; cyano; nitro; SiR¹⁹R²⁰R²¹; or GeR¹⁹R²⁰R²¹;

15 R⁹ is C₁-C₆ alkyl substituted with 2-3 C₁-C₃ alkoxy; C₂-C₄ alkynyl substituted with one hydroxy or 1-3 C₁-C₄ alkoxy; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl substituted with at least one member selected from 1-4 halogen, 1-2 C₁-C₃ alkyl, 1-2 C₁-C₃ alkoxy and one Z³; C₃-C₆ cycloalkenyl or C₃-C₆ cycloalkoxy each optionally substituted with at least one member
20 selected from 1-2 halogen, 1-2 C₁-C₃ alkyl, 1-2 C₁-C₃ alkoxy and one Z³; adamantyl; C₂-C₆ alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₂-C₆ cyanoalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀ tetrahydropyranyloxyalkynyl; C₁-C₃ alkoxy substituted with cyano, C(=O)OR²⁶ or C(=O)N(R²⁶)₂; C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy; C₃-C₆ haloalkynyloxy; C₂-C₆ alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy; C₂-C₆ alkylthioalkoxy;
25 C₁-C₃ alkylthio substituted with cyano, C(=O)OR²⁶ or C(=O)N(R²⁶)₂; C₁-C₆ haloalkylsulfinyl; C₁-C₆ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₃-C₆ alkynylthio; C₃-C₆ haloalkynylthio; C₂-C₆ alkoxyalkylthio; C₂-C₆ alkylthioalkylthio; thiocyanato; hydroxy; mercapto; amino; N(R²⁶)(R²⁸); SiR²²R²³R²⁴; GeR²²R²³R²⁴; (R²⁵)₃Si-C≡C-;
30 OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁹; C(=S)R²⁶; C(=O)OR³⁰; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶; C(=O)N(R²⁶)₂; C(=S)N(R²⁶)₂; C(=NR²⁶)OR²⁷; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶; SC(=S)R²⁶; N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷; OC(=O)SR²⁷; OC(=O)N(R²⁶)₂;
35 SC(=O)OR²⁷; SC(=O)SR²⁷; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂; OS(O)₂R²⁷; or N(R²⁶)S(O)₂R²⁷; or R⁹ is benzyloxy, benzyloxymethyl, phenylethynyl, phenoxymethyl, phenylthio, phenylsulfonyl, benzylthio, pyridinylmethyl, pyridinylmethyloxy, pyridinyloxymethyl, pyridinylethynyl, pyridinylthio,

thienylmethyl, thienylthio, furanylmethyl, furanyloxy, furanylthio, pyrimidinylmethyl or pyrimidinylthio, each optionally substituted on the aromatic ring with one of R^{11} , R^{12} , or both R^{11} and R^{12} ; or R^9 is C_2 - C_6 alkyl or C_2 - C_6 alkoxy substituted with 1-2 phenyl, naphthalenyl, phenoxy, benzyloxy, pyridinyl, pyrimidinyl, thienyl or furanyl, each aromatic ring optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ; or R^9 is $-A^4-Z^4$;

each R^{10} is independently halogen; C_1 - C_4 alkyl optionally substituted with 1-3 C_1 - C_3 alkoxy; C_1 - C_4 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; C_2 - C_6 alkoxyalkyl; C_2 - C_6 alkylthioalkyl; C_2 - C_6 cyanoalkyl; C_3 - C_6 alkoxyalkynyl; C_7 - C_{10} tetrahydropyranyloxyalkynyl; benzyloxymethyl; C_1 - C_4 alkoxy; C_1 - C_4 haloalkoxy; C_3 - C_6 alkenyloxy; C_3 - C_6 haloalkenyloxy; C_3 - C_6 alkynyloxy; C_3 - C_6 haloalkynyloxy; C_3 - C_6 cycloalkoxy; C_2 - C_6 alkoxyalkoxy; C_5 - C_9 trialkylsilylalkoxyalkoxy; C_2 - C_6 alkylthioalkoxy; C_1 - C_4 alkylthio; C_1 - C_4 haloalkylthio; C_1 - C_4 alkylsulfinyl; C_1 - C_4 haloalkylsulfinyl; C_1 - C_4 alkylsulfonyl; C_1 - C_4 haloalkylsulfonyl; C_3 - C_6 alkenylthio; C_3 - C_6 haloalkenylthio; C_3 - C_6 alkynylthio; C_3 - C_6 haloalkynylthio; C_2 - C_6 alkoxyalkylthio; C_2 - C_6 alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; mercapto; $N(R^{26})_2$; SF_5 ; $Si(R^{25})_3$; $Ge(R^{25})_3$; $(R^{25})_3Si-C\equiv C-$; $OSi(R^{25})_3$; $OGe(R^{25})_3$; $-C(R^{18})=NOR^{17}$; $C(=O)R^{26}$; $C(=S)R^{26}$; $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$; $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $C(=NR^{26})OR^{27}$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$; $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$; $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, benzyl or phenoxy, each optionally substituted on the phenyl ring with one of R^{11} , R^{12} , or both R^{11} and R^{12} ; or

when Y and an R^{10} are attached to adjacent atoms on Z and Y is

$-CHR^{15}O-N=C(R^7)-$, $-O-N=C(R^7)-$, $-O-CH_2CH_2O-N=C(R^7)-$, $-CHR^{15}O-C(R^{15})=C(R^7)-$, $-CH=N-N=C(R^7)-$, $-CHR^{15}N(R^{15})-N=C(R^7)-$ or $-CHR^{15}N(COCH_3)-N=C(R^7)-$, R^7 and said adjacently attached R^{10} can be taken together as $-(CH_2)_f-J-$ such that J is attached to Z;

J is $-CH_2-$; $-CH_2CH_2-$; $-OCH_2-$; $-CH_2O-$; $-SCH_2-$; $-CH_2S-$; $-N(R^{16})CH_2-$; or $-CH_2N(R^{16})-$; each CH_2 group of said J optionally substituted with 1 to 2 CH_3 ;

Z^3 is phenyl, naphthalenyl, 1H-pyrrolyl, furanyl, thienyl, 1H-pyrazolyl, 1H-imidazolyl, isoxazolyl, oxazolyl, isothiazolyl, thiazolyl,

1*H*-1,2,3-triazolyl, 2*H*-1,2,3-triazolyl, 1*H*-1,2,4-triazolyl, 4*H*-1,2,4-triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1*H*-tetrazolyl, 2*H*-tetrazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl or 1,2,4,5-tetrazinyl, each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

A⁴ is O; S; straight-chain or branched C₁-C₆ alkylene; or a direct bond;

Z⁴ is selected from:

i) 1*H*-pyrrolyl, 1*H*-pyrazolyl, 1*H*-imidazolyl, isoxazolyl, oxazolyl,

isothiazolyl, thiazolyl, 1*H*-1,2,3-triazolyl, 2*H*-1,2,3-triazolyl,

1*H*-1,2,4-triazolyl, 4*H*-1,2,4-triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl,

1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl,

1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1*H*-tetrazolyl, 2*H*-tetrazolyl,

pyridazinyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl and 1,2,4,5-tetrazinyl;

each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

ii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 8 to

14-membered fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms

independently selected from the group nitrogen, oxygen, and sulfur,

provided that each heterocyclic ring system contains no more than 4

nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each

nonaromatic or aromatic heterocyclic ring system optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²; and

iii) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring

system, a nonaromatic carbocyclic ring system, or a ring system containing

one or two nonaromatic rings that each include one or two Q as ring

members and one or two ring members independently selected from C(=O)

and S(O)₂, and any remaining rings as aromatic carbocyclic rings, each

multicyclic ring system optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

each R¹¹ and each R¹² are independently 1-2 halogen; C₁-C₄ alkyl; C₁-C₄

haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆

haloalkynyl; C₂-C₆ alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₃-C₆

alkoxyalkynyl; C₇-C₁₀ tetrahydropyranyloxyalkynyl; benzyloxymethyl;

C₁-C₄ alkoxy; C₁-C₄ haloalkoxy; C₃-C₆ alkenyloxy; C₃-C₆ haloalkenyloxy;

C₃-C₆ alkynyloxy; C₃-C₆ haloalkynyloxy; C₂-C₆ alkoxyalkoxy; C₅-C₉

- trialkylsilylalkoxyalkoxy; C₂-C₆ alkylthioalkoxy; C₁-C₄ alkylthio; C₁-C₄ haloalkylthio; C₁-C₄ alkylsulfinyl; C₁-C₄ haloalkylsulfinyl; C₁-C₄ alkylsulfonyl; C₁-C₄ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₂-C₆ alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; mercapto; N(R²⁶)₂; SF₅; Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁶; C(=S)R²⁶; C(=O)OR²⁶; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶; C(=O)N(R²⁶)₂; C(=S)N(R²⁶)₂; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶; SC(=S)R²⁶; N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷; OC(=O)SR²⁷; OC(=O)N(R²⁶)₂; SC(=O)OR²⁷; SC(=O)SR²⁷; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂; OS(O)₂R²⁷; N(R²⁶)S(O)₂R²⁷; or phenyl, phenoxy, benzyl, benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted on the aromatic ring with 1-2 groups independently selected from halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro and cyano;
- each R¹³ is independently H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
- R¹⁴ is H; halogen; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; or C₃-C₆ cycloalkyl;
- each R¹⁵ is independently H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano; or when Y is -CHR¹⁵N(R¹⁵)C(=O)N(R¹⁵)-, the two R¹⁵ attached to nitrogen atoms on said group can be taken together as -(CH₂)₅-; or
- when Y is -CHR¹⁵O-N=C(R⁷)NR¹⁵-, R⁷ and the adjacently attached R¹⁵ can be taken together as -CH₂-(CH₂)₅-; -O-(CH₂)₅-; -S-(CH₂)₅-; or -N(C₁-C₃ alkyl)-(CH₂)₅-; with the directionality of said linkage defined such that the moiety depicted on the left side of the linkage is bonded to the carbon and the moiety on the right side of the linkage is bonded to the nitrogen;
- R¹⁶, R¹⁷, and R¹⁸ are each independently H; C₁-C₃ alkyl; C₃-C₆ cycloalkyl; or phenyl optionally substituted with halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro or cyano;
- R¹⁹, R²⁰, R²¹, R²², and R²³ are each independently C₁-C₆ alkyl; C₁-C₄ haloalkyl; C₂-C₆ alkenyl; C₁-C₄ alkoxy; or phenyl;
- R²⁴ is C₁-C₄ haloalkyl;
- each R²⁵ is independently C₁-C₄ alkyl; C₁-C₄ haloalkyl; C₂-C₄ alkenyl; C₁-C₄ alkoxy; or phenyl;

each R^{26} is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro and cyano;

each R^{27} is independently C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro and cyano;

each R^{28} is independently C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro and cyano;

R^{29} is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or benzyl optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro and cyano;

R^{30} is H; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro and cyano;

m, n and p are each independently 0, 1 or 2;

r is 0 or 1; and

s is 2 or 3;

provided that when Y is $-\text{CH}(\text{OR}^{15})-$, $-\text{CHR}^6-$, $-\text{CHR}^6\text{CHR}^6-$, $-\text{CR}^6=\text{CR}^6-$, $-\text{C}\equiv\text{C}-$, $-\text{CHR}^{15}\text{O}-$, $-\text{OCHR}^{15}-$, $-\text{S}(\text{O})_n\text{CHR}^{15}-$, $-(\text{R}^7)\text{C}=\text{N}-\text{OCH}(\text{R}^{15})-$, $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-\text{CH}_2\text{O}-$, $-\text{CHR}^{15}\text{O}-\text{C}(\text{R}^{15})=\text{C}(\text{R}^7)-$, $-\text{CHR}^6-\text{C}(=\text{W}^1)-\text{A}^1-$, $-\text{CHR}^6\text{CHR}^6-\text{C}(=\text{W}^1)-\text{A}^1-$, $-\text{CR}^6=\text{CR}^6-\text{C}(=\text{W}^1)-\text{A}^1-$ or $-\text{C}\equiv\text{C}-\text{C}(=\text{W}^1)-\text{A}^1-$, then Z is other than phenyl, furanyl, thienyl, pyridinyl and pyrimidinyl.

DETAILS OF THE INVENTION

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The

term "1-2 CH₃" indicates that the substituent can be methyl or, when there is a hydrogen attached to the same atom, the substituent and said hydrogen can both be methyl. The term "1-2 alkyl" indicates that one or two of the available positions for that substituent may be alkyl which are independently selected. "Alkenyl" includes
5 straight-chain or branched alkenes such as vinyl, 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple
10 bonds such as 2,5-hexadiynyl. "Alkylene" denotes a straight-chain (or branched when indicated) alkanediyl. Examples of "alkylene" include CH₂CH₂, CH(CH₃), CH₂CH₂CH₂, CH₂CH(CH₃), CH₂CH₂CH₂CH₂ and CH₂CH₂CH₂CH₂CH₂. "Alkenylene" denotes a straight-chain alkenediyl containing one olefinic bond. Examples of "alkenylene" include CH₂CH=CH, CH₂CH₂CH=CH, CH₂CH=CHCH₂
15 and CH₂CH=CHCH₂CH₂. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. The term "1-3 alkoxy" indicates that one to three of the available positions for that substituent may be alkoxy which are independently selected; and the term "1-2 alkoxy" is defined analogously. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples
20 of "alkoxyalkyl" include CH₃OCH₂, CH₃OCH₂CH₂, CH₃CH₂OCH₂, CH₃CH₂CH₂CH₂OCH₂ and CH₃CH₂OCH₂CH₂. "Alkoxyalkoxy" denotes alkoxy substitution on alkoxy. "Alkenyloxy" includes straight-chain or branched alkenyloxy moieties. Examples of "alkenyloxy" include H₂C=CHCH₂O, (CH₃)₂C=CHCH₂O, (CH₃)CH=CHCH₂O, (CH₃)CH=C(CH₃)CH₂O and CH₂=CHCH₂CH₂O. "Alkynyloxy"
25 includes straight-chain or branched alkynyloxy moieties. Examples of "alkynyloxy" include HC≡CCH₂O, CH₃C≡CCH₂O and CH₃C≡CCH₂CH₂O. "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Alkylthioalkyl" denotes alkylthio substitution on alkyl. Examples of "alkylthioalkyl" include
30 CH₃SCH₂, CH₃SCH₂CH₂, CH₃CH₂SCH₂, CH₃CH₂CH₂CH₂SCH₂ and CH₃CH₂SCH₂CH₂. "Alkylthioalkylthio" denotes alkylthio substitution on alkylthio. Analogously, "alkoxyalkylthio" denotes alkoxy substitution on alkylthio and "alkylthioalkoxy" denotes alkylthio substitution on alkoxy. "Alkylsulfinyl" includes both enantiomers of an alkylsulfinyl group. Examples of "alkylsulfinyl" include
35 CH₃S(O), CH₃CH₂S(O), CH₃CH₂CH₂S(O), (CH₃)₂CHS(O) and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of "alkylsulfonyl" include CH₃S(O)₂, CH₃CH₂S(O)₂, CH₃CH₂CH₂S(O)₂, (CH₃)₂CHS(O)₂ and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. "Cyanoalkyl"

denotes an alkyl group substituted with one cyano group. Examples of "cyanoalkyl" include NCCH_2 , NCCH_2CH_2 and $\text{CH}_3\text{CH}(\text{CN})\text{CH}_2$. "Alkenylthio", "alkoxyalkynyl", and the like, are defined analogously to the above examples. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. The term

5 "cycloalkoxy" includes the same groups linked through an oxygen atom such as cyclopentyloxy and cyclohexyloxy. "Cycloalkenyl" includes groups such as cyclopentenyl and cyclohexenyl as well as groups with more than one double bond such as 1,3- and 1,4-cyclohexadienyl. "Trialkylsilylalkoxyalkoxy" denotes trialkylsilylalkoxy substitution on alkoxy. Examples of "trialkylsilylalkoxyalkoxy"

10 includes, for example, $(\text{CH}_3)_3\text{SiCH}_2\text{CH}_2\text{OCH}_2\text{O}$. The term "1-2 phenyl" indicates that one or two of the available positions for that substituent may be phenyl. The term "aromatic carbocyclic ring system" includes fully aromatic carbocycles and carbocycles in which at least one ring of a polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied). The term "nonaromatic carbocyclic ring

15 system" denotes fully saturated carbocycles as well as partially or fully unsaturated carbocycles where the Hückel rule is not satisfied by any of the rings in the ring system. The term "aromatic heterocyclic ring system" includes fully aromatic heterocycles and heterocycles in which at least one ring of a polycyclic ring system is aromatic (where aromatic indicates that the Hückel rule is satisfied). The term "nonaromatic

20 heterocyclic ring system" denotes fully saturated heterocycles as well as partially or fully unsaturated heterocycles where the Hückel rule is not satisfied by any of the rings in the ring system. The heterocyclic ring systems can be attached through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen. One skilled in the art will appreciate that not all nitrogen containing heterocycles can form

25 *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of

30 heterocycles and tertiary amines with peroxy acids such as peracetic and *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the literature, see for example: T. L. Gilchrist in *Comprehensive Organic*

35 *Synthesis*, vol. 7, pp 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18-20, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in *Advances in Heterocyclic Chemistry*, vol. 43, pp 149-161, A. R. Katritzky, Ed.,

Academic Press; M. Tisler and B. Stanovnik in *Advances in Heterocyclic Chemistry*, vol. 9, pp 285-291, A. R. Katritzky and A. J. Boulton, Eds., Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in *Advances in Heterocyclic Chemistry*, vol. 22, pp 390-392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

5 The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. The term "1-2 halogen" indicates that one or two of the available positions for that substituent may be halogen which are independently selected. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the
10 same or different. Examples of "haloalkyl" include F_3C , $ClCH_2$, CF_3CH_2 and CF_3CCl_2 . The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C=CHCH_2$ and $CF_3CH_2CH=CHCH_2$. Examples of "haloalkynyl" include $HC\equiv CCHCl$, $CF_3C\equiv C$, $CCl_3C\equiv C$ and $FCH_2C\equiv CCH_2$. Examples of "haloalkoxy"
15 include CF_3O , CCl_3CH_2O , $HCF_2CH_2CH_2O$ and CF_3CH_2O . Examples of "haloalkylthio" include CCl_3S , CF_3S , CCl_3CH_2S and $ClCH_2CH_2CH_2S$. Examples of "haloalkylsulfinyl" include $CF_3S(O)$, $CCl_3S(O)$, $CF_3CH_2S(O)$ and $CF_3CF_2S(O)$. Examples of "haloalkylsulfonyl" include $CF_3S(O)_2$, $CCl_3S(O)_2$, $CF_3CH_2S(O)_2$ and $CF_3CF_2S(O)_2$.

20 The total number of carbon atoms in a substituent group is indicated by the " C_i-C_j " prefix where i and j are numbers from 1 to 10. For example, C_1-C_3 alkylsulfonyl designates methylsulfonyl through propylsulfonyl. Examples of "alkylcarbonyl" include $C(O)CH_3$, $C(O)CH_2CH_2CH_3$ and $C(O)CH(CH_3)_2$. Examples of "alkoxycarbonyl" include $CH_3OC(=O)$, $CH_3CH_2OC(=O)$, $CH_3CH_2CH_2OC(=O)$,
25 $(CH_3)_2CHOC(=O)$ and the different butoxy- or pentoxycarbonyl isomers. In the above recitations, when a compound of Formula I is comprised of one or more heterocyclic rings, all substituents are attached to these rings through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

 When a group contains a substituent which can be hydrogen, for example R^8 or
30 R^{13} , then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

 Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or
35 may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the present invention comprises compounds selected from Formula I, *N*-oxides and

agriculturally suitable salts thereof. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

The salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The salts of the compounds of the invention also include those formed with organic bases (e.g., pyridine, ammonia, or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium, lithium, calcium, magnesium or barium) when the compound contains an acidic group such as a phenol.

Preferred compounds for reasons of better activity and/or ease of synthesis are:

Preferred 1. Compounds of Formula I above, and *N*-oxides and agriculturally suitable salts thereof, wherein:

E is selected from the group 1,2-phenylene; 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, 2,7-, 1,2-, and 2,3-naphthalenediyl; 1*H*-pyrrole-1,2-, 2,3- and 3,4-diyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 1*H*-pyrazole-1,5-, 3,4- and 4,5-diyl; 1*H*-imidazole-1,2-, 4,5- and 1,5-diyl; 3,4- and 4,5-isoxazolediyl; 4,5-oxazolediyl; 3,4- and 4,5-isothiazolediyl; 4,5-thiazolediyl; 1*H*-1,2,3-triazole-1,5- and 4,5-diyl; 2*H*-1,2,3-triazole-4,5-diyl; 1*H*-1,2,4-triazole-1,5-diyl; 4*H*-1,2,4-triazole-3,4-diyl; 1,2,3-oxadiazole-4,5-diyl; 1,2,5-oxadiazole-3,4-diyl; 1,2,3-thiadiazole-4,5-diyl; 1,2,5-thiadiazole-3,4-diyl; 1*H*-tetrazole-1,5-diyl; 2,3- and 3,4-pyridinediyl; 3,4- and 4,5-pyridazinediyl; 4,5-pyrimidinediyl; 2,3-pyrazinediyl; 1,2,3-triazine-4,5-diyl; 1,2,4-triazine-5,6-diyl; 1*H*-indole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 1,2-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; benzo[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 1*H*-indazole-1,4-, 1,5-, 1,6-, 1,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 1*H*-benzimidazole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,2-benzisoxazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzoxazolediyl; 1,2-benzisothiazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzothiazolediyl; 2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3-, 3,4-, 5,6-, 6,7- and 7,8-quinolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 3,5-, 3,6-, 3,7-,

- 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-isoquinolinediyl;
 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and
 7,8-cinnolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 5,6-, 6,7- and
 7,8-phthalazinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-,
 5 6,7- and 7,8-quinazolinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 2,3-, 5,6-, 6,7-
 and 7,8-quinoxalinediyl; 1,8,-naphthyridine-2,5-, 2,6-, 2,7-, 3,5-,
 3,6-, 4,5-, 2,3- and 3,4-diyl; 2,6-, 2,7-, 4,6-, 4,7-, 6,7-pteridinediyl;
 pyrazolo[5,1-*b*]thiazole-2,6-, 2,7-, 3,6-, 3,7-, 2,3- and 6,7-diyl;
 thiazolo[2,3-*c*]-1,2,4-triazole-2,5-, 2,6-, 5,6-diyl;
 10 2-oxo-1,3-benzodioxole-4,5- and 5,6-diyl;
 1,3-dioxo-1*H*-isoindole-2,4-, 2,5-, 4,5- and 5,6-diyl;
 2-oxo-2*H*-1-benzopyran-3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-,
 5,6-, 6,7- and 7,8-diyl; [1,2,4]triazolo[1,5-*a*]pyridine-2,5-, 2,6-,
 2,7-, 2,8-, 5,6-, 6,7- and 7,8-diyl;
 15 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazine-3,5-, 3,6-, 3,7-, 3,8-,
 5,6-, 6,7- and 7,8-diyl; 2,3-dihydro-2-oxo-3,4-, 3,5-, 3,6-, 3,7-, 4,5-,
 5,6- and 6,7-benzofurandiyl; thieno[3,2-*d*]thiazole-2,5-, 2,6-, and
 5,6-diyl; 5,6,7,8-tetrahydro-2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-,
 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3- and 3,4-quinolinediyl;
 20 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazole-2,4-, 2,5-, 2,6-, 2,7-,
 4,5-, 5,6- and 6,7-diyl; 1,3-benzodioxole-2,4-, 2,5-, 4,5- and
 5,6-diyl; 2,3-dihydro-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-,
 4,5-, 5,6- and 6,7-benzofurandiyl;
 2,3-dihydro-1,4-benzodioxin-2,5-, 2,6-, 2,7-, 2,8-, 5,6- and 6,7-diyl;
 25 and 5,6,7,8-tetrahydro-4*H*-cyclohepta[*b*]thiophene-2,4-, 2,5-, 2,6-,
 2,7-, 2,8-, 3,4-, 3,5-, 3,6-, 3,7-, 3,8-, and 2,3-diyl; each aromatic
 ring system optionally substituted with one of R³, R⁴, or both R³
 and R⁴;

W is O;

- 30 R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;
 R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;
 R³ and R⁴ are each independently halogen; cyano; nitro; C₁-C₆ alkyl;
 C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆
 alkylthio; C₁-C₆ alkylsulfonyl; C₂-C₆ alkylcarbonyl; C₂-C₆
 35 alkoxycarbonyl; (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O);
 benzoyl; or phenylsulfonyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; -CH₂CH₂-;
 -CH=CH-; -C≡C-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -S(O)_nCH₂-;

-CH₂O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; or a direct bond;

R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio; C₂-C₆ alkenyl; C₂-C₆ alkynyl; C₃-C₆ cycloalkyl; halogen; or cyano; or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is -CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken together as -(CH₂)_r-J- such that J is attached to Z;

Z is selected from the group C₃-C₈ cycloalkyl; phenyl; naphthalenyl; anthracenyl; phenanthrenyl; 1*H*-pyrrolyl; furanyl; thienyl; 1*H*-pyrazolyl; 1*H*-imidazolyl; isoxazolyl; oxazolyl; isothiazolyl; thiazolyl; 1*H*-1,2,3-triazolyl; 2*H*-1,2,3-triazolyl; 1*H*-1,2,4-triazolyl; 4*H*-1,2,4-triazolyl; 1,2,3-oxadiazolyl; 1,2,4-oxadiazolyl; 1,2,5-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,3-thiadiazolyl; 1,2,4-thiadiazolyl; 1,2,5-thiadiazolyl; 1,3,4-thiadiazolyl; 1*H*-tetrazolyl; 2*H*-tetrazolyl; pyridinyl; pyridazinyl; pyrimidinyl; pyrazinyl; 1,3,5-triazinyl; 1,2,4-triazinyl; 1,2,4,5-tetrazinyl; 1*H*-indolyl; benzofuranyl; benzo[*b*]thiophenyl; 1*H*-indazolyl; 1*H*-benzimidazolyl; benzoxazolyl; benzothiazolyl; quinolinyl; isoquinolinyl; cinnolinyl; phthalazinyl; quinazolinyl; quinoxalinyl; 1,8-naphthyridinyl; pteridinyl; 2,3-dihydro-1*H*-indenyl; 1,2,3,4-tetrahydronaphthalenyl; 6,7,8,9-tetrahydro-5*H*-benzocycloheptenyl; 5,6,7,8,9,10-hexahydrobenzocyclooctenyl; 2,3-dihydro-3-oxobenzofuranyl; 1,3-dihydro-1-oxoisobenzofuranyl; 2,3-dihydro-2-oxobenzofuranyl; 3,4-dihydro-4-oxo-2*H*-1-benzopyranyl; 3,4-dihydro-1-oxo-1*H*-2-benzopyranyl; 3,4-dihydro-3-oxo-1*H*-2-benzopyranyl; 3,4-dihydro-2-oxo-2*H*-1-benzopyranyl; 4-oxo-4*H*-1-benzopyranyl; 2-oxo-2*H*-1-benzopyranyl; 2,3,4,5-tetrahydro-5-oxo-1-benzoxepinyl; 2,3,4,5-tetrahydro-2-oxo-1-benzoxepinyl; 2,3-dihydro-1,3-dioxo-1*H*-isoindolyl; 1,2,3,4-tetrahydro-1,3-dioxoisoquinolinyl; 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazinyl; 2-oxo-1,3-benzodioxolyl;

2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazolyl; 9H-fluorenyl; azulenyl; and thiazolo[2,3-c]-1,2,4-triazolyl; each group substituted with R⁹ and optionally substituted with one or more R¹⁰; and

R¹⁵ is H; C₁-C₃ alkyl; or C₃-C₆ cycloalkyl.

5 Preferred 2. Compounds of Preferred 1 wherein:

E is selected from the group 1,2-phenylene; 1,6-, 1,7-, 1,2-, and 2,3-naphthalenediyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 2,3- and 3,4-pyridinediyl; 4,5-pyrimidinediyl; 2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; and benzo[*b*]thiophene-2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and 6,7-diyl; each aromatic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

Z is selected from the group phenyl; naphthalenyl; 2-thiazolyl; 1,2,4-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; 1,3,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted with R⁹ and optionally substituted with one or more R¹⁰;

R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio; C₂-C₆ alkenyl; C₂-C₆ alkynyl; cyclopropyl; halogen; or cyano;

20 R⁹ is C₃-C₆ cycloalkyl substituted with at least one member selected from 1-2 halogen, 1-2 C₁-C₃ alkyl, 1-2 C₁-C₃ alkoxy, and one Z³; C₃-C₆ cycloalkoxy optionally substituted with at least one member selected from 1-2 halogen, 1-2 C₁-C₃ alkyl, 1-2 C₁-C₃ alkoxy, and one Z³; C₁-C₆ haloalkylsulfinyl; C₁-C₆ haloalkylsulfonyl; thiocyanato; SiR²²R²³R²⁴; GeR²²R²³R²⁴; (R²⁵)₃Si-C≡C-; C(=O)R²⁹; C(=O)OR³⁰; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂; or OS(O)₂R²⁷; or R⁹ is benzyloxy, phenylethynyl, phenoxymethyl, phenylthio, phenylsulfonyl, benzylthio, pyridinylmethyloxy, pyridinyloxymethyl, pyridinylethynyl or furanyloxy, each optionally substituted on the aromatic ring with one of R¹¹, R¹², or both R¹¹ and R¹²; or R⁹ is C₂-C₆ alkyl or C₂-C₆ alkoxy substituted with 1-2 phenyl, naphthalenyl, phenoxy, benzyloxy, pyridinyl, pyrimidinyl, thienyl or furanyl, each aromatic ring optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹², or R⁹ is -A⁴-Z⁴;

each R¹⁰ is independently halogen; C₁-C₄ haloalkyl; C₂-C₆ alkynyl; nitro; cyano; Si(R²⁵)₃; or (R²⁵)₃Si-C≡C-; or

when Y and an R^{10} are attached to adjacent atoms on Z and Y is
 $-\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$, R^7 and said adjacently attached R^{10} can be
 taken together as $-(\text{CH}_2)_r\text{J}-$ such that J is attached to Z;

J is $-\text{CH}_2-$ or $-\text{CH}_2\text{CH}_2-$;

5 Z^3 is phenyl, furanyl, thienyl or pyridinyl, each optionally substituted
 with one of R^{11} , R^{12} , or both R^{11} and R^{12} ;

A^4 is a direct bond;

Z^4 is 1,3-benzodioxolyl optionally substituted with one of R^{11} , R^{12} , or
 both R^{11} and R^{12} ; and

10 r is 1.

Preferred 3. Compounds of Preferred 2 wherein:

E is selected from the group 1,2-phenylene; 2,3- and 3,4-thiophenediyl;
 and 2,3- and 3,4-pyridinediyl; each aromatic ring system optionally
 substituted with one of R^3 , R^4 , or both R^3 and R^4 ;

15 A is O or N;

X is OR^1 ;

R^1 is C_1 - C_3 alkyl;

R^2 is H or C_1 - C_2 alkyl;

20 Y is $-\text{O}-$; $-\text{S}(\text{O})_n-$; $-\text{NR}^{15}-$; $-\text{C}(=\text{O})-$; $-\text{CH}(\text{OR}^{15})-$; $-\text{CH}_2-$; $-\text{CH}_2\text{CH}_2-$;
 $-\text{CH}=\text{CH}-$; $-\text{C}\equiv\text{C}-$; $-\text{CH}_2\text{O}-$; $-\text{OCH}_2-$; $-\text{CH}_2\text{S}(\text{O})_n-$; $-\text{S}(\text{O})_n\text{CH}_2-$;
 $-\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$; $-(\text{R}^7)\text{C}=\text{N}-\text{OCH}(\text{R}^{15})-$; $-\text{CH}_2\text{OC}(=\text{O})\text{NH}-$;
 $-\text{CH}_2\text{S}-\text{C}(\text{R}^7)=\text{N}-$; or a direct bond;

25 Z is selected from the group phenyl; 2-thiazolyl; 1,2,4-thiadiazolyl;
 pyridinyl; and pyrimidinyl; each group substituted with R^9 and
 optionally substituted with one or more R^{10} ;

R^7 is H; C_1 - C_3 alkyl; C_1 - C_3 haloalkyl; C_1 - C_3 alkoxy; C_1 - C_3 alkylthio;
 or cyclopropyl; and

R^{15} is H; C_1 - C_3 alkyl; or cyclopropyl.

Preferred 4. Compounds of Preferred 3 wherein:

30 R^1 is methyl;

R^2 is methyl;

Y is $-\text{O}-$; $-\text{CH}_2\text{O}-$; $-\text{CH}_2\text{O}-\text{N}=\text{C}(\text{R}^7)-$; or $-(\text{R}^7)\text{C}=\text{N}-\text{OCH}(\text{R}^{15})-$;

35 R^9 is C_3 - C_6 cycloalkyl substituted with one Z^3 ; C_3 - C_6 cycloalkoxy;
 $\text{SiR}^{22}\text{R}^{23}\text{R}^{24}$; $\text{GeR}^{22}\text{R}^{23}\text{R}^{24}$; $(\text{R}^{25})_3\text{Si}-\text{C}\equiv\text{C}-$; $\text{S}(\text{O})_2\text{OR}^{26}$;
 $\text{S}(\text{O})_2\text{N}(\text{R}^{26})_2$; or $\text{OS}(\text{O})_2\text{R}^{27}$; or R^9 is benzyloxy or
 pyridinylmethoxy, each optionally substituted on the aromatic
 ring with one of R^{11} , R^{12} , or both R^{11} and R^{12} ; or R^9 is C_2 - C_6

alkyl substituted with phenyl optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ; or R^9 is $-A^4-Z^4$; each R^{10} is independently halogen; C_1-C_4 haloalkyl; C_2-C_6 alkynyl; or $Si(R^{25})_3$; and

5 Z^3 is phenyl optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} .

Preferred 5. Compounds of Preferred 4 wherein:

Y is $-O-$ or $-CH_2O-N=C(R^7)-$; and

10 R^9 is C_3-C_6 cycloalkyl substituted with one Z^3 ; C_3-C_6 cycloalkoxy; $SiR^{22}R^{23}R^{24}$; $GeR^{22}R^{23}R^{24}$; or $(R^{25})_3Si-C\equiv C-$; or R^9 is benzyloxy optionally substituted on the aromatic ring with one of R^{11} , R^{12} , or both R^{11} and R^{12} ; or R^9 is $-A^4-Z^4$.

Most preferred are compounds of Preferred 5 selected from the group:

15 4-[2-[[3-(1,3-benzodioxol-5-yl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[[1-[3-[dimethyl(3,3,3-trifluoropropyl)silyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

20 4-[2-[3-[(2-chlorophenyl)methoxy]phenoxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

25 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[[1-[3-[tris(trifluoromethyl)germyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-3*H*-1,2,4-triazol-3-one; and

2,4-dihydro-5-methoxy-2-methyl-4-[2-[3-[2-(trimethylsilyl)ethynyl]phenoxy]phenyl]-3*H*-1,2,4-triazol-3-one.

30 This invention also relates to fungicidal compositions comprising fungicidally effective amounts of the compounds of the invention and at least one of a surfactant, a solid diluent or a liquid diluent. The preferred compositions of the present invention are those which comprise the above preferred compounds.

35 This invention also relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of the compounds of the invention (e.g., as a composition described herein). The preferred methods of use are those involving the above preferred compounds.

This invention also relates to arthropodicidal compositions comprising arthropodically effective amounts of the compounds of the invention and at least one of a surfactant, a solid diluent or a liquid diluent. Of note are arthropodicidal compositions of the present invention which comprise the above preferred compounds.

5 This invention also relates to a method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodically effective amount of the compounds of the invention (e.g., as a composition described herein). Of note are arthropodicidal methods of use involving the above preferred compounds.

Compounds of note for their arthropodicidal activity include:

- 10 4-[2-[[[1-[3-[dimethyl(3,3,3-trifluoropropyl)silyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;
- 4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one; and
- 15 4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one.

Of note are compounds where R^9 is other than $N(R^{26})(R^{28})$ and pyridinyloxymethyl; and R^9 is other than C_2-C_6 alkyl substituted with naphthalenyl, phenoxy, benzyloxy each aromatic ring optionally substituted with one of R^{11} , R^{12} , or

20 both R^{11} and R^{12} ; and R^9 is other than C_2-C_6 alkoxy substituted with 1-2 phenyl, naphthalenyl, phenoxy, benzyloxy, pyridinyl, pyrimidinyl, thienyl or furanyl, each aromatic ring optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} .

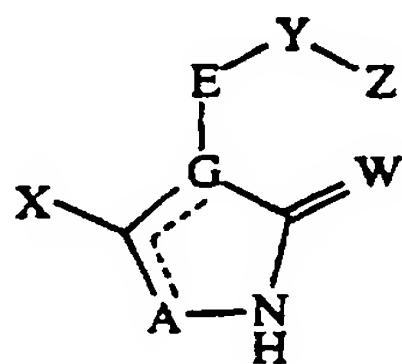
The compounds of Formula I can be prepared by one or more of the following methods and variations as described in Schemes 1-41. The definitions of E, A, G, W,

25 X, R^1-R^{30} , Y, Z^1-Z^4 , W^1 , A^1-A^4 , Z, Q, J, m, n, p, r and s in the compounds of Formulae 1-94 below are as defined above in the Summary of the Invention.

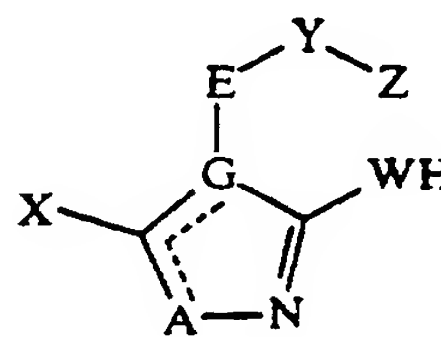
Compounds of Formulae Ia-In are various subsets of the compounds of Formula I, and all substituents for Formulae Ia-In are as defined above for Formula I.

One skilled in the art will recognize that some compounds of Formula I can exist

30 in one or more tautomeric forms. For example, a compound of Formula I wherein R^2 is H may exist as tautomer Ia or Ib, or both Ia and Ib. The present invention comprises all tautomeric forms of compounds of Formula I.



Ia



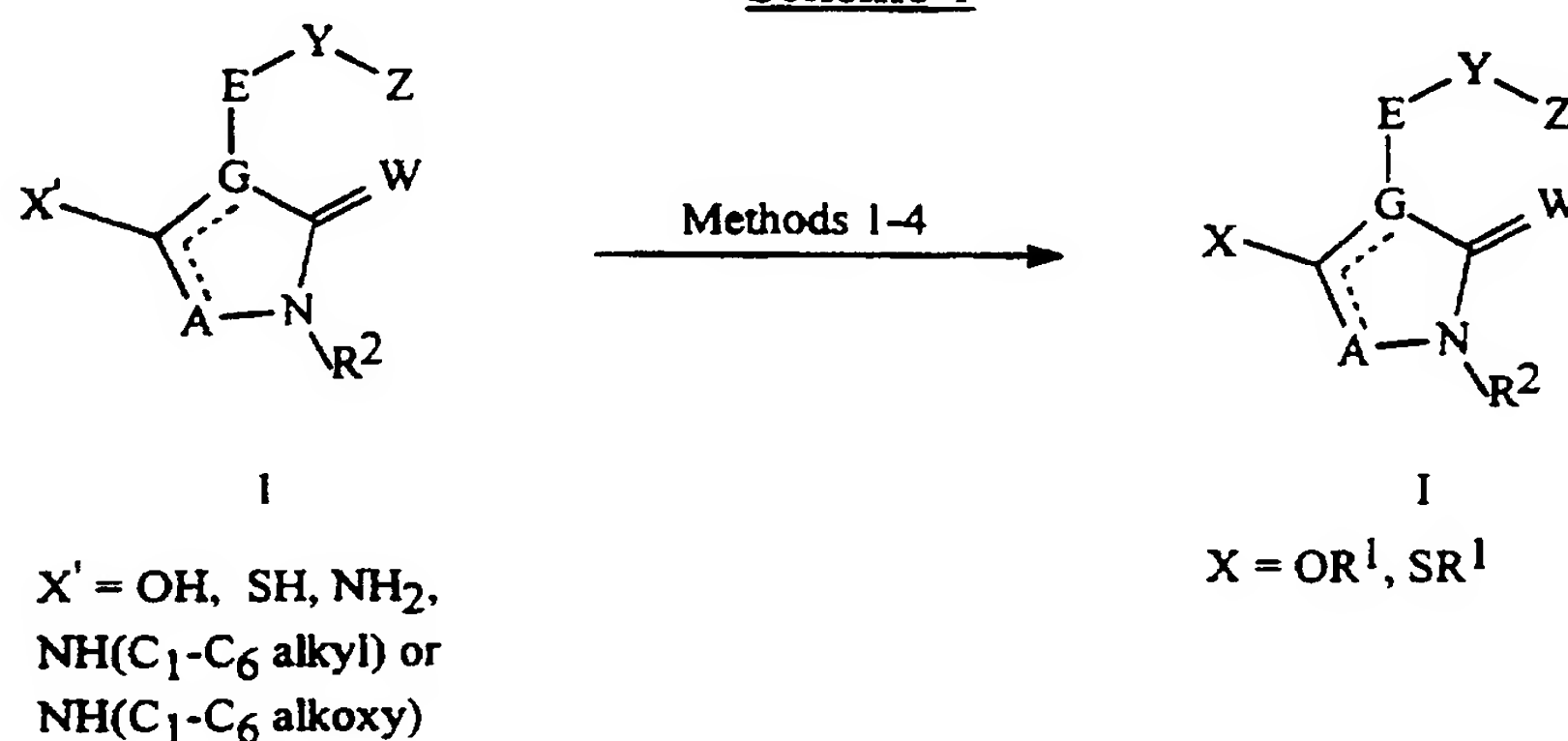
Ib

The compounds of Formula I can be prepared as described below in Procedures 1) to 5). Procedures 1) to 4) describe syntheses involving construction of the amide ring after the formation of the aryl moiety (E-Y-Z). Procedure 5) describes syntheses of the aryl moiety (E-Y-Z) with the amide ring already in place.

5 1) Alkylation Procedures

The compounds of Formula I are prepared by treating compounds of Formula 1 with an appropriate alkyl transfer reagent in an inert solvent with or without additional acidic or basic reagents or other reagents (Scheme 1). Suitable solvents are selected from the group consisting of polar aprotic solvents such as acetonitrile, dimethylformamide or dimethyl sulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; and halocarbons such as dichloromethane or chloroform.

Scheme 1



Method 1: U-CH=N_2 (U = H or (CH₃)₃Si)
2

Method 2: $\text{Cl}_3\text{C-C(=NH)OR}^1$; Lewis acid
3

Method 3: $(\text{R}^1)_3\text{O}^+ \text{BF}_4^-$
4

Method 4: $(\text{R}^1)_2\text{SO}_4$; $\text{R}^1\text{OSO}_2\text{V}$; or $\text{R}^1\text{-hal}$;
optional base
(hal = F, Cl, Br, or I)
(V = C₁-C₆ alkyl, C₁-C₆ haloalkyl, or 4-CH₃-C₆H₄)

15 For example, compounds of Formula I can be prepared by the action of diazoalkane reagents of Formula 2 such as diazomethane (U = H) or trimethylsilyldiazomethane (U = (CH₃)₃Si) on dicarbonyl compounds of Formula 1 (Method 1). Use of trimethylsilyldiazomethane requires a protic cosolvent such as methanol. For examples of these procedures, see *Chem. Pharm. Bull.*, (1984), 32, 3759.

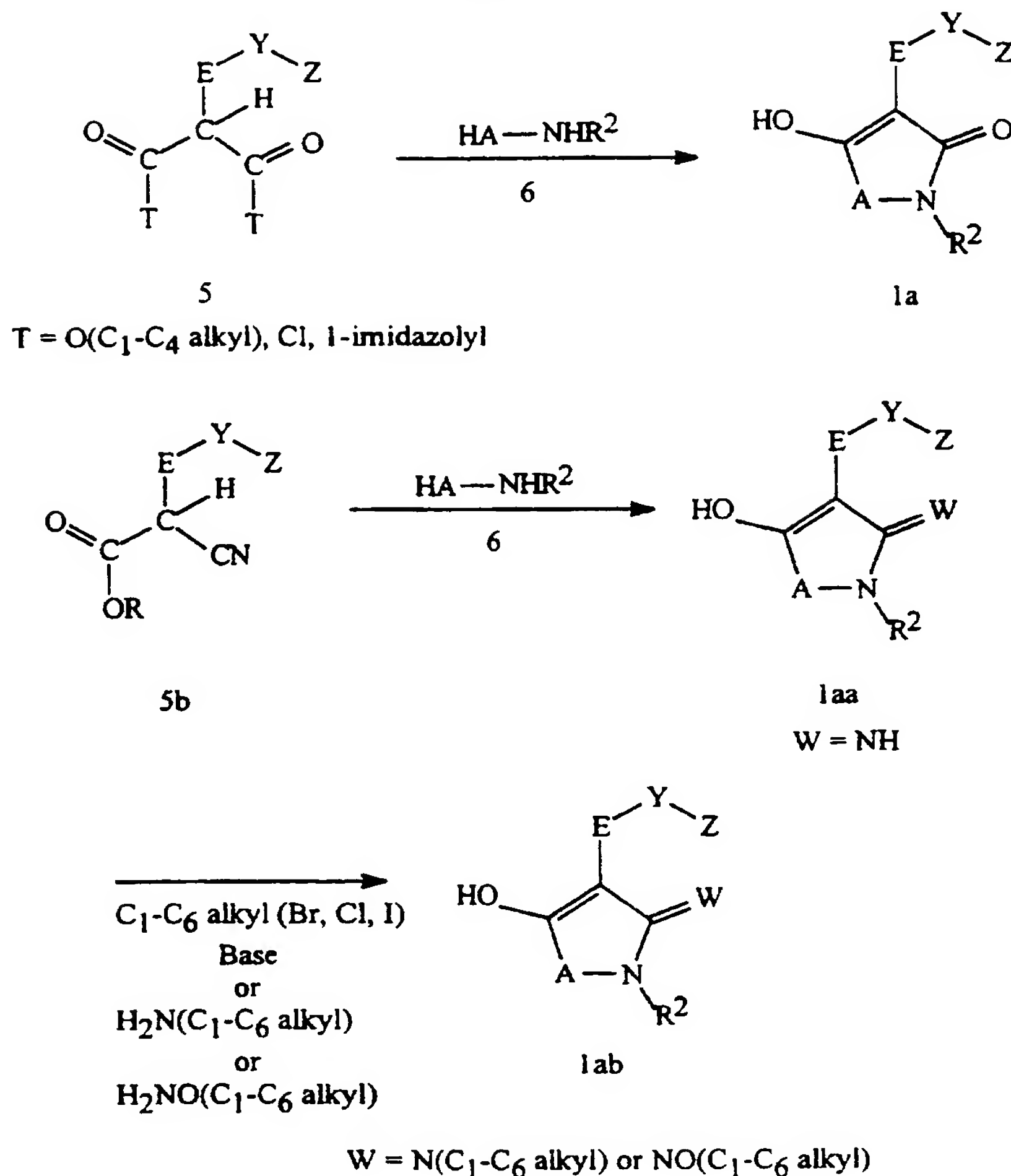
As indicated in Method 2, compounds of Formula I can also be prepared by contacting carbonyl compounds of Formula 1 with alkyl trichloroacetimidates of Formula 3 and a Lewis acid catalyst. Suitable Lewis acids include trimethylsilyl triflate and tetrafluoroboric acid. The alkyl trichloroacetimidates can be prepared from the appropriate alcohol and trichloroacetonitrile as described in the literature (J. Danklmaier and H. Hönig, *Synth. Commun.*, (1990), 20, 203).

Compounds of Formula I can also be prepared from compounds of Formula 1 by treatment with a trialkyloxonium tetrafluoroborate (i.e., Meerwein's salt) of Formula 4 (Method 3). The use of trialkyloxonium salts as powerful alkylating agents is well known in the art (see U. Schöllkopf, U. Groth, C. Deng, *Angew. Chem., Int. Ed. Engl.*, (1981), 20, 798).

Other alkylating agents which can convert carbonyl compounds of Formula 1 to compounds of Formula I are dialkyl sulfates such as dimethyl sulfate, haloalkyl sulfonates such as methyl trifluoromethanesulfonate, and alkyl halides such as iodomethane and propargyl bromide (Method 4). These alkylations can be conducted with or without additional base. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and triethylenediamine. See R. E. Benson, T. L. Cairns, *J. Am. Chem. Soc.*, (1948), 70, 2115 for alkylation examples using agents of this type.

Compounds of Formula 1a (compounds of Formula 1 wherein G = C, W = O and X' = OH) can be prepared by condensation of malonates or malonate derivatives of Formula 5 with an ambident nucleophile of Formula 6 (Scheme 2). The nucleophiles of Formula 6 are *N*-substituted hydroxylamines (HO-NHR²) and substituted hydrazines (HN(R⁵)-NHR²). Examples of such nucleophiles are *N*-methylhydroxylamine and methylhydrazine. The malonate esters of Formula 5 can be prepared by methods described hereinafter. The esters of Formula 5 can also be activated by first hydrolyzing the ester to form the corresponding carboxylic acid, and then converting the acid into the acid chloride (T = Cl) using thionyl chloride or oxalyl chloride, or into the acyl imidazole (T = 1-imidazolyl) by treating with 1,1'-carbonyldiimidazole. Compounds of Formula 1aa can be prepared by reaction of nitrile esters of Formula 5b with ambident nucleophiles of Formula 6. See M. Scobie and G. Tennant, *J. Chem. Soc., Chem. Comm.*, (1994), 2451. Alkylation of 1aa with alkyl halides in the presence of base provides compounds of Formula 1ab. Alternatively, treatment of 1aa with alkylamines or alkoxyamines provides compounds of Formula 1ab.

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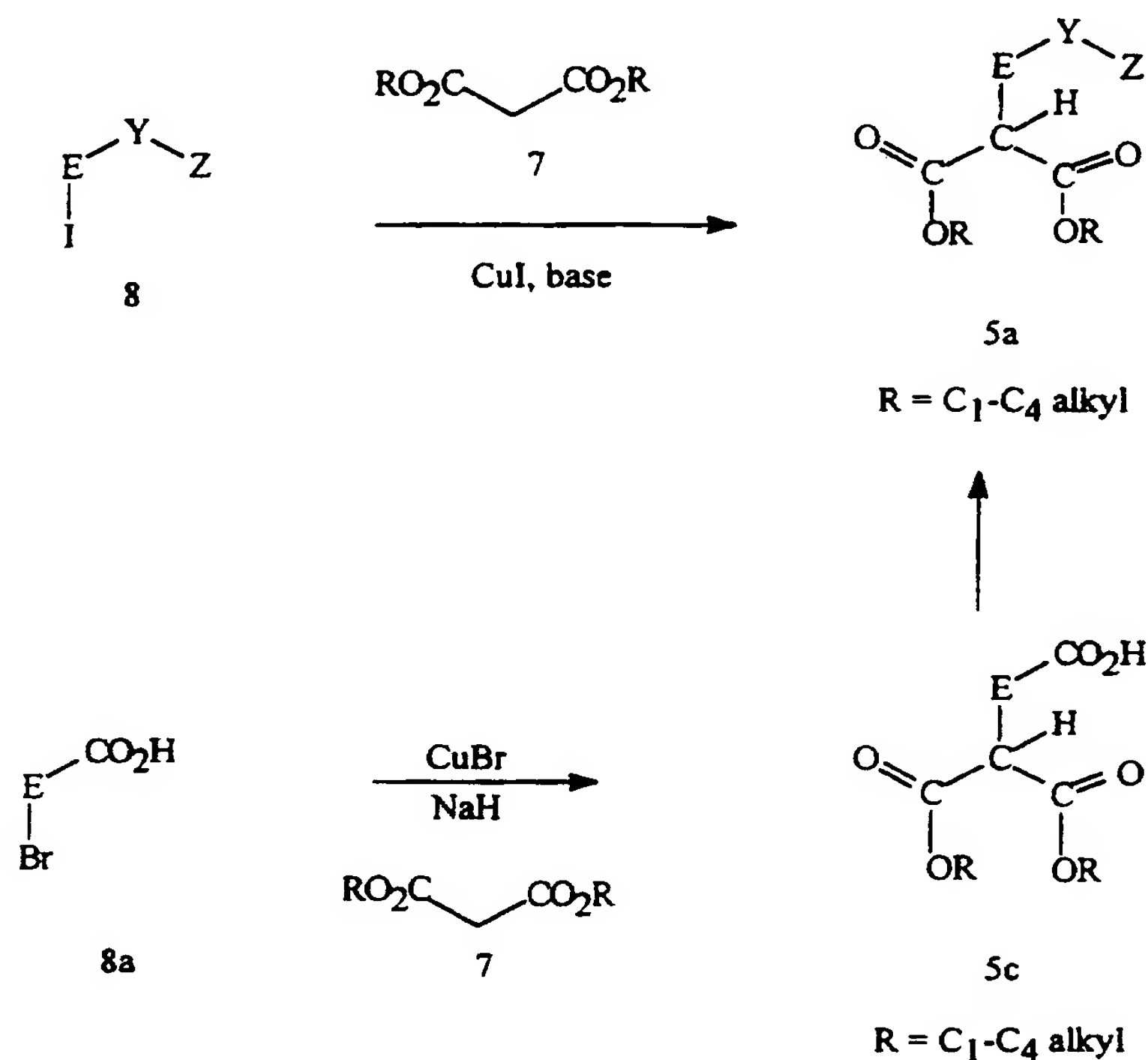
Scheme 2

Esters of Formula 5a can be prepared from copper (I)-catalyzed reaction of malonate esters of Formula 7 with substituted aryl halides of Formula 8 according to methods adapted from A. Osuka, T. Kobayashi and H. Suzuki, *Synthesis*, (1983), 67 and M. S. Malamas, T. C. Hohman, and J. Millen, *J. Med. Chem.*, 1994, 37, 2043-2058, and illustrated in Scheme 3. Procedures to prepare compounds of Formula 8 are described below (see Scheme 33).

Malonate esters of Formula 5a can also be prepared from diester carboxylic acids of Formula 5c after modification of the carboxylic acid functional group to the appropriate Y and Z group. A copper (I)-catalyzed coupling of malonates of Formula 7 with orthobromocarboxylic acids of Formula 8a (see A. Bruggink, A. McKillop, *Tetrahedron*, (1975), 31, 2607) can be used to prepare compounds of Formula 5c as shown in Scheme 3. Methods to prepare compounds of Formula 8a are common in the

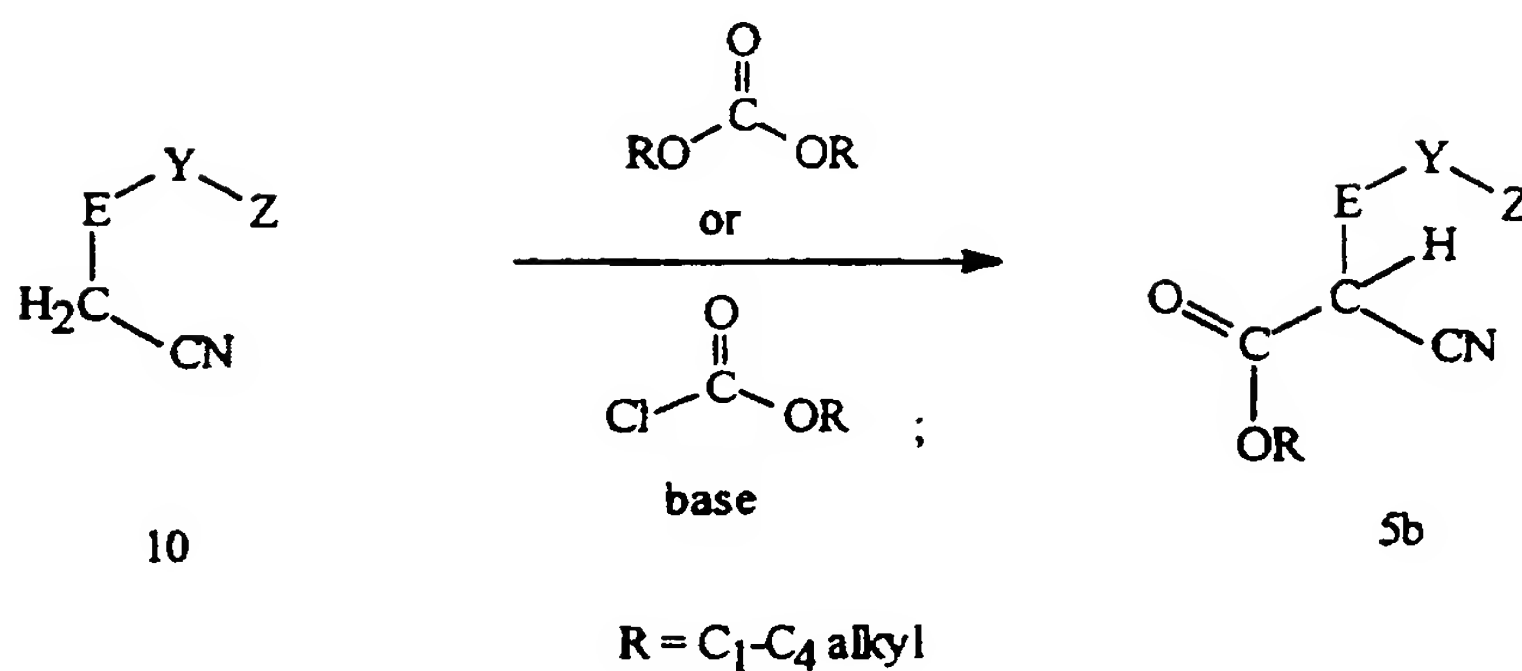
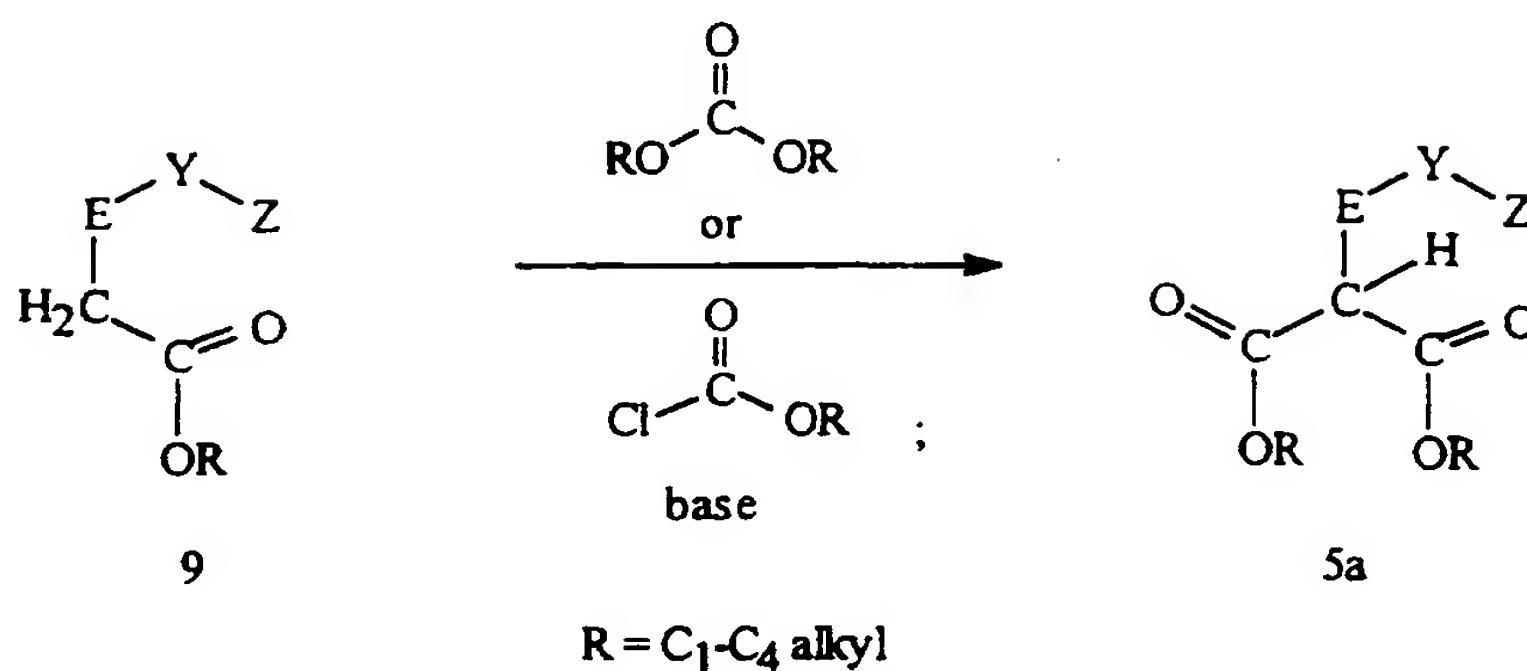
art (see P. Beak, V. Snieckus, *Acc. Chem. Res.*, (1982), 15, 306 and *Org. React.*, (1979), 26, 1 and references therein).

Scheme 3



- 5 Additionally, the malonate esters of Formula 5a can be prepared by treating aryl acetic acid esters of Formula 9 with a dialkyl carbonate or alkyl chloroformate in the presence of a suitable base such as, but not limited to, sodium metal or sodium hydride (Scheme 4). For example, see *J. Am. Chem. Soc.*, (1928), 50, 2758. Nitrile esters of Formula 5b can be prepared similarly from compounds of Formula 10.

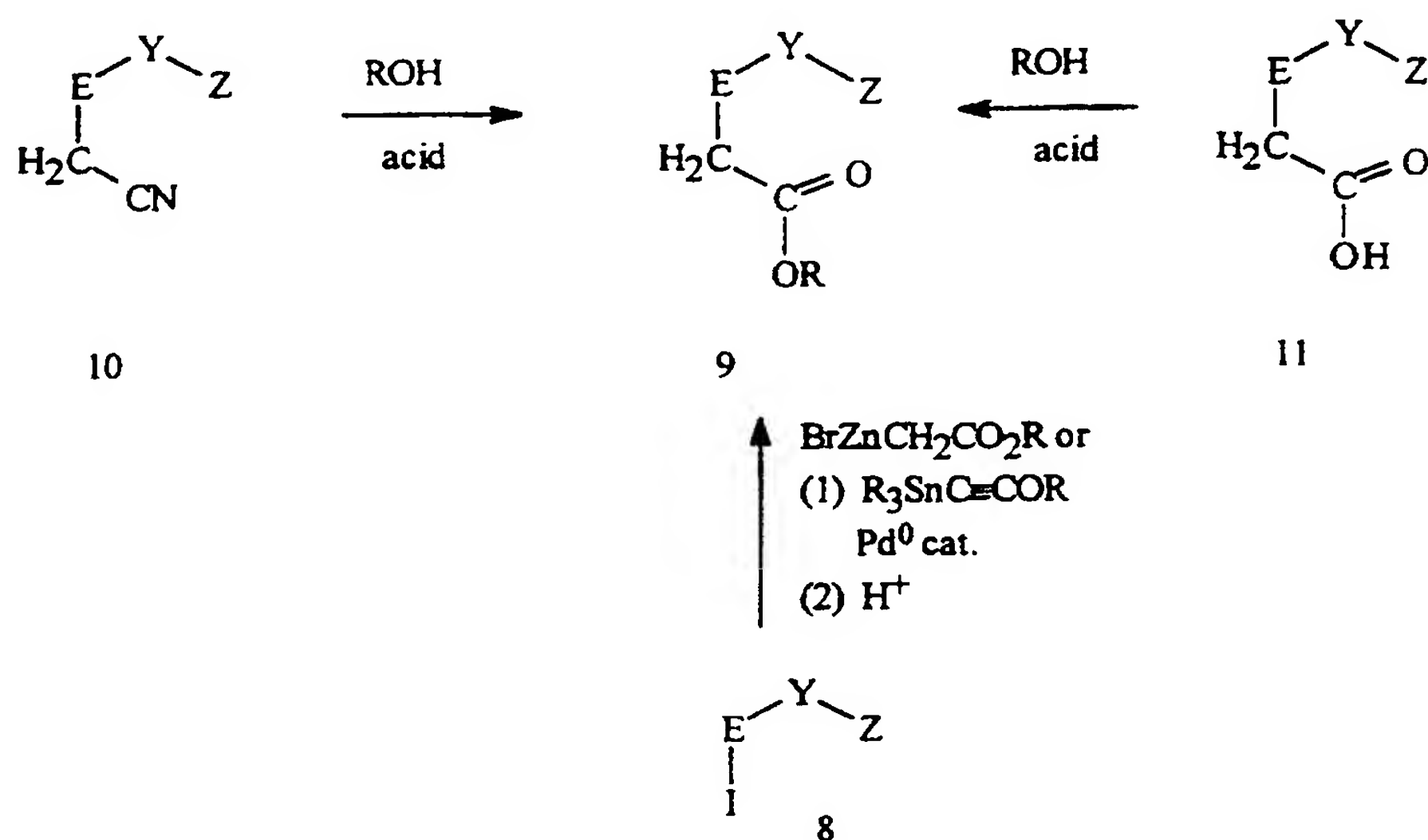
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Scheme 4

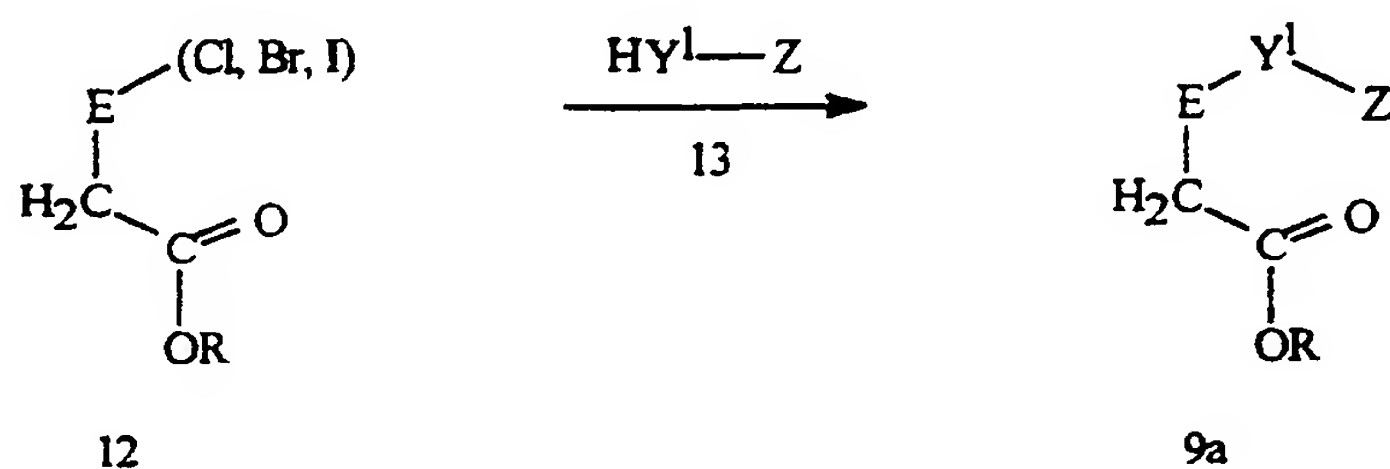
5 Esters of Formula 9 can be prepared from acid-catalyzed alcoholysis of aryl acetonitriles of Formula 10 or esterification of aryl acetic acids of Formula 11 as illustrated in Scheme 5 (see *Org. Synth.*, Coll. Vol. I, (1941), 270).

10 Additionally, esters of formula 9 can be prepared by palladium (0)-catalyzed cross coupling reaction of aryl iodides of Formula 8 with a Reformatsky reagent or an alkoxy(trialkylstannyl)acetylene followed by hydration (Scheme 5). For example, see T. Sakamoto, A. Yasuhara, Y. Kondo, H. Yamanaka, *Synlett*, (1992), 502, and J. F. Fauvarque, A. Jutard, *J. Organometal. Chem.*, (1977), 132, C17.

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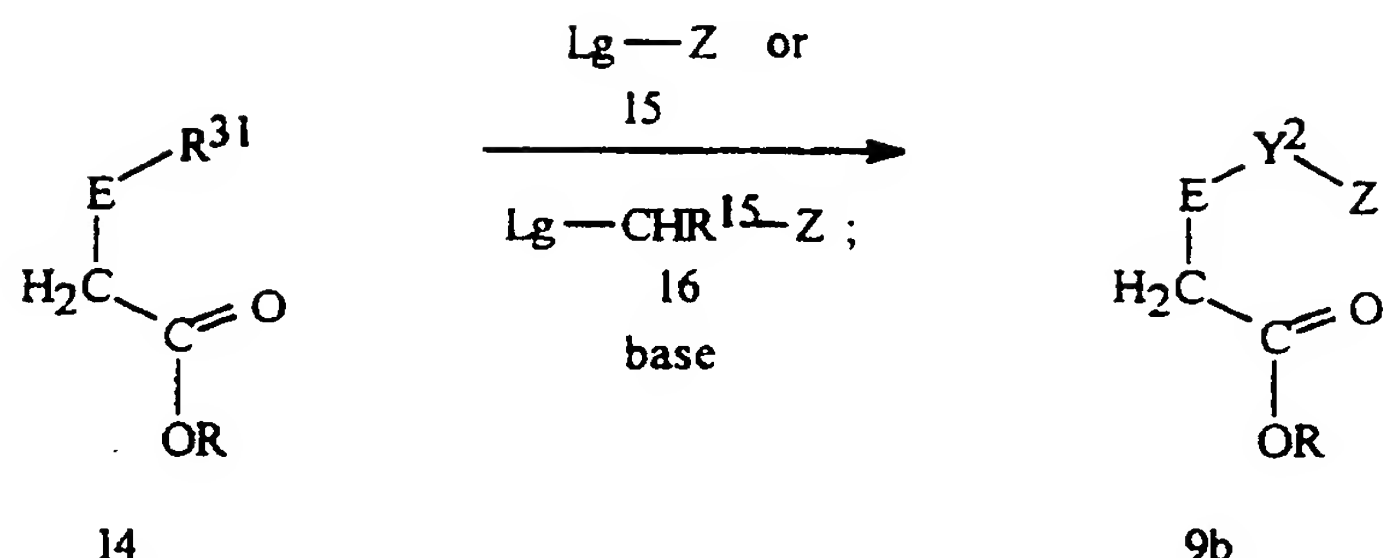
Scheme 5 $\text{R} = \text{C}_1\text{-C}_4 \text{ alkyl}$

Aryl acetic acid esters of Formula 9a can be prepared by copper (I)-catalyzed condensation of aryl halides of Formula 12 with compounds of Formula 13 as described in EP-A-307,103 and illustrated below in Scheme 6.

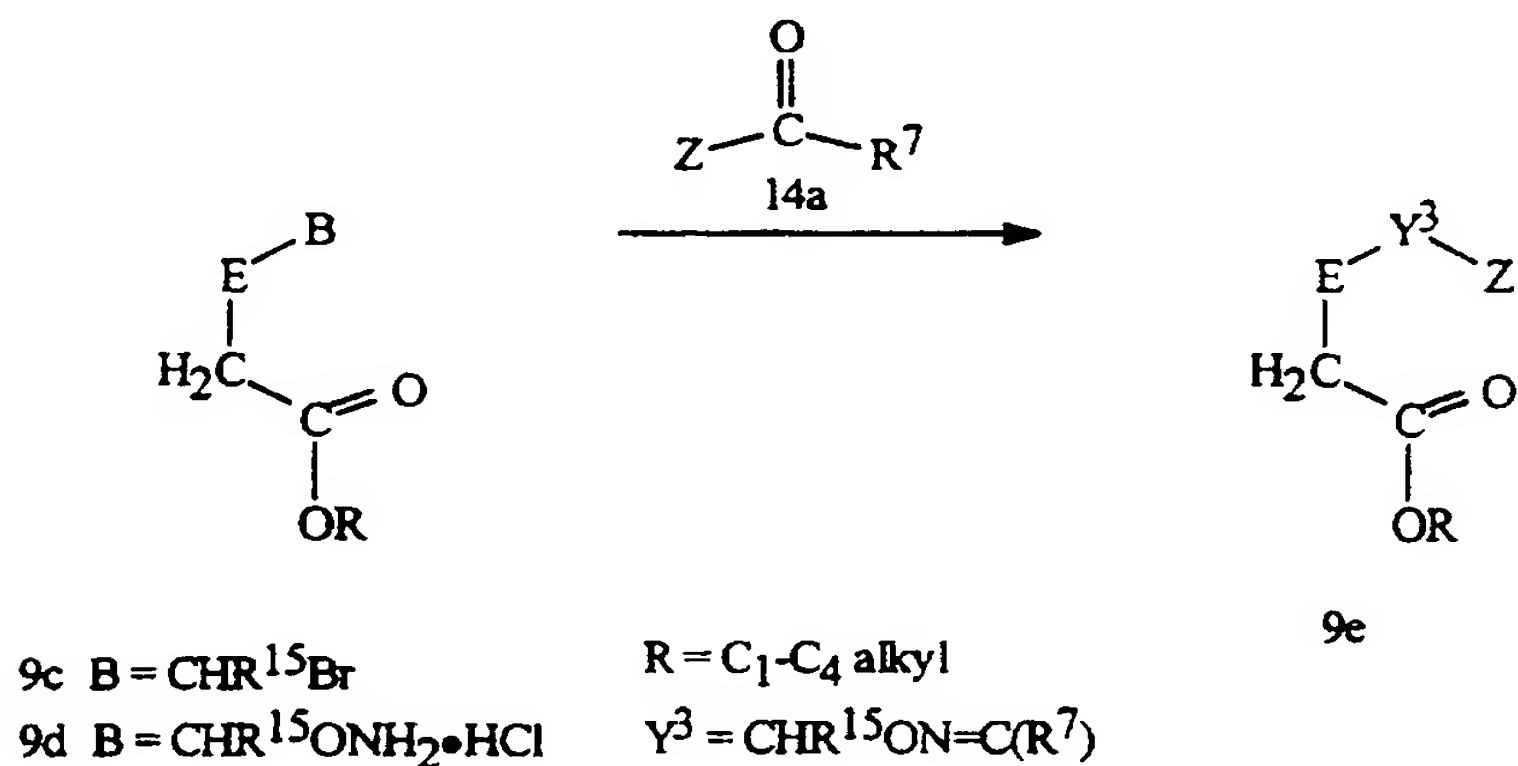
Scheme 6 $\text{R} = \text{C}_1\text{-C}_4 \text{ alkyl}$ $\text{Y}^1 = \text{O}, \text{S}, \text{OCHR}^{15}, \text{SCHR}^{15}, \text{O-N}=\text{C}(\text{R}^7), \text{NR}^{15}$

Some esters of Formula 9 (Formula 9b) can also be prepared by forming the Y^2 bridge using conventional nucleophilic substitution chemistry (Scheme 7). Displacement of an appropriate leaving group (Lg) in electrophiles of Formula 15 or 16 with a nucleophilic ester of Formula 14 affords compounds of Formula 9b. A base, for example sodium hydride, is used to generate the corresponding alkoxide or thioalkoxide of the compound of Formula 14.

26

Scheme 7R = C₁-C₄ alkylR³¹ = OH, SH, CHR¹⁵OH, CHR¹⁵SH, NHR¹⁵Y² = O, S, OCHR¹⁵, SCHR¹⁵, CHR¹⁵O, CHR¹⁵S, NR¹⁵Lg = Br, Cl, I, OSO₂CH₃, OSO₂(4-Me-Ph)

Some esters of Formula 9 (Formula 9e) can also be prepared by forming the Y³ bridge from substituted hydroxylamine 9d and carbonyl compounds 14a. The hydroxylamine 9d is in turn prepared from esters 9c. This method has been described in EP-A-600,835 and illustrated in Scheme 8.

Scheme 8

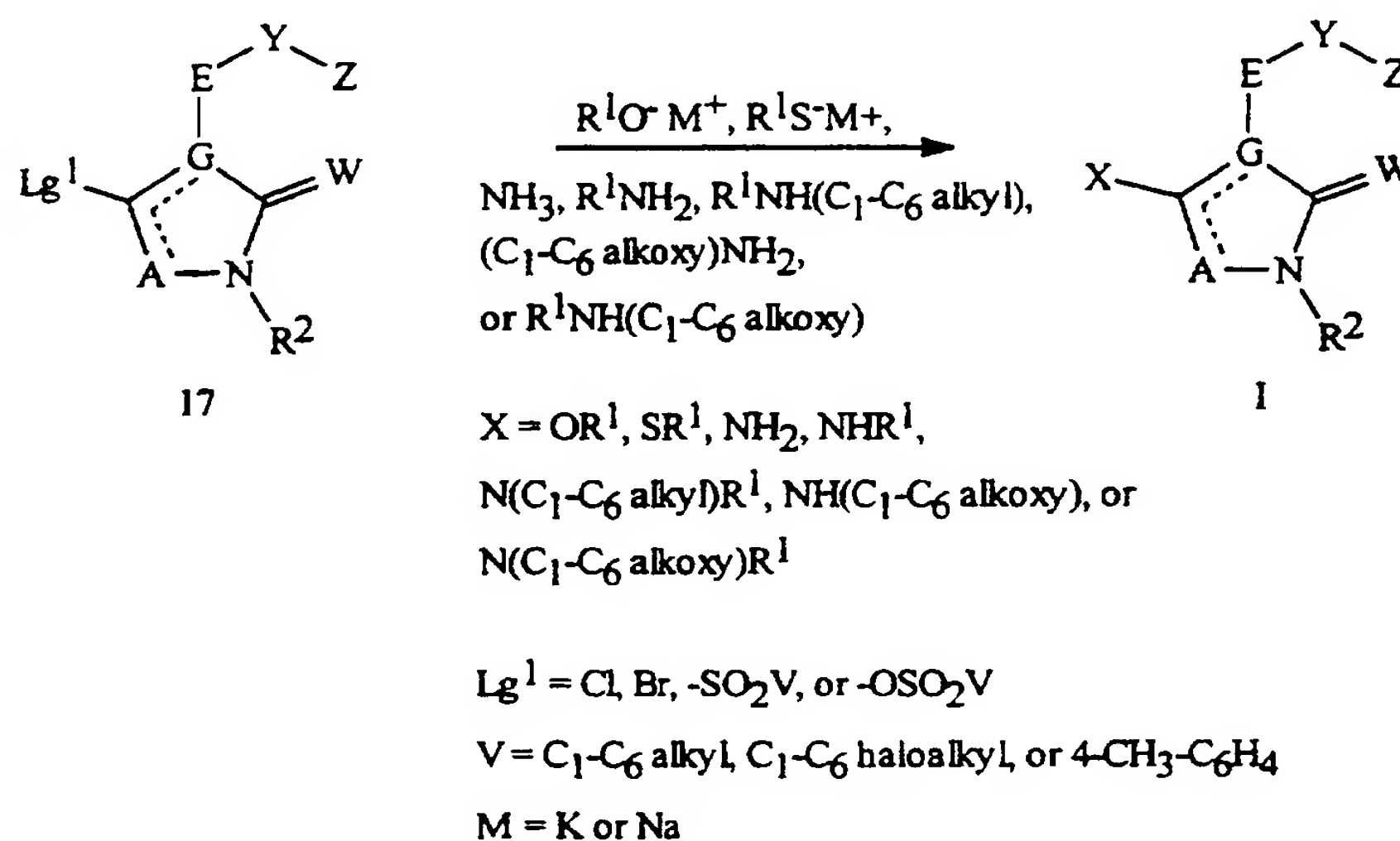
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2) Displacement and Conjugate Addition/Elimination Procedures

Compounds of Formula I can also be prepared by reaction of Formula 17 compounds with alkali metal alkoxides (R¹O-M⁺), alkali metal thioalkoxides (R¹S-M⁺), or an amine derivative in a suitable solvent (Scheme 9). The leaving group Lg¹ in the amides of Formula 17 are any group known in the art to undergo a displacement reaction of this type. Examples of suitable leaving groups include chlorine, bromine,

and sulfonyl and sulfonate groups. Examples of suitable inert solvents are dimethylformamide or dimethyl sulfoxide, dimethoxyethane methanol.

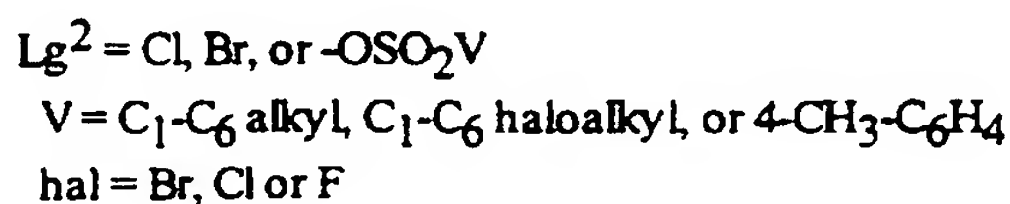
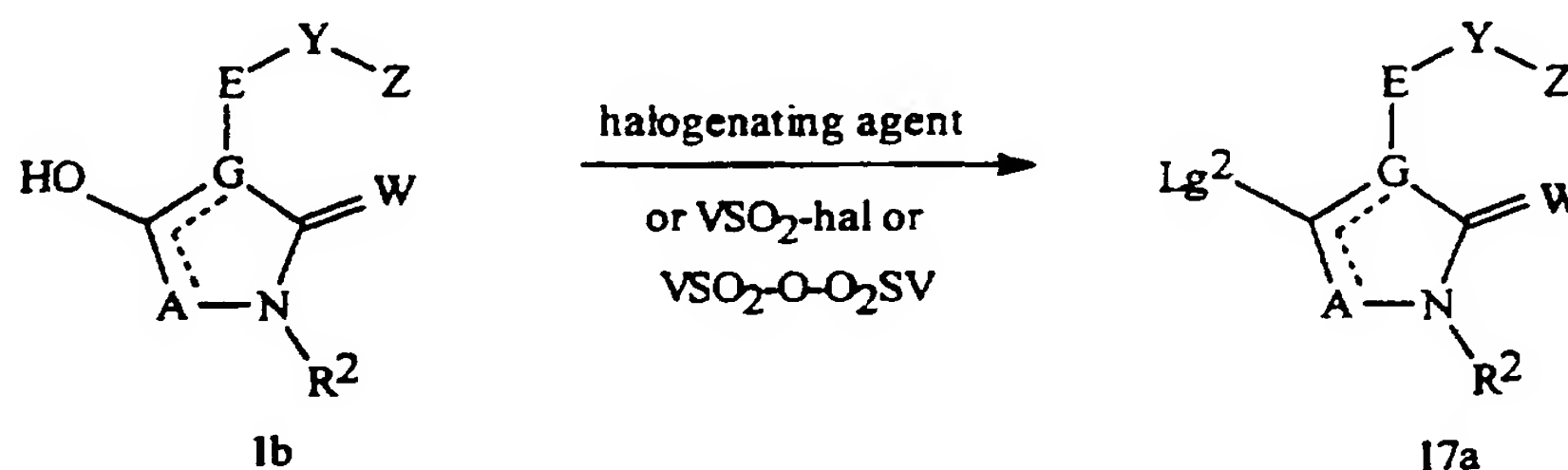
Scheme 9



5.

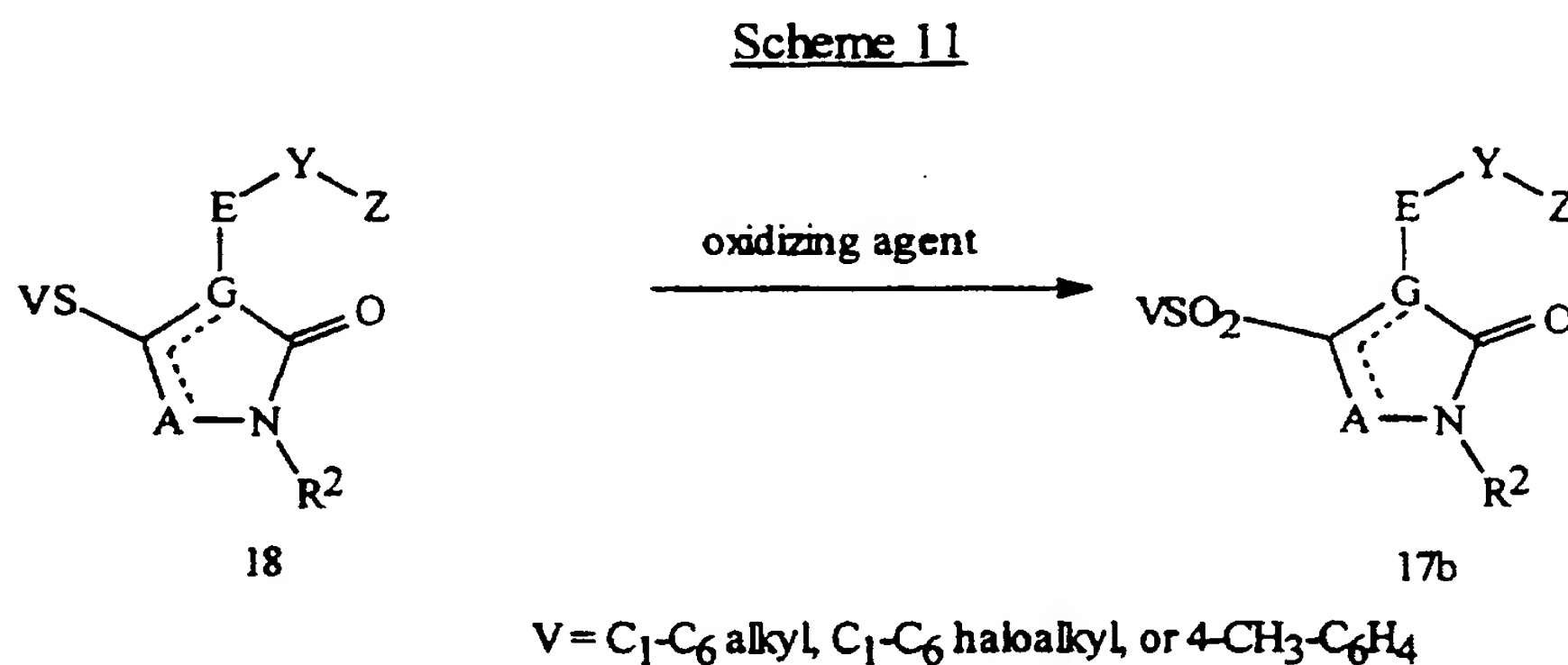
Compounds of Formula 17a can be prepared from compounds of Formula 1b (compounds of Formula 1 wherein X is OH) by reaction with halogenating agents such as thionyl chloride or phosphorus oxybromide to form the corresponding β -halo-substituted derivatives (Scheme 10). Alternatively, compounds of Formula 1b can be treated with an alkylsulfonyl halide or haloalkylsulfonyl anhydride, such as methanesulfonyl chloride, *p*-toluenesulfonyl chloride, and trifluoromethanesulfonyl anhydride, to form the corresponding β -alkylsulfonate of Formula 17a. The reaction with the sulfonyl halides may be performed in the presence of a suitable base (e.g., triethylamine).

Scheme 10



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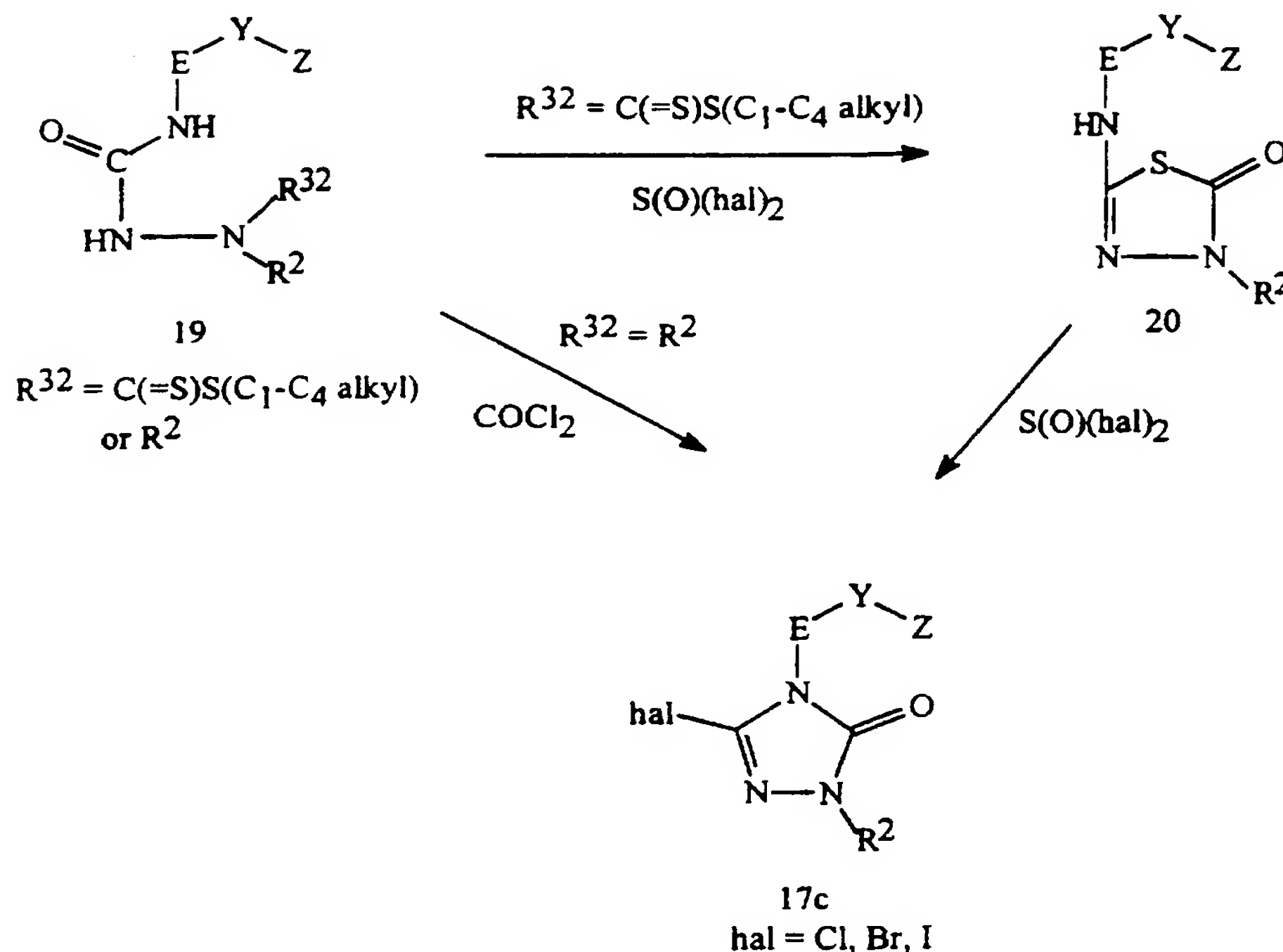
As illustrated in Scheme 11, sulfonyl compounds of Formula 17b can be prepared by oxidation of the corresponding thio compound of Formula 18 using well-known methods for the oxidation of sulfur (see Schrenk, K. In *The Chemistry of Sulphones and Sulphoxides*; Patai, S. et al., Eds.; Wiley: New York, 1988). Suitable oxidizing reagents include meta-chloro-peroxybenzoic acid, hydrogen peroxide and Oxone® (KHSO₅).



Alternatively, halo-compounds of Formula 17c (compounds of Formula 17a wherein A = N, G = N, and W = O) can be prepared from hydrazides of Formula 19 as illustrated in Scheme 12. When R³² = C(=S)S(C₁-C₄ alkyl), the diacyl compound of Formula 19 is treated with excess thionyl halide, for example excess thionyl chloride. The product formed first is the ring-closed compound of Formula 20 which can be isolated or converted *in situ* to the compound of Formula 17c; see P. Molina, A. Tárraga, A. Espinosa, *Synthesis*, (1989), 923 for a description of this process.

Alternatively, when R³² = R² as defined above, the hydrazide of Formula 19 is cyclized with phosgene to form the cyclic urea of Formula 17c wherein hal = Cl. This procedure is described in detail in *J. Org. Chem.*, (1989), 54, 1048.

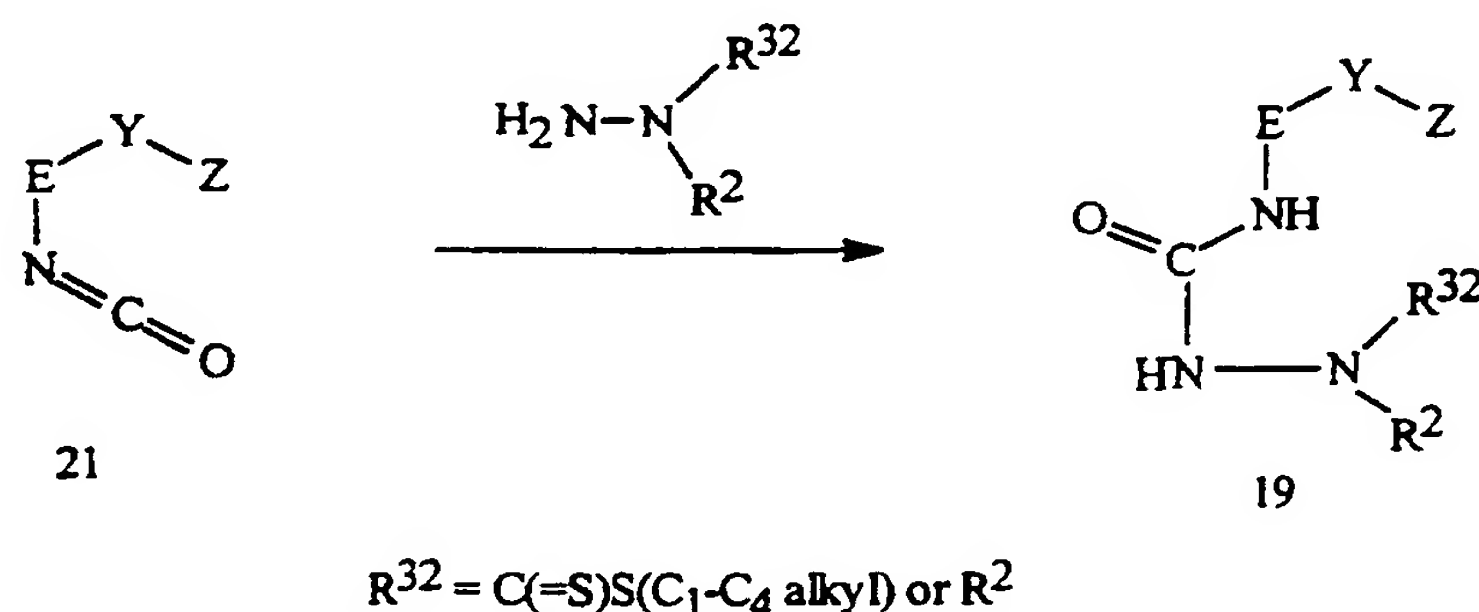
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Scheme 12



The hydrazides of Formula 19 can be prepared as illustrated in Scheme 13. Condensation of the isocyanate of Formula 21 with the hydrazine of Formula $\text{H}_2\text{NNR}^2\text{R}^{32}$ in an inert solvent such as tetrahydrofuran affords the hydrazide.

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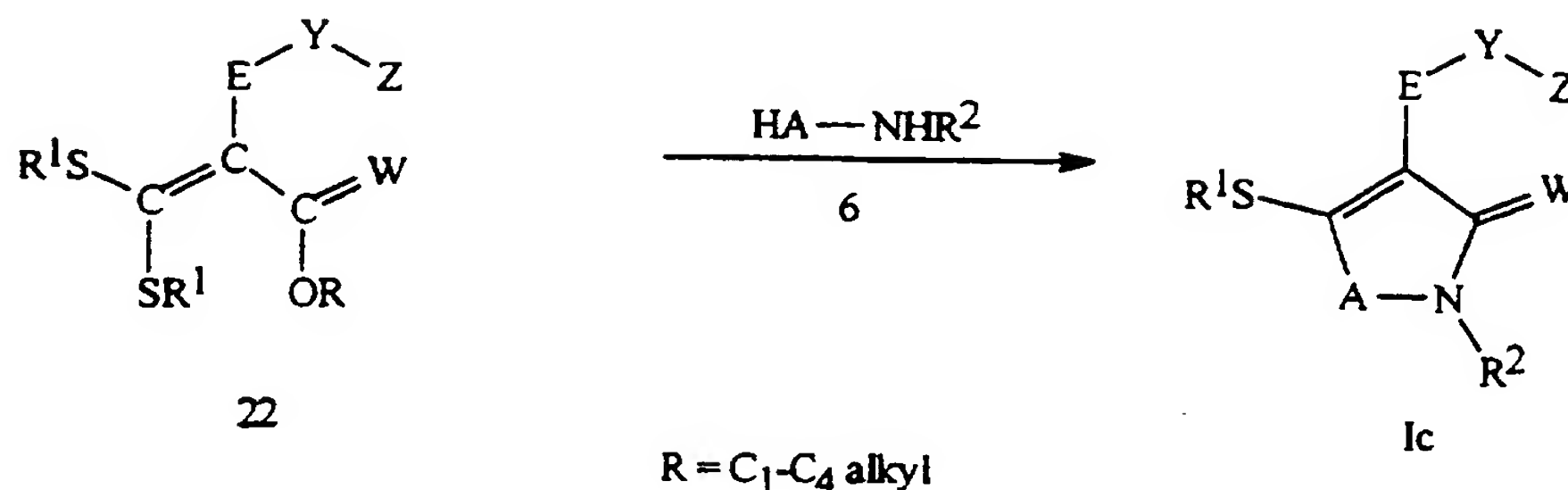
Scheme 13



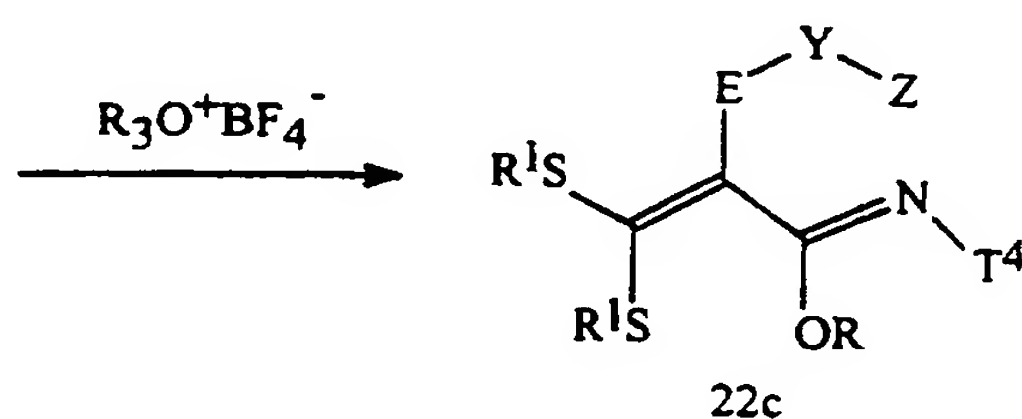
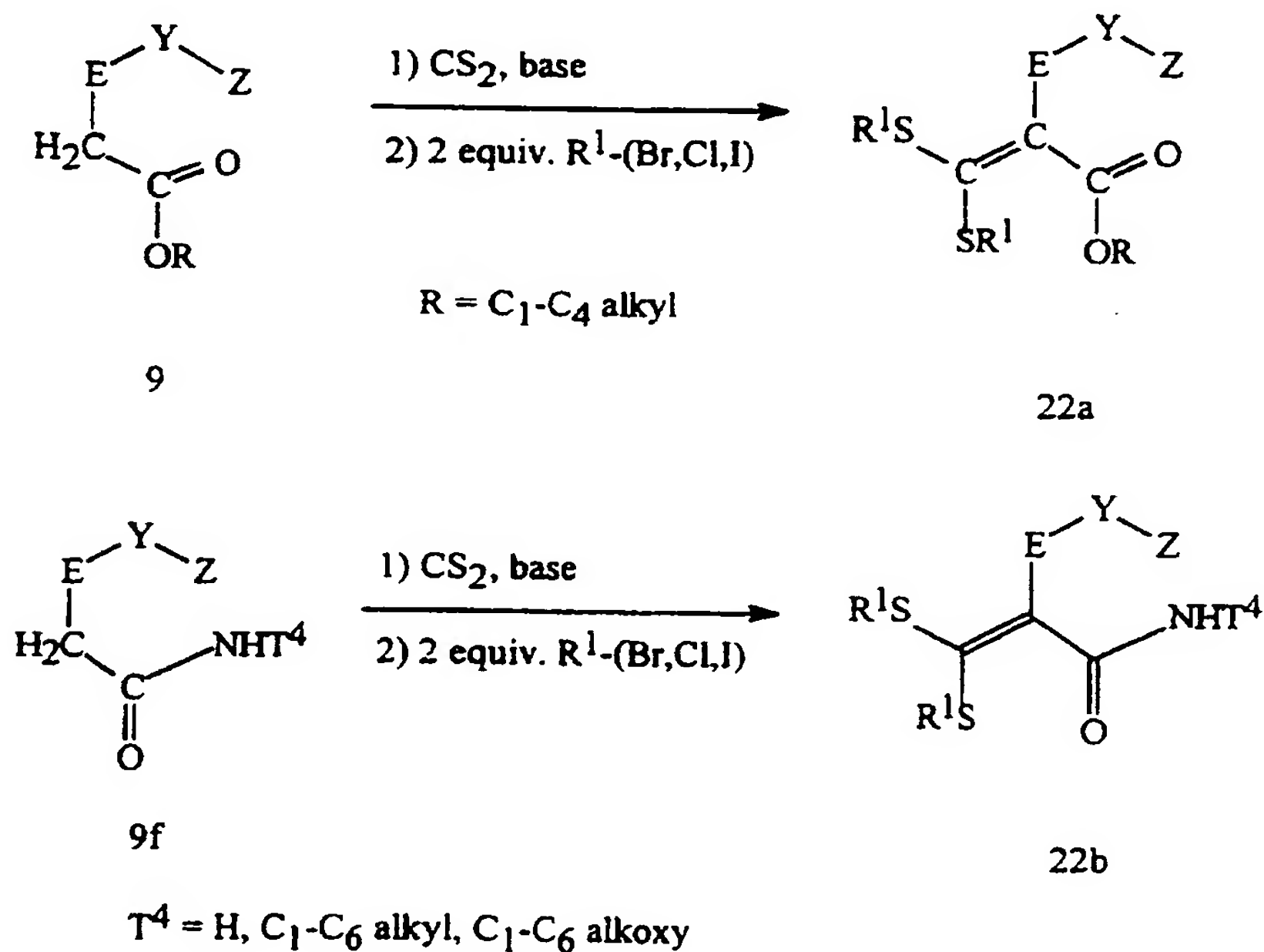
3) Conjugate Addition/Cyclization Procedures

- In addition to the methods disclosed above, compounds of Formula I wherein $\text{X} = \text{SR}^1$ and $\text{G} = \text{C}$ (Formula Ic) can be prepared by treating a ketenedithioacetal of Formula 22 with an ambident nucleophile of Formula 6 (Scheme 14). The nucleophiles of Formula 6 are described above.

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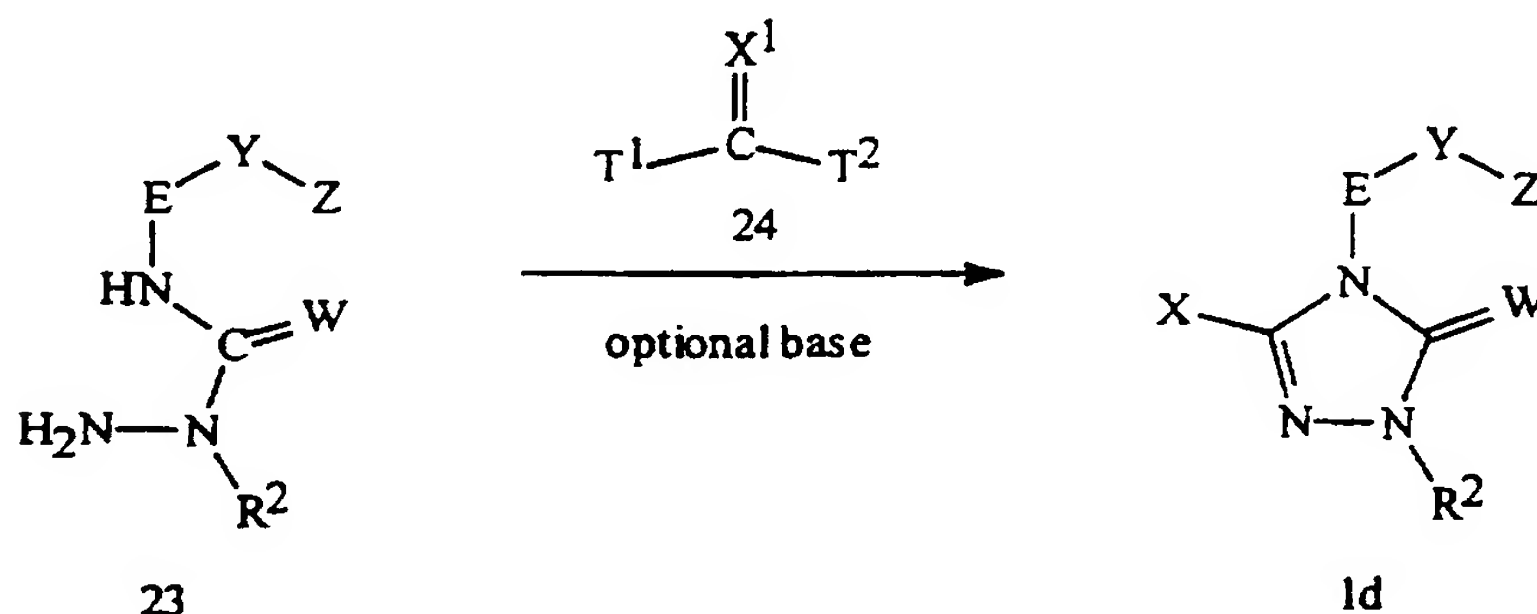
Scheme 14

5 Ketene dithioacetals of Formula 22a or 22b can be prepared by condensing aryl acetic esters of Formula 9 or amides of Formula 9f, respectively, with carbon disulfide in the presence of a suitable base, followed by reaction with two equivalents of an R^1 -halide, such as iodomethane or propargyl bromide (Scheme 15). Conversion of 22b to 22c can be accomplished by reaction with trialkyl tetrafluoroborates.

Scheme 15

Compounds of Formula 1d (compounds of Formula 1 wherein A = N, G = N) can be prepared by condensation of *N*-amino-ureas of Formula 23 with a carbonylating agent of Formula 24 (Scheme 16). The carbonylating agents of Formula 24 are carbonyl or thiocarbonyl transfer reagents such as phosgene, thiophosgene, diphosgene (ClC(=O)OCCl₃), triphosgene (Cl₃COC(=O)OCCl₃), *N,N'*-carbonyldiimidazole, *N,N'*-thiocarbonyldiimidazole, and 1,1'-carbonyldi(1,2,4-triazole). Alternatively, the compounds of Formula 24 can be alkyl chloroformates or dialkyl carbonates. Some of these carbonylating reactions may require the addition of a base to effect reaction. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, tertiary amines such as triethylamine and triethylenediamine, pyridine, or 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU). Suitable solvents include polar aprotic solvents such as acetonitrile, dimethylformamide, or dimethyl sulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; or halocarbons such as dichloromethane or chloroform. The reaction temperature can vary between 0°C and 150°C and the reaction time can be from 1 to 72 hours depending on the choice of base, solvent, temperature, and substrates.

Scheme 16



T¹ and T² are independently Cl, OCCl₃, O(C₁-C₄ alkyl), 1-imidazolyl, 1,2,4-triazolyl

X = OH or SH

X¹ = O or S

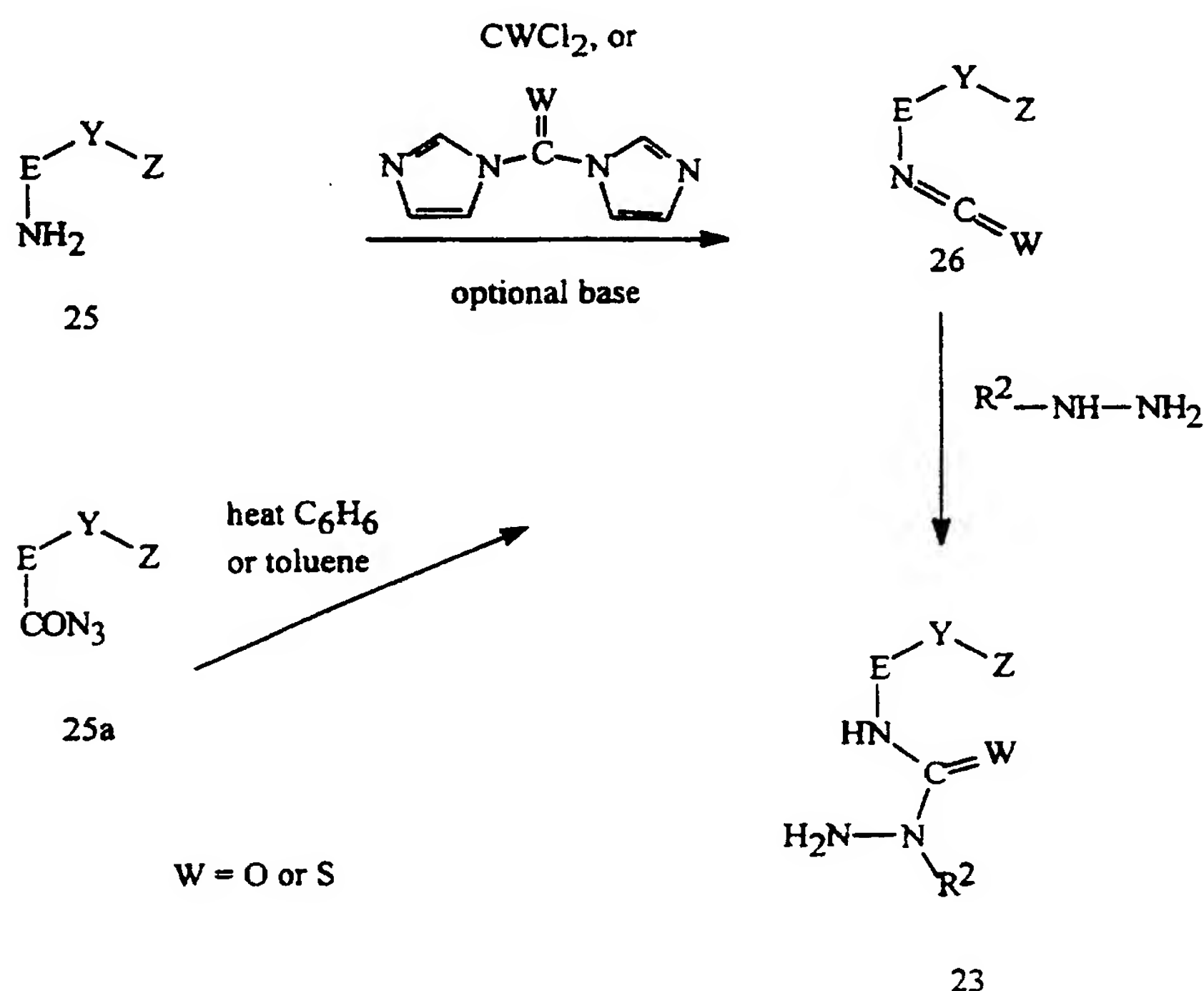
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N-Amino-ureas of Formula 23 can be prepared as illustrated in Scheme 17. Treatment of an arylamine of Formula 25 with phosgene, thiophosgene, *N,N'*-carbonyldiimidazole, or *N,N'*-thiocarbonyldiimidazole produces the isocyanate or isothiocyanate of Formula 26. A base can be added for reactions with phosgene or thiophosgene. Isocyanates of Formula 26 can also be prepared by heating acylazides of Formula 25a in a solvent such as toluene or benzene (Curtius rearrangement). The

25

corresponding acylazides can be prepared from well known methods in the art (see March, J., *Advanced Organic Chemistry*; 3rd Edition, John Wiley: New York, (1985), pp 428, 637 and also *Chem. Pharm. Bull* (1977), 25, 165, and references therein. Subsequent treatment of the iso(thio)cyanate with an R²-substituted hydrazine produces the *N*-amino-urea of Formula 23.

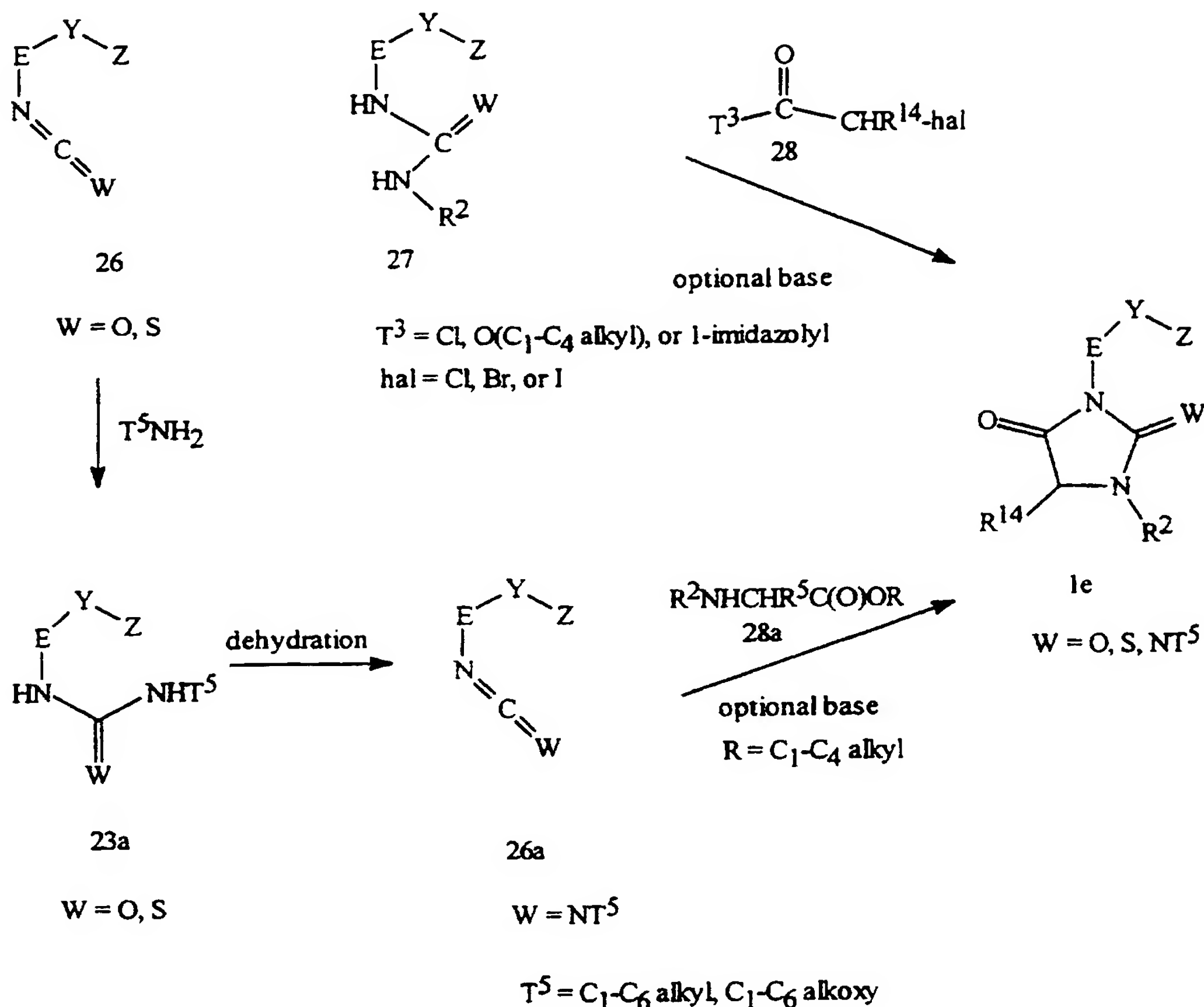
Scheme 17



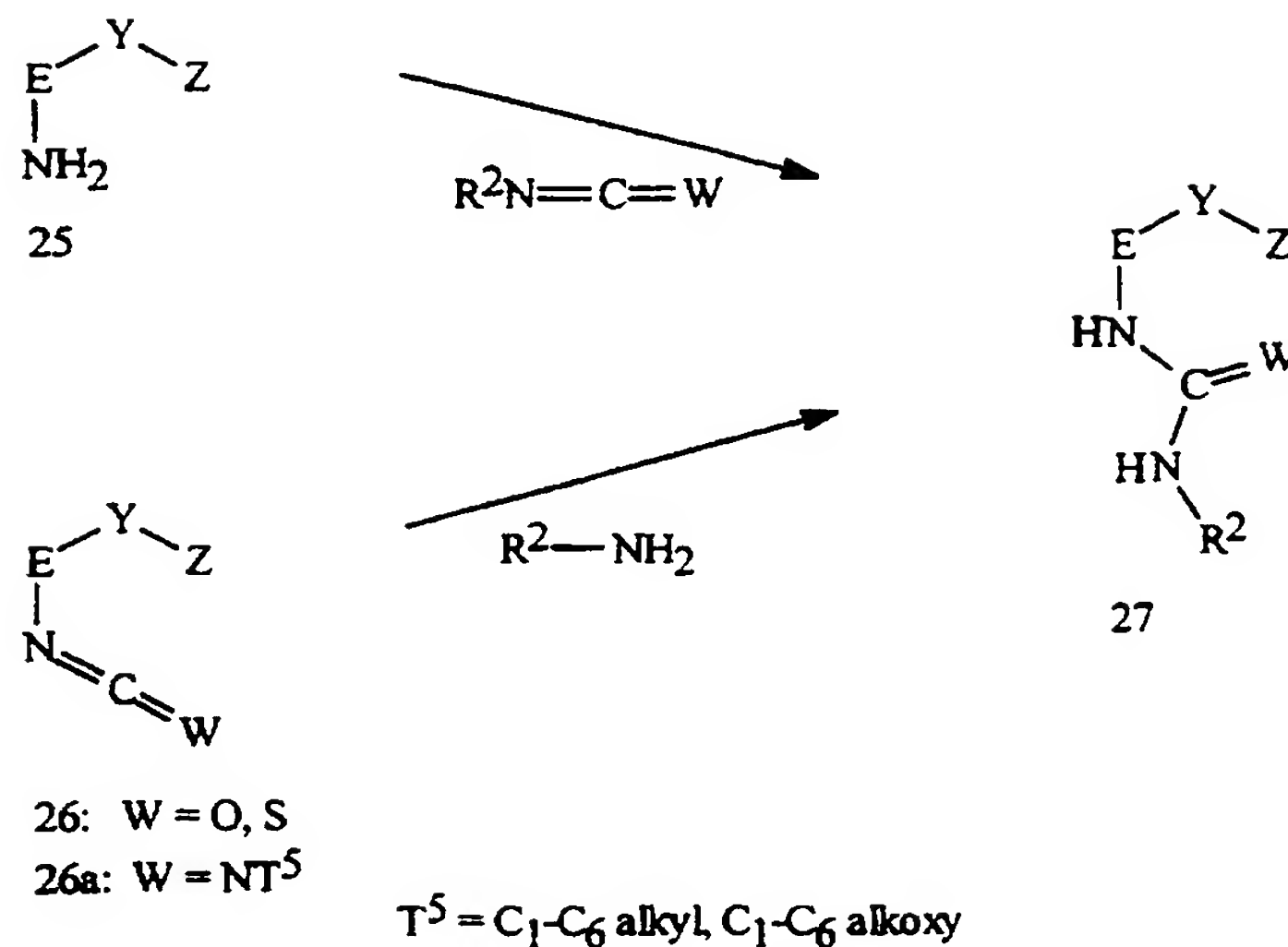
Compounds of Formula 1e (compounds of Formula 1 wherein A = CR¹⁴, G = N, and X = O) can be prepared by either method illustrated in Scheme 18. Ureas of Formula 27 are reacted with activated 2-halocarboxylic acid derivatives such as 2-halocarboxylic acid chlorides, 2-halocarboxylic acid esters or 2-haloacyl imidazoles. The initial acylation on the arylamino nitrogen is followed by an intramolecular displacement of the 2-halo group to effect cyclization. Base may be added to accelerate the acylation and/or the subsequent cyclization. Suitable bases include triethylamine and sodium hydride. Alternatively, Formula 1e compounds can be prepared by reaction of Formula 26 iso(thio)cyanates or Formula 26a carbodiimides with Formula 28a esters. As described above, base may be added to accelerate the reaction and subsequent cyclization to Formula 1e compounds. Carbodiimides 26a can be prepared as shown in Scheme 18, starting with compounds of Formula 26.

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Scheme 18

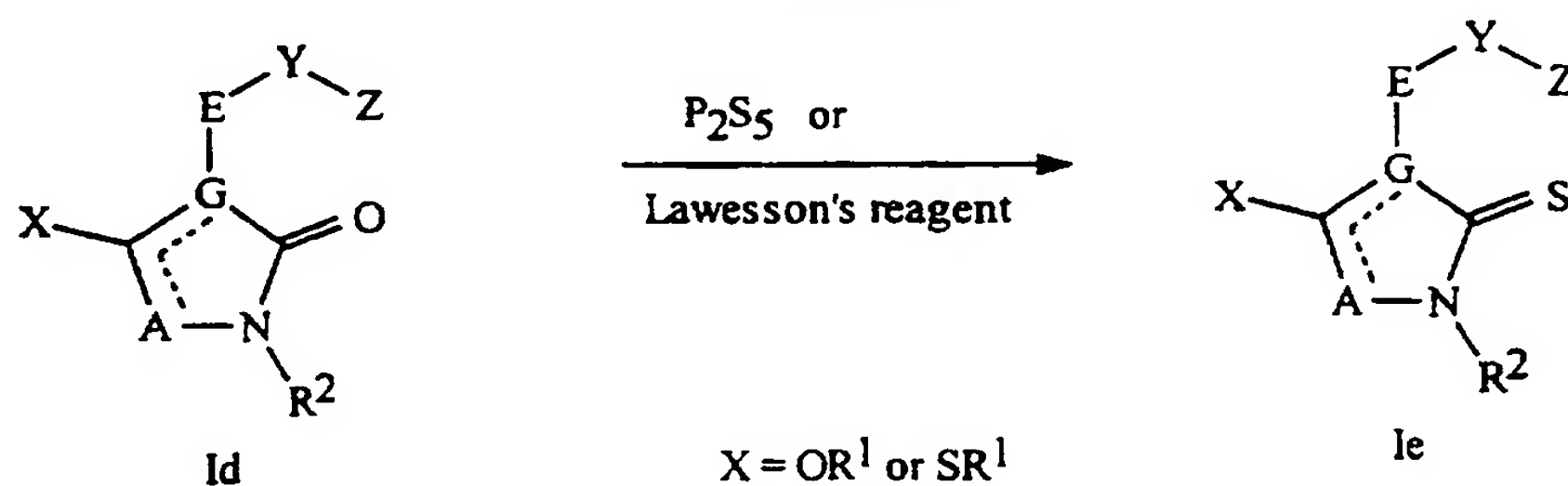


- The (thio)ureas or amidines of Formula 27 can be prepared by either of the methods illustrated in Scheme 19. The arylamine of Formula 25 can be contacted with an isocyanate or isothiocyanate of Formula $R^2N=C=W$ as described above.
- Alternatively, an iso(thio)cyanate of Formula 26 or carbodiimide of Formula 26a can be condensed with an amine of Formula R^2-NH_2 to form the urea or amidine. The arylamine and iso(thio)cyanates of Formulae 25 and 26, respectively, are commercially available or prepared by well-known methods. For example, isothiocyanates can be prepared by methods described in *J. Heterocycl. Chem.*, (1990), 27, 407. Isocyanates can be prepared as described in March, J., *Advanced Organic Chemistry*, 3rd ed., John Wiley: New York, (1985), pp 944, 1166 and also in *Synthetic Communications*, (1993), 23 (3), 335 and references therein. For methods describing the preparation of arylamines of Formula 25 that are not commercially available, see M. S. Gibson in *The Chemistry of the Amino Group*; Patai, S., Ed.; Interscience Publishers, 1968; p 37 and *Tetrahedron Lett.* (1982), 23 (7), 699 and references therein.

Scheme 194) Thionation Procedures

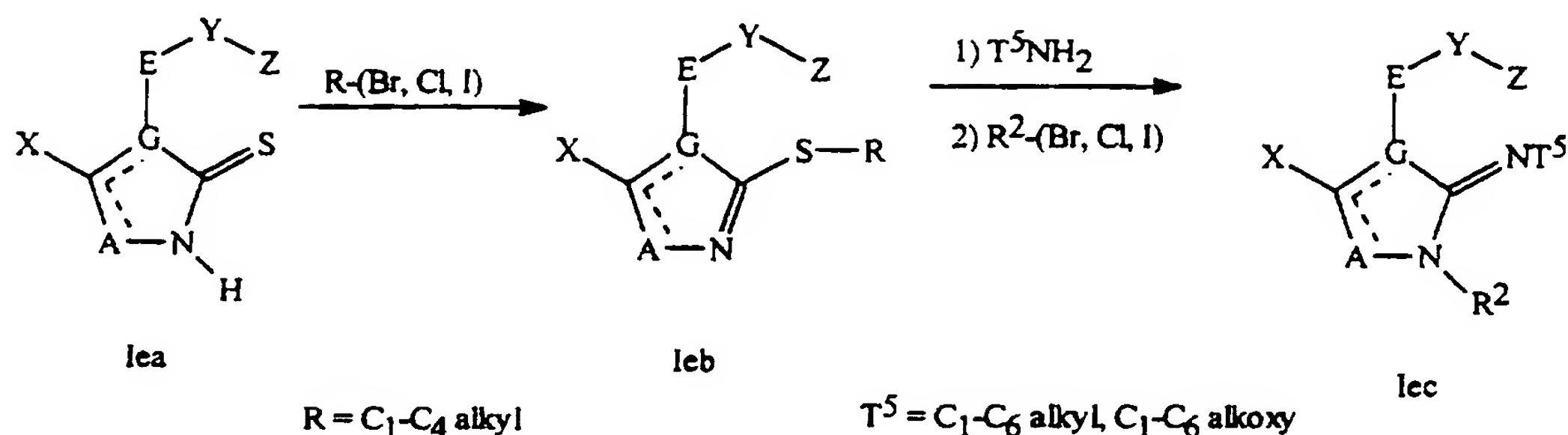
- 5 Compounds of Formula Ie, compounds of Formula I wherein W = S, can be prepared by treating compounds of Formula Id (I wherein W = O) with thionating reagents such as P₂S₅ or Lawesson's reagent (2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide) as illustrated in Scheme 20 (see *Bull. Soc. Chim. Belg.*, (1978), 87, 229; and *Tetrahedron Lett.*, (1983), 24, 3815).

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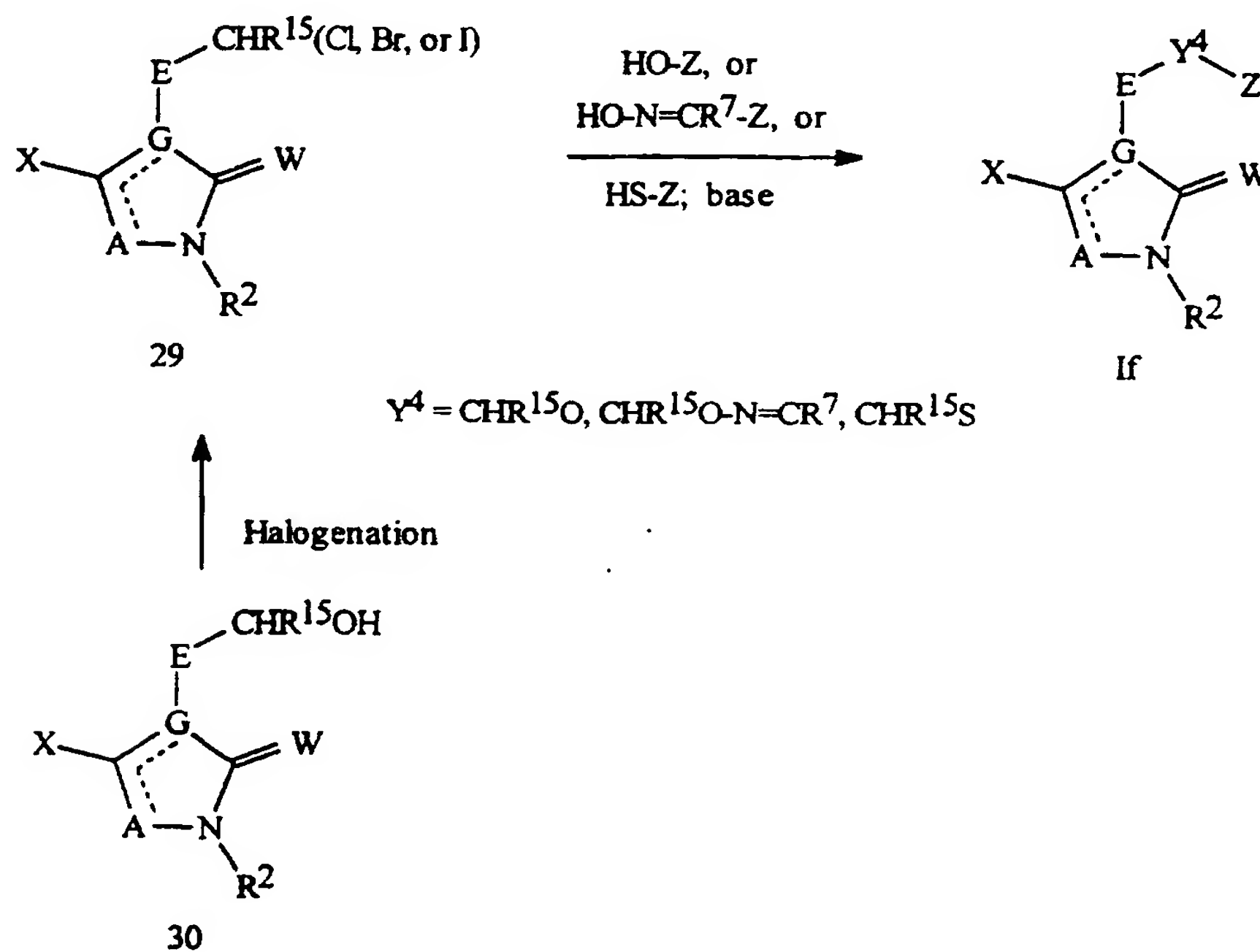
Scheme 20

- 15 Reaction of compounds of Formula Iea with an alkyl halide in the presence of base provides compounds of Formula Ieb, which can be reacted with compounds of Formula T⁵NH₂ and then alkylated with R²-(Br, Cl, or I) to provide compounds of Formula Iec.

35

Scheme 20a5) Aryl Moiety (E-Y-Z) Synthesis Procedures

- Compounds of Formula If (compounds of Formula I wherein Y is CHR^{15}O , CHR^{15}S , or $\text{CHR}^{15}\text{O-N=CR}^7$) can be prepared by contacting halides of Formula 29 with various nucleophiles (Scheme 21). The appropriate alcohol or thiol is treated with a base, for example sodium hydride, to form the corresponding alkoxide or thioalkoxide which acts as the nucleophile.

Scheme 21

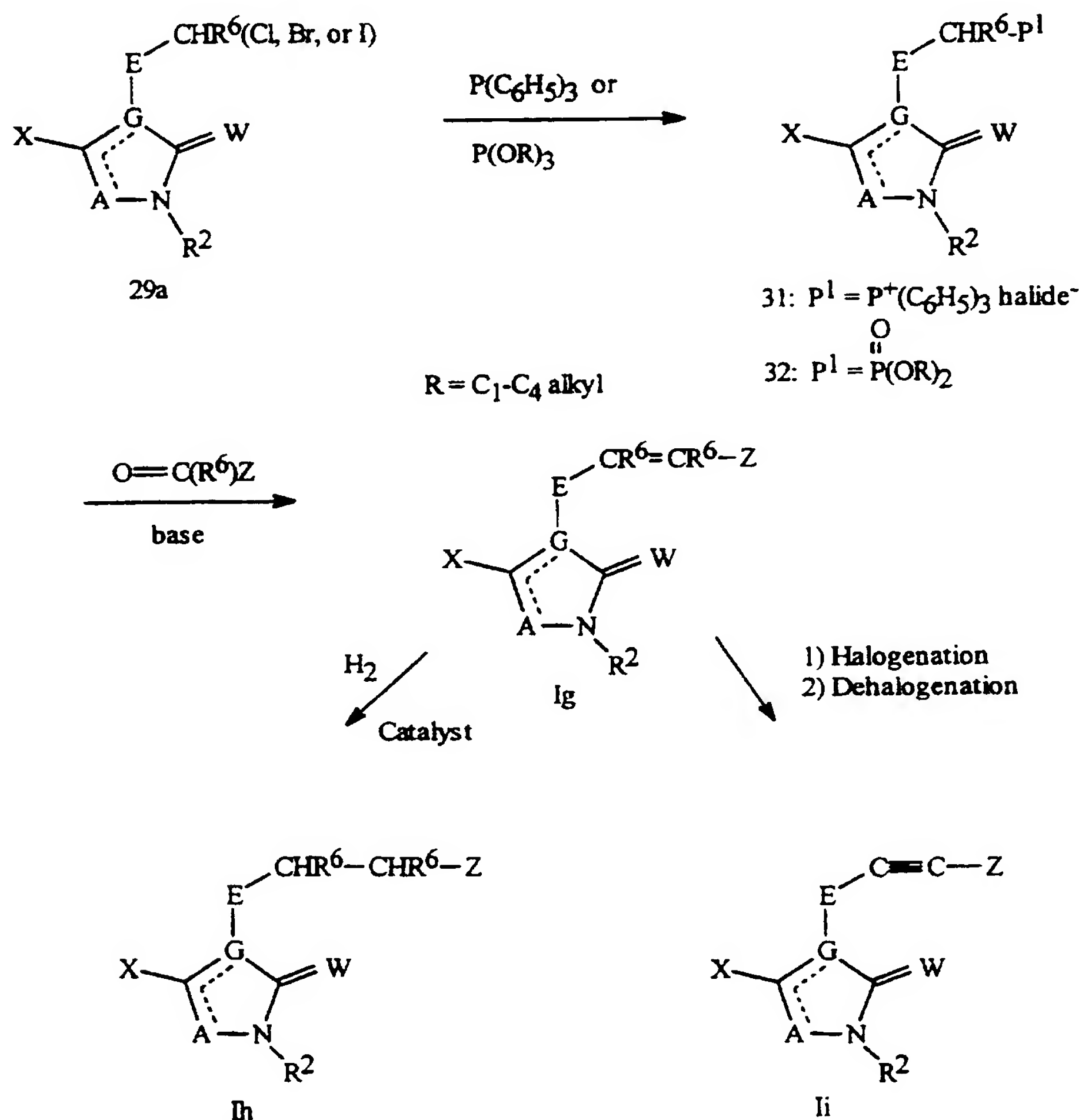
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Some aryl halides of Formula 29 can be prepared by radical halogenation of the corresponding alkyl compound (i.e., H instead of halogen in Formula 29), or by acidic cleavage of the corresponding methyl ether (i.e., OMe instead of halogen in

Formula 29). Other aryl halides of Formula 29 can be prepared from the appropriate alcohols of Formula 30 by well known halogenation methods in the art (see Carey, F. A.; Sundberg, R. J. *Advanced Organic Chemistry*; 3rd ed., Part B, Plenum: New York, (1990), p 122).

- 5 Compounds of Formula I wherein Y is $\text{CR}^6=\text{CR}^6$ or $\text{CHR}^6\text{-CHR}^6$ (Formula Ig and Ih, respectively) can be prepared as illustrated in Scheme 22. Treatment of the halides of Formula 29a with triphenylphosphine or a trialkylphosphite produces the corresponding phosphonium salt (Formula 31) or phosphonate (Formula 32), respectively. Condensation of the phosphorus compound with a base and a carbonyl compound of Formula $\text{Z(R}^6\text{)C=O}$ affords the olefin of Formula Ig.
- 10

Scheme 22



- The olefins of Formula Ig can be converted to the saturated compounds of Formula Ih by hydrogenation over a metal catalyst such as palladium on carbon as is
- 15

well-known in the art (Rylander, *Catalytic Hydrogenation in Organic Synthesis*; Academic: New York, 1979).

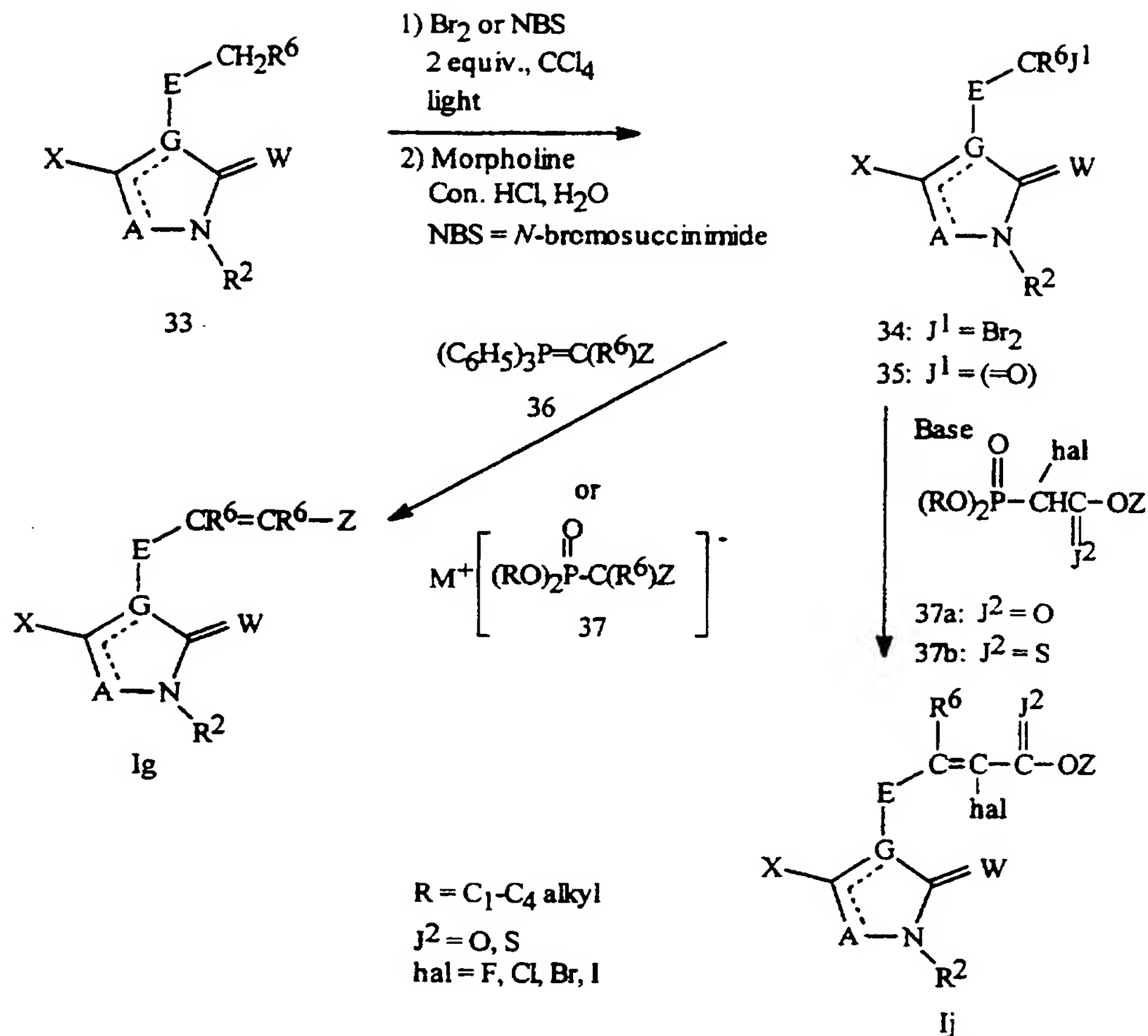
Formula Ii alkynes can be prepared by halogenation/dehalogenation of Formula Ig olefins using procedures well-known in the art (March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 924). Additionally, Formula Ii
5 alkynes can be prepared by well-known reaction of aryl halides with alkyne derivatives in the presence of catalysts such as nickel or palladium (see *J. Organomet. Chem.*, (1975), 93 253-257).

The olefin of Formula Ig can also be prepared by reversing the reactivity of the
10 reactants in the Wittig or Horner-Emmons condensation. For example, 2-alkylaryl derivatives of Formula 33 can be converted into the corresponding dibromo-compound of Formula 34 as illustrated in Scheme 23 (see *Synthesis*, (1988), 330). The dibromo-compound can be hydrolyzed to the carbonyl compound of Formula 35, which in turn can be condensed with a phosphorus-containing nucleophile of Formula 36 or 37 to
15 afford the olefin of Formula Ig. Additionally, compounds of Formula 35 can be prepared by oxidation of the corresponding alcohols of Formula 30.

Vinyl halides of Formula Ij can be prepared by reacting phosphorus reagents of Formulae 37a or 37b with carbonyl compounds of Formula 35 (Scheme 23). The preparations of halides of Formula 37a from the appropriate diethylphosphonoacetate
20 are described by McKenna and Khawli in *J. Org. Chem.*, (1986), 51, 5467. The thiono esters of Formula 37b can be prepared from esters of Formula 37a by converting the carbonyl oxygen of the ester to a thiocarbonyl (see *Chem. Rev.*, (1984), 84, 17 and *Tetrahedron Lett.*, (1984), 25, 2639).

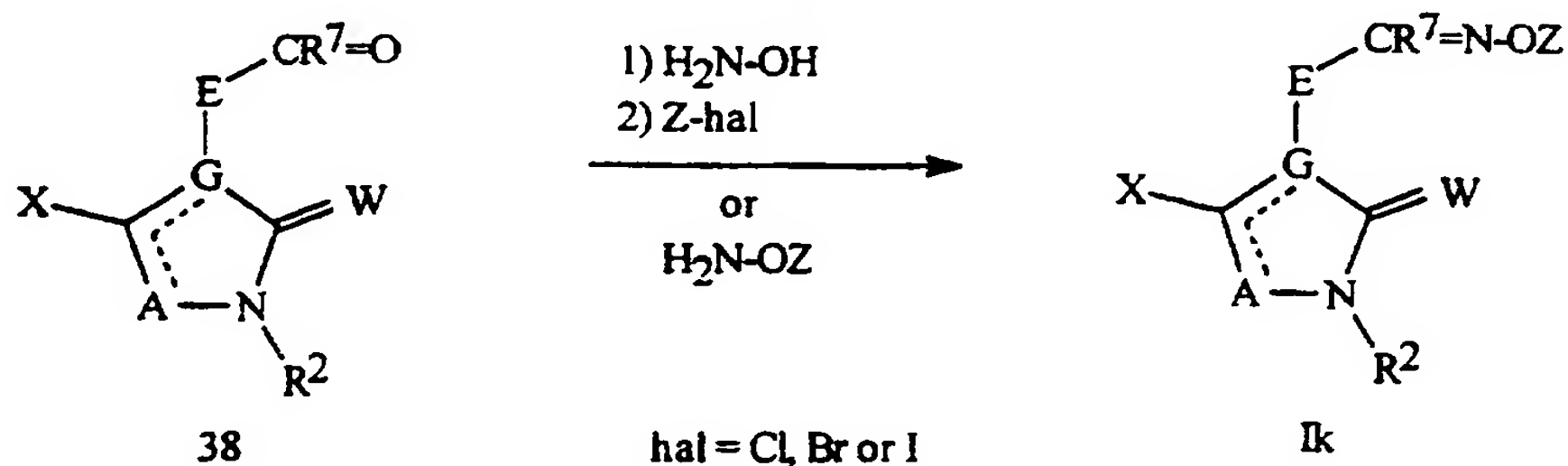
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Scheme 23



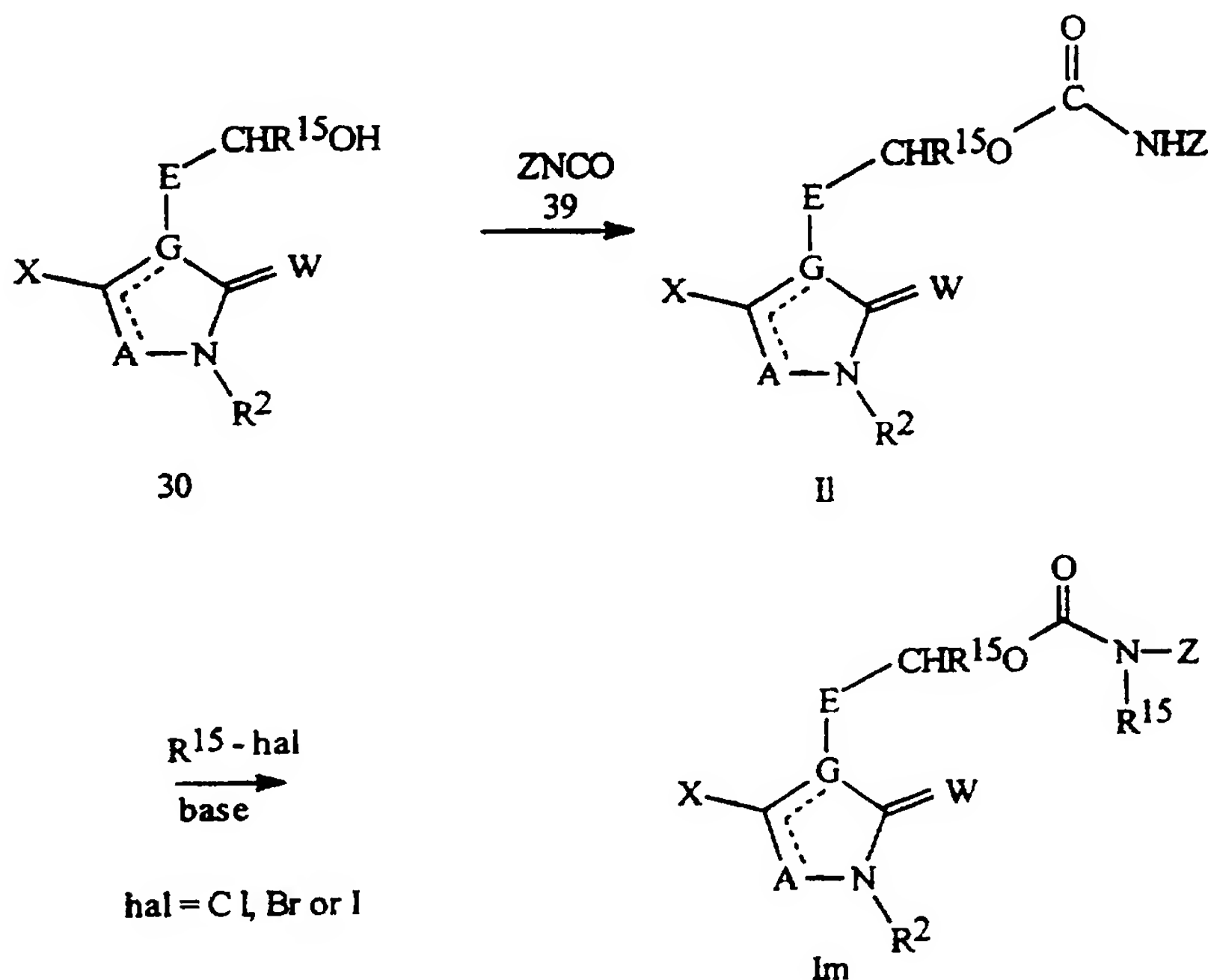
Oximes of Formula Ik (Formula I wherein Y is C(R⁷)=N-O) can be prepared from carbonyl compounds of Formula 38 by condensation with hydroxylamine, followed by *O*-alkylation with electrophiles of Formula Z-(Cl, Br, or I) (Scheme 24). Alternatively, the *O*-substituted hydroxylamine can be condensed with the carbonyl compound of Formula 38 to yield oximes of Formula Ik directly.

Scheme 24



Carbamates of Formula II can be prepared by reacting aryl alcohols of Formula 30 with isocyanates of Formula 39 (Scheme 25). A base such as triethylamine can be added to catalyze the reaction. As shown, carbamates of Formula II can be further alkylated to provide the carbamates of Formula Im.

Scheme 25



5

Compounds of Formula I wherein Y is $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-\text{C}(=\text{N}-\text{A}^2-\text{Z}^1)-\text{A}^1-$, $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)-\text{C}(\text{R}^7)=\text{N}-\text{A}^2-\text{A}^3-$ or $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(-\text{C}(\text{R}^7)=\text{N}-\text{A}^2-\text{Z}^1)-$ can be prepared by methods known in the art or obvious modifications (see, for example, WO 95/18789, WO 95/21153, and references therein) together with the methods disclosed herein.

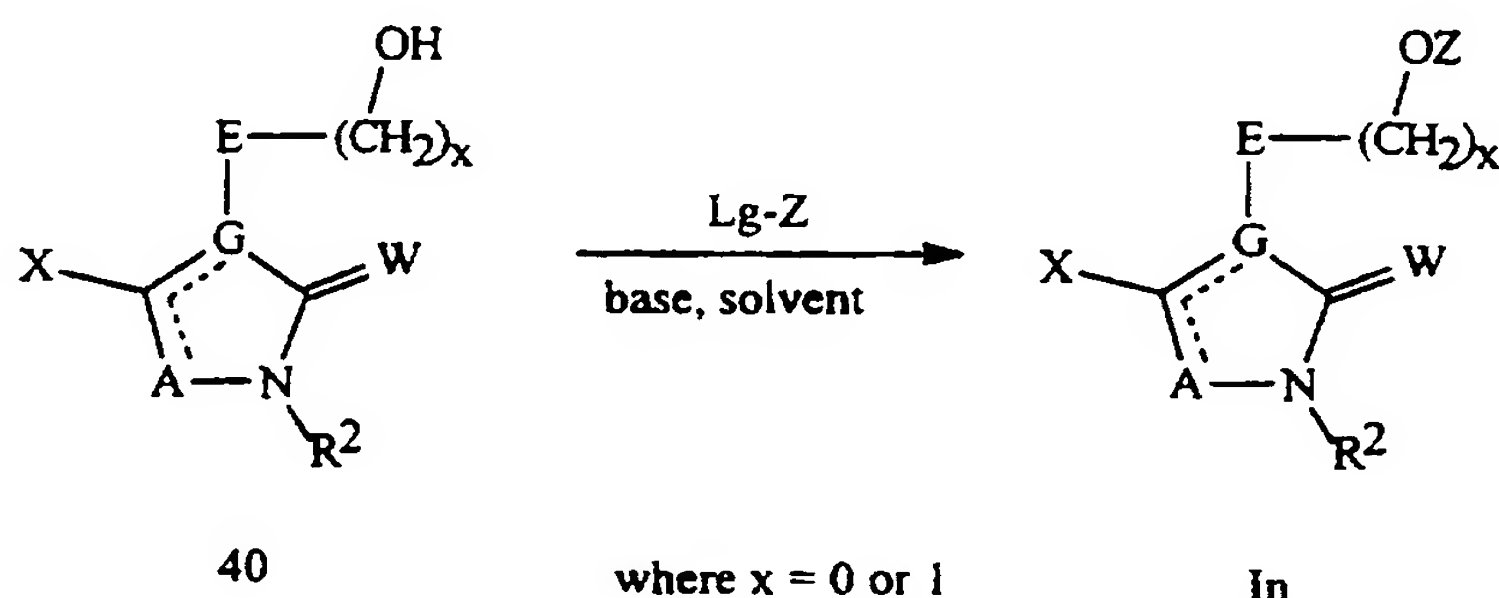
Compounds of Formula I wherein Y is $-\text{CHR}^{15}\text{OC}(=\text{O})\text{O}-$, $-\text{CHR}^{15}\text{OC}(=\text{S})\text{O}-$, $-\text{CHR}^{15}\text{OC}(=\text{O})\text{S}-$, $-\text{CHR}^{15}\text{OC}(=\text{S})\text{S}-$, $-\text{CHR}^{15}\text{SC}(=\text{O})\text{N}(\text{R}^{15})-$, $-\text{CHR}^{15}\text{SC}(=\text{S})\text{N}(\text{R}^{15})-$, $-\text{CHR}^{15}\text{SC}(=\text{O})\text{O}-$, $-\text{CHR}^{15}\text{SC}(=\text{S})\text{O}-$, $-\text{CHR}^{15}\text{SC}(=\text{O})\text{S}-$, $-\text{CHR}^{15}\text{SC}(=\text{S})\text{S}-$, $-\text{CHR}^{15}\text{SC}(=\text{NR}^{15})\text{S}-$ or $-\text{CHR}^{15}\text{N}(\text{R}^{15})\text{C}(=\text{O})\text{N}(\text{R}^{15})-$ can be prepared by methods known in the art or obvious modifications (see, for example, U.S. 5,416,110, EP 656,351 and references therein) together with the methods disclosed herein.

Compounds of Formula In (Formula IA where Y is $(\text{CH}_2)_x\text{O}$, where $x = 0$ or 1) can be prepared by contacting hydroxy compounds of Formula 40 with appropriate heterocycles or activated aromatic hydrocarbons Lg-Z (where Lg is an appropriate

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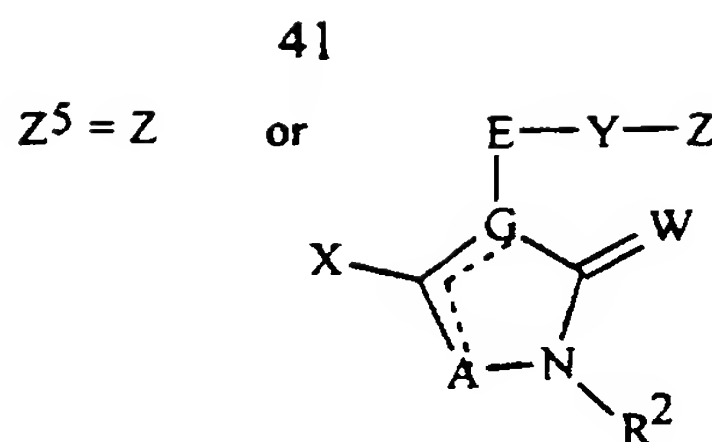
leaving group, for example, halogen or alkylsulfonyl) in the presence of suitable bases (for example, K_2CO_3 , $KO-t-Bu$ or NaH) in suitable solvents (for example, acetone, dimethylformamide, dimethyl sulfoxide or tetrahydrofuran) (see Scheme 26).

Scheme 26



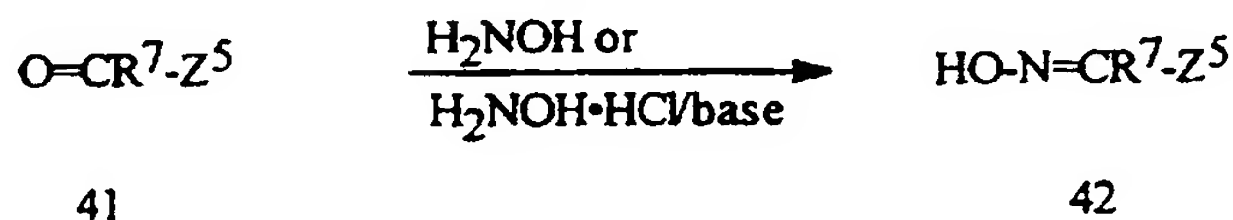
Compounds of Formula Lg-Z may be prepared according to literature procedures, for example, *Comprehensive Heterocyclic Chemistry*, Pergamon Press, vol. 6, 1984, pp 463-511 or *J. Org. Chem.* (1973), 38, 469 or *J. Het. Chem.* (1979), 961 for the preparation of 1,2,4-thiadiazoles, U.S. 5,166,165 or *J. Chem. Soc., Perkin Trans. 1* (1983), 967 for the preparation of 1,3,4-oxadiazoles and 1,3,4-thiadiazoles, EP 446,010 or *J. Med. Chem.* (1992), 35, 3691 for the preparation of 1,2,4-oxadiazoles.

The compounds of the present invention are prepared by combinations of reactions as illustrated in the Schemes 1-26 in which Z is a moiety as described in the summary. Preparation of the compounds containing the radical Z^5 [Z as described in the summary, substituted with L (defined as any group attached to Z as depicted in each of the individual schemes)] can be accomplished by one skilled in the art by the appropriate combination of reagents and reaction sequences for a particular Z^5 -L. Such reaction sequences can be developed based on known reactions available in the chemical art. For a general reference, see March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985) and references therein. See the following paragraphs and Schemes for some examples of how L is defined in individual schemes, and the preparation of representative Z^5 -L examples. Note that Z^5 in the Schemes 27-41 is also taken to be the radical below, such that compounds assembled by the methods taught in Schemes 1-26 can be further modified by the chemistry illustrated to provide compounds I as described in the summary.



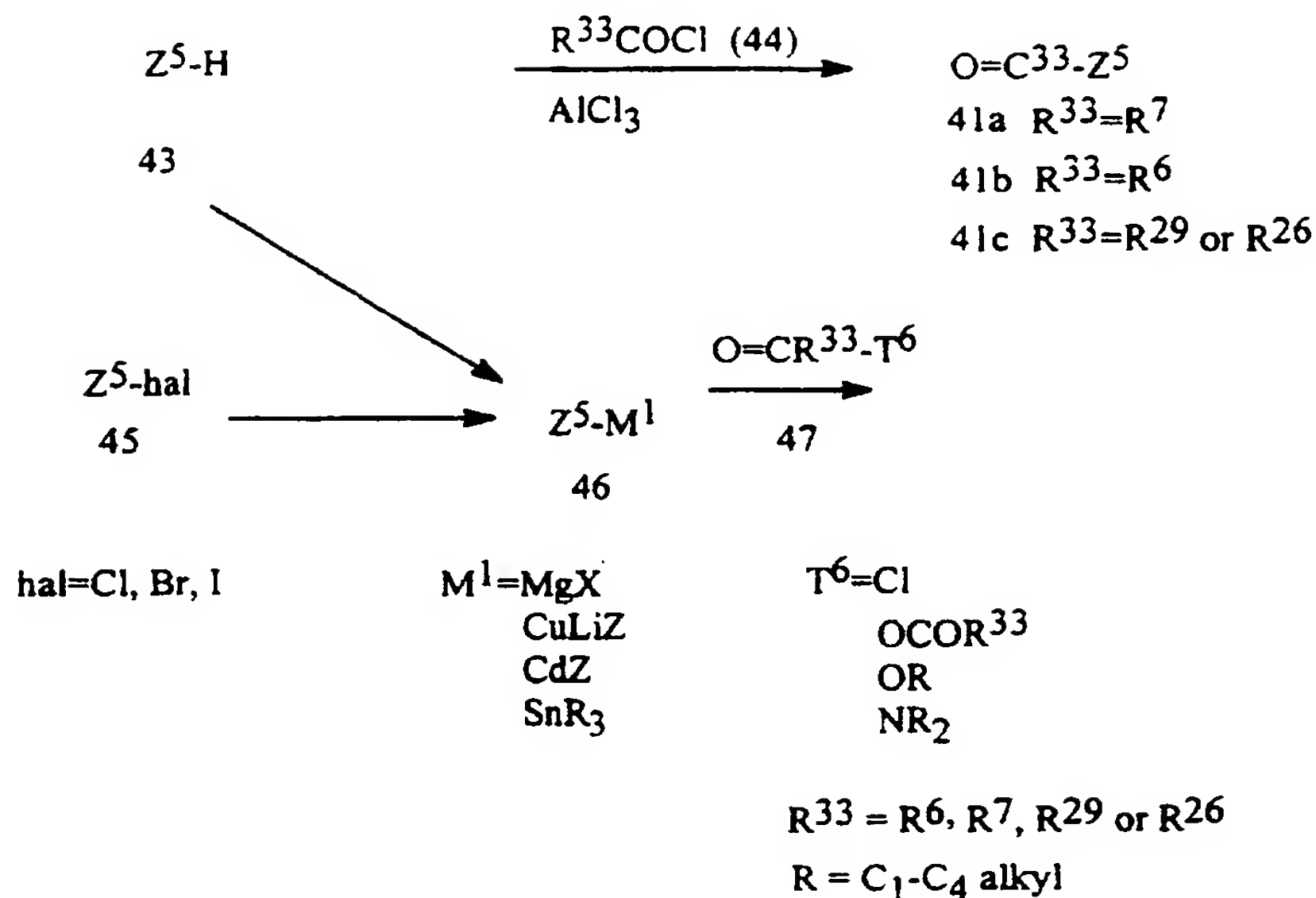
Compounds of Formula 42 in Scheme 27 can be prepared from compounds of Formula 41 by reaction with hydroxylamine or hydroxylamine salts. See Sandler and Karo, *Organic Functional Group Preparations*, Vol. 3 Academic Press, New York, (1972) 372-381 for a review of methods. Compounds of Formula 42 correspond to compounds of Formula 13 in Scheme 6 when $Y^1 = O-N=C(R^7)$ and in Scheme 21, reagent $HO-N=CR^7$.

Scheme 27



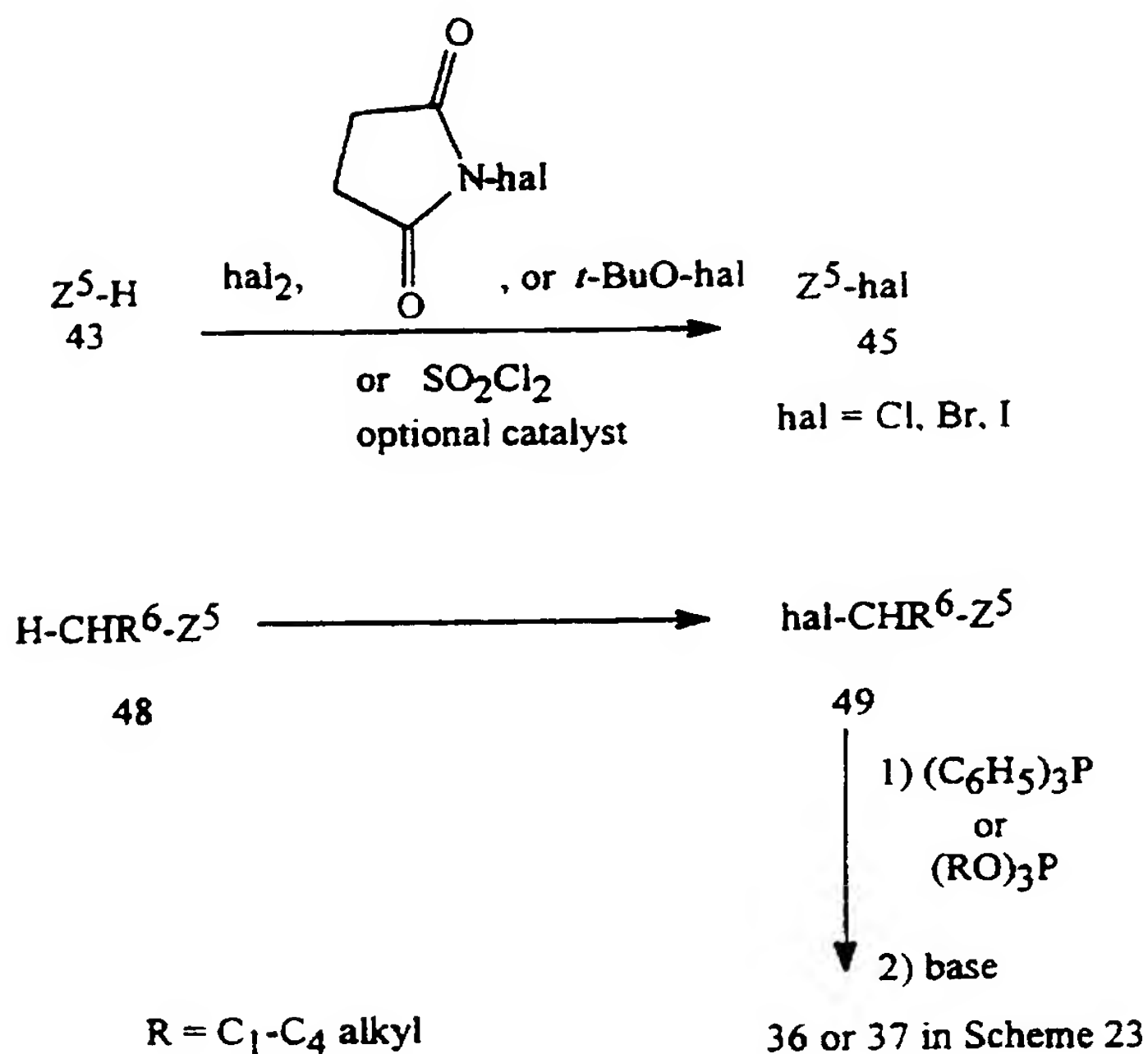
Compounds of Formula 41 can be prepared from compounds of Formula 43 (Scheme 28) by Friedel-Crafts acylation with compounds of Formula 44. (See Olah, G. "Friedel-Crafts and Related Reactions," Interscience, New York (1963-1964) for a general review). Compounds of Formula 41 may also be prepared by reaction of acyl halides, anhydrides, esters, or amides of Formula 47 with organometallic reagents of Formula 46. (See March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 433-435 and references therein.) The organometallic compounds of Formula 46 may be prepared by reductive metallation or halogen-metal exchange of a halogen-containing compound of Formula 45 using, for example, magnesium or an organolithium reagent, or by deprotonation of compounds of Formula 43 using a strong base such as a lithioamide or an organolithium reagent, followed by transmetallation. Compound 41a corresponds to Compound 14a in Scheme 8 and compound 41 in Scheme 27, while compound 41b corresponds to $O=C(R^6)Z$ in Scheme 22 and Compound 41c corresponds to compound 93 (where $T^{18} = R^{26}$) in Scheme 41.

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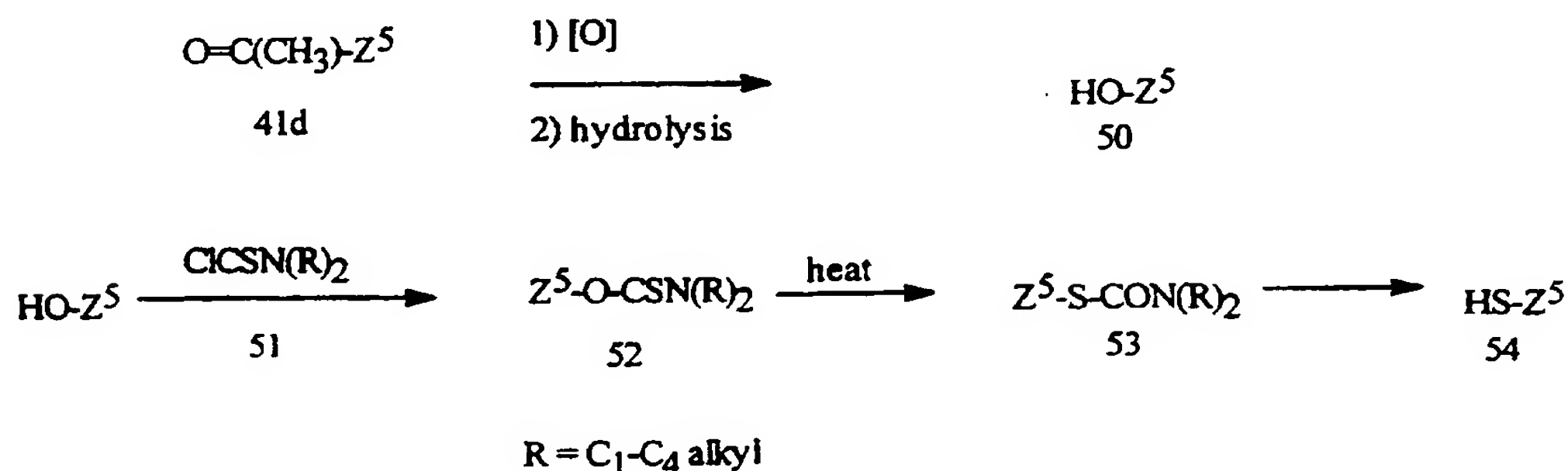
Scheme 28

Compounds of Formula 45 may be prepared by reaction of compounds of Formula 43 (Scheme 29) with, for example, bromine or chlorine, with or without additional catalysts, under free-radical or aromatic electrophilic halogenation conditions, depending on the nature of Z. Alternative sources of halogen, such as *N*-halosuccinimides, *tert*-butyl hypohalites or SO_2Cl_2 , may also be used. (See March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 476-479, 620-626, and references therein.) For a review of free-radical halogenation, see Huyser, in Patai, "The Chemistry of the Carbon-Halogen Bond," Part 1, Wiley, New York (1973) pp 549-607. For electrophilic substitutions, see de la Mare, "Electrophilic Halogenation," Cambridge University Press, London (1976). Compounds of Formula 45 correspond to compounds of Formula 15 in Scheme 7 where $\text{Lg} = \text{Br, Cl, or I}$ and reagent Z-hal in Scheme 24. Compounds of Formula 49 can be prepared from compounds of Formula 48 by similar procedures. Compounds of Formula 49 correspond to compounds of Formula 16 in Scheme 7 where $\text{Lg} = \text{Br, Cl, or I}$. Compounds of Formula 36 or 37 in Scheme 23 can be prepared by reaction of compounds of Formula 49 with triphenylphosphine or trialkyl phosphites, respectively, followed by deprotonation with base. See Cadogan, "Organophosphorus Reagents in Organic Synthesis," Academic Press, New York (1979) for a general treatise on these reagents.

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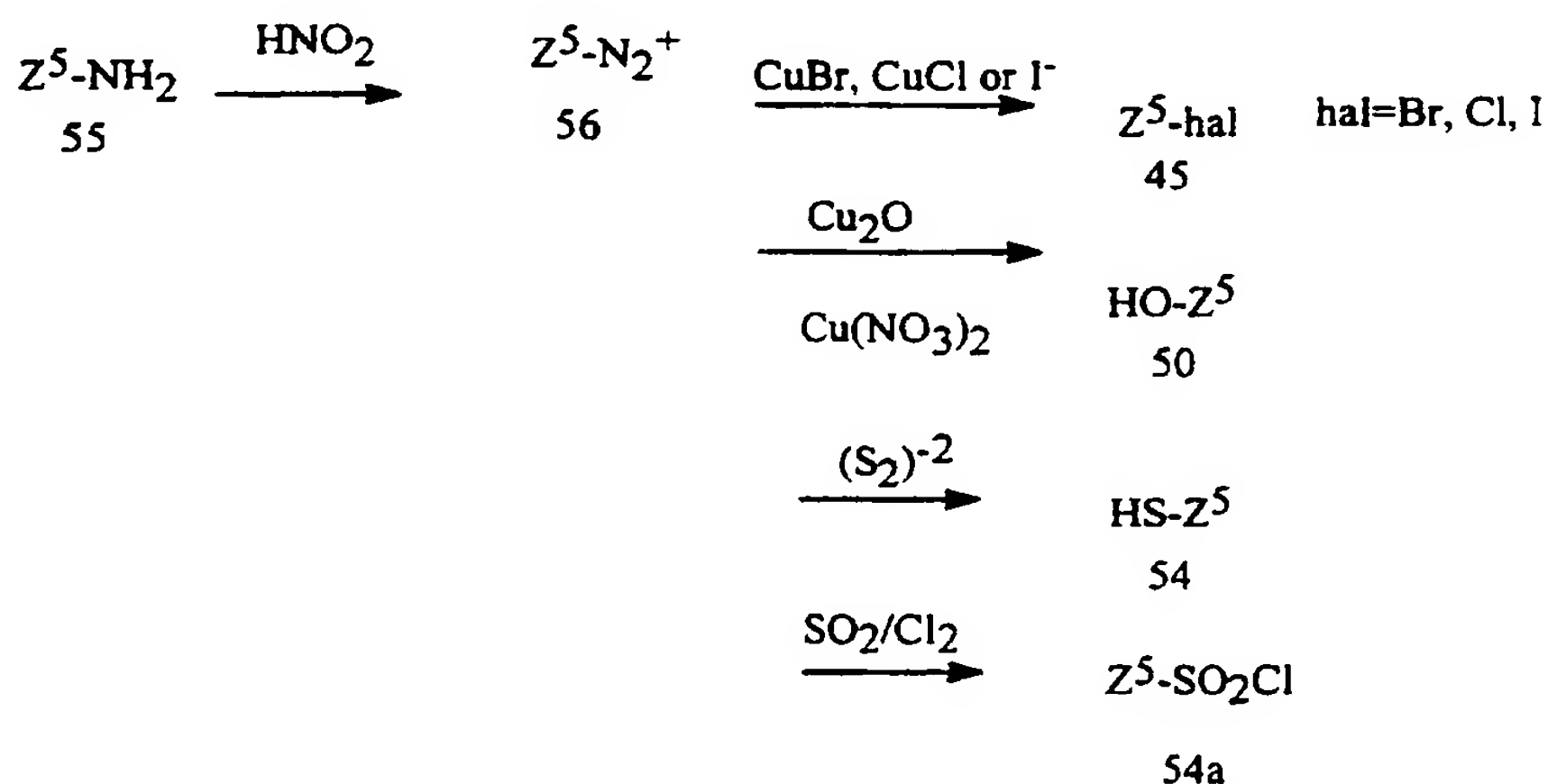
Scheme 29

- Compounds of Formula 50 can be prepared from compounds of Formula 41d by treatment with peracids such as perbenzoic or peracetic acid, or with other peroxy compounds in the presence of an acid catalysts, followed by hydrolysis of the resultant ester (Scheme 30). For a review, see Plesnicar, in Trahanovsky, "Oxidation in Organic Chemistry, pt. C, Academic Press, New York (1978) pp 254-267. Formula 50 corresponds to Formula 13 in Scheme 6 when $\text{Y}^1 = \text{O}$ and reagent HO-Z in Scheme 21. Compounds of Formula 54 can be prepared from compounds of Formula 50 by conversion to the dialkylthiocarbamates of Formula 52 followed by rearrangement to Formula 53 and subsequent hydrolysis. See M. S. Newman and H. A. Karnes, *J. Org. Chem.* (1966), 31, 3980-4. Formula 54 corresponds to Formula 13 in Scheme 6 when $\text{Y}^1 = \text{S}$ and reagent HS-Z in Scheme 21.

Scheme 30

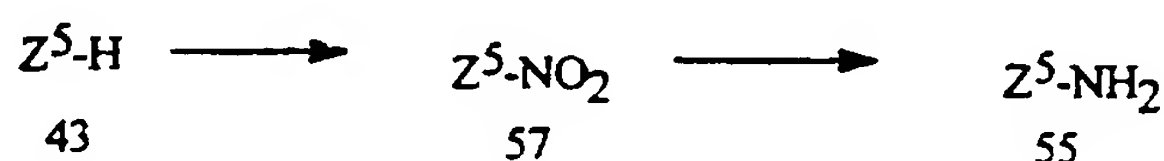
Compounds of Formula 55 can be converted to compounds of Formulae 45, 50 or 54 via the diazonium compounds 56, by treatment with nitrous acid followed by subsequent reaction (Scheme 31). See reviews by Hegarty, pt. 2, pp 511-91 and Schank, pt. 2, pp 645-657, in Patai, "The Chemistry of Diazonium and Diazo Groups," Wiley, New York (1978). Treatment of Formula 56 compounds with cuprous halides or iodide ions yield compounds of Formula 45. Treatment of Formula 56 compounds with cuprous oxide in the presence of excess cupric nitrate provides compounds of Formula 50. (Cohen, Dietz, and Miser, *J. Org. Chem.*, (1977), 42, 2053). Treatment of Formula 56 compounds with $(S_2)^{-2}$ yields compounds of Formula 54. Treatment of Formula 56 compounds with SO_2 and Cl_2 yields compounds of Formula 54a.

Scheme 31



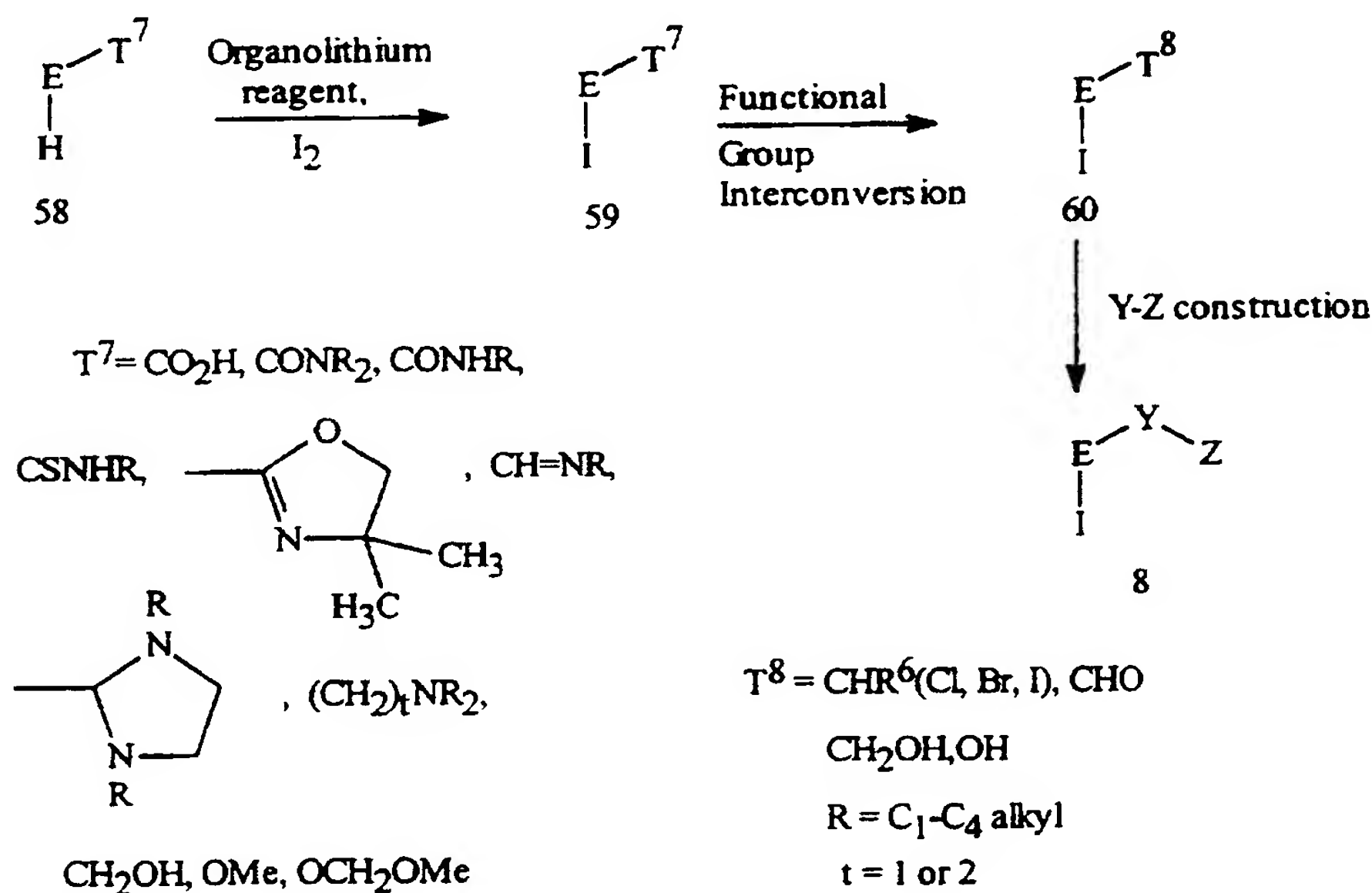
Compounds of Formula 55 can be prepared from compounds of Formula 43 by nitration, followed by reduction (Scheme 32). A wide variety of nitrating agents is available (see Schofield, "Aromatic Nitration," Cambridge University Press, Cambridge (1980)). Reduction of nitro compounds can be accomplished in a number of ways (see March, *J. Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 1103-4 and references therein). Formula 55 corresponds to Formula 13 in Scheme 6 when $Y^1 = NR^{15}$ and $R^{15} = H$.

Scheme 32



Iodides of Formula 8 can be prepared from compounds of Formula 60 by the methods described above in Schemes 21-26 for various Y-Z combinations. Compounds of Formula 60 can in turn be prepared from compounds of Formula 59 by functional group interconversions which are well known to one skilled in the art. The compounds of Formula 59 can be prepared by treating compounds of Formula 58 with an organolithium reagent such as *n*-BuLi or LDA followed by trapping the intermediate with iodine (Beak, P., Snieckus, V. *Acc. Chem. Res.*, (1982), 15, 306). Additionally, lithiation via halogen metal exchange of compounds of Formula 58, where H is replaced by Br, will produce an intermediate which can be trapped with iodine to prepare compounds of Formula 59 (Parham, W. E., Bradsher, C. K. *Acc. Chem. Res.*, (1982), 15, 300 (Scheme 32).

Scheme 33

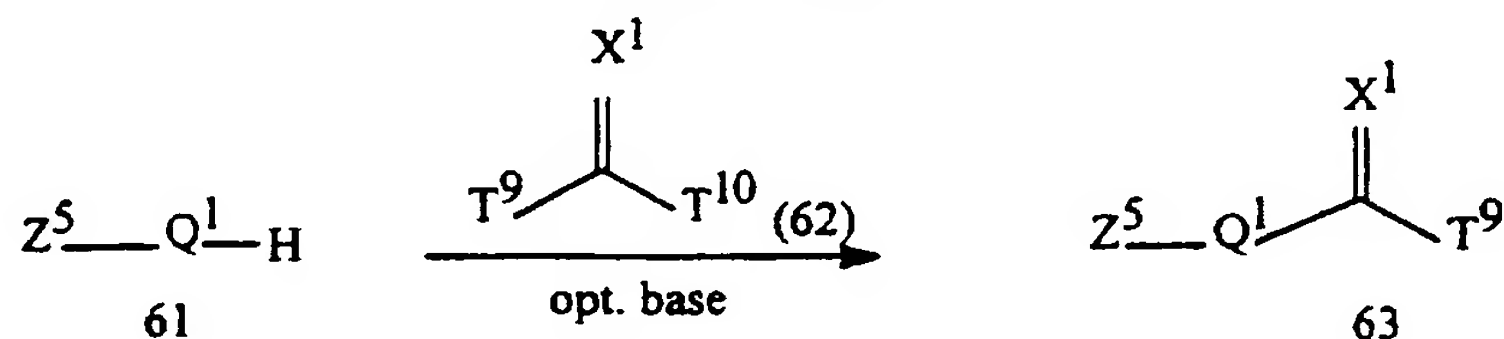
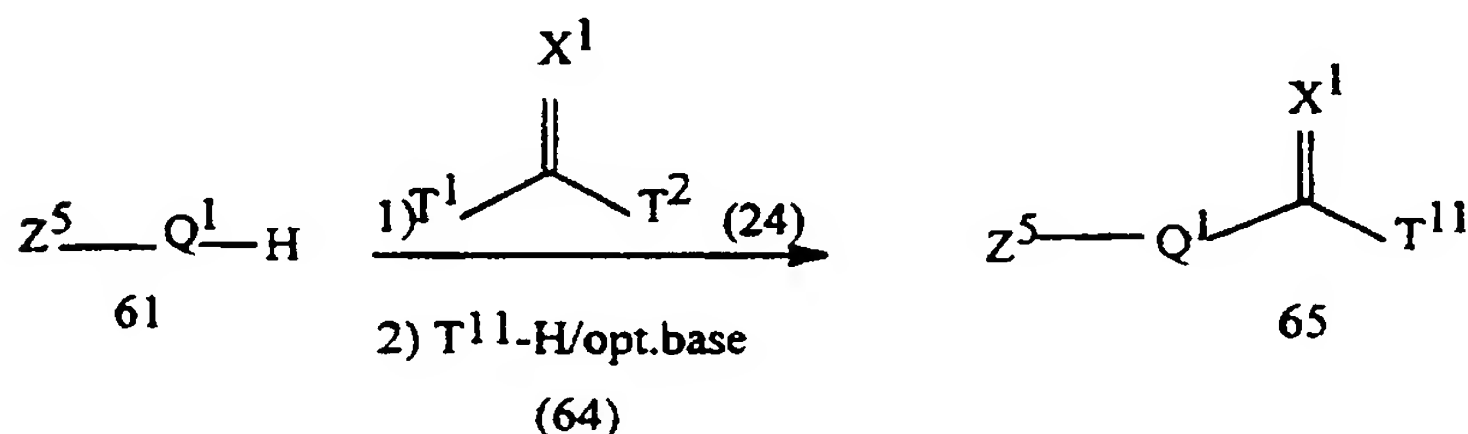
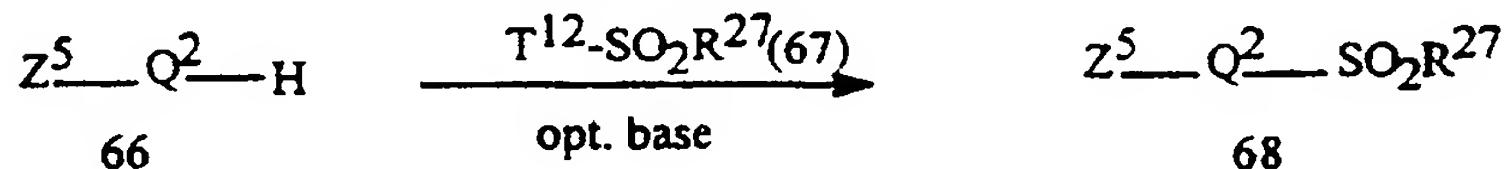


Compounds of Formula 63 can be prepared by reacting compounds of formula 61 with acylating agents of Formula 62, with or without optional base. Suitable acylating agents are, for example, alkyl chloroformates, anhydrides, carbamoyl chlorides, or carbonylimidazoles. Alternatively, compounds of Formula 61 can be reacted with compounds of Formula 24, (e.g., phosgene, diphosgene, triphosgene, thiophosgene, *N,N'*-carbonyldiimidazole, or *N,N'*-thiocarbonyldiimidazole) followed by reaction with compounds of Formula 64, with or without optional base. Compounds of Formula 68 can be prepared by reaction of compounds of Formula 66 with sulfonylating agents of Formula 67 (for example, methanesulfonyl chloride or trifluoromethanesulfonic anhydride) with or without optional base. Appropriate bases include alkali metal

alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, tertiary amines such as triethylamine and triethylenediamine, pyridine, or 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU). Suitable solvents include polar aprotic solvents such as acetonitrile, dimethylformamide, or dimethyl sulfoxide; ethers such as tetrahydrofuran, dimethoxyethane, or diethyl ether; ketones such as acetone or 2-butanone; hydrocarbons such as toluene or benzene; or halocarbons such as dichloromethane or chloroform. The reaction temperature can vary between 0 °C and 150 °C and the reaction time can be from 1 to 72 hours depending on the choice of base, solvent, temperature, and substrates.

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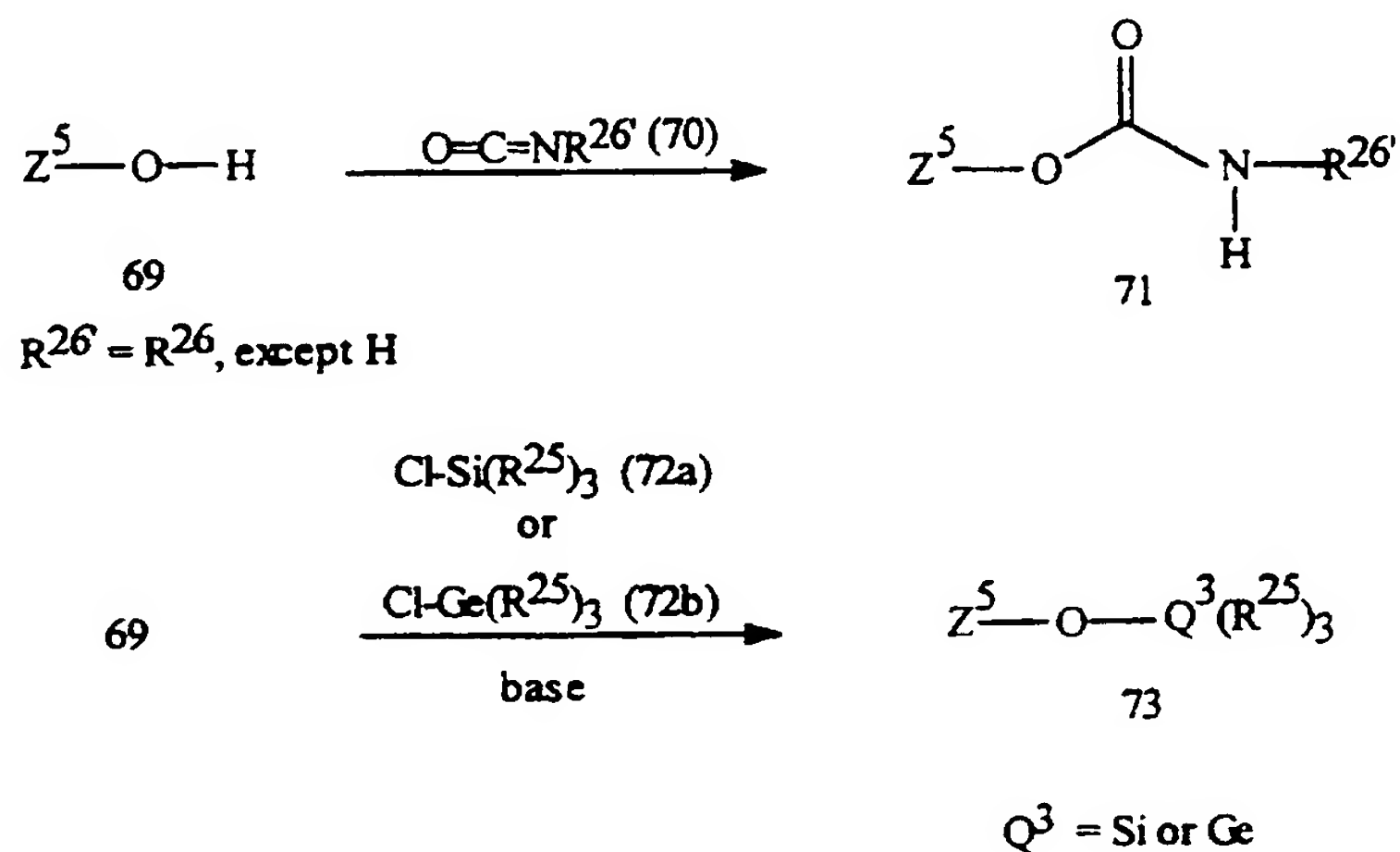
Scheme 34

 $\text{Q}^1 = \text{O}, \text{S}, \text{NR}^{26}$ $\text{X}^1 = \text{O}, \text{S}$ $\text{T}^9 = \text{Cl}, \text{OCCl}_3, \text{OCO}(\text{C}_1\text{---C}_4 \text{ alkyl}), 1\text{-imidazolyl}, 1,2,4\text{-triazodolyl}$ $\text{T}^{10} = \text{R}^{26}, \text{OR}^{27}, \text{SR}^{27}, \text{N}(\text{R}^{26})_2$  $\text{X}^1 = \text{O}, \text{S}$ T^1 and T^2 are independently $\text{Cl}, \text{OCCl}_3, \text{O}(\text{C}_1\text{---C}_4 \text{ alkyl}), 1\text{-imidazolyl}, 1,2,4\text{-triazolyl}$ $\text{T}^{11} = \text{OR}^{27}, \text{SR}^{27}, \text{N}(\text{R}^{26})_2$  $\text{Q}^2 = \text{O}, \text{NR}^{26}$ $\text{T}^{12} = \text{Cl}, \text{R}^{27}\text{SO}_2\text{O}$

Compounds of Formula 71 can be prepared by reaction of compounds of Formula 69 with isocyanates of Formula 70. A base such as triethylamine can be added to

catalyze the reaction. Compounds of Formula 73 can be prepared by reaction of compounds of Formula 69 with silylating or germylating agents of Formulae 72a or 72b, in the presence of a base such as, but not limited to, pyridine or imidazole.

Scheme 35



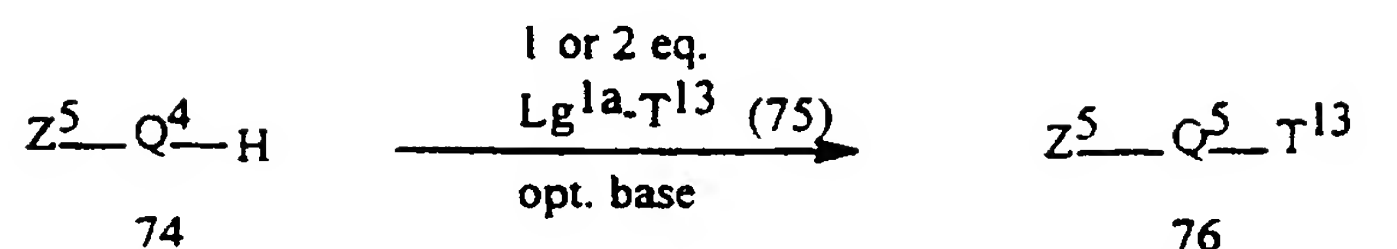
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Compounds of Formula 76 can be prepared by reaction of compounds of Formula 74 with alkylating agents of Formula 75 which include alkyl-, haloalkyl- or aryl-sulfonates such as ethyl lactate methanesulfonate, 2-methoxyethyl trifluoromethanesulfonate or cyanomethylbenzenesulfonate, and alkyl halides such as benzyl bromide and propargyl bromide (Scheme 36). These alkylations can be conducted with or without additional base. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and triethylenediamine. Note that when Q⁴ = NH, two equivalents of the same compound 75 or two different compounds 75 can be reacted sequentially.

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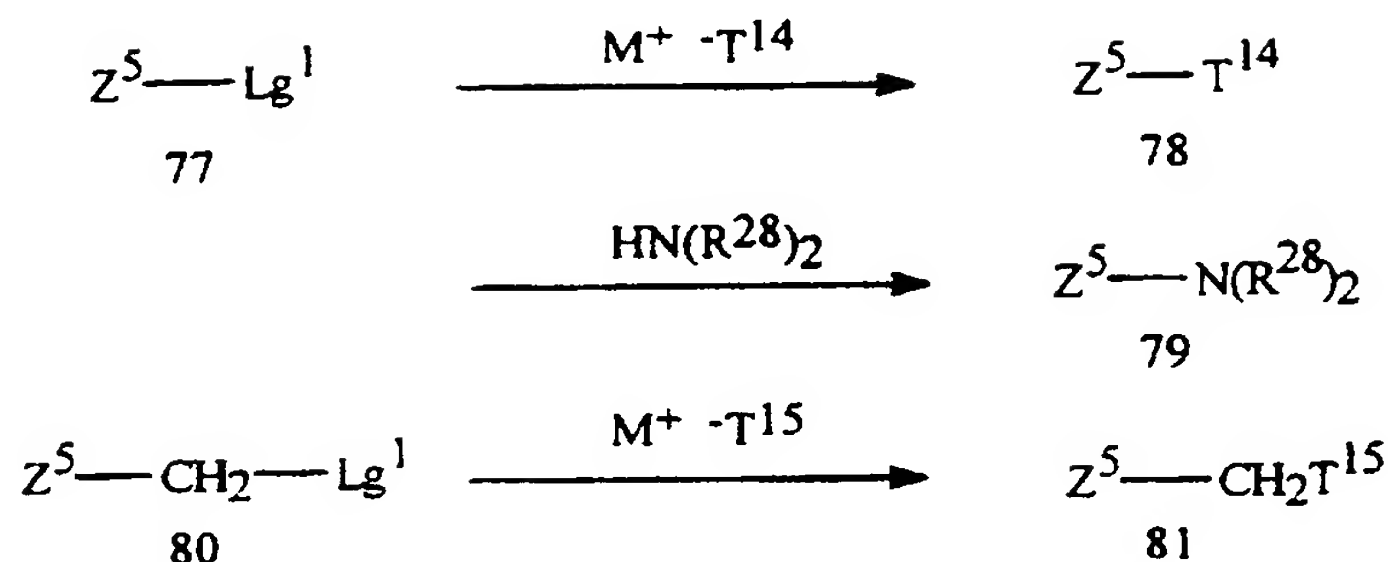
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Scheme 36 $\text{Q}^4 = \text{O}, \text{S}, \text{NH}$ $\text{Q}^5 = \text{O}, \text{S}, \text{NR}^{28}$ $\text{Lg}^{1a} = \text{Cl}, \text{Br}, \text{I}, =\text{OSO}_2\text{V}^2$ $\text{V}^2 = \text{C}_1\text{--C}_6 \text{ alkyl}, \text{C}_1\text{--C}_6 \text{ haloalkyl}, \text{phenyl}, 4\text{-MeC}_6\text{H}_4\text{-}$

$\text{T}^{13} = \text{C}_3\text{--C}_6 \text{ haloalkenyl}, \text{C}_3\text{--C}_6 \text{ alkynyl}, \text{C}_3\text{--C}_6 \text{ haloalkynyl},$
 $\text{C}_2\text{--C}_6 \text{ alkoxyalkyl}, \text{C}_5\text{--C}_9 \text{ trialkylsilylalkoxyalkyl}, \text{C}_2\text{--C}_6$
 $\text{alkylthioalkyl}, \text{C}_1\text{--C}_3 \text{ alkyl substituted with cyano},$
 $\text{C}(=\text{O})\text{OR}^{26} \text{ or } \text{C}(=\text{O})\text{N}(\text{R}^{26})_2$

Compounds of Formula 78 can be prepared from compounds of Formula 77 by nucleophilic displacement with alkali metal alkoxides, alkali metal thioalkoxides ($\text{M}^+\text{---T}^{14}$) (Scheme 37). Similar displacements on compounds of Formula 80 with compounds $\text{M}^+\text{---T}^{15}$ provide compounds of Formula 81. Compounds of Formula 79 can be prepared by reaction with amine derivatives in a suitable solvent. The leaving groups Lg^1 in compounds of Formula 77 and 80 are any group known in the art to undergo a displacement reaction of this type. Examples of suitable leaving groups include chlorine, bromine, and sulfonyl and sulfonate groups. Examples of suitable inert solvents are dimethylformamide or dimethyl sulfoxide, dimethoxyethane, and methanol.

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Scheme 37

M = K or Na

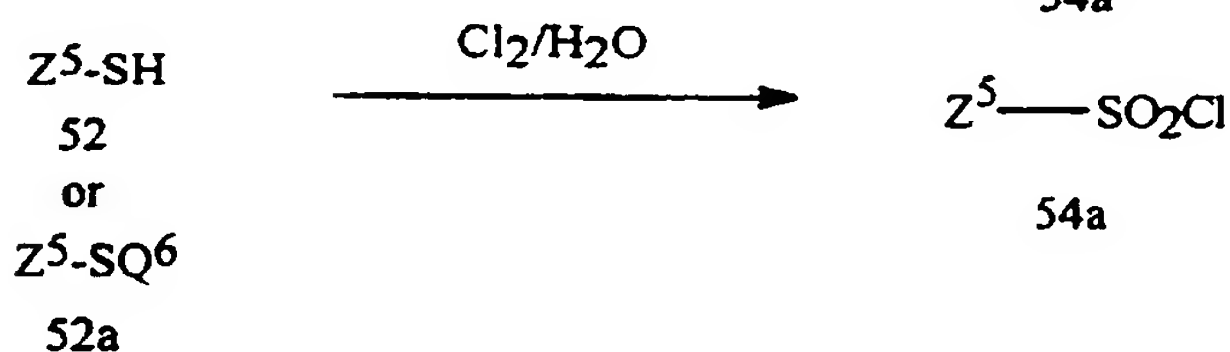
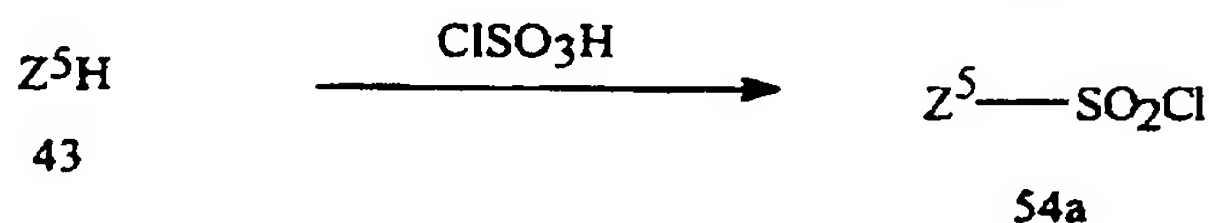
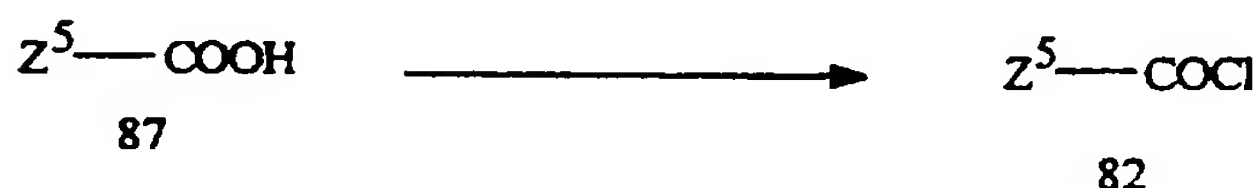
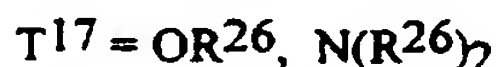
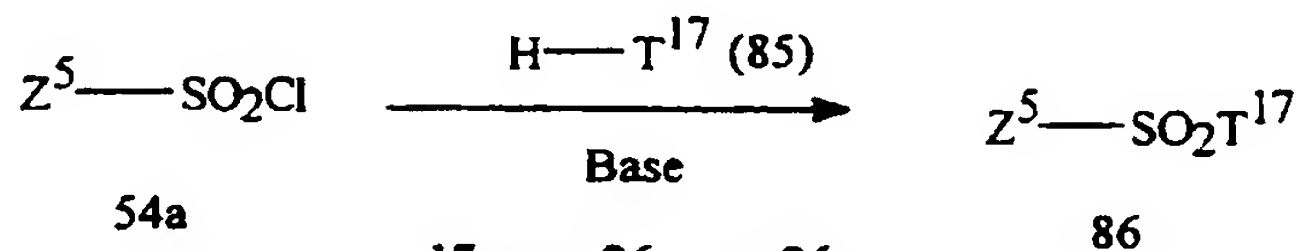
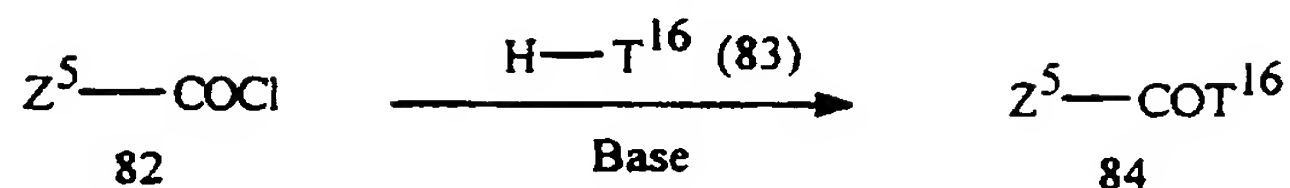
Lg = Cl, Br, -SO₂V or OSO₂VV = C₁-C₆ alkyl, C₁-C₆ haloalkyl, or 4-CH₃-C₆H₄

T¹⁴ = SCN, benzyloxy, phenylthio, benzylthio, pyrimidinylmethoxy,
 pyridinylthio, thienylthio, furanyloxy, furanylthio, pyrimidinylthio,
 each optionally substituted

T¹⁵ = benzyloxy, phenylthio, each optionally substituted

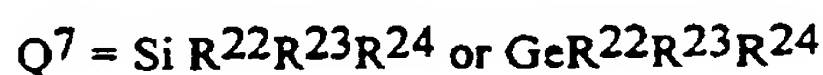
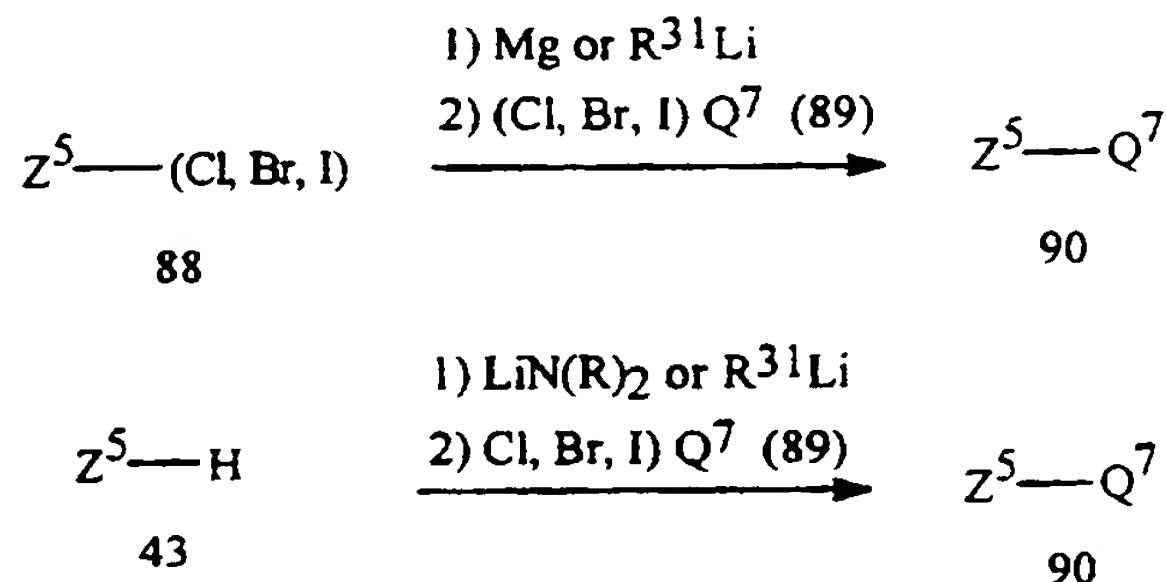
- Compounds of Formula 84 can be prepared from compounds of Formula 82 by reaction with nucleophiles of Formula 83 in the presence of added base (Scheme 38).
- 5 Similarly, reaction of compounds of Formula 54a with nucleophiles of Formula 85 leads to compounds of Formula 86. Appropriate bases include alkali metal alkoxides such as potassium *tert*-butoxide, inorganic bases such as sodium hydride and potassium carbonate, or tertiary amines such as triethylamine, pyridine, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and triethylenediamine. Acid chlorides of
- 10 Formula 82 can be prepared from carboxylic acids of Formula 87 by a variety of methods (see March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), pp 388-9 and references therein). Carboxylic acids are widely available and can be synthesized by one skilled in the art by a variety of methods. Compounds of Formula 54a can be prepared, in addition to the method described in Scheme 31, by
- 15 halosulfonation of compounds of Formula 43 with chlorosulfonic acid (For a review, see Gilbert, *Sulfonation and Related Reactions*, Interscience, New York (1965) pp 62-83,87-124). Compounds of Formula 54a also can be prepared by oxidative chlorination of mercaptans of Formula 52 by chlorine and water. Sulfide, disulfide, and thioacetate derivatives of 52 (Formula 52a), among others, can be used to effect the same reaction.
- 20 (For a review, see Gilbert, *Sulfonation and Related Reactions*, Interscience, New York (1965) pp 202-21).

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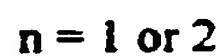
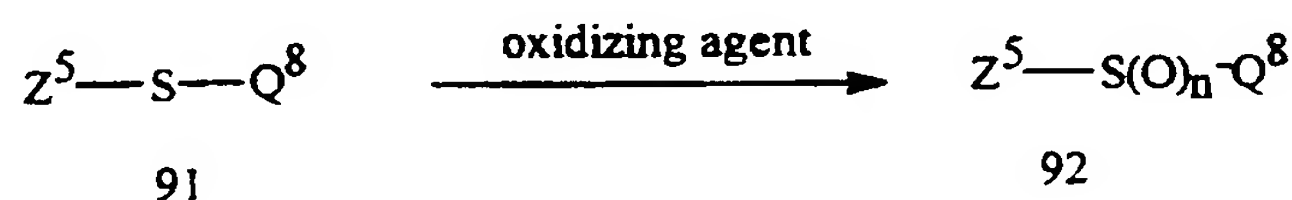
Scheme 38

Compounds of Formula 90 (Scheme 39) can be prepared using methods well-known in the art. (For leading references on the art of preparing silyl- and germyl-substituted compounds see *The Organic Compounds of Germanium*, Michel Lesabre, Piere Mazerolles, and Jacques Satge, Dietmar Seyferth, Ed., John Wiley & Sons, New York; C. Eaborn and K. C. Pande, *J. Chem. Soc.* (1960) 3200-3203; M. Wieber and M. Schmidt, *J. Organometal. Chem.* (1963) 93-94; and WO 94/08976). See Scheme 39 for two methods. One method is the reductive metallation or halogen-metal exchange of compounds of Formula 88 using magnesium or an organolithium reagent, followed by treatment with a silyl- or germyl-substituted halide of Formula 89. A second method is deprotonation of compounds of Formula 43 using a strong base such as a lithioamide or an organolithium reagent followed by treatment with a compound of Formula 89.

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Scheme 39

Compounds of Formula 92 can be prepared by oxidation of the corresponding thio compound of Formula 91 (Scheme 40) using well-known methods for the oxidation of sulfur (see Schrenk, K. in *The Chemistry of Sulphones and Sulfoxides*; Patai, S. et al., Eds.; Wiley: New York, 1988). Using one equivalent of oxidizing agent provides the sulfinyl moiety ($n = 1$) while two equivalents provides the sulfonyl moiety ($n = 2$). Suitable oxidizing reagents include meta-chloroperoxybenzoic acid, hydrogen peroxide and Oxone[®] (KHSO₅).

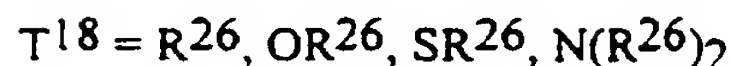
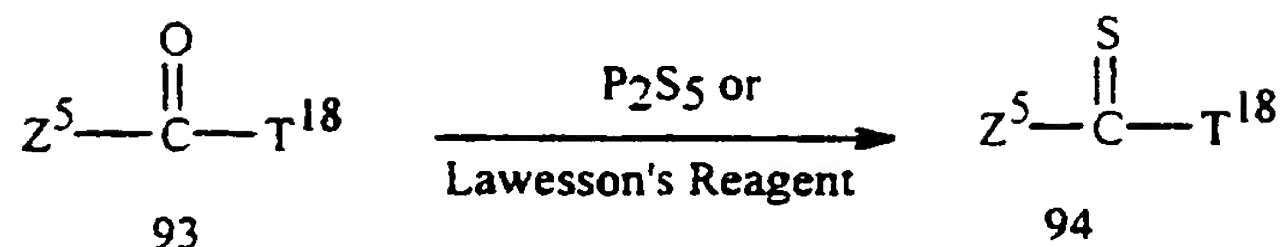
Scheme 40

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Compounds of Formula 94 can be prepared by treating compounds of Formula 93 with thionating reagents such as P₂S₅ or Lawesson's reagent (2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide) as illustrated in Scheme 41 (see *Bull. Soc. Chim. Belg.*, (1978), 87, 229; and *Tetrahedron Lett.*, (1983), 24, 3815).

15

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Scheme 41

Additionally, when Z is substituted with iodine or Lg^2 (defined in Scheme 10), certain R^9 moieties may be introduced via a palladium(0)-catalyzed cross coupling reaction with the appropriate nucleophiles containing R^9 , such as arylboronic acids, aryl
 5 or alkyl zinc reagents, and substituted acetylenes.

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula I may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of
 10 protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases,
 15 after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula I. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence
 20 presented to prepare the compounds of Formula I.

One skilled in the art will also recognize that compounds of Formula I and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not
 25 limiting of the disclosure in any way whatsoever. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages
 30 for chromatographic solvent mixtures are by volume unless otherwise indicated.

^1H NMR spectra are reported in ppm downfield from tetramethylsilane; s = singlet, d = doublet, t = triplet, q = quartet, AB q = "AB" quartet, m = multiplet,

dd = doublet of doublets, ddd = doublet of doublets of doublets, br = broad,
br s = broad singlet, br m = broad multiplet.

EXAMPLE 1

Step A: Preparation of N-(2-methoxyphenyl)-2,2-dimethylhydrazinecarboxamide

5 To a stirred solution of 15.0 g of 2-methoxyphenyl isocyanate in 100 mL of toluene at 5 °C under nitrogen was slowly added 7.65 mL of 1,1-dimethylhydrazine in 10 mL toluene. The cooling bath was then removed and the reaction was allowed to stir for an additional 10 min, and was then concentrated under reduced pressure. The resulting material was dissolved in diethyl ether and concentrated again. A solid was
10 obtained which was triturated with hexanes to afford 21 g of the title compound of Step A as a white solid. ¹H NMR (CDCl₃) δ 8.6 (br s,1H), 8.24 (m,1H), 6.95 (m,2H), 6.85 (m,1H), 5.35 (br s,1H), 3.89 (s,3H), 2.60 (s,6H).

Step B: Preparation of 5-chloro-2,4-dihydro-4-(2-methoxyphenyl)-2-methyl-3H-1,2,4-triazol-3-one

15 To a stirred solution of 21 g of the title compound of Step A in 800 mL of dichloromethane under nitrogen was added 29.85 g of triphosgene. The reaction was heated to reflux and allowed to reflux overnight, cooled, and then concentrated under reduced pressure. The resulting residue was dissolved in ethyl acetate, washed with distilled water, and then with saturated aqueous sodium chloride solution. The organic
20 layer was dried (MgSO₄), filtered, and concentrated under reduced pressure. The solid was recrystallized from dichloromethane and the resulting solid was triturated with diethyl ether to afford 10 g of the title compound of Step B as a white solid melting at 152-154 °C. ¹H NMR (CDCl₃) δ 7.45 (t,1H), 7.25 (d,1H), 7.05 (m,2H), 3.84 (s,3H), 3.53 (s,3H).

25 Step C: Preparation of 5-chloro-2,4-dihydro-4-(2-hydroxyphenyl)-2-methyl-3H-1,2,4-triazol-3-one

The title compound of Step B (7.7 g) was dissolved in 65 mL of dichloromethane under nitrogen, cooled to -78 °C, and 34 mL of a 1.0 M boron tribromide solution in dichloromethane was then added over 0.5 h with stirring. After the addition, the cooling
30 bath (dry ice/acetone) was kept in place for an additional 0.5 h and then the reaction was allowed to warm to room temperature. Ice was added to the reaction mixture which was then diluted with diethyl ether and the product was extracted using 1N aqueous sodium hydroxide solution. The aqueous layer was acidified with 6N aqueous hydrochloric acid solution and extracted with dichloromethane and then with ethyl acetate. The
35 organic layers were combined, dried (MgSO₄), filtered and concentrated under reduced pressure. The resulting residue was triturated with diethyl ether to afford 5.54 g of the title compound of Step C as a white solid. ¹H NMR (CDCl₃) δ 8.18 (s,1H), 7.11 (t,2H), 6.91 (t,1H), 6.76 (d,1H), 3.56 (s,3H).

Step D: Preparation of 2,4-dihydro-4-(2-hydroxyphenyl)-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a stirred solution of 5.54 g of the title compound of Step C in 50 mL of methanol and 25 mL of 1,2-dimethoxyethane under nitrogen was added 18.6 mL of 30% sodium methoxide solution in methanol. The reaction mixture was heated at reflux for 5.5 h and then cooled to room temperature. The mixture was diluted with diethyl ether and the product was extracted using 1N aqueous sodium hydroxide solution. The aqueous layer was acidified with 6N aqueous hydrochloric acid solution and extracted with dichloromethane. The organic layer was dried (MgSO₄), filtered, and then concentrated under reduced pressure. The resulting residue was triturated with diethyl ether to afford 3.85 g of the title compound of Step D as a white solid (85% pure). ¹H NMR (CDCl₃) δ 8.40 (br s, 1H), 7.20 (m, 2H), 7.03 (d, 1H), 6.94 (t, 1H), 4.00 (s, 3H), 3.48 (s, 3H).

Step E: Preparation of 2,4-dihydro-4-[2-[(3-iodo-1,2,4-thiadiazol-5-yl)oxy]phenyl]-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D (3.0 g, 13.6 mmol) in acetone (27 mL) was added potassium carbonate (2.44 g) and 3-iodo-5-(methylsulfonyl)-1,2,4-thiadiazole (*J. Org Chem.* (1973), 38, 469) (4.33 g). The mixture was stirred at ambient temperature for 36 h before being diluted with water. The resulting mixture was extracted twice with methylene chloride and the combined extracts were dried over magnesium sulfate. The solution was concentrated to a solid which was triturated with hot ethanol to give the title compound of Step E (2.8 g, 48%). ¹H NMR (CDCl₃) δ 7.55 (m, 2H), 7.46 (m, 2H), 3.86 (s, 3H), 3.40 (s, 3H).

Step F: Preparation of 2,4-dihydro-5-methoxy-1-methyl-4-[2-[(2-pyridinyl)ethynyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step E (307 mg, 0.71 mmol) in DMF (4 mL) was added copper(I) iodide (14 mg), triethylamine (0.347 mL), 2-ethynylpyridine (186 mg, 1.78 mmol) and bis(triphenylphosphine)palladium(II) chloride (25 mg). The mixture was stirred for 16 h at ambient temperature before being diluted with ethyl acetate and washed twice with water. The aqueous phases were extracted with ethyl acetate and the combined organic phases were dried over magnesium sulfate. The solution was concentrated and the residue was purified by column chromatography (silica gel, ethyl ether then ethyl acetate) to give the title compound of Step F, a compound of the invention. ¹H NMR (CDCl₃) δ 8.65 (d, 1H), 7.7 (m, 1H), 7.65-7.5 (m, 3H), 7.5-7.4 (m, 2H), 7.3 (m, 1H), 3.84 (s, 3H), 3.40 (s, 3H).

EXAMPLE 2Step A: Preparation of ethyl 1-(4-chlorophenyl)cyclopropanecarboximidate hydrochloride

To a solution of 1-(4-chlorophenyl)-1-cyclopropanecarbonitrile (10 g, 56.3 mmol) in ethyl ether (56 mL) is added absolute ethanol (3.4 mL). The solution is cooled to 0 °C and saturated with dry HCl gas. The reaction mixture is then left to stand at ambient temperature for 11 days after which time it is filtered under a stream of dry nitrogen to give the title compound of Step A (11.60 g) as a white solid. ¹H NMR (Me₂SO-*d*₆) δ 7.45 (s,4H), 4.47 (q,2H), 1.84 (m,2H), 1.48 (m,2H), 1.30 (t,3H).

10 Step B: Preparation of 1-(4-chlorophenyl)cyclopropanecarboximidamide hydrochloride

To a solution of the title compound of Step A (11.60 g, 44.6 mmol) in methanol (15 mL) is added ammonia (9.0 mL, 7N solution in methanol). This mixture was stirred for 2 days before being concentrated to give the title compound of Step B (9.78 g). ¹H NMR (Me₂SO-*d*₆) δ 9.2-9.0 (br,4H), 7.52-7.43 (m,4H), 1.52 (m,2H), 1.29 (m,2H).

15 Step C: Preparation of 5-chloro-3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazole

To a solution of the title compound of Step B (9.78 g, 42.3 mmol) in water (100 mL) is added methylene chloride (200 mL), benzyltriethylammonium chloride (0.79 g) and perchloromethyl mercaptan (4.62 mL, 42.3 mmol) and the mixture is cooled in an ice bath. With efficient stirring, sodium hydroxide (6.77 g) in water (100 mL) is then added dropwise such that the internal temperature does not exceed 10 °C. After the addition is complete, the cooling bath is removed and the reaction mixture is stirred for a further 1.5 h. The organic layer is then separated, dried over magnesium sulfate and concentrated. The yellow/brown tar is extracted with boiling hexane and the hot solution is filtered through a pad of silica gel. The silica gel is washed with hexane and the solution is then concentrated to give a yellow solid which is recrystallized from ethanol to give 3.97 g of the title compound of Step C as a white solid. ¹H NMR (CDCl₃) δ 7.39-7.32 (m,4H), 1.75 (m,2H), 1.42 (m,2H).

25 Step D: Preparation of 4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (300 mg, 1.36 mmol) in acetone (3 mL) is added freshly ground potassium carbonate (244 mg) and the title compound of Step C (368 mg, 1.36 mmol). The mixture was stirred at ambient temperature for 2 days before being diluted with water and the resulting mixture was extracted three times with methylene chloride. The combined organic layers were dried over magnesium sulfate and concentrated. The resulting residue was crystallized from

ethanol to yield the title compound of Step D, a compound of the invention, as an off white solid melting at 123-124 °C. ¹H NMR (CDCl₃) δ 7.52 (m,2H), 7.44 (m,2H), 7.36 (m,2H), 7.33 (m,2H), 3.82 (s,3H), 3.41 (s,3H), 1.65 (m,2H), 1.30 (m,2H).

EXAMPLE 3

5 Step A: Preparation of ethyl 1,3-benzodioxole-5-carboximidate hydrochloride

To a solution of piperonylnitrile (10 g, 68.0 mmol) in ethyl ether (68 mL) and methylene chloride (30 mL) is added absolute ethanol (3.99 mL). The solution is cooled to 0 °C and saturated with dry HCl gas. The reaction mixture is then left to stand at ambient temperature for 5 days after which time it is concentrated and the residue is
10 triturated with ethyl ether to give the title compound of Step A (6.38 g) as a white solid. ¹H NMR (Me₂SO-*d*₆) δ 7.80 (m,2H), 7.18 (d,1H), 6.23 (s,2H), 4.61 (q,2H), 1.47 (m,3H).

15 Step B: Preparation of 1,3-benzodioxole-5-carboximidamide hydrochloride

To a solution of the title compound of Step A (6.38 g, 29.3 mmol) in ethanol is
15 added ammonia (5.6 mL, 7N solution in methanol). This mixture is stirred for 6 days before being concentrated to give the title compound of Step B (5.60 g). ¹H NMR (Me₂SO-*d*₆) δ 9.30 (s,2H), 9.17 (s,2H), 7.50-7.45 (m,2H), 7.16 (d,1H), 6.20 (s,2H).

20 Step C: Preparation of 5-chloro-3-(1,3-benzodioxol-5-yl)-1,2,4-thiadiazole

To a solution of the title compound of Step B (5.60 g, 27.9 mmol) in water
20 (68 mL) is added methylene chloride (136 mL), benzyltriethylammonium chloride (0.52 g) and perchloromethyl mercaptan (3.05 mL, 27.9 mmol) and the mixture is cooled in an ice bath. With efficient stirring, sodium hydroxide (68 mL, 1.66N aqueous solution) is then added dropwise such that the internal temperature does not exceed 10 °C. After the addition is complete, the cooling bath is removed and the reaction
25 mixture is stirred for a further 1 h. The organic layer is then separated, dried over magnesium sulfate and concentrated. The yellow/brown tar is extracted with boiling hexane and the hot solution is filtered through a pad of silica gel. The silica gel is washed with hexane and the solution is then concentrated to give a yellow solid which is recrystallized from ethanol to give the title compound of Step C as a white solid.
30 ¹H NMR (CDCl₃) δ 7.84 (d,1H), 7.70 (s,1H), 6.90 (d,1H), 6.06 (s,2H).

35 Step D: Preparation of 4-[2-[[3-(1,3-benzodioxol-5-yl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (300 mg, 1.36 mmol) in acetone (3 mL) was added freshly ground potassium carbonate (244 mg) and the title
35 compound of Step C (327 mg, 1.36 mmol). The mixture was stirred at ambient temperature for 2 days before being diluted with water and the resulting mixture was extracted three times with methylene chloride. The combined organic layers were dried over magnesium sulfate and concentrated. The residue was crystallized from ethyl ether

to yield the title compound of Step D, a compound of the invention, as an off white solid melting at 168-169 °C. ¹H NMR (CDCl₃) δ 7.70 (d,1H), 7.63 (m,2H), 7.55 (m,1H), 7.48 (m,2H), 6.86 (d,1H), 6.02 (s,2H), 3.78 (s,3H), 3.37 (s,3H).

EXAMPLE 4

5 Step A: Preparation of 2-(methylthio)-5-(tricyclo[3.3.1.1^{3,7}]dec-1-yl)-1,3,4-oxadiazole

To a solution of 1-adamantanecarboxylic acid hydrazide (2.0 g, 10.3 mmol) in ethanol (16 mL) is added potassium hydroxide (1.08 mL, 10N aqueous solution, 10.8 mmol) and carbon disulfide (0.682 mL) in a dropwise fashion. The mixture is
10 further diluted with ethanol (10 mL) and the mixture is heated at reflux overnight. Methyl iodide (0.705 mL) is then added and the mixture is cooled in an ice bath and stirred for a further 0.5 h. The solution is concentrated and redissolved in methylene chloride. The solution is filtered through a pad of silica gel and concentrated to give the title compound of Step A (2.15 g) as a white solid.

15 Step B: Preparation of 2-(methylsulfonyl)-5-(tricyclo[3.3.1.1^{3,7}]dec-1-yl)-1,3,4-oxadiazole

To a solution of the title compound of Step A (2.15 g, 7.62 mmol) in acetic acid (17 mL) was added a solution of potassium permanganate (60 mL, 0.3M aqueous solution, 16.0 mmol) in a dropwise fashion. A slight exotherm was controlled with an
20 ice bath. On complete addition, sodium hydrosulfite (80 mL, 40% aqueous solution) was added and the resultant precipitate was filtered to give 1.84 g of the title compound of Step B. ¹H NMR (CDCl₃) δ 3.47 (s,3H), 2.2-1.6 (br m,several H).

25 Step C: Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[5-(tricyclo[3.3.1.1^{3,7}]dec-1-yl)-1,3,4-oxadiazol-2-yl]oxy]phenyl]-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (0.5 g, 2.26 mmol) in acetone (5 mL) was added potassium carbonate (406 mg) and the title compound of Step B (383 mg). The mixture was stirred overnight before being diluted with methylene chloride and washed with water. The aqueous phase was re-extracted with
30 methylene chloride and the combined organic phases were dried over magnesium sulfate and the solution was concentrated under reduced pressure. The residue was purified by column chromatography (silica gel, 80% ethyl ether in petroleum ether and then ethyl ether) to give the title compound of Step C, a compound of the invention. ¹H NMR (CDCl₃) δ 7.8 (d,1H), 7.5 (t,1H), 7.42 (m,2H), 3.86 (s,3H), 3.44 (s,3H), 2.1
35 (br s,3H), 2.04 (br m,6H), 1.79 (br m,6H).

EXAMPLE 5Step A: Preparation of 3-[(2-chlorophenyl)methoxy]-5-(methylthio)-1,2,4-thiadiazole

To a solution of 3-hydroxy-5-thiomethyl-1,2,4-thiadiazole (*J. Het. Chem.*, (1979), 961) (0.8 g) in DMF (10 mL) was added potassium carbonate (1.12 g) and 2-chlorobenzyl bromide. The mixture was stirred at ambient temperature for 3 days before being diluted with ethyl acetate. The resulting mixture was washed twice with water and dried over magnesium sulfate. The solution was concentrated and the residue was purified by column chromatography (silica gel, 20% then 40% then 60% then 80% ethyl ether in petroleum ether). The early fractions were combined, concentrated and re-purified by column chromatography (silica gel, 5% then 10% ethyl ether in petroleum ether) to give the title compound of Step A. ¹H NMR (CDCl₃) δ 7.45 (m,2H), 7.3 (m,2H), 5.03 (s,2H), 2.71 (s,3H).

Step B: Preparation of 4-[2-[[3-[(2-chlorophenyl)methoxy]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution the title compound of Step A (0.23 g) in acetic acid (1 mL) and acetic anhydride (1 mL) was added hydrogen peroxide (0.17 mL of a 30% aqueous solution) and the solution was left to stand overnight. An extra portion of hydrogen peroxide (0.085 mL) was then added and the solution left to stand for an additional 2 h before being diluted with ethyl ether. The resulting mixture was washed with Na₂SO₃ (10% aqueous solution), aqueous NaHCO₃ and dried over magnesium sulfate. The solution was concentrated to give 0.32 g of compound. This material was redissolved in acetone (5 mL) and potassium carbonate (0.218 g) and the title compound of Step D in Example 1 (0.232 g) were added. The mixture was stirred at ambient temperature for 2 h before being diluted with water and twice extracted with methylene chloride. The organic extracts were dried over magnesium sulfate and concentrated. Crystallization of the residue from ethyl ether gave the title compound of Step B (240 mg), a compound of the invention, as a solid melting at 107-108 °C. ¹H NMR (CDCl₃) δ 7.6-7.5 (m,3H), 7.5-7.35 (m,3H), 7.3 (m,2H), 5.49 (s,2H), 3.82 (s,3H), 3.4 (s,3H).

EXAMPLE 6Step A: Preparation of dimethylpropanedinitrile

To a solution of malononitrile (10.0 g, 151.4 mmol) in DMF (300 mL) was added iodomethane (28.3 mL, 0.45 mol) and potassium carbonate (52.23 g, 379 mmol) and the reaction mixture was stirred overnight. The mixture was then diluted with ethyl ether, washed with water and saturated aqueous NaCl, and the organic layer was dried over magnesium sulfate. Concentration gave the title compound of Step A (4.84 g) as an oil containing 20 mol% of DMF. ¹H NMR (CDCl₃) δ 1.84 (s).

Step B: Preparation of α -cyano- α -methylpropanimidamide

(See *Tet. Lett.*, 1990, 31, 1969). To a solution of trimethylaluminum (20.6 mL, 2M in toluene) in toluene (41 mL) at 0 °C was added ammonium chloride (2.20 g) in small portions. Upon complete addition, the cooling bath was removed and the mixture was stirred for a further 2 h. This mixture was then added to a solution of the title compound of Step A (4.84 g) in toluene (20 mL) and the mixture was heated at 85 °C overnight. The mixture was then cooled and poured onto a slurry of silica gel (200 g) in methylene chloride (300 mL). The mixture was stirred for 5 min and filtered, and the filter cake was washed with methanol. Concentration of the filtrate yielded the title compound of Step B (3.52 g). ¹H NMR (Me₂SO-*d*₆) δ 8.7-8.3 (br s, 3H), 1.75 (s, 6H).

Step C: Preparation of 5-chloro- α , α -dimethyl-1,2,4-thiadiazole-3-acetonitrile

To a solution of the title compound of Step B (3.52 g, 31.4 mmol) in methylene chloride (75 mL) was added perchloromethyl mercaptan (3.4 mL) and the mixture was cooled in an ice bath. Triethylamine (17.5 mL) was then added such that the internal temperature did not exceed 10 °C. Upon complete addition, the cooling bath was removed and the mixture was stirred for 1.5 h. The mixture was then washed with water, 1N HCl and dried over magnesium sulfate. The mixture was concentrated and the residue was extracted with hot hexanes, filtered through a pad of silica gel and concentrated to give the title compound of Step C (1.3 g). ¹H NMR (CDCl₃) δ 1.84 (s).

Step D: Preparation of 5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenoxy]- α , α -dimethyl-1,2,4-thiadiazole-3-acetonitrile

To a solution of the title compound of Step D in Example 1 (0.5 g, 2.26 mmol) in acetone (5 mL) was added potassium carbonate (406 mg) and the title compound of Step C (426 mg). The mixture was stirred overnight at reflux before being diluted with water. The mixture was extracted three times with methylene chloride and the organic extracts were dried over magnesium sulfate. The solution was concentrated and the residue was purified by column chromatography (silica gel, ethyl ether) to give the title compound of Step D (100 mg), a compound of the invention, as a brown solid. ¹H NMR (CDCl₃) δ 7.57 (m, 2H), 7.48 (m, 2H), 3.83 (s, 3H), 3.40 (s, 3H), 1.77 (s, 6H).

EXAMPLE 7

Step A: Preparation of 5-(methylthio)-3-(phenylmethoxy)-1,2,4-thiadiazole

To a solution of 3-hydroxy-5-thiomethyl-1,2,4-thiadiazole (*J. Het. Chem.*, (1979), 961) (4.06 g) in DMF (50 mL) was added potassium carbonate (5.7 g) and benzyl bromide (3.56 mL). The mixture was stirred at ambient temperature for 3 days before being diluted with ethyl ether. The resulting mixture was washed twice with water and the organic layer was dried over magnesium sulfate. The solution was concentrated and the residue was purified by column chromatography (silica gel, 5% then 10% ethyl ether

in petroleum ether) to give the title compound of Step A (2.0 g). ^1H NMR (CDCl_3) δ 7.5-7.4 (m, 2H), 7.45-7.3 (m, 3H), 5.43 (s, 2H), 2.68 (s, 3H).

Step B: Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[3-(phenylmethoxy)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-3H-1,2,4-triazol-3-one

To a solution the title compound of Step A (2.0 g) in acetic acid (20 mL) and acetic anhydride (20 mL) was added hydrogen peroxide (4.0 mL, 30% aqueous solution) and the solution was left to stand at ambient temperature. After 6 h, the solution was diluted with ethyl ether and the resulting mixture was washed with Na_2SO_3 (10% aqueous solution), water and aqueous NaHCO_3 . The organic layer was dried over magnesium sulfate and concentrated to give 1.95 g of compound which was used without purification. This material was dissolved in acetone (18 mL) and potassium carbonate (1.3 g) and the title compound of Step D in Example 1 (1.6 g) were added. The mixture was stirred at ambient temperature overnight before being diluted with ethyl acetate. The resulting mixture was washed twice with water and with saturated aqueous NaCl . The organic layer was dried over magnesium sulfate and concentrated to give the title compound of Step B (2.75 g), a compound of the invention. ^1H NMR (CDCl_3) δ 7.6-7.3 (m, 9H), 5.37 (s, 2H), 3.79 (s, 3H), 3.40 (s, 3H).

EXAMPLE 8

Preparation of 2,4-dihydro-4-[2-[(3-hydroxy-1,2,4-thiadiazol-5-yl)oxy]phenyl]-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step B in Example 7 (2.68 g, 6.52 mmol) in benzene (35 mL) was added aluminum chloride (1.74 g) and the mixture was stirred at ambient temperature overnight. An additional 0.87 g of aluminum chloride was added and the mixture was stirred for an additional 4 h before being quenched with water and extracted with ethyl acetate, twice with methylene chloride and twice with 20% methanol in methylene chloride. The combined organic phases were dried over magnesium sulfate, concentrated and triturated with petroleum ether to yield the title compound of Example 8 (1.78 g), a compound of the invention, as an oil. ^1H NMR (CDCl_3) δ 7.3-7.1 (m, 4H), 3.98 (s, 1H), 3.89 (s, 3H), 3.41 (s, 3H).

EXAMPLE 9

Preparation of [5-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenoxy]-1,2,4-thiadiazol-3-yl] trifluoromethanesulfonate

To a solution of the title compound of Example 8 (0.28 g, 0.87 mmol) in methylene chloride (4 mL) was added triethylamine (0.182 mL), a catalytic amount of dimethylaminopyridine and trifluoromethanesulfonic anhydride (0.176 mL) and the solution was left to stand overnight. The mixture was then diluted with ethyl ether, washed with 1N HCl and aqueous NaHCO_3 . The organic layer was dried over

magnesium sulfate and concentrated. Purification of the residue by column chromatography (silica gel, 60% then 80% ethyl ether in petroleum ether) gave the title compound of Example 9, a compound of the invention, contaminated with an equal amount of the title compound of Step D in Example 1. ¹H NMR (CDCl₃) δ 7.6-7.4 (m,4H), 3.84 (s,3H), 3.41 (s,3H).

EXAMPLE 10

Step A: Preparation of 2,2-diethoxyethanimidamide hydrochloride

To a solution of diethoxyacetonitrile (6.46 g, 50.0 mmol) in methanol (50 mL) was added sodium methoxide (2.7 g, 50 mmol) and the mixture was stirred at ambient temperature for 24 h. Ammonium chloride (5.35 g, 0.1 mol) was then added and the mixture was stirred for a further 24 h at ambient temperature before being concentrated to give the title compound of Step A contaminated with sodium chloride (13.14 g) as a white solid. ¹H NMR (Me₂SO-*d*₆) δ 9.0-8.4 (br s,4H), 5.32 (s,1H), 3.62 (q,4H), 1.19 (t,6H).

Step B: Preparation of 5-chloro-3-(diethoxymethyl)-1,2,4-thiadiazole

To a solution of the title compound of Step A (13.14 mmol) in water (120 mL) is added methylene chloride (240 mL), benzyltriethylammonium chloride (0.5 g) and perchloromethyl mercaptan (5.46 mL) and the mixture is cooled in an ice bath. With efficient stirring, sodium hydroxide (120 mL, 1.66N aqueous solution) is then added dropwise such that the internal temperature does not exceed 10 °C. After the addition is complete, the cooling bath is removed and the reaction mixture is stirred for a further 0.5 h. The organic layer is then separated, dried over magnesium sulfate and concentrated. The yellow/brown tar is extracted with boiling hexane and the hot solution is filtered through a pad of silica gel. The silica gel is washed with 5% ethyl ether in hexanes and the solution is then concentrated to give the title compound of Step B. ¹H NMR (CDCl₃) δ 5.68 (s,1H), 3.8-3.65 (q,4H), 1.28 (t,6H).

Step C: Preparation of 4-[2-[[3-(diethoxymethyl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 1 (345 mg, 1.53 mmol) in acetone was added freshly ground potassium carbonate (278 mg) and the title compound of Step B (345 mg, 1.53 mmol). The mixture was stirred at ambient temperature for 16 h before being diluted with water and the resulting mixture was extracted three times with methylene chloride. The combined organic layers were dried over magnesium sulfate and concentrated. Purification of the material by column chromatography (silica gel, 80% ethyl ether in petroleum ether then ethyl ether) gave the title compound of Step C, a compound of the invention. ¹H NMR (CDCl₃) δ 7.6-7.4 (m,4H), 5.53 (s,1H), 3.81 (s,3H), 3.8-3.65 (m,4H), 3.40 (s,3H), 1.23 (t,6H).

EXAMPLE 11Step A: Preparation of 1-methoxy-3-(2-nitrophenoxy)benzene

3-Methoxyphenol (11.52 g, 95.2 mmol) was added to a suspension of potassium carbonate (13.1 g, 95.2 mmol) in 100 mL of dry *N,N*-dimethylformamide at room temperature after which the reaction was stirred at room temperature for 10 min. Then 2-fluoronitrobenzene (12.2 g, 86.5 mmol) was added. The reaction was stirred at room temperature for 16 h. The reaction mixture was diluted with ice-water and the solids were filtered. The filter cake was washed with water and suction-dried to yield 15.3 g of the title compound of Step A as a solid melting at 50-52 °C. ¹H NMR (CDCl₃; 300 MHz) δ 3.80 (s,3H), 6.60 (m,2H), 6.75 (m,1H), 7.05 (m,1H), 7.2-7.3 (m,2H), 7.5 (m,1H), 8.0 (m,1H).

Step B: Preparation of 2-(3-methoxyphenoxy)benzenamine

A solution of 1-methoxy-3-(2-nitrophenoxy)benzene (15.0 g, 61.2 mmol) and 15 mL of water in 150 mL of acetic acid was heated on a steam bath to 65 °C and, at this temperature, iron powder (11.3 g, 202 mmol) was added portionwise noting the exotherm after each addition. The reaction temperature was kept between 65-85 °C by the addition rate and by a water cooling bath. After stirring for an additional 10 min at 85 °C, the reaction mixture was cooled to room temperature, diluted with methylene chloride and filtered through Celite®. The filtrate was washed once with water, then once with saturated sodium bicarbonate, and dried over magnesium sulfate. The solvent was then removed under reduced pressure to yield 12.1 g of the title compound of Step B as an oil. ¹H NMR (CDCl₃; 300 MHz) δ 3.8 (s,5H total), 6.6-6.7 (m,3H), 6.7 (m,1H), 6.81 (dd,J=1.5,7.8 Hz,1H), 6.89 (d,J=7.9 Hz,1H), 7.0 (m,1H), 7.2 (m,1H).

Step C: Preparation of 2,2-dimethyl-N-[2-(3-methoxyphenoxy)phenyl]hydrazinecarboxamide

The title compound of Step B (11.8 g, 55.0 mmol) was dissolved in 120 mL of dry toluene and to this solution was added diphosgene (10.8 g, 55.0 mmol). The mixture was then refluxed with a water scrubber in place for 4 h. The reaction mixture was cooled to room temperature, concentrated under reduced pressure to an oil which was then dissolved in dry tetrahydrofuran (100 mL). To this solution was added 1,1-dimethylhydrazine (4.0 g, 66 mmol) at room temperature and the reaction was subsequently stirred at room temperature for 16 h. The reaction mixture was then concentrated under reduced pressure to solids which were then washed with water and suction-dried to yield 16.5 g of the title compound of Step C as a solid melting at 93-95 °C. ¹H NMR (CDCl₃; 300 MHz) δ 2.40 (s,6H), 3.80 (s,3H), 5.2 (s,1H), 6.7-6.8 (m,2H), 6.85 (m,1H), 7.0 (m,2H), 7.1-7.3 (m,2H), 8.29 (d,J=7.9 Hz,1H), 8.6 (s,1H).

Step D: Preparation of 5-chloro-2,4-dihydro-4-[2-(3-methoxyphenoxy)phenyl]-2-methyl-3H-1,2,4-triazol-3-one

The title compound of Step C was dissolved in 600 mL of methylene chloride and cooled to 0 °C at which temperature triphosgene (15.9 g, 53.5 mmol) was added. The reaction mixture was refluxed for 16 h, cooled to room temperature and washed once with water. The organic layer was then dried over magnesium sulfate and concentrated under reduced pressure to yield a crude oil which was purified by silica gel chromatography using 3:2 hexanes:ethyl acetate as the eluent to yield 14.7 g of the title compound of Step D as an oil. ¹H NMR (CDCl₃; 300 MHz) δ 3.47 (s,3H), 3.77 (s,3H), 6.61 (m,2H), 6.70 (m,1H), 7.01 (dd,J=1.2,8.2 Hz,1H), 7.2-7.3 (m,2H), 7.34-7.42 (m,2H).

Step E: Preparation of 5-chloro-2,4-dihydro-4-[2-(3-hydroxyphenoxy)phenyl]-2-methyl-3H-1,2,4-triazol-3-one

The title compound of Step D (12.6 g, 38.0 mmol) was dissolved in 300 mL of dry toluene and to this solution was added aluminum chloride (30 g, 228 mmol) at room temperature with a slight exotherm to 35 °C. The reaction mixture was subsequently refluxed for 4 h, cooled to room temperature and carefully added to crushed ice. The crude slurry was then extracted twice with diethyl ether, and the combined extracts were washed once with saturated aqueous NaCl solution and dried over magnesium sulfate. The solvent was removed under reduced pressure to yield an oil which was subsequently purified by silica gel chromatography using 3:2 hexanes:ethyl acetate as the eluent to yield 9.50 g of the title compound of Step E, a compound of the invention, as a solid melting at 135-138 °C. ¹H NMR (CDCl₃; 300 MHz) δ 3.46 (s,3H), 6.46 (t,J=2.2 Hz,1H), 6.50-6.59 (m,3H total), 6.99 (dd,J=1.3,8.3 Hz,1H), 7.11 (t,J=8.1 Hz,1H), 7.20 (dd,J=1.2,7.6 Hz,1H), 7.32-7.40 (m,2H).

EXAMPLE 12

Preparation of 2,4-dihydro-4-[2-(3-hydroxyphenoxy)phenyl]-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

The title compound of Step E in Example 11 (8.7 g, 27.4 mmol) was dissolved in 300 mL of methanol and to this solution was added sodium methoxide (7.4 g, 137 mmol) at room temperature with a slight exotherm noted. The reaction mixture was then refluxed for 16 h, cooled to room temperature and concentrated under reduced pressure to semi-solids. The semi-solids were diluted with 1N HCl and extracted twice with diethyl ether, washed with saturated aqueous NaCl solution, and dried over magnesium sulfate. The solvent was removed under reduced pressure to yield crude solids which were subsequently purified by silica gel chromatography using 1:1 hexanes:ethyl acetate as the eluent to yield 5.80 g of the title compound of Example 12, a compound of the invention, as a solid melting at 153-155 °C. ¹H NMR (CDCl₃; 300

MHz) δ 3.37 (s,3H), 3.87 (s,3H), 6.4-6.5 (m,2H), 6.55 (m,1H), 6.9 (br s,1H), 7.0 (m,1H), 7.1-7.2 (m,2H), 7.3-7.4 (m,2H).

EXAMPLE 13

Preparation of 4-[2-[3-[(2-chlorophenyl)methoxy]phenoxy]phenyl]-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

The title compound of Example 12 (0.30 g, 0.95 mmol), 2-chlorobenzyl bromide (0.21 g, 1 mmol), and potassium carbonate (0.14 g, 1 mmol) were combined at room temperature in 10 mL of dry acetonitrile and the resulting mixture was stirred at room temperature for 16 h. The reaction mixture was filtered and the filtrate was concentrated under reduced pressure to solids which were triturated with hexanes and suction-dried to yield 0.32 g of the title compound of Example 13, a compound of the invention, as a solid melting at 112-114 °C. ¹H NMR (CDCl₃; 300 MHz) δ 3.38 (s,3H), 3.85 (s,3H), 5.13 (s,2H), 6.6-6.8 (m,3H), 7.0 (m,1H), 7.2-7.4 (m,7H), 7.5 (m,1H).

EXAMPLE 14

Step A: Preparation of 1-(4-chlorophenyl)cyclopropanecarboximidamide hydrochloride

Ammonium chloride (3.01 g, 56.3 mmol) was added in small portions to a solution of trimethylaluminum (56.3 mmol) in toluene (70 mL) at 0 °C. After the addition was complete, the mixture was warmed to room temperature, stirred for 1.5 h and then a solution of 1-(4-chlorophenyl)-1-cyclopropanecarbonitrile (10.0 g, 56.3 mmol) in toluene (30 mL) was added dropwise. The mixture was heated to 80 °C for 15 h, cooled to room temperature and stirred overnight. The reaction mixture was then poured into a slurry of silica gel (250 g) and dichloromethane (300 mL). The resulting mixture was stirred for 10 min and filtered, and the silica gel was washed with methanol (300 mL). The combined filtrates were concentrated to provide 11.1 g of the title compound of Step A as a white solid. ¹H NMR (Me₂SO-*d*₆) δ 9.08 (m,2H), 7.40 (m,5H), 1.77 (m,1H), 1.52 (m,2H), 1.27 (m,1H).

Step B: Preparation of 5-chloro-3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazole

The title compound of Step A (11.1 g, 48.1 mmol) was dissolved in water (100 mL) and combined with a solution of perchloromethyl mercaptan (8.94 g, 48.1 mmol) and benzyltriethylammonium chloride (0.55 g, 2.4 mmol) in dichloromethane (200 mL). The resulting biphasic mixture was stirred vigorously, cooled to 0 °C and treated with a solution of sodium hydroxide (7.70 g, 193 mmol) in water (100 mL) by dropwise addition maintaining a reaction temperature below 12 °C. The mixture was then warmed to room temperature and stirring was continued for 1 h. The layers were separated and the organic phase was washed with water, dried over MgSO₄, filtered and concentrated. The residual oil was purified by flash column

chromatography on silica gel and eluted with 2% ethyl acetate/hexane to afford 3.68 g of the title compound of Step B as a pale yellow solid. ^1H NMR ($\text{Me}_2\text{SO}-d_6$) δ 7.41 (m, 4H), 1.62 (m, 2H), 1.42 (m, 2H).

Step C: Preparation of *N*-(2-methoxy-6-methylphenyl)-2,2-dimethylhydrazinecarboxamide

To a stirred solution of phosgene (108 g, 1.09 moles) in ethyl acetate (750 mL) at 0 °C was added dropwise 2-methoxy-6-methylaniline (125.0 g, 911 mmol) dissolved in ethyl acetate (250 mL) over 20 min. The reaction mixture was slowly warmed to room temperature and was then heated at reflux for 1 h. The solution was cooled to room temperature and was concentrated under reduced pressure to provide the crude isocyanate as a dark red liquid which was redissolved in ethyl acetate (1 L) and cooled to 0 °C. 1,1-Dimethylhydrazine (55.0 g, 911 mmol) was added dropwise over 30 min and then the mixture was allowed to warm to room temperature and stir overnight. The mixture was cooled, filtered, and the solid was washed with ethyl acetate and dried to provide 200.0 g of the title compound of Step C as a white solid melting at 151-153 °C. ^1H NMR (CDCl_3) δ 7.58 (br s, 1H), 7.10 (t, 1H), 6.84 (d, 1H), 6.74 (d, 1H), 5.22 (br s, 1H), 3.80 (s, 3H), 2.63 (s, 6H), 2.31 (s, 3H).

Step D: Preparation of 5-chloro-2,4-dihydro-4-(2-methoxy-6-methylphenyl)-2-methyl-3*H*-1,2,4-triazol-3-one

The title compound of Step C (100.0 g, 447.9 mmol) was suspended in ethyl acetate (1 L) and added dropwise, via mechanical pump, over 3.5 h to a stirring solution of phosgene (177 g, 1.79 moles) in ethyl acetate (1.5 L) which was heated at reflux. After the addition was complete, the mixture was heated at reflux for a further 3 h, cooled to room temperature and stirred overnight. The solution was concentrated under reduced pressure and the residue was dissolved in ethyl acetate and water and extracted four times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO_4), filtered and concentrated to afford 111.4 g of the title compound of Step D as a pale yellow solid melting at 132-134 °C. ^1H NMR (CDCl_3) δ 7.34 (t, 1H), 6.93 (d, 1H), 6.85 (d, 1H), 3.79 (s, 3H), 3.54 (s, 3H), 2.20 (s, 3H).

Step E: Preparation of 5-chloro-2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-2-methyl-3*H*-1,2,4-triazol-3-one

To a stirring solution of the title compound of Step D (15.0 g, 59.3 mmol) in benzene (200 mL) at 0 °C was added aluminum chloride (23.7 g, 178 mmol) in small portions. The mixture was warmed to room temperature and stirred for 2 days. The mixture was poured over ice and water and then extracted four times with ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO_4), filtered and concentrated to an oil that was purified by flash column chromatography on silica gel to provide 13.6 g of the title compound of Step E as a pale

orange solid melting at 175-178 °C. ¹H NMR (CDCl₃) δ 8.11 (s,1H), 6.92 (t,1H), 6.71 (d,1H), 6.41 (d,1H), 3.56 (s,3H), 2.12 (s,3H).

Step F: Preparation of 2,4-dihydro-4-(2-hydroxy-6-methylphenyl)-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

5 To a stirred solution of the title compound of Step E (133.5 g, 557.0 mmol) in tetrahydrofuran (1.5 L) was added dropwise sodium methoxide (25% by weight in methanol, 382 mL, 1.67 moles). The mixture was heated at reflux for 3 h, cooled to room temperature and then diluted with aqueous ammonium chloride and ethyl acetate. The aqueous layer was acidified (pH 4-5) with 1N HCl and extracted three times with
10 ethyl acetate. The combined organic phases were washed with saturated aqueous NaCl, dried (MgSO₄), filtered and concentrated to a dark brown solid which was triturated with ethyl acetate to afford 75.0 g of the title compound of Step F as a white solid melting at 194-196 °C. ¹H NMR (Me₂SO-*d*₆) δ 9.91 (s,1H), 7.17 (t,1H), 6.78 (m,2H), 3.84 (s,3H), 3.30 (s,3H), 2.03 (s,3H).

15 Step G: Preparation of 4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

Potassium carbonate (1.41 g, 10.2 mmol) was added to a solution of the title compound of Step B (2.30 g, 8.50 mmol) and the title compound of Step F (2.00 g, 8.50 mmol) in *N,N*-dimethylformamide (100 mL). The mixture was stirred for 16 h at
20 room temperature and was then diluted with water and extracted three times with ethyl acetate. The combined organic extracts were washed with saturated aqueous NaCl, dried over MgSO₄, filtered and concentrated. The residual oil was purified by flash column chromatography on silica gel and eluted with 40% ethyl acetate/hexane to
25 provide 2.99 g of the title compound of Step G, a compound of the invention, as a pale yellow solid melting at 119-121 °C. ¹H NMR (CDCl₃) δ 7.34 (m,7H), 3.82 (s,3H), 3.42 (s,3H), 2.28 (s,3H), 1.65 (m,2H), 1.31 (m,2H).

EXAMPLE 15

30 Step A: Preparation of *N*-[2-(bromomethyl)phenyl]-2,2-dimethylhydrazinecarboxamide

A solution of *o*-tolyl isocyanate (50.4 g) and 75.2 g of *N*-bromosuccinimide in 800 mL of carbon tetrachloride was heated to reflux. Benzoyl peroxide (1.1 g) was added and the mixture was heated to reflux for 1.5 hours. The solution was cooled to room temperature and the precipitate was removed by filtration. The filtrate was
35 concentrated *in vacuo* and redissolved in 500 mL of toluene and cooled to 5 °C. 1,1-Dimethyl hydrazine (30 mL) in 20 mL of toluene was added dropwise. The reaction mixture was stirred at room temperature overnight. The precipitated solid was collected by filtration and redissolved in 1 L of dichloromethane. The organic solution was

washed with 500 mL of water and then with 500 mL of saturated aqueous sodium chloride solution. The organic phase was dried (MgSO_4), filtered and concentrated to give 58 g (56% yield) of the title compound of Step A as a beige solid. ^1NMR (CDCl_3) δ 8.6 (br s, 1H), 8.00 (d, 1H), 7.30 (m, 2H), 7.04 (t, 1H), 5.70 (br s, 1H), 4.52 (s, 2H), 2.67 (s, 6H). The material was used in the next step without further characterization.

Step B: Preparation of 5-chloro-4-[2-(chloromethyl)phenyl]-2,4-dihydro-2-methyl-3H-1,2,4-triazol-3-one

The title compound of Step A (58 g) was dissolved in 800 mL of dichloromethane and 86 g of triphosgene was added in one portion. A slight exotherm was observed, and then the mixture was heated to reflux overnight. The reaction mixture was cooled and the solvent was removed *in vacuo*. The resulting solid was dissolved in 1 L of ethyl acetate and washed with 500 mL of water, 500 mL of saturated aqueous sodium bicarbonate, and then 500 mL of saturated aqueous sodium chloride solution. The organic phase was dried (MgSO_4), filtered and concentrated to give a dark oil which solidified on standing. The solid was triturated in 2:1 hexane:*n*-butyl chloride to yield 32 g of a beige solid. Recrystallization of this solid from 150 mL of hot methanol yielded 21 g of the title compound of Step B as a white, fluffy solid melting at 122-124 °C. A second crop was obtained from recrystallization of the mother liquors. ^1NMR (CDCl_3) δ 7.45-7.6 (m, 3H), 7.25 (m, 1H), 4.68 (d, 1H), 4.46 (d, 1H), 3.56 (s, 3H). Approximately 10% of 4-[2-(bromomethyl)phenyl]-5-chloro-2,4-dihydro-2-methyl-3H-1,2,4-triazol-3-one was observed in the ^1NMR spectrum.

Step C: Preparation of 1-(3-hydroxyphenyl)ethanone oxime

To a solution of 6.8 g of 3'-hydroxyacetophenone in 50 mL of pyridine under a nitrogen atmosphere was added 3.5 g of hydroxylamine hydrochloride. The solution was refluxed for 5 h, and then the solvent was removed *in vacuo*. The residue was taken up in 1N aqueous HCl and extracted twice with 50 mL of ethyl acetate. The combined organic phases were dried (MgSO_4), filtered and concentrated *in vacuo* to provide 7.8 g of the title compound of Step C as a pale amber oil. $^1\text{H NMR}$ (CDCl_3) δ 7.25 (d, 1H), 7.23 (s, 1H), 7.2 (m, 2H), 7.10 (d plus fine coupling, 1H), 6.84 (ddd, 1H), 2.24 (s, 3H).

Step D: Preparation of 5-chloro-2,4-dihydro-4-[2-[[[1-(3-hydroxyphenyl)ethylidene]amino]oxy]methyl]phenyl]-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step C (3.9 g, 25.8 mmol) and the title compound of Step B (6.6 g, 25.8 mmol) in acetonitrile (100 mL) was added K_2CO_3 (7 g, 51 mmol). The mixture was heated to reflux for 4 h and then stirred at room temperature overnight. After additional heating (7 h) and standing at room temperature (72 h), the mixture was diluted with water and extracted with ethyl acetate (3x50 mL). The combined organic phases were dried (MgSO_4) and concentrated under reduced

pressure to afford an oil. Chromatography of this oil on silica gel (3:2 hexanes:ethyl acetate as eluent) afforded 5.47 g of the title compound of Step D, a compound of the invention, as an oil. ¹H NMR (CDCl₃) δ 8.09 (br s, 1H), 7.62 (d, 1H), 7.51 (m, 2H), 7.22 (m, 4H), 6.9 (d, 1H), 5.08 (q, 2H), 3.44 (s, 3H), 2.25 (m, 4H). This material was used in Example 16 without further characterization.

EXAMPLE 16

Preparation of 2,4-dihydro-4-[2-[[[1-(3-hydroxyphenyl)ethylidene]amino]oxy]methyl]phenyl]-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

To a solution of the title compound of Step D in Example 15 (5.4 g, 14.5 mmol) in tetrahydrofuran (350 mL) was added sodium methoxide as a 30% solution in methanol (6.8 mL, 36 mmol) and the resulting mixture was stirred at room temperature overnight. The mixture was diluted with 1N HCl in diethyl ether (20 mL) and concentrated under reduced pressure to afford an semisolid. The residue was taken up in ethyl acetate and the insoluble portion removed by filtration. The organic phase was concentrated under reduced pressure to afford 4.36 g of the title compound of Example 16, a compound of the invention, as an oil. ¹H NMR (CDCl₃) δ 7.6 (dd, 1H), 7.45 (m, 2H), 7.25 (m, 3H), 7.19 (m, 2H), 6.85 (dd, 1H), 5.08 (AB q, 2H), 3.92 (s, 2H), 3.39 (s, 3H), 2.24 (s, 3H). This material was used in Example 17 without further characterization.

EXAMPLE 17

Preparation of 1,1-dimethylethyl [3-[1-[[[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)]phenyl]methoxy]imino]ethyl]phenoxy]acetate

To a solution of the title compound of Example 16 (260 mg, 0.7 mmol) in tetrahydrofuran (20 mL) was added sodium hydride as a 60% oil dispersion (35 mg) followed by *t*-butyl bromoacetate (0.10 mL, 0.7 mmol). The mixture was stirred at room temperature for 2 h and then was diluted with water and extracted with three 20 mL portions of ethyl acetate. The combined organic phases were dried (MgSO₄) and concentrated under reduced pressure to afford 290 mg of the title compound of Example 17, a compound of the invention, as an oil. ¹H NMR (CDCl₃) δ 7.6 (m, 1H), 7.45 (m, 2H), 7.23 (m, 4H), 6.87 (m, 1H), 5.09 (AB q, 2H), 4.63 (s, 2H), 3.91 (s, 3H), 3.39 (s, 3H), 2.28 (s, 3H), 1.48 (s, 9H).

EXAMPLE 18

Step A: Preparation of methyl (2-bromomethyl)benzeneacetate

Methyl *o*-tolylacetate (24 g), *N*-bromosuccinimide (27.2 g) and benzoyl peroxide (about 50 mg) were mixed in 200 mL of carbon tetrachloride and heated to reflux with a high-intensity light source for 1.5 h. After cooling, the precipitate was removed by filtration and the filtrate was concentrated *in vacuo* to yield 36 g (about 100% yield) of

the title compound of Step A as an amber oil. ^1H NMR (CDCl_3) δ 7.34 (m, 1H), 7.26 (m, 2H), 7.16 (m, 1H), 4.57 (s, 2H), 3.80 (s, 2H), 3.69 (s, 3H).

Step B: Preparation of methyl 2-[[[(benzoylamino)oxy]methyl]benzeneacetate

Benzohydroxamic acid (17 g) and potassium carbonate (18.7 g) were suspended in 200 mL of acetonitrile and the mixture was stirred at 60 °C for 30 min. A solution of 28 g of the title compound of Step A in 100 mL of acetonitrile was added dropwise over 0.5 h. The mixture was stirred at 60 °C for 3 h and then cooled to room temperature overnight. Heating was resumed for an additional 4 h. The mixture was cooled and filtered. The filtrate was concentrated *in vacuo*. The residue was taken up in 200 mL of ethyl acetate and washed with 100 mL of 6% aqueous potassium carbonate solution. The aqueous wash was extracted with 100 mL of ethyl acetate. The combined organic phases were washed with 100 mL of water. The organic phase was dried (MgSO_4), filtered and concentrated *in vacuo* to yield 31.5 g (93% yield) of the title compound of Step B as an orange oil. ^1H NMR (CDCl_3) δ 9.09 (br s, 1H), 7.60 (m, 2H), 7.47 (m, 1H), 7.37 (m, 3H), 7.29 (m, 3H), 5.14 (s, 2H), 3.88 (s, 2H), 3.71 (s, 3H).

Step C: Preparation of methyl 2-[(aminooxy)methyl]benzeneacetate hydrochloride

To a solution of HCl in methanol (prepared by adding 20 mL of acetyl chloride slowly to 200 mL of methanol) was added the title compound of Step B (31.5 g). The mixture was heated to 60 °C for 1.5 h. The solvent was removed *in vacuo*. The residue was taken up in 100 mL of diethyl ether and stirred at room temperature for 30 min. The ether was decanted off and the solid was taken up in 100 mL of tetrahydrofuran and heated to about 50 °C. The mixture was then cooled in an ice water bath and the solid was collected by filtration to provide 11.5 g (47% yield) of the title compound of Step C as a white solid melting at 169-170°C.

Step D: Preparation of methyl 2-[[[1-(4-hydroxyphenyl)ethylidene]amino]oxy]methyl]benzeneacetate

4'-Hydroxyacetophenone (817 mg) and the title compound of Step C (1.39 g) were dissolved in 40 mL of pyridine. The solution was heated to 90 °C overnight and then cooled to room temperature. The pyridine was removed *in vacuo* and the residue was taken up in 40 mL of 1N HCl solution and extracted with ethyl acetate (3 x 50 mL). The combined organic layers were dried (MgSO_4), filtered and concentrated *in vacuo* to yield 1.96 g of the title compound of Step D as an amber oil. ^1H NMR (CDCl_3) δ 7.51 (d, 2H), 7.45 (m, 1H), 7.28 (m, 3H), 6.78 (d, 2H), 5.25 (s, 2H), 3.82 (s, 2H), 3.68 (s, 3H), 2.19 (s, 3H). Approximately 20% of the Z-isomer was also observed. This material was used in subsequent steps without further purification.

Step E: Preparation of dimethyl [2-[[[1-(4-hydroxyphenyl)ethylidene]amino]oxy]methyl]phenyl]propanedioate

The title compound of Step D (1.87 g, 6 mmol) was dissolved in 10 mL of dimethyl carbonate. A slurry of 480 mg of sodium hydride (60% oil dispersion) in 10 mL of tetrahydrofuran was added and the mixture was heated to reflux for 1 h. The mixture was cooled to room temperature overnight, quenched with 15 mL of 1N HCl solution and extracted with ethyl acetate (3 x 25 mL). The combined organic layers were dried (MgSO₄), filtered and concentrated *in vacuo* to yield 2.33 g of crude product, the title compound of Step E, as an amber oil. ¹H NMR (CDCl₃) δ 7.5 (m, 3H), 7.4 (m, 3H), 6.79 (d, 2H), 5.25 (s, 2H), 5.10 (s, 1H), 3.68 (s, 6H), 2.18 (s, 3H). This material was used in subsequent steps without further purification.

Step F: Preparation of 4-[2-[[[1-(4-hydroxyphenyl)ethylidene]amino]oxy]methyl]phenyl]-5-methoxy-2-methyl-3(2H)-isoxazolone

N-methylhydroxylamine hydrochloride (1.5 g) was dissolved in 25 mL of methanol. A solution of 2.0 g of potassium hydroxide dissolved in 25 mL of methanol was added with ice bath cooling. After 15 min, the precipitated potassium chloride was removed by filtration. To the filtrate was added a solution of 2.2 g of the title compound of Step E in 10 mL of methanol. The resulting mixture was stirred at room temperature overnight. The mixture was diluted with water, acidified with HCl and extracted with methylene chloride (3 x 30 mL). The combined organic layers were dried (MgSO₄), filtered and concentrated *in vacuo* to yield 1.95 g of amber oil which was dissolved in 30 mL of toluene and 3 mL of methanol. A 10% solution of trimethylsilyldiazomethane in hexane (3 mL) was added dropwise and the solution was stirred at room temperature for 2 h. The solvents were removed *in vacuo* and the residue was purified by flash chromatography (1:1 hexane:ethyl acetate as eluant). The third-eluting component was collected to yield 200 mg of the title compound of Step F, a compound of the invention, as an amber oil. ¹H NMR (CDCl₃) δ 7.52 (d, 1H), 7.42 (m, 2H), 7.32 (m, 3H), 6.72 (m, 3H), 5.24 (AB q, 2H), 3.94 (s, 3H), 3.44 (s, 3H), 2.16 (s, 3H). A minor amount of the *Z*-isomer was also observed.

EXAMPLE 19

Preparation of [3-[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4*H*-1,2,4-triazol-4-yl)phenoxy]phenyl] trifluoromethanesulfonate

To a solution of the title compound of Example 12 (313 mg, 1 mmol) in dichloromethane (10 mL) was added trifluoromethanesulfonic anhydride (0.17 mL, 1 mmol) and pyridine (0.08 mL, 1 mmol). The mixture was stirred at room temperature overnight, diluted with water, acidified with 1N HCl and extracted with three 20 mL portions of dichloromethane. The combined organic phases were dried (MgSO₄),

filtered and concentrated *in vacuo* to afford an oil which was purified by flash chromatography (1:1 hexane:ethyl acetate as eluant). The first-eluting component was collected to yield 100 mg of the title compound of Example 19, a compound of the invention, as an amber oil. ¹H NMR (CDCl₃) δ 7.42 (m,3H), 7.3 (m,1H), 7.04 (m,3H),
5 6.96 (t,1H), 3.83 (s,3H), 3.38 (s,3H).

EXAMPLE 20

Step A: Preparation of 2-(3-bromophenyl)-2-methyl-1,3-dioxolane

The compound 1-(4-bromophenyl)ethanone (60.6 g, 0.3 mole), ethylene glycol (83.7 mL, 1.5 mole), and *p*-toluenesulfonic acid (0.15 g) were dissolved in benzene
10 (250 mL) and heated to reflux overnight using a Dean-Stark apparatus. Water and some ethylene glycol had separated and the cooled (room temperature) mixture was poured into water (300 mL) and the resulting mixture was extracted with 1-chlorobutane (2x100 mL). The combined organic phases were dried (MgSO₄) and concentrated to give the crude product as a yellow oil. The oil was purified by vacuum distillation
15 (64-74 °C/ 19 Pa (0.14 mm Hg)) to give 70.1 g of the title compound of Step A as a colorless oil (96% yield).

Step B: Preparation of 1-[3-[tris(trifluoromethyl)germyl]phenyl]ethanone

A 250 mL 4-neck flask was charged with a suspension of magnesium pieces (0.61 g, 0.025 mole) in 5 mL of THF. A solution of the title compound of Step A
20 dissolved in 35 mL of THF was added dropwise; a few crystals of iodine were added to the mixture after a small portion of the solution had been added. Heating to reflux was required to initiate the reaction; the reaction was then refluxed for 2 hours following completion of the addition of the title compound of Step A. After cooling the mixture to 63 °C, a solution of tris(trifluoromethyl)germanium iodide (3.9 mL, 0.02 mole)
25 dissolved in THF (20 mL) was added in small aliquots, allowing the exotherm from each addition to keep the temperature between 62-69 °C. The mixture was refluxed an additional 3 hours, and then was stirred at room temperature overnight. The mixture was poured into a saturated ammonium chloride solution (100 mL). Following removal of the organic layer and extraction with diethyl ether, the combined organic phases were
30 dried (MgSO₄) and concentrated to give 8.9 g of dark colored oil. This oil was then dissolved in acetone (400 mL) to which was added 1N HCl (3 mL). The resulting solution was refluxed overnight. The mixture was concentrated, followed by a second addition of acetone (300 mL) and 1N HCl (2 mL), and then was refluxed for 6 hours. The mixture was concentrated, and the residue was then dissolved in diethyl ether and
35 washed with saturated NaHCO₃. The organic layer was then dried (MgSO₄) and concentrated. The resulting dark brown oil was purified by filtering through a 1.5 inch column of silica gel, eluting with 15% ethyl acetate/hexanes to give 2.95 g (37% for

both steps) of the title compound of Step B as a colorless oil. ^1H NMR (CDCl_3) δ 8.284 (s,1H), 7.9-8.0 (m,2H), 7.2 (t,1H), 2.586 (s,3H).

Step C: Preparation of 1-[3-[tris(trifluoromethyl)germyl]phenyl]ethanone oxime

Sodium acetate trihydrate (1.22 g, 9 mmol) was added to a solution of
5 hydroxylamine hydrochloride (0.62 g, 9 mmol) in water (7 mL), and this solution was added to a solution of the title compound of Step B (2.95 g, 7.4 mmol) in methanol (20 mL). The mixture was then refluxed overnight and concentrated in vacuo. The mixture was treated with water and then extracted with methylene chloride (3 x 120 mL). The combined organic layers were dried (MgSO_4) and concentrated to
10 yield 2.74 g of a brown oil. The oil was chromatographed eluting with 15% ethyl acetate/hexanes to yield 1.72 g (56% yield) of the title compound of Step C as a colorless oil. This oil crystallized on standing to give a solid melting at 69-72 °C.

Step D: Preparation of 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[[1-[3-
15 [tris(trifluoromethyl)germyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-3H-1,2,4-triazol-3-one

In a 125 mL 4-neck flask, sodium hydride (0.18 g, 4.5 mmol, 60% mineral oil dispersion) was suspended in 8 mL of dry THF. The title compound of Step C (2.0 g, 6.9 mmol) was dissolved in dry THF (5 mL) and added dropwise causing gas evolution. The mixture was stirred at room temperature for 20 min, and then a solution of the title
20 compound of Step B in Example 15 (0.39 g, 1.5 mmol) dissolved in dry THF (5 mL) was added dropwise. Thickening required that additional dry THF (3 mL) be added. The mixture was heated to reflux overnight, and then cooled to room temperature. Additional sodium hydride (0.18 g, 4.5 mmol) was added and reflux was reinstated for 6 hours. The mixture was cooled, and then stirred at room temperature overnight.
25 Sodium hydride (0.06 g, 1.5 mmol) was again added followed by dry methanol (0.5 mL, 1.5 mmol) which was added cautiously dropwise causing gas evolution. The mixture was refluxed for 3 hours and then allowed to cool to room temperature. A few drops of 2-propanol were added, then the mixture was concentrated until only a small amount of liquid remained. Hexanes (100 mL) were added, and then the mixture was filtered
30 through a 1 inch column of silica gel eluting with a 1:1 mixture of methylene chloride/ethyl acetate (500 mL) to give 0.72 g of a yellow oil after concentration. This crude oil was purified by medium pressure liquid chromatography (MPLC) using 20% ethyl acetate/hexanes to yield the title compound of Step D, a compound of the invention as an oil (0.27 g, 28%). ^1H NMR (CDCl_3) δ 7.941 (s,1H), 7.7 (d,1H), 7.55 (m,2H), 7.4-7.5 (m,2H), 7.4-7.5 (m,2H), 7.1 (t,2H), 5.2-5.4 (q,2H), 3.889 (s,3H), 3.413 (s,3H), 2.152 (s,3H).

EXAMPLE 21Step A: Preparation of 1-[3-[dimethyl(3,3,3-trifluoropropyl)silyl]phenyl]ethanone

A 125 mL 4-neck flask was charged with a suspension of magnesium pieces (1.09 g, 0.041 mole) in 8 mL of THF. A solution of the title compound of Step A in Example 20 dissolved in THF (20 mL) was added dropwise; a few crystals of iodine were added to the mixture after a small portion of the solution had been added. Heating to reflux was required to initiate the reaction; the reaction was then refluxed for 3 hours following completion of the addition of the title compound of Step A. After cooling the mixture to 48, a solution of 3,3,3-trifluoropropyldimethylchlorosilane (7.82 g, 0.041 mole) dissolved in THF (8 mL) was added in small aliquots, allowing the exotherm from each addition to keep the temperature between 48-64 °C. The mixture was refluxed an additional 5 hours, then cooled and poured into a saturated ammonium chloride solution (200 mL). Following removal of the organic layer and extraction with diethyl ether, the combined organic phases were dried (MgSO₄) and concentrated to give 12.27 g of yellow oil. This oil was then dissolved in acetone (500 mL) to which was added 1N HCl (6 mL). The resulting solution was refluxed overnight. Concentration, followed by partitioning between water and diethyl ether, and then drying (MgSO₄) of the organic phase yielded 10.79 g (94% overall for both steps) the title compound of Step A as a yellow oil. ¹H NMR (CDCl₃) δ 8.078 (s,1H), 7.9 (d,1H), 7.7 (d,1H), 7.484 (t,1H), 2.625 (s,3H), 1.9-2.1 (m,2H), 1.0 (m,2H), 0.359 (s,6H).

Step B: Preparation of 1-[3-[dimethyl(3,3,3-trifluoropropyl)silyl]phenyl]ethanone oxime

Sodium acetate trihydrate (7.76 g, 0.057 mole) was added to a solution of hydroxylamine hydrochloride (3.96 g, 0.057 mole) in water (59 mL), and this solution was added to a solution of the title compound of Step A (10.7 g, 0.039 mole) in methanol (78 mL). The mixture was then refluxed overnight and concentrated *in vacuo*. The mixture was treated with water and then extracted with methylene chloride (3 x 120 mL). The combined organic layers were dried (MgSO) and concentrated to yield 11.34 g of a yellow oil. The oil was chromatographed eluting with 10% ethyl acetate/hexanes to yield 9.41 g (83% yield) of the title compound of Step B as a colorless oil. ¹H NMR (CDCl₃) δ 9.0 (s,1H), 7.748 (s,1H), 7.6 (d,1H), 7.5 (d,1H), 7.4 (t,1H), 2.310 (s,3H), 2.0 (m,2H), 1.0 (m,2H), 0.338 (s,5H).

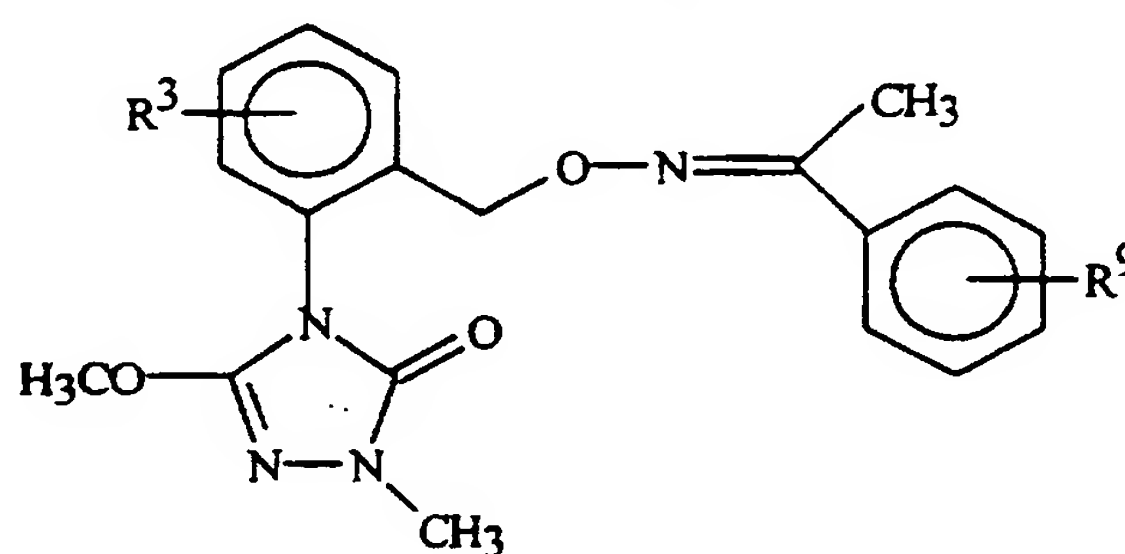
Step C: Preparation of 4-[2-[[[1-[3-[dimethyl(3,3,3-trifluoropropyl)silyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one

In a 250 mL 4-neck flask, sodium hydride (0.84 g, 0.021 mole, 60% mineral oil dispersion) was suspended in 50 mL of dry THF. The title compound of Step B (2.0 g,

6.9 mmol) was dissolved in dry THF (15 mL) and added dropwise causing gas evolution. The mixture was stirred at room temperature for one hour, and then a solution of the title compound of Step B in Example 15 (1.78 g, 6.9 mmol) dissolved in dry THF (15 mL) was added dropwise. The mixture was heated to 35 °C overnight, and then methanol (2.2 mL, 55 mmol) was added cautiously dropwise causing gas evolution. The mixture was refluxed overnight. A few drops of 2-propanol were added, and then the mixture was concentrated until only a small amount of liquid remained. Hexanes (100 mL) were added then the mixture was filtered through a 1 inch column of silica gel eluting with a 1:1 mixture of methylene chloride/ethyl acetate (1500 mL) to give 3.35 g of an orange oil. This crude oil was purified by MPLC using 1.2% methanol/methylene chloride to yield the title compound of Step C (1.31 g, 37%), a compound of the invention, as an oil. ¹H NMR (CDCl₃) δ 7.7 (s,1H), 7.6 (m,2H), 7.4-7.5 (m,3H), 7.4 (t,1H), 7.2 (d,2H), 5.2-5.3 (q,2H), 3.882 (s,3H), 3.401 (s,3H), 2.201 (s,3H), 2.0 (m,2H), 1.0 (m,2H), 0.32 (s,5.5H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 7 can be prepared. The following abbreviations are used in the Tables which follow: *t* = tertiary, *n* = normal, *i* = iso, *c* = cyclo, Me = methyl, Et = ethyl, Pr = propyl, *i*-Pr = isopropyl, Bu = butyl, Hex = hexyl, Ph = phenyl, OMe = methoxy, OEt = ethoxy, SMe = methylthio, CN = cyano, SCN = thiocyanato, NO₂ = nitro, TMS = trimethylsilyl, Bzl = benzyl, ada = 1-adamantyl, TMG = trimethylgermyl, and THP = 2-tetrahydropyranyl.

Table 1



R³ = H, and

R⁹

3-CH₂OCH₃

3-C≡C-OCH₃

3-C≡C-I

3-ada

3-CH₂S-*n*-Pr

3-SC≡CEt

R⁹

3-OCH₂OCH₂TMS

4-SCN

3-Ge(Me)₂CF₃

3-Si(Me)₂CF₃

3-Ge(CF₃)₃

3-SCH₂C≡C-I

R⁹

4-SCH₂CH=CH₂

3-C≡C-TMS

3-OSi(Me)₂Ph

2-OH

2-N(Me)Bzl

3-SCH₂OMe

R⁹

3-S(O)CF₂CF₃

3-(1-Ph-2,2-Di-Cl-*c*-Pr)

3-(2-Me-4-Ph-*c*-Hex)

3-C≡C-OTHP

3-OCH₂CF=CF₂

3-SCH₂SEt

| | | | |
|--|--|---|---|
| 3-OG _e (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

R³ = 3-Me, and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|--|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG _e (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

R³ = 6-Me, and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|--|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG _e (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

R³ = 6-TMG, and

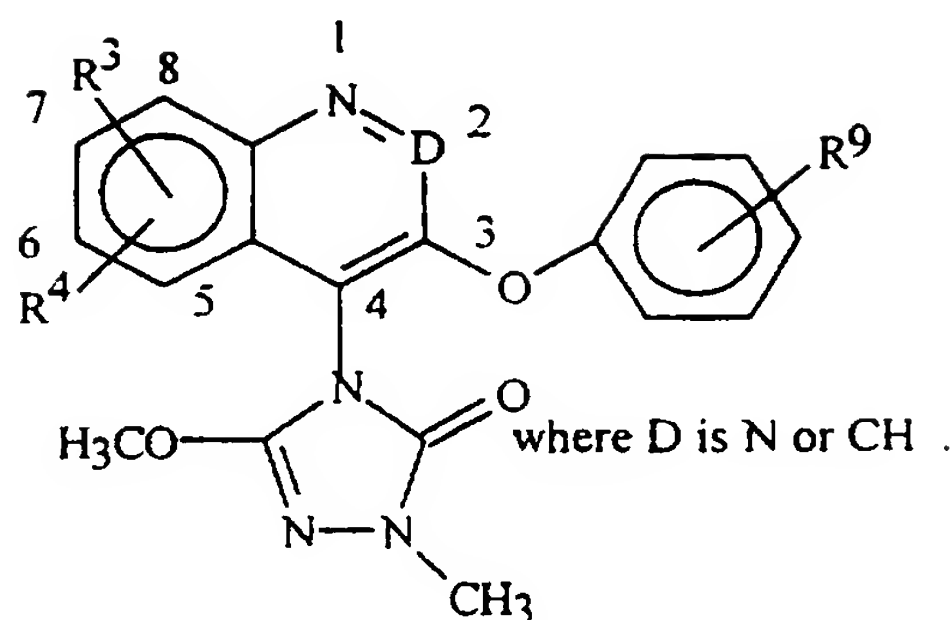
| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|--|---|--|--|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG _e (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |

| | | | |
|-------------------------------------|--|---|---|
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

R³ = 4-S(O)₂CH₃, and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|---|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OGes(Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NH ₂ SO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

Table 2



$D = CH$, $R^3 = 7-Cl$, $R^4 = H$, and

| R^9 | R^9 | R^9 | R^9 |
|---|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OGes(Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NH ₂ SO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

$D = N$, $R^3 = 7-I$, $R^4 = 5-Cl$, and

| R^9 | R^9 | R^9 | R^9 |
|------------------------------------|---|---------------------------------------|---------------------------------------|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |

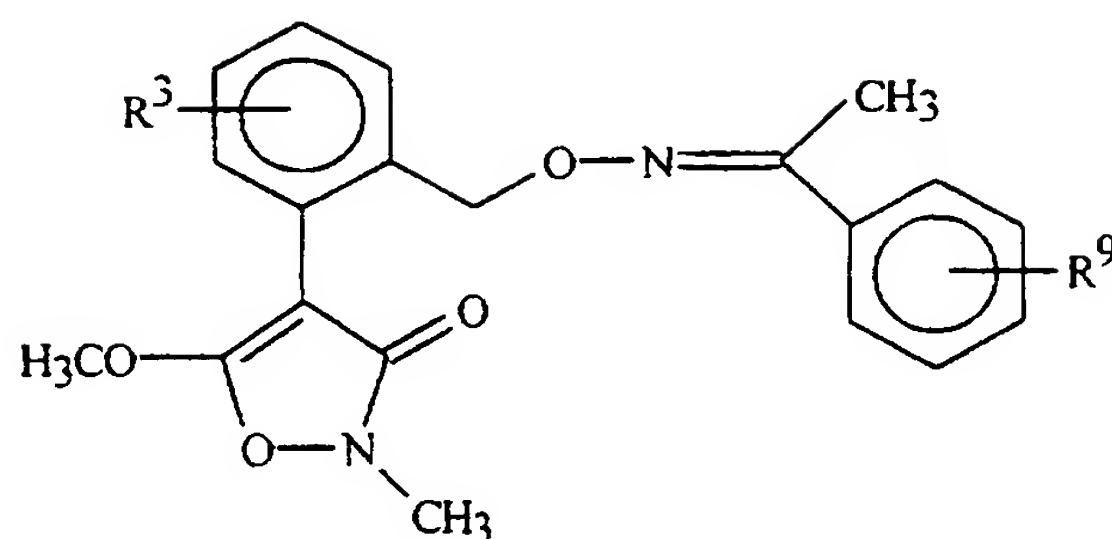
| | | | |
|--|--|---|---|
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG _e (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

D = N, R³ = 6-I, R⁴ = H, and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|--|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG _e (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

SUBSTITUTE SHEET (RULE 26)

Table 3

R³ = H, and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|--|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OGes(Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₃ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

R³ = 6-C≡C-Si(Me)₃, and

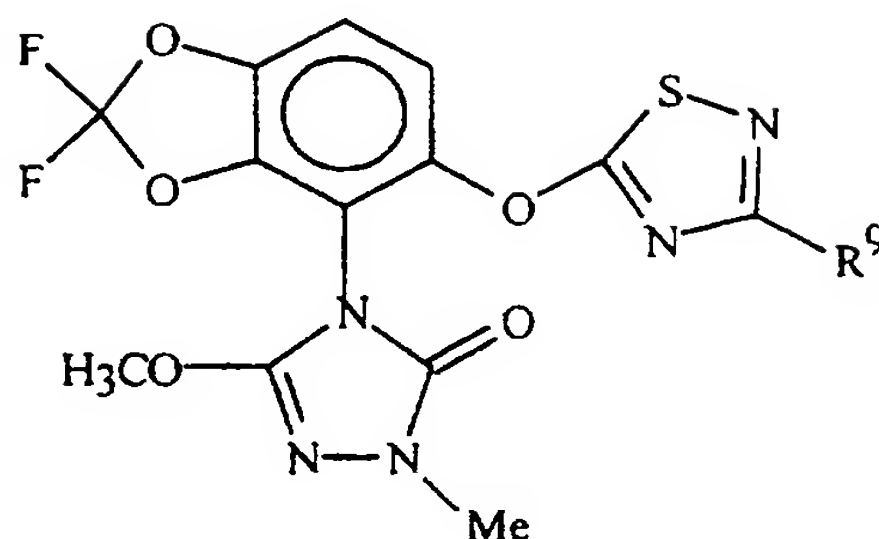
| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|------------------------------------|---|---------------------------------------|---------------------------------------|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |

| | | | |
|--|--|---|---|
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG _e (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

R³ = 3-(2-CN-Ph-C≡C-), and

| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|--|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG _e (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

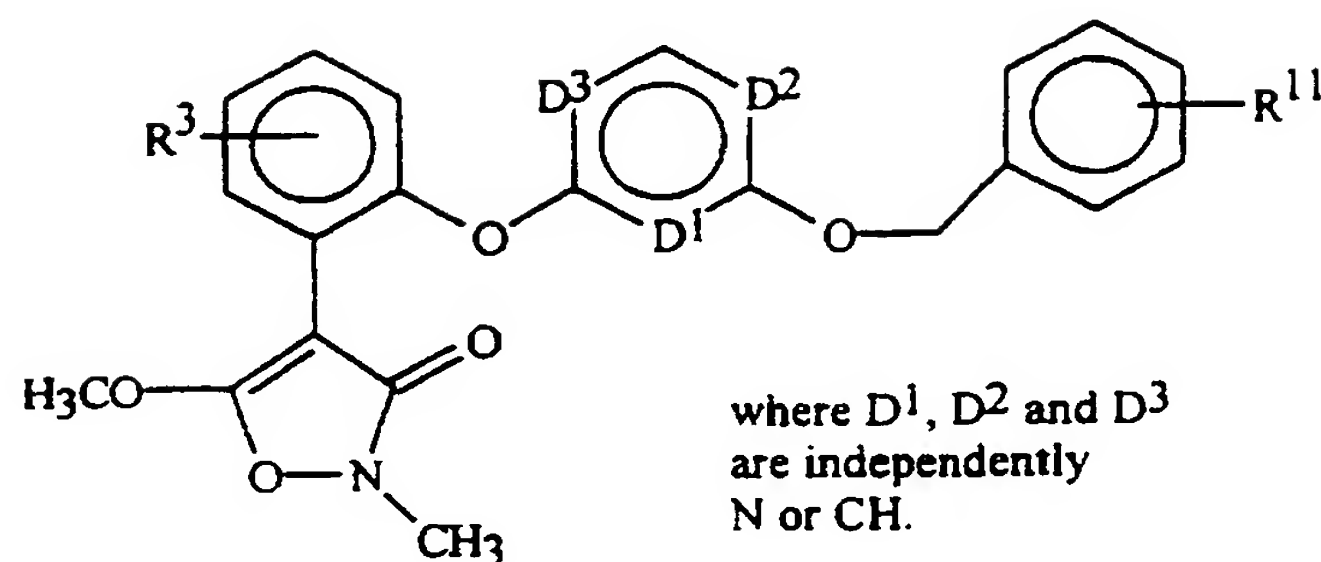
Table 4



| <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> | <u>R⁹</u> |
|--|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-Ge(Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

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Table 5

D³ = CH, D² = CH, D¹ = CH, R³ = H, and

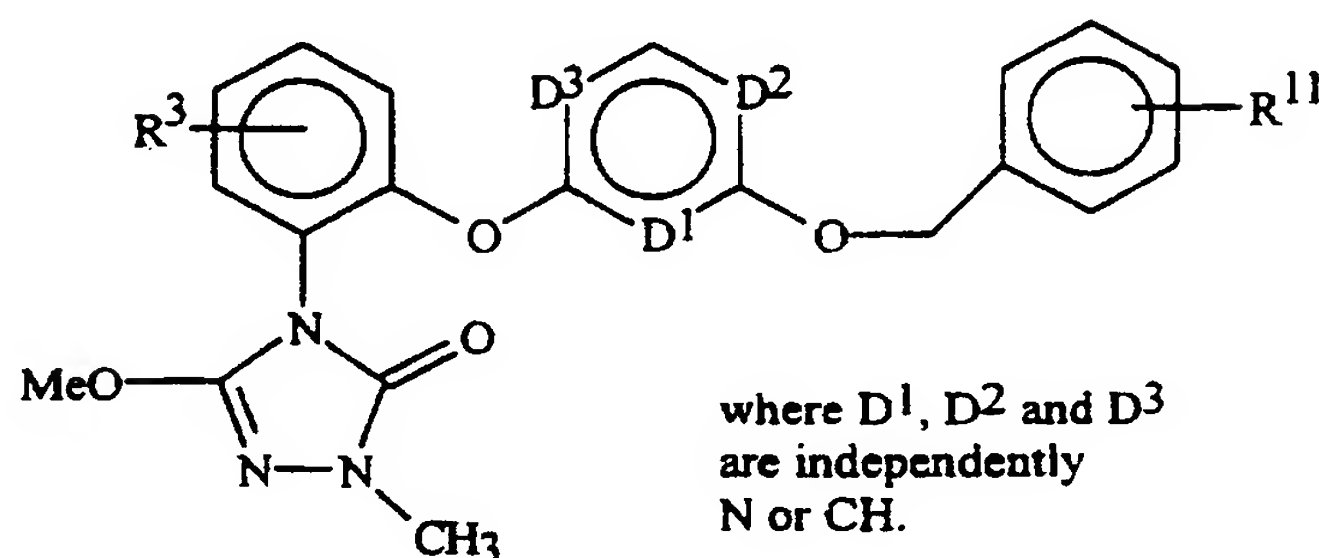
| <u>R¹¹</u> | <u>R¹¹</u> | <u>R¹¹</u> | <u>R¹¹</u> |
|---|--|--|---|
| 4-Cl | 2,4-Di-Cl | 4-C≡C-I | 4-C≡C-O-THP |
| 3-F | 2-Me | 3-CH ₂ OEt | 3-CH ₂ OBzl |
| 2-I | 3-CF ₃ | 4-CH ₂ SMe | 3-O- <i>n</i> -Pr |
| 4-CH=CH ₂ | 3-C≡CH | 3-C≡C-OMe | 4-OCH ₂ CF ₃ |
| 4-S(O) ₂ CF ₃ | 2-CN | 2-NO ₂ | 4-SCN |
| 4-SF ₅ | 3-TMS | 3-TMG | 3-C≡C-TMS |
| 3-O-Ge(<i>i</i> -Pr) ₃ | 4-C(=O)Me | 4-C(=S)Me | 3-C(=O)OBzl |
| 4-C(=O)N(Me) ₂ | 4-C(=S)N(Me) ₂ | 3-OC(=O)Ph | 3-OC(=S)Ph |
| 4-NHC(=O)CH ₃ | 4-NHC(=S)Me | 3-OC(=O)-O- <i>t</i> -Bu | 3-OC(=O)N(Me) ₂ |
| 2-OS(O) ₂ CF ₃ | 4-N(Me)S(O) ₂ CH ₃ | 2-Ph | 2-(2-CN-Ph) |
| 3-((3-CF ₃ -Ph)-CH ₂ O) | 3-S(O) ₂ Ph | 3-C≡C-Ph | 4-(4-pyridinyl-C≡C) |
| 4-OCH ₂ CH=CH ₂ | 4-SEt | 3-C(=O)SMe | 2-(2-CN-Bzl) |
| 4-OCH ₂ CF=CF ₂ | 4-OH | 3-SC(=O)- <i>n</i> -pentyl | 3-SCHF ₂ |
| 3-OCH ₂ OCH ₂ -TMS | 3-O-Si(<i>i</i> -Pr) ₃ | 3-S(O) ₂ OCH ₂ CF ₃ | 3-S(O)CH ₃ |
| 3-S(O)CHF ₂ | 3-S(O) ₂ CH ₃ | 3-N(Me) ₂ | 3-C(=S)SMe |
| 3-SC(=S)Bzl | 2-S(O) ₂ N(Me) ₂ | 3-((2-F-Ph)-O) | 3-CH ₂ CH=C(Cl) ₂ |

D³ = N, D² = N, D¹ = CH, R³ = 3-Me, and

| <u>R¹¹</u> | <u>R¹¹</u> | <u>R¹¹</u> | <u>R¹¹</u> |
|-------------------------------------|---------------------------|-----------------------|------------------------------------|
| 4-Cl | 2,4-Di-Cl | 4-C≡C-I | 4-C≡C-O-THP |
| 3-F | 2-Me | 3-CH ₂ OEt | 3-CH ₂ OBzl |
| 2-I | 3-CF ₃ | 4-CH ₂ SMe | 3-O- <i>n</i> -Pr |
| 4-CH=CH ₂ | 3-C≡CH | 3-C≡C-OMe | 4-OCH ₂ CF ₃ |
| 4-S(O) ₂ CF ₃ | 2-CN | 2-NO ₂ | 4-SCN |
| 4-SF ₅ | 3-TMS | 3-TMG | 3-C≡C-TMS |
| 3-O-Ge(<i>i</i> -Pr) ₃ | 4-C(=O)Me | 4-C(=S)Me | 3-C(=O)OBzl |
| 4-C(=O)N(Me) ₂ | 4-C(=S)N(Me) ₂ | 3-OC(=O)Ph | 3-OC(=S)Ph |

| | | | |
|---|--|--|---|
| 4-NHC(=O)CH ₃ | 4-NHC(=S)Me | 3-OC(=O)-O- <i>t</i> -Bu | 3-OC(=O)N(Me) ₂ |
| 2-OS(O) ₂ CF ₃ | 4-N(Me)S(O) ₂ CH ₃ | 2-Ph | 2-(2-CN-Ph) |
| 3-((3-CF ₃ -Ph)-CH ₂ O) | 3-S(O) ₂ Ph | 3-C≡C-Ph | 4-(4-pyridinyl-C≡C) |
| 4-OCH ₂ CH=CH ₂ | 4-SEt | 3-C(=O)SMe | 2-(2-CN-Bzl) |
| 4-OCH ₂ CF=CF ₂ | 4-OH | 3-SC(=O)- <i>n</i> -pentyl | 3-SCHF ₂ |
| 3-OCH ₂ OCH ₂ -TMS | 3-O-Si(<i>i</i> -Pr) ₃ | 3-S(O) ₂ OCH ₂ CF ₃ | 3-S(O)CH ₃ |
| 3-S(O)CHF ₂ | 3-S(O) ₂ CH ₃ | 3-N(Me) ₂ | 3-C(=S)SMe |
| 3-SC(=S)Bzl | 2-S(O) ₂ N(Me) ₂ | 3-((2-F-Ph)-O) | 3-CH ₂ CH=C(Cl) ₂ |

Table 6



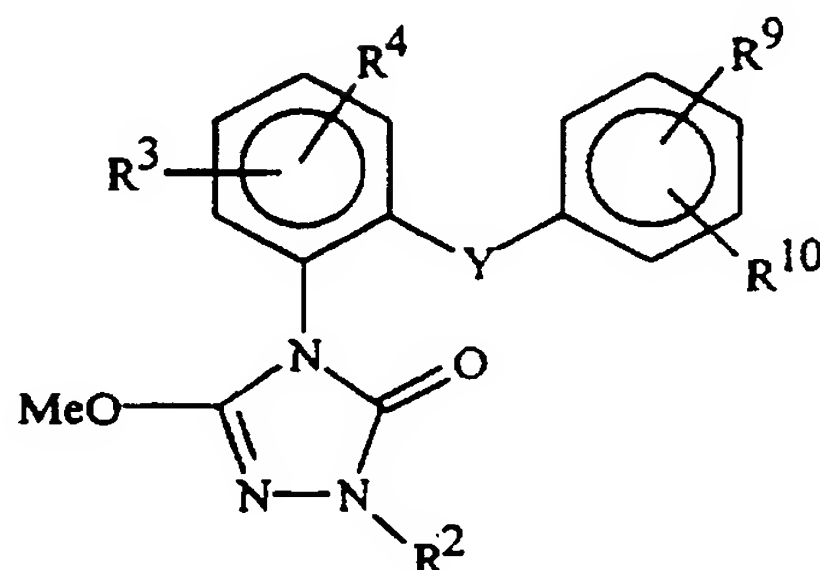
D³ = CH, D² = CH, D¹ = CH, R³ = H, and

| <u>R¹¹</u> | <u>R¹¹</u> | <u>R¹¹</u> | <u>R¹¹</u> |
|---|--|--|---|
| 4-Cl | 2,4-Di-Cl | 4-C≡C-I | 4-C≡C-O-THP |
| 3-F | 2-Me | 3-CH ₂ OEt | 3-CH ₂ OBzl |
| 2-I | 3-CF ₃ | 4-CH ₂ SMe | 3-O- <i>n</i> -Pr |
| 4-CH=CH ₂ | 3-C≡CH | 3-C≡C-OMe | 4-OCH ₂ CF ₃ |
| 4-S(O) ₂ CF ₃ | 2-CN | 2-NO ₂ | 4-SCN |
| 4-SF ₅ | 3-TMS | 3-TMG | 3-C≡C-TMS |
| 3-O-Ge(<i>i</i> -Pr) ₃ | 4-C(=O)Me | 4-C(=S)Me | 3-C(=O)OBzl |
| 4-C(=O)N(Me) ₂ | 4-C(=S)N(Me) ₂ | 3-OC(=O)Ph | 3-OC(=S)Ph |
| 4-NHC(=O)CH ₃ | 4-NHC(=S)Me | 3-OC(=O)-O- <i>t</i> -Bu | 3-OC(=O)N(Me) ₂ |
| 2-OS(O) ₂ CF ₃ | 4-N(Me)S(O) ₂ CH ₃ | 2-Ph | 2-(2-CN-Ph) |
| 3-((3-CF ₃ -Ph)-CH ₂ O) | 3-S(O) ₂ Ph | 3-C≡C-Ph | 4-(4-pyridinyl-C≡C) |
| 4-OCH ₂ CH=CH ₂ | 4-SEt | 3-C(=O)SMe | 2-(2-CN-Bzl) |
| 4-OCH ₂ CF=CF ₂ | 4-OH | 3-SC(=O)- <i>n</i> -pentyl | 3-SCHF ₂ |
| 3-OCH ₂ OCH ₂ -TMS | 3-O-Si(<i>i</i> -Pr) ₃ | 3-S(O) ₂ OCH ₂ CF ₃ | 3-S(O)CH ₃ |
| 3-S(O)CHF ₂ | 3-S(O) ₂ CH ₃ | 3-N(Me) ₂ | 3-C(=S)SMe |
| 3-SC(=S)Bzl | 2-S(O) ₂ N(Me) ₂ | 3-((2-F-Ph)-O) | 3-CH ₂ CH=C(Cl) ₂ |

$D^3 = N$, $D^2 = N$, $D^1 = CH$, $R^3 = 3\text{-Me}$, and

| R^{11} | R^{11} | R^{11} | R^{11} |
|---|--|--|---|
| 4-Cl | 2,4-Di-Cl | 4-C \equiv C-I | 4-C \equiv C-O-THP |
| 3-F | 2-Me | 3-CH ₂ OEt | 3-CH ₂ OBzl |
| 2-I | 3-CF ₃ | 4-CH ₂ SMe | 3-O- <i>n</i> -Pr |
| 4-CH=CH ₂ | 3-C \equiv CH | 3-C \equiv C-OMe | 4-OCH ₂ CF ₃ |
| 4-S(O) ₂ CF ₃ | 2-CN | 2-NO ₂ | 4-SCN |
| 4-SF ₅ | 3-TMS | 3-TMG | 3-C \equiv C-TMS |
| 3-O-Ge(<i>i</i> -Pr) ₃ | 4-C(=O)Me | 4-C(=S)Me | 3-C(=O)OBzl |
| 4-C(=O)N(Me) ₂ | 4-C(=S)N(Me) ₂ | 3-OC(=O)Ph | 3-OC(=S)Ph |
| 4-NHC(=O)CH ₃ | 4-NHC(=S)Me | 3-OC(=O)-O- <i>t</i> -Bu | 3-OC(=O)N(Me) ₂ |
| 2-OS(O) ₂ CF ₃ | 4-N(Me)S(O) ₂ CH ₃ | 2-Ph | 2-(2-CN-Ph) |
| 3-((3-CF ₃ -Ph)-CH ₂ O) | 3-S(O) ₂ Ph | 3-C \equiv C-Ph | 4-(4-pyridinyl-C \equiv C) |
| 4-OCH ₂ CH=CH ₂ | 4-SEt | 3-C(=O)SMe | 2-(2-CN-Bzl) |
| 4-OCH ₂ CF=CF ₂ | 4-OH | 3-SC(=O)- <i>n</i> -pentyl | 3-SCHF ₂ |
| 3-OCH ₂ OCH ₂ -TMS | 3-O-Si(<i>i</i> -Pr) ₃ | 3-S(O) ₂ OCH ₂ CF ₃ | 3-S(O)CH ₃ |
| 3-S(O)CHF ₂ | 3-S(O) ₂ CH ₃ | 3-N(Me) ₂ | 3-C(=S)SMe |
| 3-SC(=S)Bzl | 2-S(O) ₂ N(Me) ₂ | 3-((2-F-Ph)-O) | 3-CH ₂ CH=C(Cl) ₂ |

Table 7



$R^2 = OH$, $R^3 = 6\text{-Me}$, $R^4 = H$, $R^{10} = H$, $Y = CH_2SC(=S)NH-$, and

| R^9 | R^9 | R^9 | R^9 |
|------------------------------------|---|---------------------------------------|---------------------------------------|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C \equiv C-OCH ₃ | 4-SCN | 3-C \equiv C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C \equiv C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C \equiv C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC \equiv CEt | 3-SCH ₂ C \equiv C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OGes(Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |

| | | | |
|--|--|---|---|
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

$R^2 = \text{OMe}$, $R^3 = 3\text{-OMe}$, $R^4 = \text{H}$, $R^{10} = \text{H}$, $Y = \text{CH}_2\text{O-N=C(H)OCH}_2$, and

| R^9 | R^9 | R^9 | R^9 |
|--|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG _e (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

$R^2 = \text{Et}$, $R^3 = 5\text{-NO}_2$, $R^4 = \text{H}$, $R^{10} = \text{H}$, $Y = \text{CH}_2\text{O-N}=\text{C}(\text{CH}_3)\text{-C}(=\text{N-O-C}(=\text{O})(4\text{-CF}_3\text{-2-pyridinyl}))\text{-}$, and

| R^9 | R^9 | R^9 | R^9 |
|--|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG ₂ (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

$R^2 = \text{Me}$, $R^3 = \text{H}$, $R^4 = \text{H}$, $R^{10} = \text{H}$, $Y = \text{CH}(\text{CH}_3)\text{S-C}(\text{Et})=\text{N-}$, and

| R^9 | R^9 | R^9 | R^9 |
|--|---|--|--|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG ₂ (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |

| | | | |
|-------------------------------------|--|---|---|
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

$R^2 = \text{Me}$, $R^3 = 3\text{-Me}$, $R^4 = 6\text{-Me}$, $R^{10} = \text{H}$, $Y = -\text{O}-$, and

| R^9 | R^9 | R^9 | R^9 |
|--|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG ₂ (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

$R^2 = \text{Me}$, $R^3 = 6\text{-Me}$, $R^4 = \text{H}$, $R^{10} = 2\text{-Me}$, $Y = -\text{O}-$, and

| R^9 | R^9 | R^9 | R^9 |
|--|---|---------------------------------------|---------------------------------------|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG ₂ (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |

| | | | |
|--|--|---|---|
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

$R^2 = \text{Me}$, $R^3 = \text{H}$, $R^4 = \text{H}$, $R^{10} = \text{H}$, $Y = \text{CH}_2\text{N}(\text{COCH}_3)\text{-N}=\text{C}(\text{CH}_3)\text{-}$, and

| R^9 | R^9 | R^9 | R^9 |
|--|---|---|---|
| 3-CH ₂ OCH ₃ | 3-OCH ₂ OCH ₂ TMS | 4-SCH ₂ CH=CH ₂ | 3-S(O)CF ₂ CF ₃ |
| 3-C≡C-OCH ₃ | 4-SCN | 3-C≡C-TMS | 3-(1-Ph-2,2-Di-Cl- <i>c</i> -Pr) |
| 3-C≡C-I | 3-Ge(Me) ₂ CF ₃ | 3-OSi(Me) ₂ Ph | 3-(2-Me-4-Ph- <i>c</i> -Hex) |
| 3-ada | 3-Si(Me) ₂ CF ₃ | 2-OH | 3-C≡C-OTHP |
| 3-CH ₂ S- <i>n</i> -Pr | 3-Ge(CF ₃) ₃ | 2-N(Me)Bzl | 3-OCH ₂ CF=CF ₂ |
| 3-SC≡CEt | 3-SCH ₂ C≡C-I | 3-SCH ₂ OMe | 3-SCH ₂ SEt |
| 3-OG ₂ (Me) ₂ Ph | 3-(C(=O)(3-Me-Bzl)) | 4-C(=S)Me | 4-((4-F-Bzl)-OC(=O)) |
| 4-C(=S)OEt | 4-C(=S)SCHF ₂ | 2-C(=O)N(Me) ₂ | 2-C(=S)N(Et) ₂ |
| 4-CH ₂ CN | 3-OC(=O)Me | 3-OC(=S)Me | 4-SC(=O)Me |
| 4-NHC(=O)Me | 4-NHC(=S)Ph | 4-OC(=O)O- <i>c</i> -Hex | 4-OC(=O)S- <i>n</i> -Pr |
| 3-SC(=O)OCH ₂ CF ₃ | 2-SC(=O)SMe | 4-S(O) ₂ OCH ₂ CF ₃ | 4-S(O) ₂ N(Me) ₂ |
| 4-(NHSO ₂ (4-Me-Ph)) | 4-(OCH ₂ (4-TMS-Ph)) | 2-CH ₂ OCH ₂ (2,4-Di-F-Ph) | 4-((4-TMS-Ph)-C≡C) |
| 3-(S-(4-TMG-Ph)) | 4-S(O) ₂ Ph | 3-(SCH ₂ (3-Me-Ph)) | 3-(4-pyridinyl-CH ₂) |
| 3-(4-pyridinyl-C≡C) | 3-(2-pyridinyl-S) | 4-(2-thienyl-CH ₂) | 4-(2-thienyl-S) |
| 4-(2-furanyl-O) | 4-(3-furanyl-S) | 2-(4-pyrimidinyl-CH ₂) | 2-(2-pyrimidinyl-S) |
| 4-OC≡CCH ₃ | 4-OCH ₂ CH ₂ OMe | 3-OCH ₂ SMe | 3-S(O) ₂ CH ₂ CH ₂ CF ₃ |
| 3-S(O) ₂ CF ₃ | 2-NH ₂ | 4-C(=S)OEt | 2-C(=NH)OMe |
| 4-SC(=S)- <i>i</i> -Pr | 2-OC(=O)N(Me)Ph | 3-OS(O) ₂ CH ₃ | 3-((4-CN-Ph)-OCH ₂) |
| 3-(2-furanyl-CH ₂) | 3-(2-thiazoyl-CH ₂) | 3-((3-CF ₃ -4-pyridinyl-OCH ₂) | |

Formulation/Utility

Compounds of this invention will generally be used as a formulation or
 5 composition with an agriculturally suitable carrier comprising at least one of a liquid

diluent, a solid diluent or a surfactant. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature.

Useful formulations include liquids such as solutions (including emulsifiable

concentrates), suspensions, emulsions (including microemulsions and/or

suspoemulsions) and the like which optionally can be thickened into gels. Useful

formulations further include solids such as dusts, powders, granules, pellets, tablets,

films, and the like which can be water-dispersible ("wettable") or water-soluble. Active

ingredient can be (micro)encapsulated and further formed into a suspension or solid

formulation; alternatively the entire formulation of active ingredient can be

encapsulated (or "overcoated"). Encapsulation can control or delay release of the active

ingredient. Sprayable formulations can be extended in suitable media and used at spray

volumes from about one to several hundred liters per hectare. High-strength

compositions are primarily used as intermediates for further formulation.

The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 percent by weight.

| | Weight Percent | | |
|---|------------------------------|----------------|-------------------|
| | <u>Active Ingredient</u> | <u>Diluent</u> | <u>Surfactant</u> |
| Water-Dispersible and Water-soluble Granules, Tablets and Powders. | 5-90 | 0-94 | 1-15 |
| Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates) | 5-50 | 40-95 | 0-15 |
| Dusts | 1-25 | 70-99 | 0-5 |
| Granules and Pellets | 0.01-99 | 5-99.99 | 0-15 |
| High Strength Compositions | 90-99 | 0-10 | 0-2 |

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical

liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp.,

Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended

uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl

sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, and polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, paraffins, alkylbenzenes, alkylnaphthalenes, oils of olive, castor, linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A-C.

Example AWettable Powder

| | | |
|---|---|--------|
| | Compound 39 | 65.0% |
| | dodecylphenol polyethylene glycol ether | 2.0% |
| 5 | sodium ligninsulfonate | 4.0% |
| | sodium silicoaluminate | 6.0% |
| | montmorillonite (calcined) | 23.0%. |

Example BGranule

| | | |
|----|--|--------|
| 10 | Compound 39 | 10.0% |
| | attapulgate granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves) | 90.0%. |

Example CExtruded Pellet

| | | |
|----|-----------------------------------|--------|
| 15 | Compound 39 | 25.0% |
| | anhydrous sodium sulfate | 10.0% |
| | crude calcium ligninsulfonate | 5.0% |
| | sodium alkyl naphthalenesulfonate | 1.0% |
| | calcium/magnesium bentonite | 59.0%. |

20

Example DEmulsifiable Concentrate

| | | |
|----|---|--------|
| | Compound 39 | 20.0% |
| | blend of oil soluble sulfonates and polyoxyethylene ethers | 10.0% |
| 25 | isophorone | 70.0%. |

The compounds of this invention are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof to be protected, or to the plant seed or seedling to be protected, an effective amount of a compound of the invention or a fungicidal composition containing said compound. The compounds and compositions of this invention provide control of diseases caused by a broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, vegetable, field, cereal, and fruit crops. These pathogens include *Plasmopara viticola*, *Phytophthora infestans*, *Peronospora tabacina*, *Pseudoperonospora cubensis*, *Pythium aphanidermatum*, *Alternaria brassicae*, *Septoria nodorum*, *Septoria tritici*, *Cercosporidium personatum*, *Cercospora arachidicola*, *Pseudocercospora herpotrichoides*, *Cercospora beticola*,

Botrytis cinerea, *Monilinia fructicola*, *Pyricularia oryzae*, *Podosphaera leucotricha*,
Venturia inaequalis, *Erysiphe graminis*, *Uncinula necatur*, *Puccinia recondita*,
Puccinia graminis, *Hemileia vastatrix*, *Puccinia striiformis*, *Puccinia arachidis*,
Rhizoctonia solani, *Sphaerotheca fuliginea*, *Fusarium oxysporum*, *Verticillium dahliae*,
5 *Pythium aphanidermatum*, *Phytophthora megasperma*, *Sclerotinia sclerotiorum*,
Sclerotium rolfsii, *Erysiphe polygoni*, *Pyrenophora teres*, *Gaeumannomyces graminis*,
Rhynchosporium secalis, *Fusarium roseum*, *Bremia lactucae* and other genera and
species closely related to these pathogens.

The compounds of this invention also exhibit activity against a wide spectrum of
10 foliar-feeding, fruit-feeding, stem or root feeding, seed-feeding, aquatic and
soil-inhabiting arthropods (term "arthropods" includes insects, mites and nematodes)
which are pests of growing and stored agronomic crops, forestry, greenhouse crops,
ornamentals, nursery crops, stored food and fiber products, livestock, household, and
public and animal health. Those skilled in the art will appreciate that not all compounds
15 are equally effective against all growth stages of all pests. Nevertheless, all of the
compounds of this invention display activity against pests that include: eggs, larvae and
adults of the Order Lepidoptera; eggs, foliar-feeding, fruit-feeding, root-feeding,
seed-feeding larvae and adults of the Order Coleoptera; eggs, immatures and adults of
the Orders Hemiptera and Homoptera; eggs, larvae, nymphs and adults of the Order
20 Acari; eggs, immatures and adults of the Orders Thysanoptera, Orthoptera and
Dermaptera; eggs, immatures and adults of the Order Diptera; and eggs, juveniles and
adults of the Phylum Nematoda. The compounds of this invention are also active
against pests of the Orders Hymenoptera, Isoptera, Siphonaptera, Blattaria, Thysanura
and Psocoptera; pests belonging to the Class Arachnida and Phylum Platyhelminthes.
25 Specifically, the compounds are active against southern corn rootworm (*Diabrotica*
undecimpunctata howardi), aster leafhopper (*Mascrostes fascifrons*), boll weevil
(*Anthonomus grandis*), two-spotted spider mite (*Tetranychus urticae*), fall armyworm
(*Spodoptera frugiperda*), black bean aphid (*Aphis fabae*), green peach aphid (*Myzus*
persica), cotton aphid (*Aphis gossypii*), Russian wheat aphid (*Diuraphis noxia*), English
30 grain aphid (*Sitobion avenae*), tobacco budworm (*Heliothis virescens*), rice water
weevil (*Lissorhoptrus oryzophilus*), rice leaf beetle (*Oulema oryzae*), whitebacked
planthopper (*Sogatella furcifera*), green leafhopper (*Nephotettix cincticeps*), brown
planthopper (*Nilaparvata lugens*), small brown planthopper (*Laodelphax striatellus*),
rice stem borer (*Chilo suppressalis*), rice leafroller (*Cnaphalocrocis medinalis*), black
35 rice stink bug (*Scotinophara lurida*), rice stink bug (*Oebalus pugnax*), rice bug
(*Leptocoris chinensis*), slender rice bug (*Cletus punctiger*), and southern green stink bug
(*Nezara viridula*). The compounds are active on mites, demonstrating ovicidal,
larvicidal and chemosterilant activity against such families as Tetranychidae including

Tetranychus urticae, Tetranychus cinnabarinus, Tetranychus mcdanieli, Tetranychus pacificus, Tetranychus turkestanii, Byrobia rubrioculus, Panonychus ulmi, Panonychus citri, Eotetranychus carpini borealis, Eotetranychus, hicoriae, Eotetranychus sexmaculatus, Eotetranychus yumensis, Eotetranychus banksi and Oligonychus pratensis; Tenuipalpidae including Brevipalpus lewisi, Brevipalpus phoenicis, Brevipalpus californicus and Brevipalpus obovatus; Eriophyidae including Phyllocoptruta oleivora, Eriophyes sheldoni, Aculus cornutus, Epitrimerus pyri and Eriophyes mangiferae. See WO 90/10623 and WO 92/00673 for more detailed pest descriptions.

- 10 Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural
- 15 protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorpyrifos, chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flucythrinate,
- 20 tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, methyl 7-chloro-2,5-dihydro-2-[[N-(methoxycarbonyl)-N-[4-(trifluoromethoxy)phenyl]amino]carbonyl]indeno[1,2-e][1,3,4]oxadiazine-4a(3H)-carboxylate (DPX-JW062), monocrotophos, oxamyl, parathion, parathion-methyl,
- 25 permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as azoxystrobin (ICIA5504), benomyl, blastidicidin-S, Bordeaux mixture (tribasic copper sulfate), bromuconazole, captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride,
- 30 copper salts, cymoxanil, cyproconazole, cyprodinil (CGA 219417), diclomezine, dicloran, difenoconazole, dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole (BAS 480F), fenarimol, fenbuconazole, fenciclonil, fenpropidin, fenpropimorph, fluazinam, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, hexaconazole, ipconazole, iprobenfos,
- 35 iprodione, isoprothiolane, kasugamycin, kresoxim-methyl (BAS 490F), mancozeb, maneb, mepronil, metalaxyl, metconazole, S-methyl 7-benzothiazolecarbothioate (CGA 245704), 5-methyl-5-(4-phenoxyphenyl)-3-phenylamino-2,4-oxazolidinedione (DPX-JE874), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl,

penconazole, pencycuron, probenazole, prochloraz, propiconazole, pyrifenox, pyroquilon, sulfur, tebuconazole, tetraconazole, thiabendazole, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, triticonazole, validamycin and vinclozolin; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

10 In certain instances, combinations with other fungicides or arthropodicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Preferred for better control of plant diseases caused by fungal plant pathogens (e.g., lower use rate or broader spectrum of plant pathogens controlled) or resistance management are mixtures of a compound of this invention with a fungicide selected from the group cyproconazole, cyprodinil (CGA 219417), epoxiconazole (BAS 480F), fenpropidin, fenpropimorph, flusilazole and tebuconazole. Specifically preferred mixtures (compound numbers refer to compounds in Index Tables A-C) are selected from the group: compound 9 and cyproconazole; compound 9 and cyprodinil (CGA 219417); compound 9 and epoxiconazole (BAS 480F); compound 9 and fenpropidin; compound 9 and fenpropimorph; compound 9 and flusilazole; compound 9 and tebuconazole; compound 12 and cyproconazole; compound 12 and cyprodinil (CGA 219417); compound 12 and epoxiconazole (BAS 480F); compound 12 and fenpropidin; compound 12 and fenpropimorph; compound 12 and flusilazole; compound 12 and tebuconazole; compound 39 and cyproconazole; compound 39 and cyprodinil (CGA 219417); compound 39 and epoxiconazole (BAS 480F); compound 39 and fenpropidin; compound 39 and fenpropimorph; compound 39 and flusilazole; compound 39 and tebuconazole; compound 45 and cyproconazole; compound 45 and cyprodinil (CGA 219417); compound 45 and epoxiconazole (BAS 480F); compound 45 and fenpropidin; compound 45 and fenpropimorph; compound 45 and flusilazole; compound 45 and tebuconazole; compound 53 and cyproconazole; compound 53 and cyprodinil (CGA 219417); compound 53 and epoxiconazole (BAS 480F); compound 53 and fenpropidin; compound 53 and fenpropimorph; compound 53 and flusilazole; compound 53 and tebuconazole; compound 54 and cyproconazole; compound 54 and cyprodinil (CGA 219417); compound 54 and epoxiconazole (BAS 480F); compound 54 and fenpropidin; compound 54 and fenpropimorph; compound 54 and flusilazole; compound 54 and tebuconazole; compound 103 and cyproconazole; compound 103 and cyprodinil (CGA 219417); compound 103 and epoxiconazole (BAS 480F);

compound 103 and fenpropidin; compound 103 and fenpropimorph; compound 103 and flusilazole; and compound 103 and tebuconazole.

Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

For plant disease control, rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.

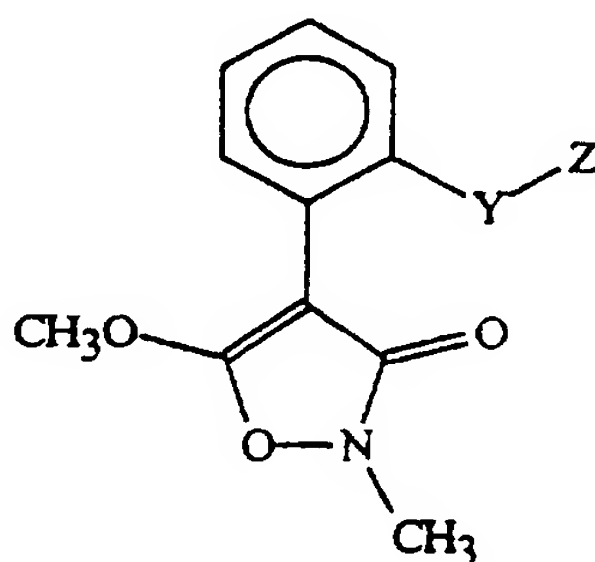
Arthropod pests are controlled and protection of agronomic, horticultural and specialty crops, animal and human health is achieved by applying one or more of the compounds of this invention, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. Thus, the present invention further comprises a method for the control of foliar and soil inhabiting arthropods and nematode pests and protection of agronomic and/or nonagronomic crops, comprising applying one or more of the compounds of the invention, or compositions containing at least one such compound, in an effective amount, to the environment of the pests including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled. A preferred method of application is by spraying. Alternatively, granular formulations of these compounds can be applied to the plant foliage or the soil. Other methods of application include direct and residual sprays, aerial sprays, seed coats, microencapsulations, systemic uptake, baits, eartags, boluses, foggers, fumigants, aerosols, dusts and many others. The compounds can be incorporated into baits that are consumed by the arthropods or in devices such as traps and the like.

For the control of arthropod pests, the compounds of this invention can be applied in their pure state, but most often application will be of a formulation comprising one or more compounds with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. A preferred method of application involves spraying a water dispersion or refined oil solution of the compounds. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy.

The rate of application required for effective control will depend on such factors as the species of arthropod to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. Under normal circumstances, application rates of about 0.01 to 2 kg of active ingredient per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.001 kg/hectare may be sufficient or as much as 8 kg/hectare may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required.

The following TESTS demonstrate the control efficacy of compounds of this invention on specific pathogens and arthropod pests. For the tests on arthropod pests, "control efficacy" represents inhibition of arthropod development (including mortality) that causes significantly reduced feeding. The pathogen and arthropod pest control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-C for compound descriptions. The following abbreviations are used in the Index Tables which follow: *t* = tertiary, *c* = cyclo, Me = methyl, Et = ethyl, Bu = butyl, Ph = phenyl, MeO and OMe = methoxy, EtO = ethoxy, PhO = phenoxy, PhS = phenylthio, CN = cyano, NO₂ = nitro, Me₃Si = trimethylsilyl, and CHO = formyl. The abbreviation "dec" indicates that the compound appeared to decompose on melting. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

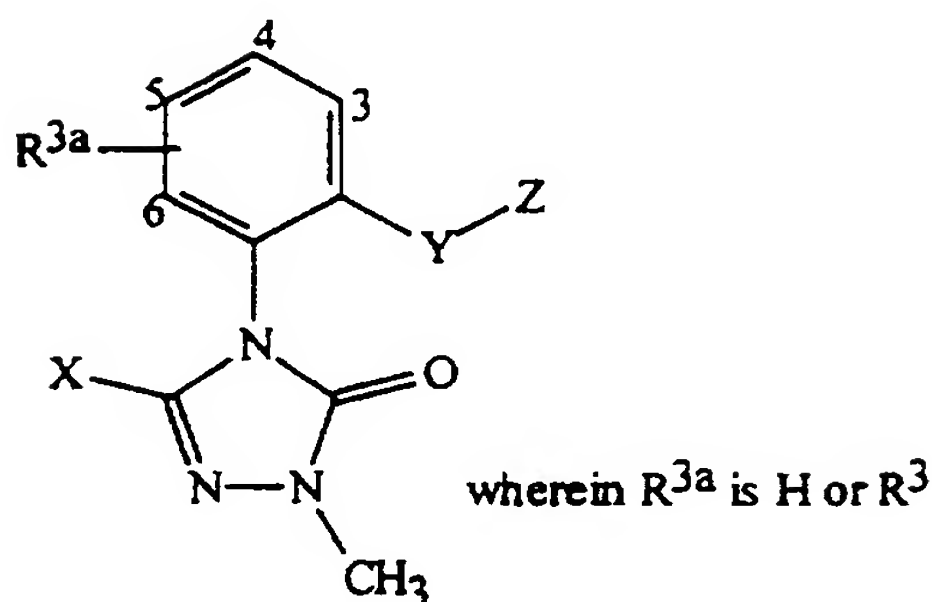
INDEX TABLE A



| <u>Cmpd No.</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|--------------------------|----------|------------------|
| 1 Ex. 18 | CH ₂ ON=C(Me) | 4-HO-Ph | oil* |

*See Index Table C for ¹H NMR data.

INDEX TABLE B



| <u>Cmpd No.</u> | <u>X</u> | <u>R^{3a}</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|----------|-----------------------|--------------------------|---|------------------|
| 2 | MeO | H | CH ₂ S | 3-(MeOC(=NH))-6-(MeC(=O))-2-pyridinyl | 190 (dec) |
| 3 | MeO | H | CH ₂ S | 3-CN-6-(MeC(=O))-2-pyridinyl | 105-110 |
| 4 | MeO | H | CH ₂ S | 3-CN-6-(MeC(OMe) ₂)-2-pyridinyl | 190 (dec) |
| 5 | Cl | H | CH ₂ S | 3-CN-6-(MeC(=O))-2-pyridinyl | 143-148 |
| 6 | Cl | H | CH ₂ S | 3-CN-6-(CH ₃ C(OMe) ₂)-2-pyridinyl | 117-123 |
| 7 Ex. 11 | Cl | H | O | 3-HO-Ph | 135-138 |
| 8 Ex. 12 | MeO | H | O | 3-HO-Ph | 153-155 |
| 9 Ex. 3 | MeO | H | O | 3-(1,3-benzodioxol-5-yl)-1,2,4-thiadiazol-5-yl | 168-169 |
| 10 | MeO | H | O | 2-NH ₂ -3-(2-Me-PhO)-Ph | 72-75 |
| 11 Ex. 4 | MeO | H | O | 5-(1-adamantyl)-1,3,4-oxadiazol-2-yl | * |
| 12 Ex. 21 | MeO | H | CH ₂ ON=C(Me) | 3-(CF ₃ CH ₂ CH ₂ SiMe ₂)-Ph | oil* |
| 13 | MeO | H | CH ₂ ON=C(Me) | 3-(4-Me ₃ Si-PhCH ₂ O)-Ph | oil* |
| 14 | Cl | H | CH ₂ | 3,5-diMe-4-(2-pyrimidinyl-S)-1H-pyrazol-1-yl | 154-156 |
| 15 | Cl | H | CH ₂ ON=C(Me) | 3-(4-Me ₃ Si-PhCH ₂ O)-Ph | oil* |
| 16 | MeO | H | O | 3-(PhCH ₂ O)-Ph | 98-100 |
| 17 | MeO | H | O | 3-(c-hexyl-O)-Ph | oil* |
| 18 | MeO | H | CH ₂ ON=C(Me) | 4-(PhCH ₂ OC(=O))-2-pyridinyl | 130-132 |
| 19 | MeO | H | O | 3-NH ₂ -6-Cl-2-pyridinyl | 163-166 |
| 20 | MeO | H | O | 3-PhS-Ph | oil* |
| 21 | MeO | H | O | 3-(4-Cl-PhCH ₂ O)-Ph | oil* |
| 22 | MeO | H | O | 3-(2,4-diCl-PhCH ₂ O)-Ph | oil* |
| 23 | MeO | H | O | 3-(2-CF ₃ -PhCH ₂ O)-Ph | oil* |
| 24 | MeO | H | O | 3-(4-CF ₃ -PhCH ₂ O)-Ph | oil* |
| 25 | MeO | H | O | 3-(2,5-diMe-PhCH ₂ O)-Ph | oil* |

| <u>Cmpd No.</u> | <u>X</u> | <u>R^{3a}</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|----------|-----------------------|--------------------------|---|------------------|
| 26 | MeO | H | O | 3-(2,6-diF-PhCH ₂ O)-Ph | oil* |
| 27 | MeO | H | O | 3-(3-MeO-PhCH ₂ O)-Ph | 128-131 |
| 28 | MeO | H | O | 3-(4-F-PhCH ₂ O)-Ph | oil* |
| 29 | MeO | H | CH ₂ ON=C(Me) | 4-(Me ₃ Si-C≡C)-2-pyridinyl | oil* |
| 30 | MeO | H | O | 3-(2-Me-PhCH ₂ O)-Ph | 104-105 |
| 31 | MeO | H | O | 3-(3-Me-PhCH ₂ O)-Ph | oil* |
| 32 | MeO | H | O | 3-(4-Me-PhCH ₂ O)-Ph | oil* |
| 33 | MeO | H | O | 3-(2-CN-PhCH ₂ O)-Ph | 97-98 |
| 34 | MeO | H | O | 3-(2-NO ₂ -PhCH ₂ O)-Ph | 135-136 |
| 35 | MeO | H | O | 3-(3,5-diF-PhCH ₂ O)-Ph | oil* |
| 36 | MeO | H | O | 3-(2-F-PhCH ₂ O)-Ph | oil* |
| 37 | MeO | H | O | 3-(3-F-PhCH ₂ O)-Ph | oil* |
| 38 | MeO | H | O | 3-(3-CF ₃ -PhCH ₂ O)-Ph | oil* |
| 39 Ex. 13 | MeO | H | O | 3-(2-Cl-PhCH ₂ O)-Ph | 112-114 |
| 40 | MeO | H | O | 3-(3-Cl-PhCH ₂ O)-Ph | oil* |
| 41 | MeO | H | O | 3-(3,5-diCl-PhCH ₂ O)-Ph | oil* |
| 42 | MeO | H | O | 3-(2-pyridinyl-CH ₂ O)-Ph | oil* |
| 43 | MeO | H | O | 3-(4-pyridinyl-CH ₂ O)-Ph | oil* |
| 44 | MeO | H | O | 3-(3,3-diF-2-MeO-1-cyclobuten-1-yl)- 1,2,4-thiadiazol-5-yl | oil* |
| 45 Ex. 2 | MeO | H | O | 3-[1-(4-Cl-Ph)-cyclopropyl]-1,2,4- thiadiazol-5-yl | 123-124 |
| 46 | MeO | H | O | 3-(1-Ph-cyclopropyl)-1,2,4-thiadiazol- 5-yl | solid* |
| 47 Ex. 10 | MeO | H | O | 3-((EtO) ₂ CH)-1,2,4-thiadiazol-5-yl | * |
| 48 | MeO | H | O | 3-(1,2,3,4-tetrahydro- 1-naphthalenyl-O)-Ph | oil* |
| 49 | MeO | H | O | 4-Cl-5-CHO-2-thiazolyl | 98-101 |
| 50 | MeO | 6-Me | O | 3-(2-F-PhS)-Ph | oil* |
| 51 | MeO | H | O | 3-(4-F-Ph-C≡C)-1,2,4-thiadiazol-5-yl | * |
| 52 Ex. 1 | MeO | H | O | 3-(2-pyridinyl-C≡C)-1,2,4-thiadiazol- 5-yl | * |
| 53 Ex. 20 | MeO | H | CH ₂ ON=C(Me) | 3-((CF ₃) ₃ Ge)-Ph | oil* |
| 54 Ex. 14 | MeO | 6-Me | O | 3-[1-(4-Cl-Ph)-cyclopropyl]-1,2,4- thiadiazol-5-yl | 119-121 |
| 55 | MeO | 4-MeO | O | 3-[1-(4-Cl-Ph)-cyclopropyl]-1,2,4- thiadiazol-5-yl | 150-151 |

| <u>Cmpd No.</u> | <u>X</u> | <u>R^{3a}</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|----------|-----------------------|--------------------------|--|------------------|
| 56 | MeO | 6-Me | O | 3-(1-Ph-cyclopropyl)-1,2,4-thiadiazol-5-yl | 138-141 |
| 57 | MeO | 4-MeO | O | 3-(1-Ph-cyclopropyl)-1,2,4-thiadiazol-5-yl | oil* |
| 58 | MeO | H | O | 3-(2-Cl-4-F-PhCH ₂ O)-Ph | oil* |
| 59 | MeO | H | O | 3-(2,5-diF-PhCH ₂ O)-Ph | 83-86 |
| 60 | MeO | H | O | 3-(2,3-diF-PhCH ₂ O)-Ph | oil* |
| 61 | MeO | H | O | 3-(3,5-diCl-PhOCH ₂)-Ph | oil* |
| 62 | MeO | H | O | 3-(4-thiomorpholinyl-CH ₂)-Ph | oil* |
| 63 | MeO | H | O | 3-(2-naphthalenyl-CH ₂)-1,2,4-thiadiazol-5-yl | * |
| 64 | MeO | H | O | 4-Cl-5-C(O)NH ₂ -2-thiazolyl | solid* |
| 65 | MeO | H | O | 3-(<i>t</i> -BuC(=O)O)-1,2,4-thiadiazol-5-yl | 137-138 |
| 66 Ex. 5 | MeO | H | O | 3-(2-Cl-PhCH ₂ O)-1,2,4-thiadiazol-5-yl | 107-108 |
| 67 Ex. 19 | MeO | H | O | 3-(CF ₃ S(O) ₂ O)-Ph | oil* |
| 68 | MeO | H | CH ₂ ON=C(Me) | 3-(PhCH ₂ O)-Ph | oil* |
| 69 | MeO | H | O | 4-(3-CF ₃ -Ph)-5-CO ₂ H-2-thiazolyl | 204-205 (dec) |
| 70 | MeO | H | CH ₂ ON=C(Me) | 3-(HC≡CCH ₂ O)-Ph | oil* |
| 71 Ex. 17 | MeO | H | CH ₂ ON=C(Me) | 3-(<i>t</i> -BuOC(=O)CH ₂ O)-Ph | oil* |
| 72 | MeO | H | O | 3-[3,5-(CF ₃ S(O) ₂ O) ₂ -Ph]-1,2,4-thiadiazol-5-yl | * |
| 73 | MeO | H | CH ₂ ON=C(Me) | 3-(MeOC(=O)CH ₂ O)-Ph | oil* |
| 74 | MeO | H | O | 3-((EtO) ₂ CHC≡C)-Ph | oil* |
| 75 | MeO | H | O | 4-(3,5-diCF ₃ -Ph)-5-CHO-2-thiazolyl | solid* |
| 76 | MeO | H | O | 3-((EtO) ₂ CHC≡C)-1,2,4-thiadiazol-5-yl | 55-58 |
| 77 | MeO | H | O | 3-((<i>t</i> -BuO) ₂ CHC≡C)-1,2,4-thiadiazol-5-yl | 115-116 |
| 78 Ex. 9 | MeO | H | O | 3-(CF ₃ S(O) ₂ O)-1,2,4-thiadiazol-5-yl | * |
| 79 | MeO | H | O | 3-(2,5-diCl-PhS(O) ₂ O)-1,2,4-thiadiazol-5-yl | * |
| 80 | MeO | H | O | 3-(4-Br-PhS(O) ₂ O)-1,2,4-thiadiazol-5-yl | * |
| 81 | MeO | H | O | 3-(Me ₂ C(OH)C≡C)-Ph | 165-167 |
| 82 | MeO | H | O | 3-((<i>t</i> -BuO) ₂ CHC≡C)-Ph | oil* |

| <u>Cmpd No.</u> | <u>X</u> | <u>R^{3a}</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|----------|-----------------------|--------------------------|---|------------------|
| 83 | MeO | H | O | 3-(Me ₂ C(OH)C≡C)-1,2,4-thiadiazol-5-yl | oil* |
| 84 | MeO | H | O | 3-(bicyclo[4.2.0]octa-1,3,5-trien-7-yl)-1,2,4-thiadiazol-5-yl | 139-140 |
| 85 | MeO | H | O | 3-(Ph ₂ C(Me))-1,2,4-thiadiazol-5-yl | solid* |
| 86 | MeO | H | O | 3-(4-Br-Ph-C(Me) ₂)-1,2,4-thiadiazol-5-yl | solid* |
| 87 | MeO | H | O | 3-(2-naphthalenyl-C(Me) ₂)-1,2,4-thiadiazol-5-yl | solid* |
| 88 | MeO | H | O | 3-(3,5-diF-Ph-C(Me) ₂)-1,2,4-thiadiazol-5-yl | * |
| 89 | MeO | H | O | 3-(3,5-diCF ₃ -Ph-C(Me) ₂)-1,2,4-thiadiazol-5-yl | * |
| 90 | MeO | H | O | 3-(3-CF ₃ -Ph-C(Me) ₂)-1,2,4-thiadiazol-5-yl | oil* |
| 91 Ex. 6 | MeO | H | O | 3-(Me ₂ C(CN))-1,2,4-thiadiazol-5-yl | solid* |
| 92 | MeO | H | O | 3-(3-Cl-Ph-C(Me) ₂)-1,2,4-thiadiazol-5-yl | * |
| 93 | MeO | H | O | 3-(3-MeO-Ph-C(Me) ₂)-1,2,4-thiadiazol-5-yl | oil* |
| 94 | MeO | H | O | 3-(4-Cl-Ph-C(Me) ₂)-1,2,4-thiadiazol-5-yl | oil* |
| 95 | MeO | 6-Me | O | 6-(1 <i>H</i> -indazol-1-yl)-4-pyrimidinyl | 175-179 |
| 96 Ex. 7 | MeO | H | O | 3-(PhCH ₂ O)-1,2,4-thiadiazol-5-yl | * |
| 97 Ex. 8 | MeO | H | O | 3-HO-1,2,4-thiadiazol-5-yl | oil* |
| 98 Ex. 15 | Cl | H | CH ₂ ON=C(Me) | 3-HO-Ph | oil* |
| 99 Ex. 16 | MeO | H | CH ₂ ON=C(Me) | 3-HO-Ph | oil* |
| 100 | MeO | H | O | 3-(4-Cl-PhOC(Me) ₂)-1,2,4-thiadiazol-5-yl | * |
| 101 | MeO | 6-Me | O | 3-(Me ₃ SiC≡C)-1,2,4-thiadiazol-5-yl | * |
| 102 | MeO | H | O | 3-(Me ₃ SiC≡C)-1,2,4-thiadiazol-5-yl | * |
| 103 | MeO | H | O | 3-(Me ₃ SiC≡C)-Ph | * |
| 104 | MeO | H | O | 6-(2-Cl-PhCH ₂ O)-4-pyrimidinyl | 133-135 |
| 105 | MeO | 6-Me | O | 6-(2-Cl-PhCH ₂ O)-4-pyrimidinyl | 135-137 |
| 106 | MeO | 6-Me | O | 6-(3,5-diF-PhCH ₂ O)-4-pyrimidinyl | oil* |
| 107 | MeO | 6-Me | O | 6-(2,3-diF-PhCH ₂ O)-4-pyrimidinyl | oil* |
| 108 | MeO | H | O | 6-(2,4-diF-PhCH ₂ O)-4-pyrimidinyl | oil* |

| <u>Cmpd No.</u> | <u>X</u> | <u>R^{3a}</u> | <u>Y</u> | <u>Z</u> | <u>m.p. (°C)</u> |
|-----------------|----------|-----------------------|----------|--|------------------|
| 109 | MeO | H | O | 6-(2,3-diF-PhCH ₂ O)-4-pyrimidinyl | oil* |
| 110 | MeO | H | O | 6-(2-Cl-PhCH ₂ CH ₂ O)-4-pyrimidinyl | oil* |
| 111 | MeO | 6-Me | O | 6-(2-Cl-PhCH ₂ CH ₂ O)-4-pyrimidinyl | oil* |
| 112 | MeO | H | O | 6-(4-Me-PhCH ₂ O)-4-pyrimidinyl | oil* |
| 113 | MeO | 6-Me | O | 6-(4-Me-PhCH ₂ O)-4-pyrimidinyl | oil* |
| 114 | MeO | H | O | 6-(2,4-diCl-PhOCH ₂ CH ₂ O)-4-pyrimidinyl | oil* |
| 115 | MeO | 6-Me | O | 6-(2,4-diCl-PhOCH ₂ CH ₂ O)-4-pyrimidinyl | oil* |
| 116 | MeO | H | O | 6-(3,5-diCF ₃ -PhCH ₂ O)-4-pyrimidinyl | oil* |
| 117 | MeO | 6-Me | O | 6-(3,5-diCF ₃ -PhCH ₂ O)-4-pyrimidinyl | 141-143 |
| 118 | MeO | H | O | 6-(3-CF ₃ -PhCH ₂ CH ₂ O)-4-pyrimidinyl | oil* |
| 119 | MeO | 6-Me | O | 6-(3-CF ₃ -PhCH ₂ CH ₂ O)-4-pyrimidinyl | oil* |
| 120 | MeO | H | O | 6-(1-naphthalenyl-CH ₂ CH ₂ O)-4-pyrimidinyl | oil* |
| 121 | MeO | 6-Me | O | 6-(1-naphthalenyl-CH ₂ CH ₂ O)-4-pyrimidinyl | oil* |
| 122 | MeO | H | O | 6-(4-pyridinylCH ₂ O)-4-pyrimidinyl | oil* |
| 123 | MeO | 6-Me | O | 6-(4-pyridinylCH ₂ O)-4-pyrimidinyl | oil* |
| 124 | MeO | H | O | 6-(MeOCH ₂ CH ₂ O)-4-pyrimidinyl | oil* |
| 125 | MeO | 6-Me | O | 6-(MeOCH ₂ CH ₂ O)-4-pyrimidinyl | oil* |
| 126 | MeO | 6-Me | O | 6-(2-Me-PhCH ₂ O)-4-pyrimidinyl | oil* |
| 127 | MeO | 6-Me | O | 6-(3-Cl-PhCH ₂ S)-4-pyrimidinyl | oil* |

*See Index Table C for ¹H NMR data.

INDEX TABLE C

| <u>Cmpd No.</u> | <u>¹H NMR Data (CDCl₃ solution unless indicated otherwise)^a</u> |
|-----------------|--|
| 1 | δ 7.52 (d,1H), 7.42 (m,2H), 7.32 (m,2H), 6.72 (m,3H), 5.24 (AB q,2H), 3.94 (d,3H), 3.44 (d,3H), 2.16 (d,3H). |
| 11 | δ 7.8 (d,1H), 7.5 (t,1H), 7.42 (m,2H), 3.86 (s,3H), 3.44 (s,3H), 2.1 (br s,3H), 2.04 (br m,6H), 1.79 (br m,6H). |
| 12 | δ 7.7 (s,1H), 7.6 (m,2H), 7.4-7.5 (m,3H), 7.4 (t,1H), 7.2 (d,2H), 5.2-5.3 (q,2H), 3.882 (s,3H), 3.401 (s,3H), 2.201 (s,3H), 2.0 (m,2H), 1.0 (m,2H), 0.32 (s,5.5H). |
| 13 | δ 7.6 (m,3H), 7.4 (m,4H), 7.2 (d,1H), 6.9 (d,1H), 5.2 (q,2H), 5.061 (s,2H), 3.868 (s,3H), 3.405 (s,3H), 2.174 (s,3H), 0.271 (s,7H). |

- 15 δ 7.5-7.6 (m,5H), 7.4 (t,3H), 7.226 (s,2H), 7.15 (d,1H), 6.9 (d,1H), 5.1-5.3 (q,2H), 5.058 (s,2H), 3.463 (s,3H), 2.156 (s,3H), 0.271 (s,9H).
- 17 δ 1.2-1.4 (m,3H), 1.4-1.6 (m,2H), 1.7-1.8 (m,3H), 1.9-2.0 (m,2H), 3.39 (s,3H), 3.86 (s,3H), 4.2 (m,1H), 6.5-6.6 (m,2H), 6.65 (m,1H), 7.0 (m,1H), 7.2 (m,2H), 7.3-7.4 (m,2H).
- 20 δ 3.38 (s,3H), 3.80 (s,3H), 6.8-6.9 (m,1H), 6.9-7.1 (m,2H), 7.2-7.4 (m,10H).
- 21 δ 3.38 (s,3H), 3.85 (s,3H), 4.99 (s,2H), 6.6 (m,2H), 6.7 (m,1H), 7.0 (m,1H), 7.2 (m,2H), 7.3-7.4 (m,6H).
- 22 δ 3.38 (s,3H), 3.85 (s,3H), 5.08 (s,2H), 6.65 (m,2H), 6.75 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,3H), 7.3-7.4 (m,3H), 7.50 (m,1H).
- 23 δ 3.38 (s,3H), 3.84 (s,3H), 5.23 (s,2H), 6.6-6.75 (m,3H), 7.0 (m,1H), 7.2 (m,2H), 7.3-7.5 (m,3H), 7.6 (m,1H), 7.7 (m,2H).
- 24 δ 3.37 (s,3H), 3.85 (s,3H), 5.08 (s,2H), 6.6-6.7 (m,2H), 6.7 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,2H), 7.3-7.4 (m,2H), 7.52 (d,J=8.1 Hz,2H), 7.62 (d,J=8.2 Hz,2H).
- 25 δ 2.31 (s,3H), 2.32 (s,3H), 3.39 (s,3H), 3.85 (s,3H), 5.30 (s,2H), 6.6 (m,2H), 6.68 (m,1H), 6.75 (m,1H), 7.0-7.1 (m,3H), 7.2 (m,3H), 7.35-7.40 (m,2H).
- 26 δ 3.38 (s,3H), 3.84 (s,3H), 5.07 (s,2H), 6.6-6.7 (m,2H), 6.75 (m,1H), 6.9 (m,2H), 7.05 (m,1H), 7.2-7.3 (m,2H), 7.3-7.4 (m,3H).
- 28 δ 3.38 (s,3H), 3.85 (s,3H), 4.97 (s,2H), 6.6-6.7 (m,2H), 6.75 (m,1H), 7.0-7.1 (m,3H), 7.2-7.3 (m,2H), 7.3-7.4 (m,4H).
- 29 δ 8.50 (d,1H), 7.80 (s,1H), 7.60 (d,1H), 7.50-7.40 (m,2H), 7.28-7.24 (m,2H), 5.3 (dd,2H), 3.90 (s,3H), 3.43 (s,3H), 2.27 (s,3H), 0.27 (s,9H).
- 31 δ 2.36 (s,3H), 3.38 (s,3H), 3.84 (s,3H), 4.97 (s,2H), 6.6-6.7 (m,2H), 6.75 (m,1H), 7.0 (m,1H), 7.1-7.3 (m,6H), 7.3-7.4 (m,2H).
- 32 δ 2.35 (s,3H), 3.38 (s,3H), 3.84 (s,3H), 4.97 (s,2H), 6.6-6.7 (m,2H), 6.75 (m,1H), 7.0 (m,1H), 7.2-7.25 (m,4H), 7.3-7.4 (m,4H).
- 33 δ 3.38 (s,3H), 3.86 (s,3H), 5.21 (s,2H), 6.6-6.7 (m,2H), 6.7 (m,1H), 6.75 (m,1H), 7.0-7.1 (m,1H), 7.2-7.3 (m,2H), 7.35-7.50 (m,3H), 7.6-7.8 (m,2H).
- 35 δ 3.37 (s,3H), 3.86 (s,3H), 5.00 (s,2H), 6.6-6.8 (m,4H), 6.9-7.0 (m,3H), 7.2-7.3 (m,2H), 7.3-7.4 (m,2H).
- 36 δ 3.38 (s,3H), 3.84 (s,3H), 5.08 (s,2H), 6.6-6.7 (m,2H), 6.75 (m,1H), 7.0-7.25 (m,5H), 7.3-7.4 (m,3H), 7.48 (m,1H).
- 37 δ 3.38 (s,3H), 3.85 (s,3H), 5.01 (s,2H), 6.6-6.7 (m,2H), 6.75 (m,1H), 7.0 (m,2H), 7.1-7.3 (m,4H), 7.3-7.4 (m,3H).

- 38 δ 3.38 (s,3H), 3.85 (s,3H), 5.06 (s,2H), 6.6-6.7 (m,2H), 6.75 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,2H), 7.3-7.4 (m,2H), 7.5 (m,1H), 7.6 (m,2H), 7.67 (m,1H).
- 40 δ 3.38 (s,3H), 3.85 (s,3H), 4.99 (s,2H), 6.6 (m,2H), 6.7 (m,1H), 7.0 (m,1H), 7.2-7.4 (m,8H).
- 41 δ 3.38 (s,3H), 3.86 (s,3H), 4.96 (s,2H), 6.6-6.7 (m,3H), 7.0 (m,1H), 7.2-7.3 (m,5H), 7.3-7.4 (m,3H).
- 42 δ 3.38 (s,3H), 3.84 (s,3H), 5.16 (s,2H), 6.6 (m,1H), 6.7 (s,1H), 6.75 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,3H), 7.35 (m,2H), 7.5 (m,1H), 7.70 (m,1H), 8.6 (m,1H).
- 43 δ 3.37 (s,3H), 3.86 (s,3H), 5.04 (s,2H), 6.6-6.65 (m,2H), 6.70 (m,1H), 7.0 (m,1H), 7.2-7.3 (m,2H), 7.3-7.4 (m,4H), 8.6 (dd, $J=1.5, 4.5$ Hz, 2H).
- 44 δ 7.54 (m,2H), 7.46 (m,2H), 4.06 (s,3H), 3.83 (s,3H), 3.39 (s,3H), 3.02 (m,2H).
- 46 δ 7.53 (m,2H), 7.45 (m,4H), 7.36 (m,3H), 3.81 (s,3H), 3.41 (s,3H), 1.65 (m,2H), 1.35 (m,2H).
- 47 δ 7.6-7.4 (m,4H), 5.53 (s,1H), 3.81 (s,3H), 3.8-3.65 (m,4H), 3.40 (s,3H), 1.23 (t,6H).
- 48 δ 1.8 (m,1H), 2.0 (m,2H), 2.1 (m,1H), 2.7-2.9 (m,2H), 3.38 (s,3H), 3.85 (s,3H), 5.35 (s,1H), 6.6 (m,1H), 6.7 (m,1H), 6.8 (m,1H), 7.0 (m,1H), 7.15-7.3 (m,5H), 7.3-7.4 (m,3H).
- 50 δ 2.26 (s,3H), 3.39 (s,3H), 3.81 (s,3H), 6.8 (m,2H), 6.93 (t, $J=1.8$ Hz, 1H), 6.98 (d, $J=7.7$ Hz, 1H), 7.05-7.11 (m,3H), 7.2-7.4 (m,4H).
- 51 δ 7.6-7.55 (m,4H), 7.5 (m,2H), 7.1 (t, 2H), 3.84 (s,3H), 3.40 (s,3H).
- 52 δ 8.65 (d, 1H), 7.7 (m,1H), 7.65-7.5 (m,3H), 7.5-7.4 (m,2H), 7.3 (m,1H), 3.84 (s,3H), 3.40 (s,3H).
- 53 δ 7.941 (s,1H), 7.7 (d, 1H), 7.55 (m,2H), 7.4-7.5 (m,2H), 7.4-7.5 (m,2H), 7.1 (t, 2H), 5.2-5.4 (q, 2H), 3.889 (s,3H), 3.413 (s,3H), 2.152 (s,3H).
- 57 δ 7.44 (m,2H), 7.32 (m,4H), 7.09 (d, $J=2.6$ Hz, 1H), 6.91 (dd, $J=8.8, 2.6$ Hz, 1H), 3.81 (s,6H), 3.40 (s,3H), 1.65 (m,2H), 1.35 (m,2H).
- 58 δ 3.38 (s,3H), 3.85 (s,3H), 5.09 (s,2H), 6.6-6.7 (m,2H), 6.7-6.8 (m,1H), 6.9-7.1 (m,2H), 7.2-7.3 (m,2H), 7.3-7.4 (m,4H).
- 59 δ 3.38 (s,3H), 3.85 (s,3H), 5.06 (s,2H), 6.6-6.8 (m,3H), 6.95-7.05 (m,4H), 7.2-7.3 (m,2H), 7.3-7.4 (m,2H).
- 60 δ 3.38 (s,3H), 3.85 (s,3H), 5.09 (s,2H), 6.6-6.8 (m,3H), 7.0 (m,1H), 7.1 (m,2H), 7.2-7.3 (m,3H), 7.3-7.4 (m,2H).
- 61 δ 3.37 (s,3H), 3.85 (s,3H), 4.99 (s,2H), 6.81 (m,2H), 7.0 (m,3H), 7.05 (m,1H), 7.1-7.2 (m,2H), 7.3-7.4 (m,3H).

- 62 δ 2.60-2.75 (m,8H), 3.38 (s,3H), 3.48 (s,2H), 3.88 (s,3H), 6.85-7.00 (m,3H), 7.07 (d,J=7.7 Hz,1H), 7.15-7.30 (m,2H), 7.36 (dd,J=1.6,7.7 Hz,2H).
- 63 δ 7.79 (m,4H), 7.55-7.4 (m,7H), 4.28 (s,2H), 3.68 (s,3H), 3.37 (s,3H).
- 64 δ 7.55 (m,2H), 7.45 (m,2H), 3.87 (s,3H), 3.40 (s,3H).
- 67 δ 7.42 (m,3H), 7.3 (m,1H), 7.04 (m,3H), 6.96 (t,1H), 3.83 (s,3H), 3.38 (m,3H).
- 68 δ 7.6 (m,1H), 7.4 (m,7H), 7.24 (m,4H), 6.86 (d,1H), 5.23 (s,2H), 5.08 (q,2H), 3.89 (s,3H), 3.37 (s,3H), 2.23 (s,3H).
- 70 δ 7.6 (m,1H), 7.46 (m,2H), 7.24 (m,4H), 6.88 (m,1H), 5.08 (q,2H), 4.785 (q,2H), 3.92 (s,3H), 3.39 (s,3H), 2.48 (t,1H), 2.23 (s,3H).
- 71 δ 7.6 (m,1H), 7.45 (m,2H), 7.23 (m,4H), 6.87 (m,1H), 5.09 (AB q,2H), 4.63 (s,2H), 3.91 (s,3H), 3.39 (s,3H), 2.28 (s,3H), 1.48 (s,9H).
- 72 δ 8.16 (s,2H), 7.60 (m,2H), 7.51 (m,2H), 7.31 (m,1H), 3.84 (s,3H), 3.38 (s,3H).
- 73 δ 7.61 (m,1H), 7.45 (m,2H), 7.23 (m,4H), 6.88 (m,1H), 5.075 (m,2H), 4.745 (s,2H), 3.915 (s,3H), 3.77 (s,3H), 3.39 (s,3H), 2.28 (s,3H).
- 74 δ 7.37 (m,2H), 7.25 (m,3H), 7.11 (s,1H), 6.99 (m,2H), 5.46 (s,1H), 3.83 (s,3H), 3.79 (m,2H), 3.64 (m,2H), 3.38 (s,3H), 1.26 (t,3H).
- 75 δ 9.9 (s,1H), 8.15 (s,2H), 8.0 (s,1H), 7.6 (m,2H), 7.5 (m,2H), 3.9 (s,3H), 3.4 (s,3H).
- 78 δ 7.6-7.4 (m,4H), 3.84 (s,3H), 3.41 (s,3H).
- 79 δ 8.06 (s,1H), 7.6-7.4 (m,6H), 3.82 (s,3H), 3.40 (s,3H).
- 80 δ 7.88 (d,2H), 7.7-7.3 (m,6H), 3.81 (s,3H), 3.40 (s,3H).
- 82 δ 7.36 (d,2H), 7.21 (m,3H), 7.08 (s,1H), 6.97 (d,2H), 5.61 (s,1H), 3.84 (s,3H), 3.38 (s,3H), 1.35 (s,18H).
- 83 δ 7.55 (m,2H), 7.46 (m,2H), 3.84 (s,3H), 3.40 (s,3H), 2.18 (s,1H), 1.60 (s,6H).
- 85 δ 7.55-7.40 (m,4H), 7.29 (m,3H), 7.25-7.20 (m,7H), 3.62 (s,3H), 3.39 (s,3H), 2.18 (s,3H).
- 86 δ 7.52 (m,2H), 7.42 (m,4H), 7.22 (d,2H), 3.69 (s,3H), 3.38 (s,3H), 1.75 (s,6H).
- 87 δ 7.79 (m,4H), 7.50-7.40 (m,7H), 3.62 (s,3H), 3.35 (s,3H), 1.88 (s,6H).
- 88 δ 7.53 (m,2H), 7.46 (m,2H), 6.85 (m,2H), 6.65 (m,1H), 3.72 (s,3H), 3.38 (s,3H), 1.75 (s,6H).
- 89 δ 7.80 (s,2H), 7.74 (s,1H), 7.50 (m,2H), 7.45 (m,2H), 3.71 (s,3H), 3.38 (s,3H), 1.83 (s,6H).
- 90 δ 7.60 (s,1H), 7.51-7.41 (m,7H), 3.66 (s,3H), 3.38 (s,3H), 1.80 (s,6H).

- 91 δ 7.57 (m,2H), 7.48 (m,2H), 3.83 (s,3H), 3.40 (s,3H), 1.77 (s,6H).
- 92 δ 7.50-7.40 (m,4H), 7.30-7.20 (m,4H), 3.67 (s,3H), 3.38 (s,3H), 1.76 (s,6H).
- 93 δ 7.53 (m,2H), 7.45 (m,2H), 7.21 (m,1H), 6.89 (m,2H), 6.75 (d,1H), 3.77 (s,3H), 3.64 (s,3H), 3.38 (s,3H), 1.77 (s,6H).
- 94 δ 7.51 (m,2H), 7.45 (m,3H), 7.26 (m,3H), 3.68 (s,3H), 3.38 (s,3H), 1.76 (s,6H).
- 96 δ 7.6-7.3 (m,9H), 5.37 (s,2H), 3.79 (s,3H), 3.40 (s,3H).
- 97 δ 7.3-7.1 (m,4H), 3.98 (s,1H), 3.89 (s,3H), 3.41 (s,3H).
- 98 δ 8.09 (br s,1H), 7.62 (d,1H), 7.51 (m,2H), 7.22 (m,4H), 6.9 (d,1H), 5.08 (q,2H), 3.44 (s,3H), 2.25 (m,4H).
- 99 δ 7.6 (dd,1H), 7.45 (m,2H), 7.25 (m,3H), 7.19 (m,2H), 6.85 (dd,1H), 5.08 (AB q,2H), 3.92 (s,2H), 3.39 (s,3H), 2.24 (s,3H).
- 100 δ 7.49 (m,2H), 7.45 (m,2H), 7.15 (d,1H), 6.68 (d,1H), 3.80 (s,3H), 3.37 (s,3H), 1.75 (s,6H).
- 101 δ 7.42 (m,1H), 7.30 (m,2H), 3.82 (s,3H), 3.40 (s,3H), 2.29 (s,3H), 0.25 (s,9H).
- 102 δ 7.54 (m,2H), 7.46 (m,2H), 3.83 (s,3H), 3.39 (s,3H), 0.25 (s,9H).
- 103 δ 7.36 (m,2H), 7.22 (m,2H), 7.09 (s,1H), 6.98 (d,2H), 3.84 (s,3H), 3.39 (s,3H), 0.25 (s,9H).
- 106 δ 8.44 (s,1H), 7.43 (m, 2H), 7.22 (m,1H), 7.11 (m,1H), 6.86 (m,2H), 6.22 (d, 1H), 5.43 (s,2H), 3.78 (s,3H), 3.33 (s,3H), 2.27 (s,3H).
- 107 δ 8.44 (s,1H), 7.39 (m, 1H), 7.16 (m,5H), 6.24 (s, 1H), 5.5 (s,2H), 3.78 (s,3H), 3.33 (s,3H), 2.27 (s,3H).
- 108 δ 8.45 (m,1H), 7.39 (m, 5H), 6.86 (m,2H), 6.26 (m, 1H), 5.44 (s,2H), 3.77 (s,3H), 3.33 (s,3H).
- 109 δ 8.44 (s,1H), 7.32 (m, 7H), 6.27 (m, 1H), 5.51 (s,2H), 3.77 (s,3H), 3.33 (s,3H).
- 110 δ 8.4 (d,1H), 7.35 (m, 8H), 6.2 (d, 1H), 4.58 (t,2H), 3.77 (s,3H), 3.33 (s,3H), 3.2 (t,2H).
- 111 δ 8.4 (d,1H), 7.25 (m, 7H), 6.17 (d, 1H), 4.57 (t,2H), 3.78 (s,3H), 3.34 (s,3H), 3.21 (t,2H), 2.27 (s,3H).
- 112 δ 8.43 (d,1H), 7.41 (m, 6H), 7.19 (d,2H), 6.24 (m, 1H), 5.38 (s,2H), 3.76 (s,3H), 3.33 (s,3H), 2.36 (s,3H).
- 113 δ 8.43 (d,1H), 7.35 (m, 3H), 7.2 (m,3H), 7.09 (m,1H), 6.21 (d,1H), 5.37 (AB q,2H), 3.76 (s,3H), 3.33 (s,3H), 2.35 (s,3H), 2.27 (s,3H).
- 114 δ 8.42 (s,1H), 7.4 (m, 5H), 7.19 (m,1H), 6.89 (d,1H), 6.27 (s, 1H), 4.76 (t,2H), 4.34 (t,2H), 3.79 (s,3H), 3.34 (s,3H).

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| 115 | δ 8.42 (d,1H), 7.38 (m, 4H), 7.17 (m,1H), 6.89 (d,1H), 6.24 (s, 1H), 4.75 (t,2H), 4.33 (t,2H), 3.79 (s,3H), 3.34 (s,3H), 2.27 (s,3H). |
| 116 | δ 8.43 (s,1H), 7.87 (m,3H), 7.41 (m, 4H), 6.34 (AB q,2H), 3.78 (s,3H), 3.33 (s,3H). |
| 118 | δ 8.41 (s,1H), 7.4 (m, 8H), 6.19 (s, 1H), 4.58 (t,2H), 3.77 (s,3H), 3.33 (s,3H), 3.13 (t,2H). |
| 119 | δ 8.4 (s,1H), 7.44 (m, 5H), 7.2 (d,1H), 7.09 (d,1H), 6.17 (s, 1H), 4.57 (t,2H), 3.77 (s,3H), 3.33 (s,3H), 3.13 (t,2H), 2.27 S,3H). |
| 120 | δ 8.42 (d,1H), 8.14 (m,1H), 7.85 (d,1H), 7.77, (m,1H), 7.47 (m, 6H), 7.32 (m,2H), 6.2 (d, 1H), 4.68 (m,2H), 3.76 (s,3H), 3.54 (t,2H), 3.33 (s,3H). |
| 121 | δ 8.42 (d,1H), 8.15 (d,1H), 7.85 (d,1H), 7.75, (m,1H), 7.48 (m, 2H), 7.38 (m,3H), 7.22 (m,1H), 7.09 (d,1H), 6.18 (s, 1H), 4.68 (m,2H), 3.77 (s,3H), 3.54 (t,2H), 3.33 (s,3H), 2.27 (s,3H). |
| 122 | δ 8.7 (d,1H), 8.59 (m,1H), 8.44 (s,1H), 7.77, (m,1H), 7.41 (m, 5H), 6.27 (d, 1H), 5.45 (s,2H), 3.78 (s,3H), 3.33 (s,3H). |
| 123 | δ 8.7 (s,1H), 8.59 (d,1H), 8.43 (d,1H), 7.77, (m,1H), 7.32 (m, 3H), 7.11 (m,1H), 6.24 (m, 1H), 5.44 (s,2H), 3.78 (s,3H), 3.33 (s,3H), 2.27 (s,1H). |
| 124 | δ 8.4 (d,1H), 7.4 (m, 4H), 6.27 (d, 1H), 4.52 (m,2H), 3.78 (s,3H), 3.72 (m,2H), 3.42 (s,3H), 3.33 (s,3H). |
| 125 | δ 8.4 (s,1H), 7.38 (t, 1H), 7.2 (d,1H), 7.1 (d,1H), 6.22 (d, 1H), 4.5 (m,2H), 3.78 (s,3H), 3.7 (m,2H), 3.42 (s,3H), 3.35 (s,3H), 2.27 (s,3H). |
| 126 | δ 8.45 (d,1H), 7.39 (m, 2H), 7.23 (m,4H), 7.11 (d,1H), 6.22 (d,1H), 5.41 (AB q,2H), 3.77 (s,3H), 3.33 (s,3H), 2.38 (s,3H), 2.27 (s,3H). |
| 127 | δ 8.59 (d,1H), 7.52 (m, 1H), 7.38 (m,2H), 7.22 (m,3H), 7.09 (m,1H), 6.68 (d,1H), 4.57 (AB q,2H), 3.75 (s,3H), 3.32 (s,3H), 2.04 (s,3H). |

^a ¹H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (q)-quartet, (m)-multiplet, (AB q)-AB quartet, (dd)-doublet of doublets, (br s)-broad singlet and (br m)-broad multiplet.

5

BIOLOGICAL EXAMPLES OF THE INVENTION

Test compounds were first dissolved in acetone in an amount equal to 3% of the final volume and then suspended at a concentration of 200 ppm in purified water containing 250 ppm of the surfactant Trem® 014 (polyhydric alcohol esters). The resulting test suspensions were then used in Tests A-F. Spraying these 200 ppm test suspensions to the point of run-off on the test plants is the equivalent of a rate of 500 g/ha.

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TEST A

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of *Erysiphe graminis* f. sp. *tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20°C for 7 days, after which disease ratings were made.

TEST B

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of *Puccinia recondita* (the causal agent of wheat leaf rust) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 6 days, after which disease ratings were made.

TEST C

The test suspension was sprayed to the point of run-off on rice seedlings. The following day the seedlings were inoculated with a spore suspension of *Pyricularia oryzae* (the causal agent of rice blast) and incubated in a saturated atmosphere at 27°C for 24 h, and then moved to a growth chamber at 30°C for 5 days, after which disease ratings were made.

TEST D

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

TEST E

The test suspension was sprayed to the point of run-off on grape seedlings. The following day the seedlings were inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h, moved to a growth chamber at 20°C for 6 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings were made.

TEST F

The test suspension was sprayed to the point of run-off on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Botrytis cinerea* (the causal agent of gray mold on many crops) and incubated in a saturated atmosphere at 20°C for 48 h, and moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

Results for Tests A-F are given in Table A. In the table, a rating of 100 indicates 100% disease control and a rating of 0 indicates no disease control (relative to the

controls). A dash (-) indicates no test results. ND indicates disease control not determined due to phytotoxicity.

Table A

| <u>Cmpd No.</u> | <u>Test A</u> | <u>Test B</u> | <u>Test C</u> | <u>Test D</u> | <u>Test E</u> | <u>Test F</u> |
|-----------------|-----------------|-----------------|-----------------|-----------------|------------------|---------------|
| 1 | 75 | 85 | 0 | 0 | 0 ^a | 0 |
| 2 | 21 ^b | 37 ^b | 0 ^b | - | 7 ^b | - |
| 3 | 0 | 0 | 0 | 21 | 5 ^b | 68 |
| 4 | 0 | 24 | 0 | 21 | 5 ^b | 68 |
| 5 | 0 | 85 | 0 | 21 | 16 ^b | 44 |
| 6 | 60 | 24 | 0 | 21 | 7 ^b | 4 |
| 7 | 0 | 0 | 0 | 0 | - | 26 |
| 8 | 0 | 0 | 0 | 0 | - | 32 |
| 9 | 99 | 100 | 74 | 96 | 94 ^a | 0 |
| 10 | 85 | 85 | 32 | 22 | 28 ^a | 39 |
| 11 | 94 | 99 | 0 | 92 | 67 ^a | 39 |
| 12 | 62 | 27 | 0 | 31 | 23 ^a | 64 |
| 13 | 92 | 97 | 91 | 31 | 28 ^a | 38 |
| 14 | 0 | 0 | 0 | 53 | - | 0 |
| 15 | 86 | 85 | 0 | 3 | - | 0 |
| 16 | 99 | 100 | 91 | 93 | 92 ^a | 0 |
| 17 | 100 | 100 | 91 | 64 | 72 ^a | 0 |
| 18 | 89 | 94 | 0 | 84 | 46 ^a | 7 |
| 19 | 0 | 0 | 0 | 0 | 12 ^b | 0 |
| 20 | 100 | 100 | 53 | 96 | 87 ^a | 46 |
| 21 | 99 | 99 | 90 | 100 | 94 ^a | 97 |
| 22 | 99 | 100 | 78 | 100 | 100 ^a | 87 |
| 23 | 99 | 100 | 96 | 100 | 78 ^a | 77 |
| 24 | 99 | 97 | 78 | 92 | 51 ^a | 0 |
| 25 | 99 | 100 | 90 | 100 | 80 ^a | 96 |
| 26 | 99 | 100 | 78 | 61 | 100 ^a | 60 |
| 27 | 97 | 100 | 60 | 61 | 88 ^a | 31 |
| 28 | 98 | 100 | 90 | 75 | 71 ^a | 31 |
| 29 | 89 | 100 | 74 | 47 | 15 ^a | 0 |
| 30 | 99 | 100 | 86 | 96 | 72 ^a | 0 |
| 31 | 99 | 100 | 86 | 59 | 75 ^a | 1 |
| 32 | 32 ^c | 84 ^a | 38 ^b | 84 ^b | 93 ^a | - |
| 33 | 98 | 100 | 94 | 92 | 75 ^a | 43 |

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| | | | | | | |
|----|-----------------|-----------------|-----------------|-----------------|------------------|-----------------|
| 34 | 75 | 100 | 86 | 96 | 100 ^a | 81 |
| 35 | 99 | 100 | 99 | 92 | 96 ^a | 1 |
| 36 | 100 | 100 | 94 | 96 | 100 ^a | 81 |
| 37 | 99 | 99 | 94 | 84 | 95 ^a | 43 |
| 38 | 100 | 100 | 74 | 59 | 55 ^a | 1 |
| 39 | 99 | 99 | 97 | 83 ^b | 100 ^a | 0 |
| 40 | 99 | 100 | 74 | 99 | 67 ^a | 43 |
| 41 | 98 | 100 | 78 | 61 | 99 ^a | 77 |
| 42 | 63 | 85 | 0 | 82 | 47 ^a | 77 |
| 43 | 0 | 67 | 0 | 54 | 10 ^a | 0 |
| 44 | 99 ^d | 99 ^d | 78 ^d | ND | 12 ^a | 87 ^d |
| 45 | 77 | 100 | 73 | ND | 100 ^a | 0 |
| 46 | 100 | 99 | 85 | 94 ^b | 100 ^a | 0 |
| 47 | 38 | 26 | 28 | 32 | 16 ^a | 0 |
| 48 | 97 | 99 | 97 | 91 | 100 ^a | 31 |
| 49 | 61 | 68 | 0 | 83 | - | 0 |
| 50 | 99 | 100 | 93 | 100 | 45 ^a | 0 |
| 51 | 100 | 100 | 73 | 99 | 35 ^a | 55 |
| 52 | 95 | 85 | 51 | 73 | 11 ^a | 22 |
| 53 | 100 | 100 | 85 | 84 | 100 ^a | 22 |
| 54 | 99 | 100 | 97 | ND | 100 ^a | 0 |
| 55 | 73 | 99 | 53 | 65 | 100 ^a | 0 |
| 56 | 99 | 100 | 94 | ND | 100 ^a | 0 |
| 57 | 96 | 100 | 53 | 0 | 100 ^a | 0 |
| 58 | 100 | 100 | 94 | - | 100 ^a | 0 |
| 59 | 90 | 100 | 97 | 79 | 42 ^a | 0 |
| 60 | 98 | 100 | 99 | 89 | 77 ^a | 42 |
| 61 | 26 | 85 | 0 | 79 | 55 ^a | 42 |
| 62 | 0 | 99 | 32 | 79 | 12 ^a | 0 |
| 63 | 98 | 100 | 32 | ND | 99 ^a | 0 |
| 64 | 0 | 0 | 0 | 22 | - | 0 |
| 65 | 28 | 68 | 0 | 0 | 0 ^a | 0 |
| 66 | 99 | 100 | 86 | 0 | 85 ^a | 0 |
| 67 | 100 | 100 | 91 | 24 | 27 ^a | 55 |
| 68 | 88 | 100 | 53 | 86 | 59 ^a | 69 |
| 69 | 62 | 0 | 0 | 0 | - | 0 |
| 70 | 91 | 99 | 32 | 74 | 43 ^a | 82 |
| 71 | 60 | 99 | 53 | 17 | 73 ^a | 0 |

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| | | | | | | |
|-----|-----------------|-----------------|----------------|------------------|------------------|----------------|
| 72 | 91 | 93 | 32 | 0 | - | 0 |
| 73 | 57 | 94 | 0 | 23 | 38 ^a | 0 |
| 74 | 100 | 100 | 53 | - | 72 ^a | 0 |
| 75 | 99 | 99 | 94 | - | 61 ^a | 0 |
| 76 | 20 ^a | 97 ^d | 0 ^d | 0 ^d | 0 ^a | 0 ^d |
| 77 | 21 ^a | 99 | 6 | 0 | 82 ^a | 0 |
| 78 | 11 ^a | 86 | 35 | 0 | 19 ^a | 0 |
| 79 | 30 ^a | 97 | 91 | 0 | 0 ^a | 0 |
| 80 | 0 ^a | 97 | 64 | 0 | 6 ^a | 0 |
| 81 | 30 | 97 | 0 | 0 | - | 0 |
| 82 | 99 | 100 | 74 | 62 | - | 0 |
| 83 | 0 | 66 | 0 | 0 | 6 ^a | 0 |
| 84 | 94 | 99 | 86 | 58 ^e | 93 ^a | 0 |
| 85 | 83 | 93 | 32 | 0 | 71 ^a | 0 |
| 86 | 96 | 100 | 74 | 100 ^f | 100 ^a | 0 |
| 87 | 94 | 100 | 74 | 15 | 100 ^a | 0 |
| 88 | 96 | 99 | 86 | 100 ^f | 100 ^a | 0 |
| 89 | 98 | 97 | 53 | 100 ^f | 18 ^a | 0 |
| 90 | 97 | 100 | 32 | 39 ^e | - | 0 |
| 91 | 58 | 99 | 0 | 100 ^e | 12 ^a | 0 |
| 92 | 31 | 100 | 53 | 92 ^g | 96 ^a | 0 |
| 93 | 85 | 100 | 32 | 73 ^e | 87 ^a | 0 |
| 94 | 92 ^a | 98 ^a | 0 ^a | - | 99 ^a | - |
| 95 | 99 | 100 | 97 | 85 ^e | 100 ^a | 0 |
| 101 | 98 | 97 | 86 | 74 | - | 91 |
| 102 | 86 | 67 | 53 | 57 | - | 91 |
| 103 | 100 | 100 | 86 | 85 | - | 0 |
| 104 | 100 | 100 | 74 | 100 ^f | 96 ^a | 0 |
| 105 | 100 | 100 | 74 | 100 ^f | 100 ^a | 0 |
| 106 | 100 | 100 | 74 | 100 ^f | 97 ^a | 0 |
| 107 | 100 | 100 | 73 | 100 ^f | 3 ^a | 0 |
| 108 | 97 | 97 | 74 | 82 ^e | 97 ^a | 0 |
| 109 | 92 | 99 | 53 | 90 | 64 ^a | 49 |
| 110 | 86 | 97 | 53 | 2 | 22 ^a | 11 |
| 111 | 97 | 99 | 86 | 90 | 52 ^a | 49 |
| 112 | 99 | 99 | 52 | 62 | 50 ^a | 0 |
| 113 | 100 | 100 | 94 | 45 | 100 ^a | 0 |
| 114 | 100 | 100 | 99 | 75 | 11 ^a | 0 |

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| | | | | | | |
|-----|-----|-----|----|------------------|-----------------|----|
| 115 | 99 | 100 | 99 | 99 | 79 ^a | 0 |
| 116 | 98 | 100 | 94 | 94 | 64 ^a | 0 |
| 117 | 98 | 100 | 86 | 94 | 84 ^a | 20 |
| 118 | 83 | 100 | 86 | 51 | 50 ^a | 0 |
| 119 | 83 | 100 | 94 | 90 | 86 ^a | 0 |
| 120 | 90 | 100 | 53 | 68 | 76 ^a | 0 |
| 121 | 99 | 99 | 97 | 100 ^e | 99 ^a | 0 |
| 122 | 78 | 94 | 0 | 19 | 11 ^a | 0 |
| 123 | 87 | 97 | 0 | 61 | 4 ^a | 0 |
| 124 | 78 | 86 | 0 | 0 | 2 ^a | 0 |
| 125 | 95 | 99 | 0 | 19 | 4 ^a | 0 |
| 126 | 100 | 100 | 97 | 100 ^f | 84 ^a | 0 |
| 127 | 97 | 97 | 97 | - | 47 ^a | 94 |

^a Compound was tested at 10 ppm (equivalent to 25 g/ha).

^b Compound was tested at 40 ppm (equivalent to 100 g/ha).

^c Compound was tested at 2 ppm (equivalent to 5 g/ha).

^d Compound was tested at 100 ppm (equivalent to 250 g/ha).

^e 20% burn on plant.

^f 100% burn on plant.

^g 50% burn on plant.

TEST G

Southern Corn Rootworm

Test units, each consisting of a 230-mL (8-ounce) plastic cup containing
 5 a 6.5-cm² (1-square-inch) plug of a wheatgerm diet, were prepared. Solutions of each
 of the test compounds in 75:25 acetone-distilled water solvent were sprayed into the
 tray and cup. Spraying was accomplished by passing the tray and cup on a conveyer
 belt directly beneath a flat fan hydraulic nozzle which discharged the spray at a rate of
 0.138 kilograms of active ingredient per hectare (about 0.13 pounds per acre) at 207 kPa
 10 (30 p.s.i.). After the spray on the cups had dried, five second-instar larvae of the
 southern corn rootworm (*Diabrotica undecimpunctata howardi*) were placed into each
 cup. The cups were held at 27°C and 50% relative humidity for 48 hours, after which
 time mortality readings were taken. The same units were read again at 6-8 days for
 delayed toxicity. Of the compounds tested, the following gave control efficacy levels of
 15 80% or greater: 44, 50, 54, 55, 56, 115 and 126.

TEST HContact Test Against Black Bean Aphid

Individual nasturtium leaves were infested with 10 to 15 aphids (all morphs and growth stages of *Aphis fabae*) and sprayed with their undersides facing up as described in TEST G. The leaves were then set in 0.94-cm (3/8-inch) diameter vials containing 4 mL of sugar solution (approximately 1.4 g per liter) and covered with a clear plastic 29-mL (1-ounce) cup to prevent escape of the aphids that drop from the leaves. The test units were held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. Of the compounds tested, the following gave mortality levels of 80% or higher: 5.

TEST ITwo-Spotted Spider Mite

Pieces of kidney bean leaves, each approximately 6.5 cm² (1 square inch) in area, that had been infested on the undersides with 25 to 30 adult mites (*Tetranychus urticae*), were sprayed with their undersides facing up on a hydraulic sprayer with a solution of the test compound in 75:25 acetone-distilled water solvent. Spraying was accomplished by passing the leaves, on a conveyor belt, directly beneath a flat fan hydraulic nozzle which discharged the spray at a rate of 0.138 kilograms of active ingredient per hectare (about 0.13 pounds per acre) at 207 kPa (30 p.s.i.). The leaf squares were then placed underside-up on a square of wet cotton in a petri dish and the perimeter of the leaf square was tamped down onto the cotton with forceps so that the mites could not escape onto the untreated leaf surface. The test units were held at 27°C and 50% relative humidity for 48 hours, after which time mortality readings were taken. Of the compounds tested, the following gave mortality levels of 80% or higher: 12, 13, 45, 54, 86, 88, 89, 90, 111, 113, 114, 115, 116, 117, 118, 119 and 126.

The same units were held an additional 5 days and read for larvicide/ovicide mortality and/or developmental effects. Of the compounds tested, the following gave activity levels of 80% or higher: 63.

TEST JContact Activity Against Green Leafhopper Nymphs

Three rice (*Oryza sativa*) seedlings at the 1.5-leaf stage and about 10-cm tall were transplanted into a 14-mL (1/2-ounce) plastic cup containing Kumiai Brown artificial soil. Seven milliliters of distilled water was then added to the cup. The test chemical was prepared by first dissolving the chemical in acetone and then adding water to produce a final test concentration of 75:25 (acetone-water). Four plastic cups, each cup serving as a replicate, were then placed on a spray chamber turntable. The cups were sprayed for 45 seconds with 50 mL of the chemical solution at a pressure of 2.0 kg/cm² with air-atomizing spray nozzles. The turntable completed 7.5 rotations during the

45-second spray interval. After chemical application, the treated cups were held in a vented enclosure to dry for about 2 h. After drying, the cups were placed into conical-shaped test units and the surface of the soil covered with 2 to 3 mm of quartz sand. Eight to ten 3rd-instar nymphs of the green leafhopper (*Nephotettix cincticeps*) were transferred into the test units using an aspirator. The test units were held at 27°C and 65% relative humidity. Counts of the number of live and dead nymphs were taken at 24 and 48 h post-infestation. Insects unable to walk were classified as dead. Of the compounds tested, the following gave mortality levels of 80% or higher at 48 h at an application rate equivalent to 0.05 kilograms per hectare: 12 and 54.

TEST K

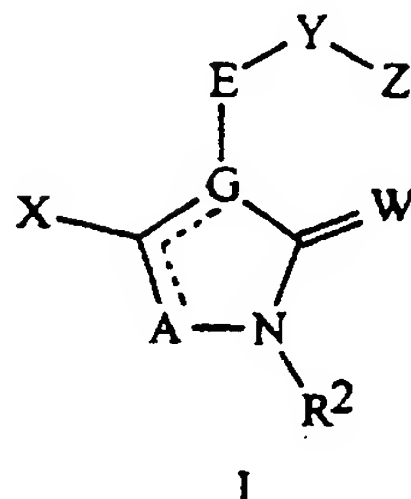
Larval two-Spotted Spider Mites (*Tetranychus urticae*)

Solutions of the test compounds were prepared by dissolving in a minimum of acetone and then adding water containing a wetting agent until the concentration of the compound was 50 ppm. Two-week old red kidney bean plants infested with two-spotted spider mites eggs were sprayed to run-off (equivalent to 28 g/ha) with the test solution using a turntable sprayer. Plants were held in a chamber at 25°C and 50% relative humidity. Of the compounds tested, the following gave larvicide/ovicide activity of 80% or higher seven days after spraying: 54 and 89.

CLAIMS

What is claimed is:

1. A compound selected from Formula I, *N*-oxides and agriculturally suitable salts thereof,



wherein

E is selected from:

- i) 1,2-phenylene optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;
- ii) a naphthalene ring, provided that when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, the naphthalene ring optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ; and
- iii) a ring system selected from 5 to 12-membered monocyclic and fused bicyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each fused bicyclic ring system optionally containing one nonaromatic ring that optionally includes one or two Q as ring members and optionally includes one or two ring members independently selected from $C(=O)$ and $S(O)_2$, provided that G is attached to an aromatic ring, and when G and Y are attached to the same ring, then G and Y are attached to adjacent ring members, each aromatic heterocyclic ring system optionally substituted with one of R^3 , R^4 , or both R^3 and R^4 ;

A is O; S; N; NR^5 ; or CR^{14} ;

G is C or N; provided that when G is C, then A is O, S or NR^5 and the floating double bond is attached to G; and when G is N, then A is N or CR^{14} and the floating double bond is attached to A;

W is O; S; NH; $N(C_1-C_6 \text{ alkyl})$; or $NO(C_1-C_6 \text{ alkyl})$;

X is OR^1 ; $S(O)_mR^1$; or halogen;

R^1 is C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; C_2 - C_4 alkylcarbonyl; or C_2 - C_4 alkoxycarbonyl;

5 R^2 is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; C_2 - C_4 alkylcarbonyl; C_2 - C_4 alkoxycarbonyl; hydroxy; C_1 - C_2 alkoxy; or acetyloxy;

10 R^3 and R^4 are each independently halogen; cyano; nitro; hydroxy; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_2 - C_6 alkenyloxy; C_2 - C_6 alkynyloxy; C_1 - C_6 alkylthio; C_1 - C_6 alkylsulfinyl; C_1 - C_6 alkylsulfonyl; formyl; C_2 - C_6 alkylcarbonyl; C_2 - C_6 alkoxycarbonyl; $NH_2C(O)$; $(C_1-C_4 \text{ alkyl})NHC(O)$; $(C_1-C_4 \text{ alkyl})_2NC(O)$; $Si(R^{25})_3$; $Ge(R^{25})_3$; $(R^{25})_3Si-C\equiv C-$; or phenyl, phenylethynyl, benzoyl or phenylsulfonyl, each substituted with R^8 and optionally substituted with one or more R^{10} ; or
15 when E is 1,2-phenylene and R^3 and R^4 are attached to adjacent atoms, R^3 and R^4 can be taken together as C_3 - C_5 alkylene, C_3 - C_5 haloalkylene, C_3 - C_5 alkenylene or C_3 - C_5 haloalkenylene, each optionally substituted with 1-2 C_1 - C_3 alkyl;

20 R^5 is H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; C_2 - C_4 alkylcarbonyl; or C_2 - C_4 alkoxycarbonyl;

Y is -O-; -S(O) $_n$ -; -NR 15 -; -C(=O)-; -CH(OR 15)-; -CHR 6 -; -CHR 6 CHR 6 -; -CR 6 =CR 6 -; -C \equiv C-; -CHR 15 O-; -OCHR 15 -; -CHR 15 S(O) $_n$ -; -S(O) $_n$ CHR 15 -; -CHR 15 O-N=C(R 7)-; -(R 7)C=N-OCH(R 15)-; -C(R 7)=N-O-; 25 -O-N=C(R 7)-; -CHR 15 OC(=O)N(R 15)-; -CHR 15 OC(=S)N(R 15)-; -CHR 15 OC(=O)O-; -CHR 15 OC(=S)O-; -CHR 15 OC(=O)S-; -CHR 15 OC(=S)S-; -CHR 15 SC(=O)N(R 15)-; -CHR 15 SC(=S)N(R 15)-; -CHR 15 SC(=O)O-; -CHR 15 SC(=S)O-; -CHR 15 SC(=O)S-; -CHR 15 SC(=S)S-; -CHR 15 SC(=NR 15)S-; -CHR 15 N(R 15)C(=O)N(R 15)-; 30 -CHR 15 O-N(R 15)C(=O)N(R 15)-; -CHR 15 O-N(R 15)C(=S)N(R 15)-; -CHR 15 O-N=C(R 7)NR 15 -; -CHR 15 O-N=C(R 7)OCH $_2$ -; -CHR 15 O-N=C(R 7)-N=N-; -CHR 15 O-N=C(R 7)-C(=O)-; -CHR 15 O-N=C(R 7)-C(=N-A 2 -Z 1)-A 1 -; -CHR 15 O-N=C(R 7)-C(R 7)=N-A 2 -A 3 -; -CHR 15 O-N=C(-C(R 7)=N-A 2 -Z 1)-; 35 -CHR 15 O-N=C(R 7)-CH $_2$ O-; -CHR 15 O-N=C(R 7)-CH $_2$ S-; -O-CH $_2$ CH $_2$ O-N=C(R 7)-; -CHR 15 O-C(R 15)=C(R 7)-; -CHR 15 O-C(R 7)=N-; -CHR 15 S-C(R 7)=N-; -C(R 7)=N-NR 15 -; -CH=N-N=C(R 7)-; -CHR 15 N(R 15)-N=C(R 7)-; -CHR 15 N(COCH $_3$)-N=C(R 7)-;

-OC(=S)NR¹⁵C(=O)-; -CHR⁶-C(=W¹)-A¹-; -CHR⁶CHR⁶-C(=W¹)-A¹-;
 -CR⁶=CR⁶-C(=W¹)-A¹-; -C≡C-C(=W¹)-A¹-; -N=CR⁶-C(=W¹)-A¹-; or a
 direct bond; and the directionality of the Y linkage is defined such that the
 moiety depicted on the left side of the linkage is bonded to E and the moiety
 on the right side of the linkage is bonded to Z;

Z¹ is H or -A³-Z²;

W¹ is O or S;

A¹ is O; S; NR¹⁵; or a direct bond;

A² is O; NR¹⁵; or a direct bond;

A³ is -C(=O)-; -S(O)₂-; or a direct bond;

Z² is selected from:

i) C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl and C₂-C₁₀ alkynyl, each optionally
 substituted with one or more R¹⁰;

ii) C₃-C₈ cycloalkyl, C₃-C₈ cycloalkenyl and phenyl, each optionally
 substituted with one or more R¹⁰;

iii) a ring system selected from 3 to 14-membered monocyclic, fused
 bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 5 to
 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic
 heterocyclic ring systems, each heterocyclic ring system containing 1 to 6
 heteroatoms independently selected from the group nitrogen, oxygen, and
 sulfur, provided that each heterocyclic ring system contains no more than 4
 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each
 nonaromatic or aromatic heterocyclic ring system optionally substituted
 with one or more R¹⁰;

iv) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic
 and fused-tricyclic ring systems which are an aromatic carbocyclic ring
 system, a nonaromatic carbocyclic ring system, or a ring system containing
 one or two nonaromatic rings that each include one or two Q as ring
 members and one or two ring members independently selected from C(=O)
 and S(O)₂, and any remaining rings as aromatic carbocyclic rings, each
 multicyclic ring system optionally substituted with one or more R¹⁰; and
 v) adamantyl optionally substituted with one or more R¹⁰;

each R⁶ is independently H; 1-2 CH₃; C₂-C₃ alkyl; C₁-C₃ alkoxy; C₃-C₆
 cycloalkyl; formylamino; C₂-C₄ alkylcarbonylamino; C₂-C₄
 alkoxy carbonylamino; NH₂C(O)NH; (C₁-C₃ alkyl)NHC(O)NH;
 (C₁-C₃ alkyl)₂NC(O)NH; N(C₁-C₃ alkyl)₂; piperidinyl; morpholinyl;
 1-2 halogen; cyano; or nitro;

each R^7 is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_1 - C_6 alkylthio; C_1 - C_6 alkylsulfinyl; C_1 - C_6 alkylsulfonyl; C_1 - C_6 haloalkylthio; C_1 - C_6 haloalkylsulfinyl; C_1 - C_6 haloalkylsulfonyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; C_2 - C_4 alkylcarbonyl; C_2 - C_4 alkoxy carbonyl; halogen; cyano; nitro; hydroxy; amino; $NH(C_1$ - C_6 alkyl); $N(C_1$ - C_6 alkyl) $_2$; or morpholinyl;

Z is selected from:

- i) C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkenyl and phenyl, each substituted with R^9 and optionally substituted with one or more R^{10} ;
- ii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic and fused tricyclic nonaromatic heterocyclic ring systems and 5 to 14-membered monocyclic, fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system substituted with R^9 and optionally substituted with one or more R^{10} ;
- iii) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from $C(=O)$ and $S(O)_2$, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system substituted with R^9 and optionally substituted with one or more R^{10} ; and
- iv) adamantyl substituted with R^9 and optionally substituted with one or more R^{10} ;

each Q is independently selected from the group $-CHR^{13}-$, $-NR^{13}-$, $-O-$ and $-S(O)_p-$;

R^8 is H; 1-2 halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_1 - C_6 alkoxy; C_1 - C_6 haloalkoxy; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_1 - C_6 alkylthio; C_1 - C_6 haloalkylthio; C_1 - C_6 alkylsulfinyl; C_1 - C_6 alkylsulfonyl; C_3 - C_6 cycloalkyl; C_3 - C_6 alkenyloxy; $CO_2(C_1$ - C_6 alkyl); $NH(C_1$ - C_6 alkyl); $N(C_1$ - C_6 alkyl) $_2$; cyano; nitro; $SiR^{19}R^{20}R^{21}$; or $GeR^{19}R^{20}R^{21}$;

R^9 is C_1 - C_6 alkyl substituted with 2-3 C_1 - C_3 alkoxy; C_2 - C_4 alkynyl substituted with one hydroxy or 1-3 C_1 - C_4 alkoxy; C_2 - C_6 haloalkynyl; C_3 - C_6

- cycloalkyl substituted with at least one member selected from 1-4 halogen, 1-2 C₁-C₃ alkyl, 1-2 C₁-C₃ alkoxy and one Z³; C₃-C₆ cycloalkenyl or C₃-C₆ cycloalkoxy each optionally substituted with at least one member selected from 1-2 halogen, 1-2 C₁-C₃ alkyl, 1-2 C₁-C₃ alkoxy and one Z³;
- 5 adamantyl; C₂-C₆ alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₂-C₆ cyanoalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀ tetrahydropyranyloxyalkynyl; C₁-C₃ alkoxy substituted with cyano, C(=O)OR²⁶ or C(=O)N(R²⁶)₂; C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy; C₃-C₆ haloalkynyloxy; C₂-C₆ alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy; C₂-C₆ alkylthioalkoxy;
- 10 C₁-C₃ alkylthio substituted with cyano, C(=O)OR²⁶ or C(=O)N(R²⁶)₂; C₁-C₆ haloalkylsulfinyl; C₁-C₆ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₃-C₆ alkynylthio; C₃-C₆ haloalkynylthio; C₂-C₆ alkoxyalkylthio; C₂-C₆ alkylthioalkylthio; thiocyanato; hydroxy; mercapto; amino; N(R²⁶)(R²⁸); SiR²²R²³R²⁴; GeR²²R²³R²⁴; (R²⁵)₃Si-C≡C-;
- 15 OSi(R²⁵)₃; OGe(R²⁵)₃; C(=O)R²⁹; C(=S)R²⁶; C(=O)OR³⁰; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶; C(=O)N(R²⁶)₂; C(=S)N(R²⁶)₂; C(=NR²⁶)OR²⁷; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶; SC(=S)R²⁶; N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷; OC(=O)SR²⁷; OC(=O)N(R²⁶)₂; SC(=O)OR²⁷; SC(=O)SR²⁷; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂; OS(O)₂R²⁷; or
- 20 N(R²⁶)S(O)₂R²⁷; or R⁹ is benzyloxy, benzyloxymethyl, phenylethynyl, phenoxymethyl, phenylthio, phenylsulfonyl, benzylthio, pyridinylmethyl, pyridinylmethyloxy, pyridinyloxymethyl, pyridinylethynyl, pyridinylthio, thienylmethyl, thienylthio, furanylmethyl, furanyloxy, furanylthio, pyrimidinylmethyl or pyrimidinylthio, each optionally substituted on the
- 25 aromatic ring with one of R¹¹, R¹², or both R¹¹ and R¹²; or R⁹ is C₂-C₆ alkyl or C₂-C₆ alkoxy substituted with 1-2 phenyl, naphthalenyl, phenoxy, benzyloxy, pyridinyl, pyrimidinyl, thienyl or furanyl, each aromatic ring optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²; or R⁹ is -A⁴-Z⁴;
- 30 each R¹⁰ is independently halogen; C₁-C₄ alkyl optionally substituted with 1-3 C₁-C₃ alkoxy; C₁-C₄ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; C₂-C₆ alkoxyalkyl; C₂-C₆ alkylthioalkyl; C₂-C₆ cyanoalkyl; C₃-C₆ alkoxyalkynyl; C₇-C₁₀ tetrahydropyranyloxyalkynyl; benzyloxymethyl; C₁-C₄ alkoxy; C₁-C₄ haloalkoxy;
- 35 C₃-C₆ alkenyloxy; C₃-C₆ haloalkenyloxy; C₃-C₆ alkynyloxy; C₃-C₆ haloalkynyloxy; C₃-C₆ cycloalkoxy; C₂-C₆ alkoxyalkoxy; C₅-C₉ trialkylsilylalkoxyalkoxy; C₂-C₆ alkylthioalkoxy; C₁-C₄ alkylthio; C₁-C₄ haloalkylthio; C₁-C₄ alkylsulfinyl; C₁-C₄ haloalkylsulfinyl; C₁-C₄

alkylsulfonyl; C₁-C₄ haloalkylsulfonyl; C₃-C₆ alkenylthio; C₃-C₆ haloalkenylthio; C₃-C₆ alkynylthio; C₃-C₆ haloalkynylthio; C₂-C₆ alkoxyalkylthio; C₂-C₆ alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; mercapto; N(R²⁶)₂; SF₅; Si(R²⁵)₃; Ge(R²⁵)₃; (R²⁵)₃Si-C≡C-; OSi(R²⁵)₃; OGe(R²⁵)₃; -C(R¹⁸)=NOR¹⁷; C(=O)R²⁶; C(=S)R²⁶; C(=O)OR²⁶; C(=S)OR²⁶; C(=O)SR²⁶; C(=S)SR²⁶; C(=O)N(R²⁶)₂; C(=S)N(R²⁶)₂; C(=NR²⁶)OR²⁷; OC(=O)R²⁶; OC(=S)R²⁶; SC(=O)R²⁶; SC(=S)R²⁶; N(R²⁶)C(=O)R²⁶; N(R²⁶)C(=S)R²⁶; OC(=O)OR²⁷; OC(=O)SR²⁷; OC(=O)N(R²⁶)₂; SC(=O)OR²⁷; SC(=O)SR²⁷; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂; OS(O)₂R²⁷; N(R²⁶)S(O)₂R²⁷; or phenyl, benzyl or phenoxy, each optionally substituted on the phenyl ring with one of R¹¹, R¹², or both R¹¹ and R¹²; or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is

-CHR¹⁵O-N=C(R⁷)-, -O-N=C(R⁷)-, -O-CH₂CH₂O-N=C(R⁷)-, -CHR¹⁵O-C(R¹⁵)=C(R⁷)-, -CH=N-N=C(R⁷)-, -CHR¹⁵N(R¹⁵)-N=C(R⁷)- or -CHR¹⁵N(COCH₃)-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken together as -(CH₂)_r-J- such that J is attached to Z;

J is -CH₂-; -CH₂CH₂-; -OCH₂-; -CH₂O-; -SCH₂-; -CH₂S-; -N(R¹⁶)CH₂-; or -CH₂N(R¹⁶)-; each CH₂ group of said J optionally substituted with 1 to 2 CH₃;

Z³ is phenyl, naphthalenyl, 1*H*-pyrrolyl, furanyl, thienyl, 1*H*-pyrazolyl, 1*H*-imidazolyl, isoxazolyl, oxazolyl, isothiazolyl, thiazolyl, 1*H*-1,2,3-triazolyl, 2*H*-1,2,3-triazolyl, 1*H*-1,2,4-triazolyl, 4*H*-1,2,4-triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1*H*-tetrazolyl, 2*H*-tetrazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl or 1,2,4,5-tetrazinyl, each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;

A⁴ is O; S; straight-chain or branched C₁-C₆ alkylene; or a direct bond;

Z⁴ is selected from:

- i) 1*H*-pyrrolyl, 1*H*-pyrazolyl, 1*H*-imidazolyl, isoxazolyl, oxazolyl, isothiazolyl, thiazolyl, 1*H*-1,2,3-triazolyl, 2*H*-1,2,3-triazolyl, 1*H*-1,2,4-triazolyl, 4*H*-1,2,4-triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1*H*-tetrazolyl, 2*H*-tetrazolyl, pyridazinyl, pyrazinyl, 1,3,5-triazinyl, 1,2,4-triazinyl and 1,2,4,5-tetrazinyl; each optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²;
- ii) a ring system selected from 3 to 14-membered monocyclic, fused bicyclic

- and fused tricyclic nonaromatic heterocyclic ring systems and 8 to 14-membered fused bicyclic and fused tricyclic aromatic heterocyclic ring systems, each heterocyclic ring system containing 1 to 6 heteroatoms independently selected from the group nitrogen, oxygen, and sulfur, provided that each heterocyclic ring system contains no more than 4 nitrogens, no more than 2 oxygens, and no more than 2 sulfurs, each nonaromatic or aromatic heterocyclic ring system optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ; and
- iii) a multicyclic ring system selected from 8 to 14-membered fused-bicyclic and fused-tricyclic ring systems which are an aromatic carbocyclic ring system, a nonaromatic carbocyclic ring system, or a ring system containing one or two nonaromatic rings that each include one or two Q as ring members and one or two ring members independently selected from $C(=O)$ and $S(O)_2$, and any remaining rings as aromatic carbocyclic rings, each multicyclic ring system optionally substituted with one of R^{11} , R^{12} , or both R^{11} and R^{12} ;
- each R^{11} and each R^{12} are independently 1-2 halogen; C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_2 - C_6 alkoxyalkyl; C_2 - C_6 alkylthioalkyl; C_3 - C_6 alkoxyalkynyl; C_7 - C_{10} tetrahydropyranyloxyalkynyl; benzyloxymethyl; C_1 - C_4 alkoxy; C_1 - C_4 haloalkoxy; C_3 - C_6 alkenyloxy; C_3 - C_6 haloalkenyloxy; C_3 - C_6 alkynyloxy; C_3 - C_6 haloalkynyloxy; C_2 - C_6 alkoxyalkoxy; C_5 - C_9 trialkylsilylalkoxyalkoxy; C_2 - C_6 alkylthioalkoxy; C_1 - C_4 alkylthio; C_1 - C_4 haloalkylthio; C_1 - C_4 alkylsulfinyl; C_1 - C_4 haloalkylsulfinyl; C_1 - C_4 alkylsulfonyl; C_1 - C_4 haloalkylsulfonyl; C_3 - C_6 alkenylthio; C_3 - C_6 haloalkenylthio; C_2 - C_6 alkylthioalkylthio; nitro; cyano; thiocyanato; hydroxy; mercapto; $N(R^{26})_2$; SF_5 ; $Si(R^{25})_3$; $Ge(R^{25})_3$; $(R^{25})_3Si-C\equiv C-$; $OSi(R^{25})_3$; $OGe(R^{25})_3$; $C(=O)R^{26}$; $C(=S)R^{26}$; $C(=O)OR^{26}$; $C(=S)OR^{26}$; $C(=O)SR^{26}$; $C(=S)SR^{26}$; $C(=O)N(R^{26})_2$; $C(=S)N(R^{26})_2$; $OC(=O)R^{26}$; $OC(=S)R^{26}$; $SC(=O)R^{26}$; $SC(=S)R^{26}$; $N(R^{26})C(=O)R^{26}$; $N(R^{26})C(=S)R^{26}$; $OC(=O)OR^{27}$; $OC(=O)SR^{27}$; $OC(=O)N(R^{26})_2$; $SC(=O)OR^{27}$; $SC(=O)SR^{27}$; $S(O)_2OR^{26}$; $S(O)_2N(R^{26})_2$; $OS(O)_2R^{27}$; $N(R^{26})S(O)_2R^{27}$; or phenyl, phenoxy, benzyl, benzyloxy, phenylsulfonyl, phenylethynyl or pyridinylethynyl, each optionally substituted on the aromatic ring with 1-2 groups independently selected from halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro and cyano;

each R^{13} is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; or phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

R^{14} is H; halogen; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; or C_3 - C_6 cycloalkyl;

each R^{15} is independently H; C_1 - C_3 alkyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano; or

when Y is $-\text{CHR}^{15}\text{N}(\text{R}^{15})\text{C}(=\text{O})\text{N}(\text{R}^{15})-$, the two R^{15} attached to nitrogen atoms on said group can be taken together as $-(\text{CH}_2)_5-$; or

when Y is $-\text{CHR}^{15}\text{O}-\text{N}=\text{C}(\text{R}^7)\text{NR}^{15}-$, R^7 and the adjacently attached R^{15} can be taken together as $-\text{CH}_2-(\text{CH}_2)_5-$; $-\text{O}-(\text{CH}_2)_5-$; $-\text{S}-(\text{CH}_2)_5-$; or $-\text{N}(\text{C}_1\text{-C}_3 \text{ alkyl})-(\text{CH}_2)_5-$; with the directionality of said linkage defined such that the moiety depicted on the left side of the linkage is bonded to the carbon and the moiety on the right side of the linkage is bonded to the nitrogen;

R^{16} , R^{17} , and R^{18} are each independently H; C_1 - C_3 alkyl; C_3 - C_6 cycloalkyl; or phenyl optionally substituted with halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro or cyano;

R^{19} , R^{20} , R^{21} , R^{22} , and R^{23} are each independently C_1 - C_6 alkyl; C_1 - C_4 haloalkyl; C_2 - C_6 alkenyl; C_1 - C_4 alkoxy; or phenyl;

R^{24} is C_1 - C_4 haloalkyl;

each R^{25} is independently C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_4 alkenyl; C_1 - C_4 alkoxy; or phenyl;

each R^{26} is independently H; C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro and cyano;

each R^{27} is independently C_1 - C_6 alkyl; C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, nitro and cyano;

each R^{28} is independently C_1 - C_6 haloalkyl; C_2 - C_6 alkenyl; C_2 - C_6 haloalkenyl; C_2 - C_6 alkynyl; C_2 - C_6 haloalkynyl; C_3 - C_6 cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups

independently selected from halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro and cyano;

R²⁹ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; or benzyl optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro and cyano;

R³⁰ is H; C₁-C₆ haloalkyl; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; C₂-C₆ alkynyl; C₂-C₆ haloalkynyl; C₃-C₆ cycloalkyl; or phenyl or benzyl, each optionally substituted on the phenyl ring with 1-2 groups independently selected from halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, nitro and cyano;

m, n and p are each independently 0, 1 or 2;

r is 0 or 1; and

s is 2 or 3;

provided that when Y is -CH(OR¹⁵)-, -CHR⁶-, -CHR⁶CHR⁶-, -CR⁶=CR⁶-, -C≡C-, -CHR¹⁵O-, -OCHR¹⁵-, -S(O)_nCHR¹⁵-, -(R⁷)C=N-OCH(R¹⁵)-, -CHR¹⁵O-N=C(R⁷)-CH₂O-, -CHR¹⁵O-C(R¹⁵)=C(R⁷)-, -CHR⁶-C(=W¹)-A¹-, -CHR⁶CHR⁶-C(=W¹)-A¹-, -CR⁶=CR⁶-C(=W¹)-A¹- or -C≡C-C(=W¹)-A¹-, then Z is other than phenyl, furanyl, thienyl, pyridinyl and pyrimidinyl.

2. A compound of Claim 1 wherein:

E is selected from the group 1,2-phenylene; 1,5-, 1,6-, 1,7-, 1,8-, 2,6-, 2,7-, 1,2-, and 2,3-naphthalenediyl; 1*H*-pyrrole-1,2-, 2,3- and 3,4-diyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 1*H*-pyrazole-1,5-, 3,4- and 4,5-diyl; 1*H*-imidazole-1,2-, 4,5- and 1,5-diyl; 3,4- and 4,5-isoxazolediyl; 4,5-oxazolediyl; 3,4- and 4,5-isothiazolediyl; 4,5-thiazolediyl; 1*H*-1,2,3-triazole-1,5- and 4,5-diyl; 2*H*-1,2,3-triazole-4,5-diyl; 1*H*-1,2,4-triazole-1,5-diyl; 4*H*-1,2,4-triazole-3,4-diyl; 1,2,3-oxadiazole-4,5-diyl; 1,2,5-oxadiazole-3,4-diyl; 1,2,3-thiadiazole-4,5-diyl; 1,2,5-thiadiazole-3,4-diyl; 1*H*-tetrazole-1,5-diyl; 2,3- and 3,4-pyridinediyl; 3,4- and 4,5-pyridazinediyl; 4,5-pyrimidinediyl; 2,3-pyrazinediyl; 1,2,3-triazine-4,5-diyl; 1,2,4-triazine-5,6-diyl; 1*H*-indole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 1,2-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-benzofurandiyl; benzo[*b*]thiophene-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 2,3-, 4,5-, 5,6- and 6,7-diyl; 1*H*-indazole-1,4-, 1,5-, 1,6-, 1,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl;

- 1 *H*-benzimidazole-1,4-, 1,5-, 1,6-, 1,7-, 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,2-benzisoxazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzoxazolediyl; 1,2-benzisothiazole-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-diyl; 2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-benzothiazolediyl; 2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3-, 3,4-, 5,6-, 6,7- and 7,8-quinolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-isoquinolinediyl; 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 3,4-, 5,6-, 6,7- and 7,8-cinnolinediyl; 1,5-, 1,6-, 1,7-, 1,8-, 5,6-, 6,7- and 7,8-phthalazinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-quinazolinediyl; 2,5-, 2,6-, 2,7-, 2,8-, 2,3-, 5,6-, 6,7- and 7,8-quinoxalinediyl; 1,8-naphthyridine-2,5-, 2,6-, 2,7-, 3,5-, 3,6-, 4,5-, 2,3- and 3,4-diyl; 2,6-, 2,7-, 4,6-, 4,7-, 6,7-pteridinediyl; pyrazolo[5,1-*b*]thiazole-2,6-, 2,7-, 3,6-, 3,7-, 2,3- and 6,7-diyl; 15 thiazolo[2,3-*c*]-1,2,4-triazole-2,5-, 2,6-, 5,6-diyl; 2-oxo-1,3-benzodioxole-4,5- and 5,6-diyl; 1,3-dioxo-1*H*-isoindole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2-oxo-2*H*-1-benzopyran-3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 5,6-, 6,7- and 7,8-diyl; [1,2,4]triazolo[1,5-*a*]pyridine-2,5-, 2,6-, 2,7-, 2,8-, 5,6-, 6,7- and 7,8-diyl; 20 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazine-3,5-, 3,6-, 3,7-, 3,8-, 5,6-, 6,7- and 7,8-diyl; 2,3-dihydro-2-oxo-3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl; thieno[3,2-*d*]thiazole-2,5-, 2,6-, and 5,6-diyl; 5,6,7,8-tetrahydro-2,5-, 2,6-, 2,7-, 2,8-, 3,5-, 3,6-, 3,7-, 3,8-, 4,5-, 4,6-, 4,7-, 4,8-, 2,3- and 3,4-quinolinediyl; 25 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazole-2,4-, 2,5-, 2,6-, 2,7-, 4,5-, 5,6- and 6,7-diyl; 1,3-benzodioxole-2,4-, 2,5-, 4,5- and 5,6-diyl; 2,3-dihydro-2,4-, 2,5-, 2,6-, 2,7-, 3,4-, 3,5-, 3,6-, 3,7-, 4,5-, 5,6- and 6,7-benzofurandiyl; 2,3-dihydro-1,4-benzodioxin-2,5-, 2,6-, 2,7-, 2,8-, 5,6- and 6,7-diyl; and 5,6,7,8-tetrahydro-4*H*-cyclohepta[*b*]thiophene-2,4-, 2,5-, 30 2,6-, 2,7-, 2,8-, 3,4-, 3,5-, 3,6-, 3,7-, 3,8-, and 2,3-diyl; each aromatic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

W is O;

R¹ is C₁-C₃ alkyl or C₁-C₃ haloalkyl;

R² is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; or C₃-C₆ cycloalkyl;

- 35 R³ and R⁴ are each independently halogen; cyano; nitro; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkoxy; C₁-C₆ alkylthio; C₁-C₆ alkylsulfonyl; C₂-C₆ alkylcarbonyl; C₂-C₆ alkoxycarbonyl; (C₁-C₄ alkyl)NHC(O); (C₁-C₄ alkyl)₂NC(O); benzoyl; or phenylsulfonyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; -CH₂CH₂-; -CH=CH-; -C≡C-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -S(O)_nCH₂-; -CH₂O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -C(R⁷)=N-O-; or a direct bond;

R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio; C₂-C₆ alkenyl; C₂-C₆ alkynyl; C₃-C₆ cycloalkyl; halogen; or cyano; or

when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is

-CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken together as -(CH₂)_r-J- such that J is attached to Z;

Z is selected from the group C₃-C₈ cycloalkyl; phenyl; naphthalenyl; anthracenyl; phenanthrenyl; 1*H*-pyrrolyl; furanyl; thienyl; 1*H*-pyrazolyl; 1*H*-imidazolyl; isoxazolyl; oxazolyl; isothiazolyl; thiazolyl; 1*H*-1,2,3-triazolyl; 2*H*-1,2,3-triazolyl; 1*H*-1,2,4-triazolyl; 4*H*-1,2,4-triazolyl; 1,2,3-oxadiazolyl; 1,2,4-oxadiazolyl; 1,2,5-oxadiazolyl; 1,3,4-oxadiazolyl; 1,2,3-thiadiazolyl; 1,2,4-thiadiazolyl; 1,2,5-thiadiazolyl; 1,3,4-thiadiazolyl; 1*H*-tetrazolyl; 2*H*-tetrazolyl; pyridinyl; pyridazinyl; pyrimidinyl; pyrazinyl; 1,3,5-triazinyl; 1,2,4-triazinyl; 1,2,4,5-tetrazinyl; 1*H*-indolyl; benzofuranyl; benzo[*b*]thiophenyl; 1*H*-indazolyl; 1*H*-benzimidazolyl; benzoxazolyl; benzothiazolyl; quinolinyl; isoquinolinyl; cinnolinyl; phthalazinyl; quinazolinyl; quinoxalinyl; 1,8-naphthyridinyl; pteridinyl; 2,3-dihydro-1*H*-indenyl; 1,2,3,4-tetrahydronaphthalenyl; 6,7,8,9-tetrahydro-5*H*-benzocycloheptenyl; 5,6,7,8,9,10-hexahydrobenzocyclooctenyl; 2,3-dihydro-3-oxobenzofuranyl; 1,3-dihydro-1-oxoisobenzofuranyl; 2,3-dihydro-2-oxobenzofuranyl; 3,4-dihydro-4-oxo-2*H*-1-benzopyranyl; 3,4-dihydro-1-oxo-1*H*-2-benzopyranyl; 3,4-dihydro-3-oxo-1*H*-2-benzopyranyl; 3,4-dihydro-2-oxo-2*H*-1-benzopyranyl; 4-oxo-4*H*-1-benzopyranyl; 2-oxo-2*H*-1-benzopyranyl; 2,3,4,5-tetrahydro-5-oxo-1-benzoxepinyl; 2,3,4,5-tetrahydro-2-oxo-1-benzoxepinyl; 2,3-dihydro-1,3-dioxo-1*H*-isoindolyl; 1,2,3,4-tetrahydro-1,3-dioxoisoquinolinyl; 3,4-dihydro-2,4-dioxo-2*H*-1,3-benzoxazinyl; 2-oxo-1,3-benzodioxolyl; 2,3-dihydro-1,1,3-trioxo-1,2-benzisothiazolyl; 9*H*-fluorenyl; azulenyl; and thiazolo[2,3-*c*]-1,2,4-triazolyl; each group substituted with R⁹ and optionally substituted with one or more R¹⁰; and

R¹⁵ is H; C₁-C₃ alkyl; or C₃-C₆ cycloalkyl.

3. A compound of Claim 2 wherein:

E is selected from the group 1,2-phenylene; 1,6-, 1,7-, 1,2-, and
2,3-naphthalenediyl; 2,3- and 3,4-furandiyl; 2,3- and 3,4-thiophenediyl; 2,3-
and 3,4-pyridinediyl; 4,5-pyrimidinediyl; 2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6- and
5 6,7-benzofurandiyl; and benzo[b]thiophene-2,4-, 2,7-, 3,5-, 2,3-, 4,5-, 5,6-
and 6,7-diyl; each aromatic ring system optionally substituted with one of
R³, R⁴, or both R³ and R⁴;

Z is selected from the group phenyl; naphthalenyl; 2-thiazolyl; 1,2,4-oxadiazolyl;
1,3,4-oxadiazolyl; 1,2,4-thiadiazolyl; 1,3,4-thiadiazolyl; pyridinyl; and
10 pyrimidinyl; each group substituted with R⁹ and optionally substituted with
one or more R¹⁰;

R⁷ is H; C₁-C₆ alkyl; C₁-C₆ haloalkyl; C₁-C₆ alkoxy; C₁-C₆ alkylthio; C₂-C₆
alkenyl; C₂-C₆ alkynyl; cyclopropyl; halogen; or cyano;

R⁹ is C₃-C₆ cycloalkyl substituted with at least one member selected from 1-2
15 halogen, 1-2 C₁-C₃ alkyl, 1-2 C₁-C₃ alkoxy, and one Z³; C₃-C₆ cycloalkoxy
optionally substituted with at least one member selected from 1-2 halogen,
1-2 C₁-C₃ alkyl, 1-2 C₁-C₃ alkoxy, and one Z³; C₁-C₆ haloalkylsulfinyl;
C₁-C₆ haloalkylsulfonyl; thiocyanato; SiR²²R²³R²⁴; GeR²²R²³R²⁴;
(R²⁵)₃Si-C≡C-; C(=O)R²⁹; C(=O)OR³⁰; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂; or
20 OS(O)₂R²⁷; or R⁹ is benzyloxy, phenylethynyl, phenoxymethyl, phenylthio,
phenylsulfonyl, benzylthio, pyridinylmethyloxy, pyridinyloxymethyl,
pyridinylethynyl or furanyloxy, each optionally substituted on the aromatic
ring with one of R¹¹, R¹², or both R¹¹ and R¹²; or R⁹ is C₂-C₆ alkyl or
C₂-C₆ alkoxy substituted with 1-2 phenyl, naphthalenyl, phenoxy,
25 benzyloxy, pyridinyl, pyrimidinyl, thienyl or furanyl, each aromatic ring
optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²; or R⁹ is
-A⁴-Z⁴;

each R¹⁰ is independently halogen; C₁-C₄ haloalkyl; C₂-C₆ alkynyl; nitro; cyano;
Si(R²⁵)₃; or (R²⁵)₃Si-C≡C-; or

30 when Y and an R¹⁰ are attached to adjacent atoms on Z and Y is
-CH₂O-N=C(R⁷)-, R⁷ and said adjacently attached R¹⁰ can be taken
together as -(CH₂)_r-J- such that J is attached to Z;

J is -CH₂- or -CH₂CH₂-;

Z³ is phenyl, furanyl, thienyl or pyridinyl, each optionally substituted with one of
35 R¹¹, R¹², or both R¹¹ and R¹²;

A⁴ is a direct bond;

Z⁴ is 1,3-benzodioxolyl optionally substituted with one of R¹¹, R¹², or both R¹¹
and R¹²; and

r is 1.

4. A compound of Claim 3 wherein:

E is selected from the group 1,2-phenylene; 2,3- and 3,4-thiophenediyl; and 2,3- and 3,4-pyridinediyl; each aromatic ring system optionally substituted with one of R³, R⁴, or both R³ and R⁴;

A is O or N;

X is OR¹;

R¹ is C₁-C₃ alkyl;

R² is H or C₁-C₂ alkyl;

Y is -O-; -S(O)_n-; -NR¹⁵-; -C(=O)-; -CH(OR¹⁵)-; -CH₂-; -CH₂CH₂-; -CH=CH-; -C≡C-; -CH₂O-; -OCH₂-; -CH₂S(O)_n-; -S(O)_nCH₂-; -CH₂O-N=C(R⁷)-; -(R⁷)C=N-OCH(R¹⁵)-; -CH₂OC(=O)NH-; -CH₂S-C(R⁷)=N-; or a direct bond;

Z is selected from the group phenyl; 2-thiazolyl; 1,2,4-thiadiazolyl; pyridinyl; and pyrimidinyl; each group substituted with R⁹ and optionally substituted with one or more R¹⁰;

R⁷ is H; C₁-C₃ alkyl; C₁-C₃ haloalkyl; C₁-C₃ alkoxy; C₁-C₃ alkylthio; or cyclopropyl; and

R¹⁵ is H; C₁-C₃ alkyl; or cyclopropyl.

5. A compound of Claim 4 wherein:

R¹ is methyl;

R² is methyl;

Y is -O-; -CH₂O-; -CH₂O-N=C(R⁷)-; or -(R⁷)C=N-OCH(R¹⁵)-;

R⁹ is C₃-C₆ cycloalkyl substituted with one Z³; C₃-C₆ cycloalkoxy; SiR²²R²³R²⁴; GeR²²R²³R²⁴; (R²⁵)₃Si-C≡C-; S(O)₂OR²⁶; S(O)₂N(R²⁶)₂; or OS(O)₂R²⁷; or R⁹ is benzyloxy or pyridinylmethyloxy, each optionally substituted on the aromatic ring with one of R¹¹, R¹², or both R¹¹ and R¹²; or R⁹ is C₂-C₆ alkyl substituted with phenyl optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹²; or R⁹ is -A⁴-Z⁴;

each R¹⁰ is independently halogen; C₁-C₄ haloalkyl; C₂-C₆ alkynyl; or Si(R²⁵)₃; and

Z³ is phenyl optionally substituted with one of R¹¹, R¹², or both R¹¹ and R¹².

6. A compound of Claim 5 wherein:

Y is -O- or -CH₂O-N=C(R⁷)-; and

R⁹ is C₃-C₆ cycloalkyl substituted with one Z³; C₃-C₆ cycloalkoxy; SiR²²R²³R²⁴; GeR²²R²³R²⁴; or (R²⁵)₃Si-C≡C-; or R⁹ is benzyloxy optionally substituted on the aromatic ring with one of R¹¹, R¹², or both R¹¹ and R¹²; or R⁹ is -A⁴-Z⁴.

7. The compound of Claim 6 which is selected from the group:

4-[2-[[3-(1,3-benzodioxol-5-yl)-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

5 4-[2-[[[1-[3-[dimethyl(3,3,3-trifluoropropyl)silyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[3-[(2-chlorophenyl)methoxy]phenoxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

10 4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]phenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

4-[2-[[3-[1-(4-chlorophenyl)cyclopropyl]-1,2,4-thiadiazol-5-yl]oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3*H*-1,2,4-triazol-3-one;

15 2,4-dihydro-5-methoxy-2-methyl-4-[2-[[[1-[3-[tris(trifluoromethyl)germyl]phenyl]ethylidene]amino]oxy]methyl]phenyl]-3*H*-1,2,4-triazol-3-one; and

2,4-dihydro-5-methoxy-2-methyl-4-[2-[3-[2-(trimethylsilyl)ethynyl]phenoxy]phenyl]-3*H*-1,2,4-triazol-3-one.

8. A fungicidal composition comprising a fungicidally effective amount of a compound of Claim 1 and at least one of a surfactant, a solid diluent or a liquid diluent.

20 9. A method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of Claim 1.

10. An arthropodicidal composition comprising an arthropodicidally effective amount of a compound of Claim 1 and at least one of a surfactant, a solid diluent or a liquid diluent.

25 11. A method for controlling arthropods comprising contacting the arthropods or their environment with an arthropodicidally effective amount of a compound of Claim 1.



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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| (51) International Patent Classification ⁶ : C07D 249/12, A01N 43/653, C07D 413/10, 403/04, A01N 43/74, C07D 401/04, 261/12, 417/14, 403/10 | | A3 | (11) International Publication Number: WO 98/05652 |
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| (21) International Application Number: PCT/US97/12809 (22) International Filing Date: 24 July 1997 (24.07.97) (30) Priority Data: 60/022,933 1 August 1996 (01.08.96) US (71) Applicant (for all designated States except US): E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): BROWN, Richard, James [US/US]; 225 North Star Road, Newark, DE 19711 (US). CHAN, Dominic, Ming-Tak [US/US]; 4655 Dartmoor Drive, Wilmington, DE 19803 (US). CLARK, David, Alan [GB/US]; English Village Apartments, 9 Martin Hall, Newark, DE 19711 (US). DRUMM, Joseph, Eugene, III [US/US]; 21 Anglin Drive, Newark, DE 19713 (US). KOETHER, Gerard, Michael [US/US]; 2304 Porter Road, Bear, DE 19701 (US). McCANN, Stephen, Frederick [US/US]; 11 Old Stable Lane, Newark, DE 19711 (US). RORER, Morris, Padgett [US/US]; 64 Lower Valley Lane, Newark, DE 19711 (US). SELBY, Thomas, Paul [US/US]; 116 Hunter Court, Wilmington, DE 19808 (US). WALKER, | | Michael, Paul [US/US]; 22 Matthews Road, Newark, DE 19713 (US). (74) Agent: HEISER, David, E.; E.I. du Pont de Nemours and Company, Legal Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US). (81) Designated States: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ARIPO patent (GH, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i> (88) Date of publication of the international search report: 11 June 1998 (11.06.98) | |
| (54) Title: ARTHROPODICIDAL AND FUNGICIDAL CYCLIC AMIDES | | | |
| (57) Abstract | | | |
| <p>Compounds of Formula (I), and their N-oxides and agriculturally suitable salts, are disclosed which are useful as fungicides and arthropodicides, wherein A is O; S; N; NR⁵; or CR¹⁴; G is C or N; provided that when G is C, then A is O, S or NR⁵ and the floating double bond is attached to G; and when G is N, then A is N or CR¹⁴ and the floating double bond is attached to A; W is O; S; NH; N(C₁-C₆alkyl); or NO(C₁-C₆alkyl); X is OR¹; S(O)_mR¹; or halogen; R¹ is C₁-C₆alkyl; C₁-C₆haloalkyl; C₂-C₆alkenyl; C₂-C₆haloalkenyl; C₂-C₆alkynyl; C₂-C₆haloalkynyl; C₃-C₆cycloalkyl; C₂-C₄alkylcarbonyl; or C₂-C₄alkoxycarbonyl; R² is H; C₁-C₆alkyl; C₁-C₆haloalkyl; C₂-C₆alkenyl; C₂-C₆haloalkenyl; C₂-C₆alkynyl; C₂-C₆haloalkynyl; C₃-C₆cycloalkyl; C₂-C₄alkylcarbonyl; C₂-C₄alkoxycarbonyl; hydroxy; C₁-C₂alkoxy; or acetyloxy; m is 0, 1 or 2; and E, R⁵, Y, Z and R¹⁴ are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling plant diseases caused by fungal plant pathogens which involves applying an effective amount of a compound of Formula (I). Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling arthropods which involves contacting the arthropods or their environment with an effective amount of a compound of formula (I).</p> | | | |
| | | <div style="text-align: right;">(I)</div> | |

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INTERNATIONAL SEARCH REPORT

Int. Application No
PCT/US 97/12809

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D249/12 A01N43/653 C07D413/10 C07D403/04 A01N43/74
C07D401/04 C07D261/12 C07D417/14 C07D403/10

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|--|-----------------------|
| X | WO 95 14009 A (DU PONT ;BROWN RICHARD JAMES (US); SUN KING MO (US); FRASIER DEBOR) 26 May 1995 see the whole document --- | 1-11 |
| X | WO 96 17851 A (DU PONT ;BROWN RICHARD JAMES (US); DAUB JOHN POWELL (US); DRUMM JO) 13 June 1996 see the whole document --- | 1-11 |
| Y | WO 95 01971 A (BAYER AG ;BACHMANN JUERGEN (DE); BRETSCHNEIDER THOMAS (DE); FISCHER) 19 January 1995 see the whole document --- | 1-11 |
| Y | US 5 108 486 A (KONDO KIYOSHI ET AL) 28 April 1992 see the whole document --- | 1-11 |
| -/- | | |



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

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Date of the actual completion of the international search

31 March 1998

Date of mailing of the international search report

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 97/12809

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category ° | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
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| P,X | WO 96 26191 A (DU PONT ;BROWN RICHARD JAMES (US); FRASIER DEBORAH ANN (US); HAPPE) 29 August 1996 see the whole document --- | 1-11 |
| P,X | WO 96 36615 A (DU PONT ;BROWN RICHARD JAMES (US); SUN KING MO (US); FRASIER DEBOR) 21 November 1996 see the whole document --- | 1-11 |
| P,X | WO 96 36616 A (DU PONT ;BROWN RICHARD JAMES (US); FRASIER DEBORAH ANN (US); HOWAR) 21 November 1996 see the whole document --- | 1-11 |
| P,X | WO 96 38425 A (SUMITOMO CHEMICAL CO ;OHSUMI TADASHI (JP); HIROSE TARO (JP); UJIHA) 5 December 1996 see the whole document --- | 1-11 |
| P,X | WO 97 05120 A (SUMITOMO CHEMICAL CO ;OHSUMI TADASHI (JP); MATSUNAGA REI (JP)) 13 February 1997 see the whole document --- | 1-11 |
| P,X | WO 97 00612 A (DU PONT ;BROWN RICHARD JAMES (US); CHAN DOMINIC MING TAK (US); HOW) 9 January 1997 see the whole document --- | 1-11 |
| Y | EP 0 538 097 A (ROUSSEL UCLAF) 21 April 1993 see the whole document --- | 1-11 |
| Y | EP 0 267 734 A (ICI PLC) 18 May 1988 see the whole document --- | 1-11 |
| Y | WO 96 16044 A (BASF AG ;MUELLER BERND (DE); SAUTER HUBERT (DE); ROEHL FRANZ (DE);) 30 May 1996 see the whole document --- | 1-11 |
| Y | WO 94 22844 A (ZENECA LTD ;CLOUGH JOHN MARTIN (GB); CROWLEY PATRICK JELF (GB); DE) 13 October 1994 see the whole document --- | 1-11 |
| P,X | WO 96 36633 A (DU PONT ;BROWN RICHARD JAMES (US); DANIEL DILON JANCEY (US); FRASI) 21 November 1996 see the whole document --- | 1-11 |
| | -/-- | |

INTERNATIONAL SEARCH REPORT

Int. Application No
PCT/US 97/12809

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category ° | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|-----------------------|
| P,X | WO 96 36229 A (DU PONT ;ANDREA TARIQ ARTHUR (US); BROWN RICHARD JAMES (US); COATS) 21 November 1996 see the whole document --- | 1-11 |
| P,Y | WO 96 29301 A (AGREVO UK LTD ;CORNELL CLIVE LEONARD (GB); RICHARDS IAN CHRISTOPHE) 26 September 1996 see the whole document --- | 1-11 |
| P,Y | WO 96 29305 A (AGREVO UK LTD ;CORNELL CLIVE LEONARD (GB); RICHARDS IAN CHRISTOPHE) 26 September 1996 see the whole document ----- | 1-11 |

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 97/12809

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
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because they relate to parts of the International Application that do not comply with the prescribed requirements to such
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3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all
searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment
of any additional fee.
3. ☒ As only some of the required additional search fees were timely paid by the applicant, this International Search Report
covers only those claims for which fees were paid, specifically claims Nos.:
1-11(part)
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is
restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☒ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International Application No. PCT/US 97/12809

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

Claims Nos.: 1-11 partially

because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

For economical reasons (cf. PCT-Search Guidelines, C-III,2.1), the search has been limited to the classification units goverend by the compounds listed in the examples in tables 1-7 of the description (claims searched incompletely 1-11 partially).

Moreover, the small fixed part of the molecule(s) and the large number of theoretically conceivable compounds deriving from combinations of all claimed substituents of the above list precludes a comprehensive search (cf. PCT Articles 6 and 15 and PCT Rule 33, Examination Guidelines, B-III, 3.6).

1. At the end of claim 1 (see page 123, lines 16 - 21) a proviso (positive disclaimer) is introduced, which refers to 15 generic definitions of Y in combination with 5 definitions for the substituent Z. This proviso is misleading as far as the overlap with the prior art is concerned. With regard to the available cited prior art this proviso appears not to be necessary, since novelty for the compounds E = i) is due to the definition for the substituent R9. Since the applicant in his reply to the non-unity objection has neither deleted the proviso nor given any explanation for its existence, at least two different special technical features are present in claim 1 in comparison with the relevant prior art (1) and (2).

2. The variants E = ii) (and provisionally E= iii)) differ from the respective prior art by different structural modifications which require to start from a different prior art document. The search performed for E = ii) revealed that document EP-A-0 538 097 represents the closest prior art for at least one part of the second alleged invention. The novel structural element can be seen in the analogisation of the -X-Y-side chain attached at the 5-ring heterocycle-naphtha- lene basic skeleton or the position of this chain in the naphthalene skeleton (see the first proviso for E = ii)). Some of these claimed families of compounds may be considered to be unobvious analogues, for others the analogisation of the chain may be suggested from the prior art 'inter alia' WO-A-96/16044. Accordingly, at least two different technical chemical problems are solved by the at least 2 different inventions as searched (see the definition of the requirement of the "special technical feature", Rule 13.2 PCT). For E = iii) a final search was not performed.

INTERNATIONAL SEARCH REPORT

International Application No. PCT/US 97/12809

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

1. Claims: 1-11(partially)

Cyclic amides with the definition E = i), compositions comprising them and their use

2. Claims: 1-11(partially)

Cyclic amides with the definition E = ii), compositions comprising them and their use

3. Claims: 1-11(partially)

Cyclic amides with the definition E = iii), compositions comprising them and their use

INTERNATIONAL SEARCH REPORT

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Inte Application No

PCT/US 97/12809

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International Application No

PCT/US 97/12809

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Information on patent family members

Int. Application No

PCT/89/12809

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1

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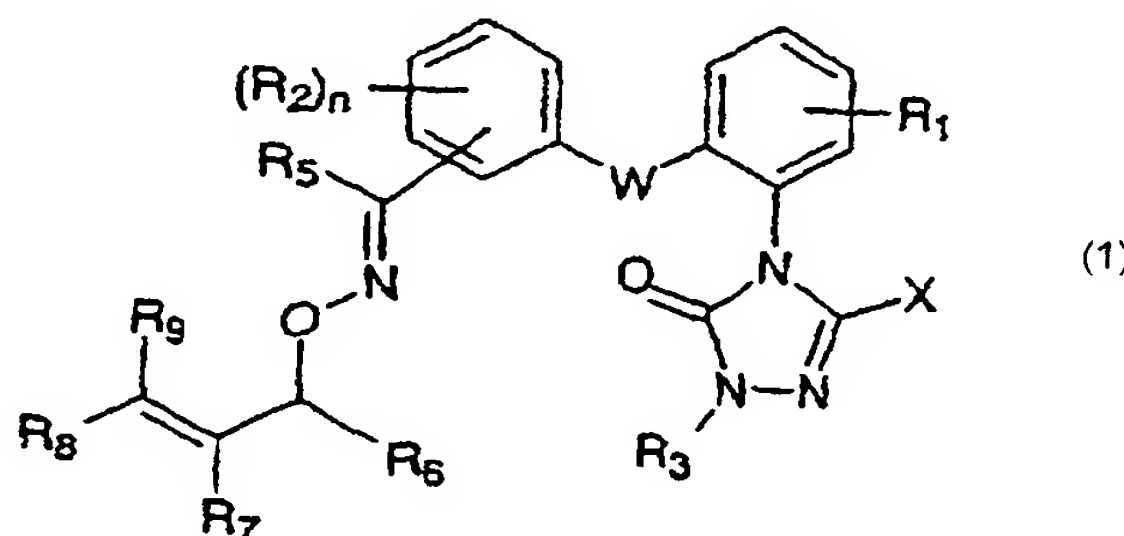
Veröffentlicht:

- Mit internationalem Recherchenbericht.
- Vor Ablauf der für Änderungen der Ansprüche geltenden
Frist; Veröffentlichung wird wiederholt, falls Änderungen
eintreffen.

[Fortsetzung auf der nächsten Seite]

(54) Title: UNSATURATED OXIME ETHERS AND THE USE THEREOF FOR CONTROL OF HARMFUL FUNGI AND VET-
ERINARY PESTS

(54) Bezeichnung: UNGESÄTTIGTE OXIMETHER UND IHRE VERWENDUNG ZUR BEKÄMPFUNG VON SCHADPILZEN
UND TIERISCHEN SCHÄDLINGEN



(57) Abstract: The invention relates to unsaturated oxime ether compounds of formula (1) in which the substituents are as defined
in the description. Said compounds are used to control harmful fungi and veterinary pests.

(57) Zusammenfassung: Die vorliegende Erfindung betrifft ungesättigte Oximether-Verbindungen der Formel (1), in welcher die
Substituenten wie in der Beschreibung definiert sind. Die erfindungsgemässen Verbindungen sind zur Bekämpfung von Schadpilzen
und tierischen Schädlingen brauchbar.

WO 01/19803 A1



Zur Erklärung der Zweibuchstaben-Codes, und der anderen Abkürzungen wird auf die Erklärungen ("Guidance Notes on Codes and Abbreviations") am Anfang jeder regulären Ausgabe der PCT-Gazette verwiesen.

Ungesättigte Oximether und ihre Verwendung zur Bekämpfung von Schadpilzen und tierischen Schädlingen

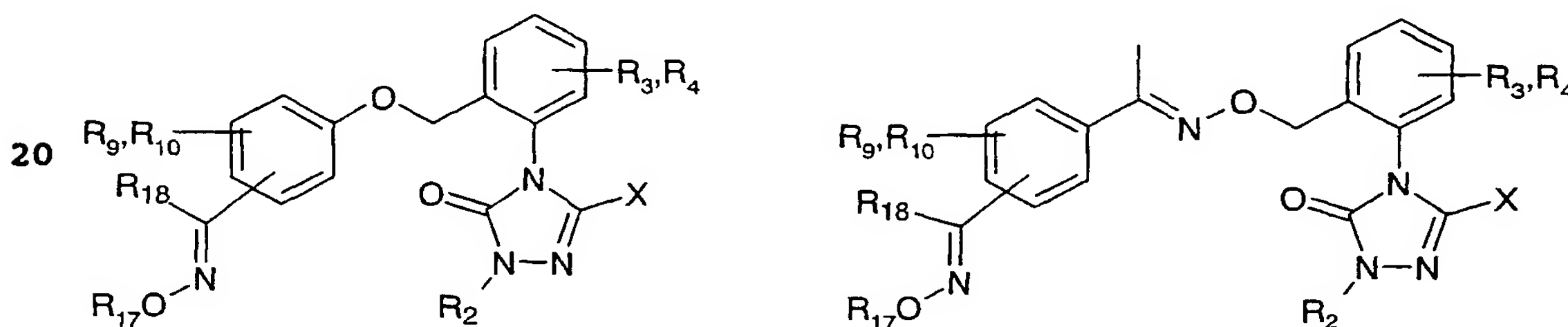
5 Beschreibung

Die vorliegende Erfindung betrifft ungesättigte Oximether, Zwischenprodukte zu ihrer Herstellung und ihre Verwendung zur Bekämpfung von Schadpilzen und tierischen Schädlingen.

10

Fungizid wirkende Oximether-Verbindungen sind bereits bekannt. Beispielsweise in WO 95/14009, WO 96/17851, WO 96/36229, WO 96/36615, WO 96/36616, WO 96/36633, WO 97/0612, WO 98/05652 und WO 98/23155 sind Strobilurine mit Triazolon-Pharmakophoren der

15 Formel beschrieben:



25

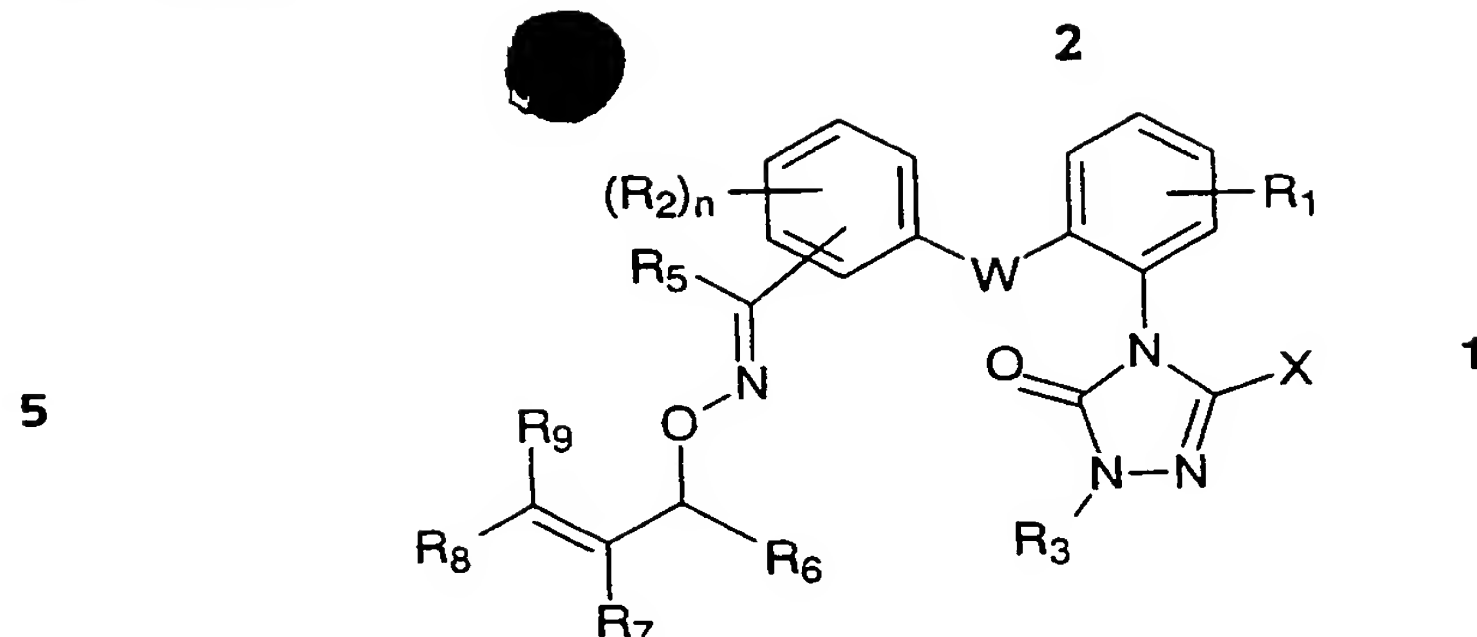
worin R_{17} und R_{18} für H, C_1 - C_3 -Alkyl oder Phenyl stehen.

Verbindungen mit ungesättigten Oximether-Seitenketten sind bereits aus EP 386561A, EP 579124A, EP 585751A, EP 672347A, EP 30 673923A, WO 97/30032 und WO 97/33874 bekannt.

Davon ausgehend, liegt der vorliegenden Erfindung die Aufgabe zugrunde, Verbindungen mit verbesserter Wirkung und/oder verbreitertem Wirkungsspektrum bereitzustellen. Überraschenderweise 35 wurde nun gefunden, dass diese Aufgabe gelöst wird mit Verbindungen, welche einen Triazolon-Pharmakophor und eine ungesättigte Oximether-Seitenkette aufweisen.

Die vorliegende Erfindung betrifft daher ungesättigte Oximether- 40 Verbindungen der Formel 1

45



10 in der die Substituenten die folgenden Bedeutungen haben:

W -OCH₂-, -C(R₁₀)=N-O-CH₂- (das CH₂-Ende ist dabei jeweils an die Phenylgruppe gebunden, welche den Triazolone-Rest trägt);

15 X Halogen, C₁-C₄-Alkyl, C₁-C₄-Alkoxy;

R₁ H, C₁-C₄-Alkyl, Halogen, Nitro, CN, Halogen-C₁-C₄-Alkyl, C₁-C₄-Alkoxy;

20 R₂ H, C₁-C₄-Alkyl, Halogen, Nitro, CN, Halogen-C₁-C₄-Alkyl, C₁-C₄-Alkoxy;

n 1 oder 2;

25 R₃ H, C₁-C₄-Alkyl;

R₅ H, C₁-C₄-Alkyl, C₂-C₄-Alkenyl;

30 R₆ H, C₁-C₄-Alkyl, C₁-C₄-Halogenalkyl, C₂-C₄-Alkenyl, Aryl;

R₇ H, Halogen, C₁-C₆-Alkyl, C₁-C₆-Halogenalkyl, C₂-C₆-Alkenyl, C₂-C₆-Halogenalkenyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogenocycloalkyl, gegebenenfalls substituiertes Aryl;

35 R₈ H, Halogen, C₁-C₆-Alkyl, C₁-C₆-Halogenalkyl, C₂-C₆-Alkenyl, C₂-C₆-Halogenalkenyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogenocycloalkyl, gegebenenfalls substituiertes Aryl, oder

40 R₇ und R₈ bilden, zusammen mit den Kohlenstoffatomen, an die sie gebunden sind, einen ungesättigten Heterocyclus mit 5- oder 6-Ringatomen, der ein oder zwei Heteroatome aufweist, die unabhängig voneinander ausgewählt sind unter einem Stickstoff-, Sauerstoff- und Schwefelatom und der

45 gegebenenfalls mit einem oder zwei Resten substituiert sein kann, die unabhängig voneinander ausgewählt sind un-

ter C₁-C₄-Alkyl, Halogen, Nitro, CN, Halogen-C₁-C₄-Alkyl, OH, C₁-C₄-Alkoxy, gegebenenfalls substituiertes Aryl, C₂-C₄-Alkenyl, Halogen-C₂-C₄-Alkenyl, C₂-C₄-Alkynyl, Halogen-C₂-C₄-Alkynyl;

5

R₉ H, Halogen, C₁-C₆-Alkyl, C₁-C₆-Halogenalkyl, C₂-C₆-Alkenyl, C₂-C₆-Halogenalkenyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, gegebenenfalls substituiertes Aryl;

10 R₁₀ H, Halogen, C₁-C₄-Alkyl.

Die vorstehend aufgeführten Bedeutungen stellen Sammelbegriffe für individuelle Aufzählungen der einzelnen Gruppenmitglieder dar. Sämtliche Kohlenstoffketten können geradkettig oder verzweigt sein. Halogenierte Substituenten tragen vorzugsweise 1 bis 5 gleiche oder verschiedene Halogenatome.

Im Einzelnen bedeuten beispielsweise:

- 20 - Halogen: Fluor, Chlor, Brom, Jod, vorzugsweise Fluor oder Chlor;
- C₁-C₄-Alkyl: Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl, 1-Methylpropyl, 2-Methylpropyl, 1,1-Dimethylethyl, vorzugsweise Methyl;
- 25 - C₁-C₆-Alkyl: C₁-C₄-Alkyl wie vorstehend genannt, sowie n-Pentyl, 1-Methylbutyl, 2-Methylbutyl, 3-Methylbutyl, 2,2-Dimethylpropyl, 1-Ethylpropyl, n-Hexyl, 1,1-Dimethylpropyl, 1,2-Dimethylpropyl, 1-Methylpentyl, 2-Methylpentyl, 3-Methylpentyl, 4-Methylpentyl, 1,1-Dimethylbutyl, 1,2-Dimethylbutyl, 1,3-Dimethylbutyl, 2,2-Dimethylbutyl, 2,3-Dimethylbutyl, 3,3-Dimethylbutyl, 1-Ethylbutyl, 2-Ethylbutyl, 1,1,2-Trimethylpropyl, 1,2,2-Trimethylpropyl, 1-Ethyl-1-methylpropyl, 1-Ethyl-2-methylpropyl, vorzugsweise Methyl, Ethyl, n-Propyl, 1-Methylethyl, n-Butyl oder 1,1-Dimethylethyl;
- 30 - C₂-C₆-Alkenyl: Ethenyl, Prop-1-en-1-yl, Prop-2-en-1-yl, 1-Methylethenyl, n-Buten-1-yl, n-Buten-2-yl, n-Buten-3-yl, 1-Methylprop-1-en-1-yl, 2-Methylprop-1-en-1-yl, 1-Methylprop-2-en-1-yl, 2-Methylprop-2-en-1-yl, n-Penten-1-yl, n-Penten-2-yl, n-Penten-3-yl, n-Penten-4-yl, 1-Methylbut-1-en-1-yl, 2-Methylbut-1-en-1-yl, 3-Methylbut-1-en-1-yl, 1-Methylbut-2-en-1-yl, 2-Methylbut-2-en-1-yl, 3-Methylbut-2-en-1-yl, 1-Methylbut-3-en-1-yl, 2-Methylbut-3-en-1-yl, 3-Methylbut-3-en-1-yl, 1,1-Dimethylprop-2-en-1-yl,
- 40
- 45

- 1,2-Dimethyl-prop-1-en-1-yl, 1,2-Dimethyl-prop-2-en-1-yl,
 1-Ethylprop-1-en-2-yl, 1-Ethylprop-2-en-1-yl,
 n-Hex-1-en-1-yl, n-Hex-2-en-1-yl, n-Hex-3-en-1-yl,
 n-Hex-4-en-1-yl, n-Hex-5-en-1-yl, 1-Methylpent-1-en-1-yl,
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 1-Ethylbut-2-en-1-yl, 1-Ethylbut-3-en-1-yl,
 2-Ethylbut-1-en-1-yl, 2-Ethylbut-2-en-1-yl,
 2-Ethylbut-3-en-1-yl, 1,1,2-Trimethylprop-2-en-1-yl,
 1-Ethyl-1-methyl-prop-2-en-1-yl,
 1-Ethyl-2-methyl-prop-1-en-1-yl,
 1-Ethyl-2-methyl-prop-2-en-1-yl, vorzugsweise Ethenyl oder
 Prop-2-en-1-yl;
- C₂-C₄-Alkynyl: Ethinyl, Prop-1-in-1-yl, Prop-2-in-3-yl,
 n-But-1-in-1-yl, n-But-1-in-4-yl, n-But-2-in-1-yl, vorzugs-
 weise Prop-2-in-1-yl;
- C₁-C₂-Halogenalkyl: z. B. Chlormethyl, Dichlormethyl, Tri-
 chlormethyl, Fluormethyl, Difluormethyl, Trifluormethyl,
 Chlorfluormethyl, Dichlorfluormethyl, Chlordifluormethyl,
 1-Fluorethyl, 2-Fluorethyl, 2,2-Difluorethyl, 2,2,2-Trifluor-
 ethyl, 2-Chlor-2-fluorethyl, 2-Chlor-2,2-difluorethyl,
 2,2-Dichlor-2-fluorethyl, 2,2,2-Trichlorethyl, Pentafluor-
 ethyl, vorzugsweise Difluormethyl oder Trifluormethyl;
- C₁-C₆-Halogenalkyl: C₁-C₆-Alkyl wie vorstehend genannt, das
 partiell oder vollständig durch Fluor, Chlor und/oder Brom
 substituiert ist, also z. B. die vorstehend genannten
 C₁-C₂-Halogenalkylreste, sowie 3-Chlorpropyl oder Heptafluor-
 propyl, vorzugsweise Trifluormethyl, Pentafluorethyl oder
 Heptafluorpropyl;

- C₂-C₆-Halogenalkenyl: C₂-C₆-Alkenyl wie vorstehend genannt, das partiell oder vollständig durch Fluor, Chlor und/oder Brom substituiert ist, also z. B. 2-Chlorallyl, 3-Chlorallyl oder 3,3-Dichlorallyl;
- 5 - C₂-C₄-Halogenalkinyl: C₂-C₄-Alkinyl wie vorstehend genannt, das partiell oder vollständig durch Fluor, Chlor und/oder Brom substituiert ist, z. B. Chlorethynyl, 3-Chlorpropinyl;
- 10 - C₃-C₆-Cycloalkyl: Cyclopropyl, Cyclobutyl, Cyclopentyl, Cyclohexyl, vorzugsweise Cyclopropyl, Cyclopentyl oder Cyclohexyl;
- Halogen-C₃-C₆-cycloalkyl: C₃-C₆ wie vorstehend genannt, das partiell oder vollständig durch Fluor, Chlor und/oder Brom substituiert ist, also z. B. 2-, 3- oder 4-Chlorcyclopentyl, 2-, 3- oder 4-Chlorcyclohexyl, 2,3,4-Trichlorcyclopentyl oder 2,3,4,5,6-Pentachlorcyclohexyl;
- 15 - Aryl steht vorzugsweise für Phenyl und Naphthyl, insbesondere für Phenyl.
- 20

Der ungesättigte Heterocyclus mit 5- oder 6-Ringatomen kann aromatisch oder nicht-aromatisch sein. Bei einem aromatischen Heterocyclus handelt es sich insbesondere um 2-Furyl, 3-Furyl, 25 2-Thienyl, 3-Thienyl, 2-Pyrrolyl, 3-Pyrrolyl, 3-Isoxazolyl, 4-Isoxazolyl, 5-Isoxazolyl, 3-Isotiazolyl, 4-Isotiazolyl, 5-Isotiazolyl, 3-Pyrazolyl, 4-Pyrazolyl, 5-Pyrazolyl, 2-Oxazolyl, 4-Oxazolyl, 5-Oxazolyl, 2-Thiazolyl, 4-Thiazolyl, 5-Thiazolyl, 2-Imidazolyl, 4-Imidazolyl, 1,2,4-Oxadiazol-3-yl, 30 1,2,4-Oxadiazol-5-yl, 1,2,4-Thiadiazol-3-yl, 1,2,4-Thiadiazol-5-yl, 1,2,4-Triazol-3-yl, 1,3,4-Oxadiazol-2-yl, 1,3,4-Thiadiazol-2-yl, 1,3,4-Triazol-2-yl, 2-Pyridinyl, 3-Pyridinyl, 4-Pyridinyl, 3-Pyridazinyl, 4-Pyridazinyl, 2-Pyrimidinyl, 4-Pyrimidinyl, 5-Pyrimidinyl, 2-Pyrazinyl, insbesondere Furanyl, Thienyl, Oxazolyl und Thiazolyl.

Wenn der Arylrest substituiert ist, weist er vorzugsweise einen oder zwei Substituenten auf, die unabhängig voneinander ausgewählt sind unter Halogen, insbesondere Fluor oder Chlor, C₁-C₄-Alkyl, C₁-C₄-Halogenalkyl, C₁-C₄-Alkoxy, Nitro, OH und CN. Bevorzugt ist Halogen und/oder C₁-C₄-Alkyl.

Vorzugsweise haben die Substituenten in der Formel 1 folgende Bedeutung:

45

X C₁-C₄-Alkoxy oder Halogen, insbesondere C₁-C₄-Alkoxy;

- 5** R_1 H, C_1-C_4 -Alkyl, Halogen, Halogen- C_1-C_4 -Alkyl, insbesondere H oder C_1-C_4 -Alkyl;
- R_2 H, C_1-C_4 -Alkyl, Halogen, Halogen- C_1-C_4 -Alkyl, insbesondere H oder C_1-C_4 -Alkyl;
- R_3 C_1-C_4 -Alkyl;
- R_5 H oder C_1-C_4 -Alkyl;
- 10** R_6 H, C_1-C_4 -Alkyl oder Halogen- C_1-C_4 -Alkyl, insbesondere H oder C_1-C_4 -Alkyl;
- 15** R_7 H, Halogen, C_1-C_6 -Alkyl, Halogen- C_1-C_6 -Alkyl, C_3-C_6 -Cycloalkyl, C_3-C_6 -Halogencycloalkyl oder Phenyl, insbesondere H, Halogen, C_1-C_6 -Alkyl und besonders bevorzugt H oder Halogen;
- 20** R_8 H, Halogen, C_1-C_6 -Alkyl, Halogen- C_1-C_6 -Alkyl, C_3-C_6 -Cycloalkyl, C_3-C_6 -Halogencycloalkyl, C_2-C_6 -Alkenyl oder Phenyl, insbesondere H, Halogen, C_1-C_6 -Alkyl; oder

25 R_7 und R_8 stehen zusammen, mit den Kohlenstoffatomen, an die sie gebunden sind, für Thienyl, Furyl, Oxazolyl oder Thiazolyl, wobei diese Gruppen gegebenenfalls substituiert sind durch C_1-C_4 -Alkyl, Halogen oder Phenyl, das gegebenenfalls durch ein oder zwei Halogen substituiert sein kann und insbesondere für Thienyl oder Oxazolyl, wobei diese Gruppen gegebenenfalls durch ein oder zwei Halogen oder Phenyl substituiert sein können und der Phenyl-Substituent seinerseits durch ein oder zwei Halogen substituiert sein kann;

- 35** R_9 H, Halogen, C_1-C_6 -Alkyl, Halogen- C_1-C_6 -Alkyl, C_3-C_6 -Cycloalkyl, C_3-C_6 -Halogencycloalkyl oder Phenyl, insbesondere H, Halogen, C_1-C_6 -Alkyl und besonders bevorzugt H oder Halogen;

- 40** R_{10} H oder C_1-C_4 -Alkyl;

Die Oxim-Seitenkette kann in o-, m- oder p-Position zu W an den Phenylring gebunden sein. Bevorzugt ist die p-Position.

Der Rest R_1 steht vorzugsweise in 6-Position.

Wenn die Oxim-Seitenkette in p-Position zu W gebunden ist, steht der Rest R₂ vorzugsweise in 2- und/oder 5-Position.

Bevorzugte Ausführungsformen sind die Verbindungen der Formel 1, 5 worin die Substituenten die folgenden Bedeutungen haben:

- A)
- W -OCH₂-, -C(R₁₀)=N-O-CH₂;
- 10 X Halogen, C₁-C₄-Alkyl, C₁-C₄-Alkoxy;
- R₁ H, C₁-C₄-Alkyl, Halogen, Halogen-C₁-C₄-Alkyl;
- 15 R₂ H, C₁-C₄-Alkyl, Halogen, Halogen-C₁-C₄-Alkyl;
- R₃ H, C₁-C₄-Alkyl;
- n 1 oder 2;
- 20 R₅ H oder C₁-C₄-Alkyl;
- R₆ H, C₁-C₄-Alkyl, Halogen-C₁-C₄-Alkyl;
- 25 R₇ H, Halogen, C₁-C₆-Alkyl, Halogen-C₁-C₆-Alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, Phenyl;
- R₈ H, Halogen, C₁-C₆-Alkyl, Halogen-C₁-C₆-Alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, C₂-C₆-Alkenyl, Phenyl;
- 30 oder
- R₇ und R₈ zusammen mit den Kohlenstoffatomen, an die sie gebunden sind, bilden einen ungesättigten Heterocyclus mit 5- oder 6-Ringatomen, der ein oder zwei Heteroatome aufweist, die unabhängig voneinander ausgewählt sind unter einem Stickstoff-, Sauerstoff- und Schwefelatom und der gegebenenfalls mit einem oder zwei Resten substituiert sein kann, die unabhängig voneinander ausgewählt sind unter C₁-C₄-Alkyl, Halogen, Halogen-C₁-C₄-Alkyl, C₁-C₄-Alkoxy und Phenyl, das gegebenenfalls durch ein oder zwei Halogen oder C₁-C₄-Alkyl substituiert ist;
- 40
- R₉ H, Halogen, C₁-C₆-Alkyl, Halogen-C₁-C₆-Alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, Phenyl;
- 45 R₁₀ H, Halogen, C₁-C₄-Alkyl.

B)

W $-\text{OCH}_2-$, $-\text{C}(\text{R}_{10})=\text{N}-\text{O}-\text{CH}_2$;5 X Halogen, C_1 - C_4 -Alkoxy; R_1 H, C_1 - C_4 -Alkyl; R_2 H, C_1 - C_4 -Alkyl;

10

n 1 oder 2;

 R_3 C_1 - C_4 -Alkyl;15 R_5 H, C_1 - C_4 -Alkyl; R_6 H, C_1 - C_4 -Alkyl; R_7 H, Halogen, C_1 - C_6 -Alkyl;

20

 R_8 H, Halogen, C_1 - C_6 -Alkyl; oder

25 R_7 und R_8 bilden zusammen mit den Kohlenstoffatomen, an die sie gebunden sind, Thienyl, Furanyl, Oxazolyl, Thiazolyl, wobei diese Gruppen ein oder zwei Substituenten aufweisen können, die unabhängig voneinander ausgewählt sind unter C_1 - C_4 -Alkyl, Halogen und Phenyl, das gegebenenfalls durch ein oder zwei Halogen substituiert ist;

30 R_9 H, Halogen, C_1 - C_6 -Alkyl; R_{10} H, C_1 - C_4 -Alkyl;

C)

35

W $-\text{OCH}_2-$, $-\text{C}(\text{R}_{10})=\text{N}-\text{O}-\text{CH}_2$;X C_1 - C_4 -Alkoxy;40 R_1 H; R_2 H, C_1 - C_4 -Alkyl;

n 1 oder 2;

45

 R_3 C_1 - C_4 -Alkyl;

R₅ H, C₁-C₄-Alkyl;

R₆ H, C₁-C₄-Alkyl;

5 R₇ H, Halogen;

R₈ H, C₁-C₄-Alkyl, Halogen; oder

10 R₇ und R₈ bilden zusammen mit den Kohlenstoffatomen, an die sie gebunden sind Thiophenyl oder Oxazolyl, wobei diese Gruppen gegebenenfalls durch ein oder zwei Halogen oder Phenyl substituiert sind und das Phenyl gegebenenfalls durch ein oder zwei Halogen substituiert ist;

15 R₉ H, Halogen;

R₁₀ H, C₁-C₄-Alkyl.

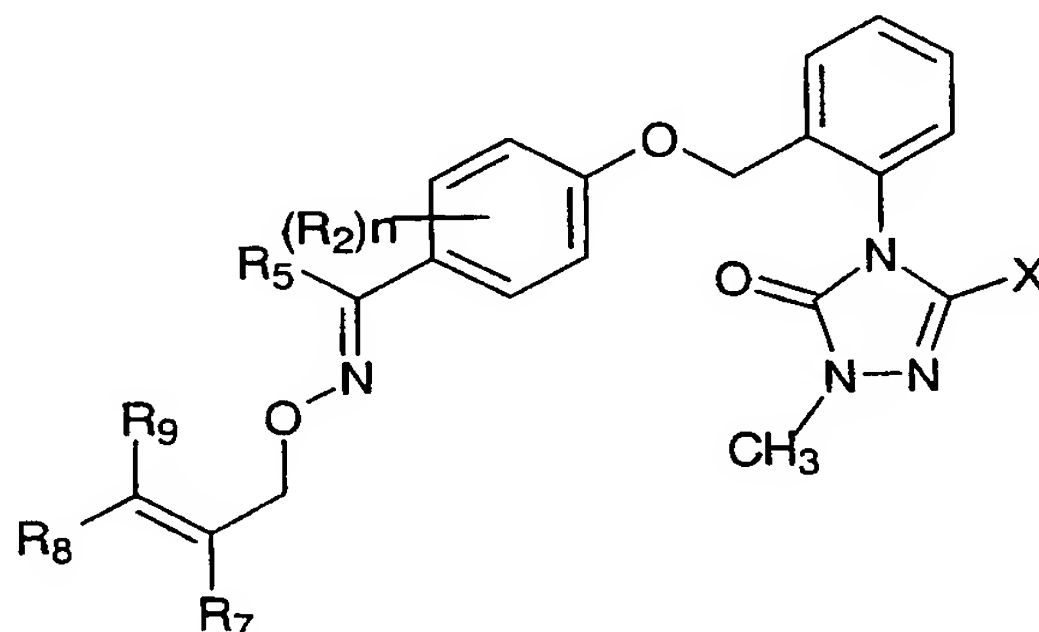
Weitere Ausführungsformen sind

20

D) Verbindungen der Formel 1a:

25

30



1a

worin die Substituenten die folgende Bedeutungen besitzen:

35 X Chlor, Methoxy;

R₂ Chlor, Methyl;

40 n 1 oder 2, wobei R₂ in 2-Position zum Sauerstoff-Substituenten steht, wenn n = 1 und in 2,5-Position, wenn n = 2;

R₅ H, Methyl, Ethyl;

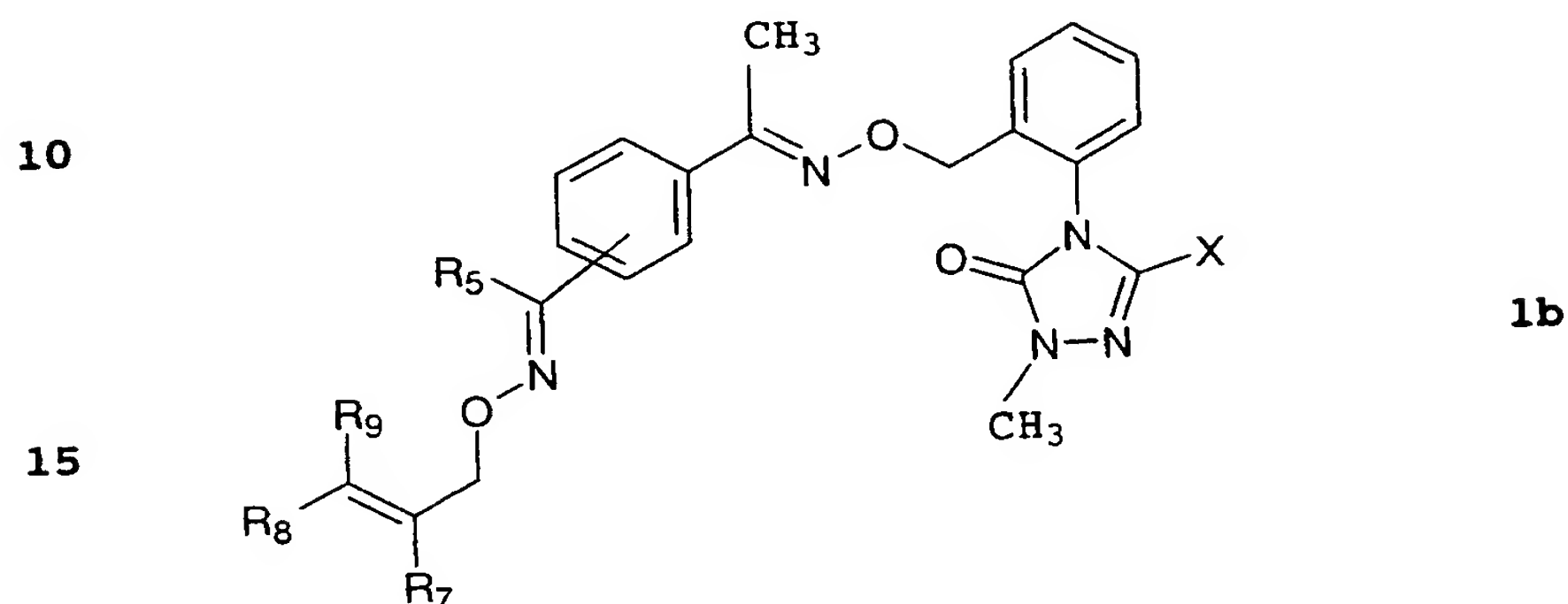
45 R₇ H, Methyl, Chlor;

10

R₈ H, Methyl, Ethyl, Chlor, Phenyl, Ethenyl, Prop-1-en-1-yl;

R₉ H, Methyl, Chlor;

5 E) Verbindungen der Formel 1b:



20 worin die Substituenten die folgenden Bedeutungen besitzen:

X Chlor, Methoxy;

R₅ H, Methyl, Ethyl;

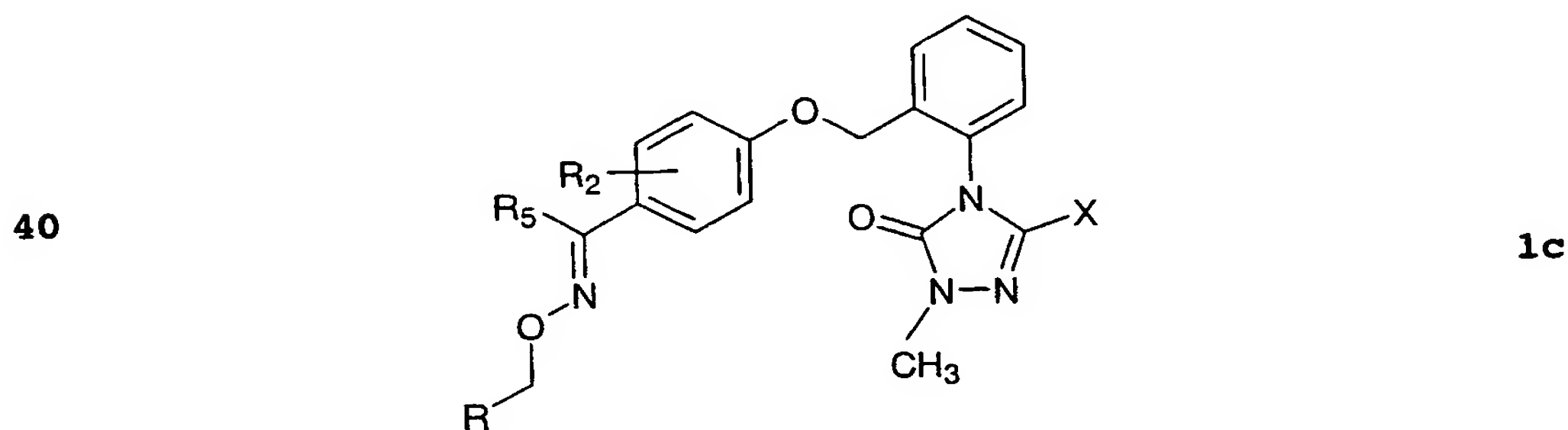
25 R₇ H, Methyl, Chlor;

R₈ H, Methyl, Ethyl, Chlor, Phenyl, Ethenyl, Prop-1-en-1-yl;

30 R₉ H, Methyl, Chlor.

Die Oxim-Seitenkette ist dabei vorzugsweise in p-Position gebunden.

35 F) Verbindungen der Formel 1c:



45

worin R bedeutet:

Thiophen-2-yl, Thiophen-3-yl, Furan-2-yl, Furan-3-yl,
5-Chlor-thiophen-2-yl, 5-Brom-thiophen-2-yl, 5-Chlor-furan-2-yl,
5 5-Brom-furan-2-yl, 5-Methyl-thiophen-2-yl, 5-Phenyl-thio-
phen-2-yl, 5-Methyl-furan-2-yl, 5-Phenyl-furan-2-yl, Oxazol-4-yl,
Oxazol-5-yl, 2-(p-Chlorphenyl)oxazol-4-yl, 2-(p-Brom-phenyl)oxa-
zol-4-yl, Thiazol-4-yl, Thiazol-5-yl.

10 Die Reste X, R₂ und R₅ haben dabei die oben angegebenen Bedeutun-
gen oder vorzugsweise folgende Bedeutungen:

X Chlor, Methoxy;

15 R₂ 2-Methyl, 2-Chlor, 2,5-Dimethyl;

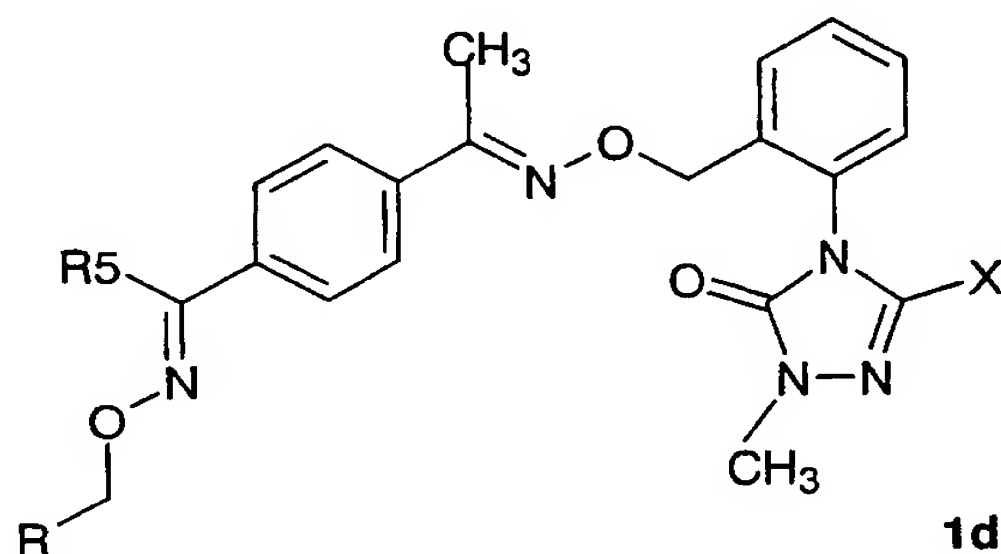
R₅ H, Methyl, Ethyl.

G) Verbindungen der Formel 1d, 1e und 1f:

20

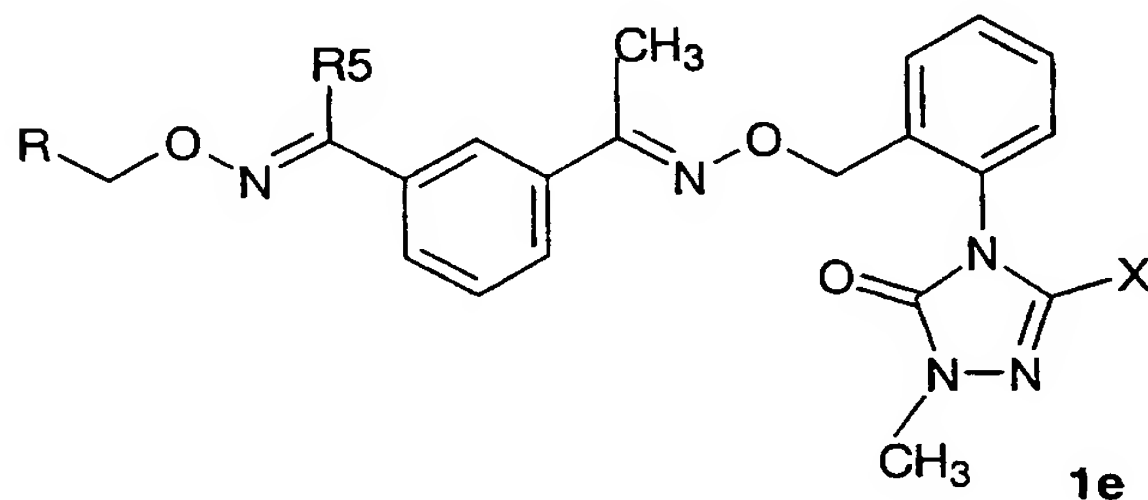
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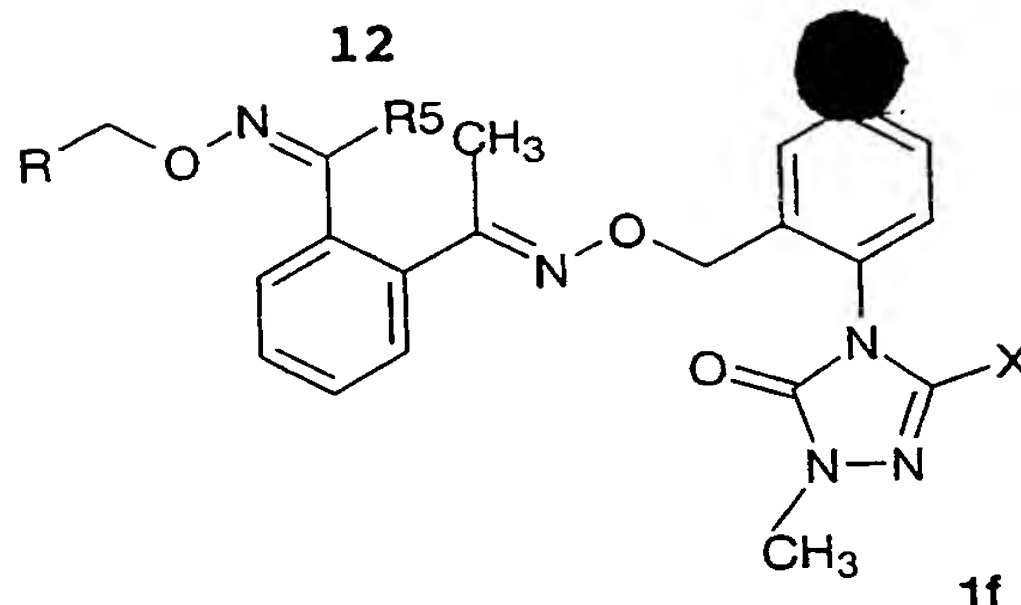
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45

5



10 worin R bedeutet:

Thiophen-2-yl, Thiophen-3-yl, Furan-2-yl, Furan-3-yl, 5-Chlor-thiophen-2-yl, 5-Brom-thiophen-2-yl, 5-Chlor-furan-2-yl, 5-Brom-furan-2-yl, 5-Methyl-thiophen-2-yl, 5-Phenyl-thiophen-2-yl, 5-Methyl-furan-2-yl, 5-Phenyl-furan-2-yl, Oxazol-4-yl, Oxazol-5-yl, 2-(p-Chlorphenyl)oxazol-4-yl, 2-(p-Brom-phenyl)oxazol-4-yl, Thiazol-4-yl, Thiazol-5-yl.

Die Reste X und R₅ haben die oben angegebenen Bedeutungen oder vorzugsweise folgende Bedeutungen:

X Chlor, Methoxy;

R₅ H, Methyl, Ethyl.

Insbesondere sind im Hinblick auf ihre Verwendung die im Folgenden zusammengestellten Verbindungen der Formeln 1c bis 1f bevorzugt. Die dabei für einen Substituenten genannten Gruppen stellen außerdem für sich betrachtet, unabhängig von der Kombination, in der sie genannt sind, eine besonders bevorzugte Ausgestaltung des betreffenden Substituenten dar:

a) Verbindungen der allgemeinen Formel 1c, in der R₂ für 2-Methyl (bezogen auf den Sauerstoffsubstituenten), X für Chlor und R₅ für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.

b) Verbindungen der allgemeinen Formel 1c, in der R₂ für 2-Methyl (bezogen auf den Sauerstoffsubstituenten), X für Methoxy und R₅ für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.

c) Verbindungen der allgemeinen Formel 1c, in der R₂ für 2-Chlor (bezogen auf den Sauerstoffsubstituenten), X für Chlor und R₅ für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.

- d) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2-Chlor (bezogen auf den Sauerstoffsubstituenten), X für Methoxy und R_5 für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.
- 5 e) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2,5-Dimethyl (bezogen auf den Sauerstoffsubstituenten), X für Chlor und R_5 für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.
- 10 f) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2,5-Dimethyl (bezogen auf den Sauerstoffsubstituenten), X für Methoxy und R_5 für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.
- 15 g) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2-Methyl (bezogen auf den Sauerstoffsubstituenten), X für Chlor und R_5 für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 20 h) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2-Methyl (bezogen auf den Sauerstoffsubstituenten), X für Methoxy und R_5 für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 25 i) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2-Chlor (bezogen auf den Sauerstoffsubstituenten), X für Chlor und R_5 für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 30 j) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2-Chlor (bezogen auf den Sauerstoffsubstituenten), X für Methoxy und R_5 für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 35 k) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2,5-Dimethyl (bezogen auf den Sauerstoffsubstituenten), X für Chlor und R_5 für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 40 l) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2,5-Dimethyl (bezogen auf den Sauerstoffsubstituenten), X für Methoxy und R_5 für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.

- m) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2-Methyl (bezogen auf den Sauerstoffsubstituenten), X für Chlor und R_5 für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 5 n) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2-Methyl (bezogen auf den Sauerstoffsubstituenten), X für Methoxy und R_5 für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 10 o) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2-Chlor (bezogen auf den Sauerstoffsubstituenten), X für Chlor und R_5 für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 15 p) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2-Chlor (bezogen auf den Sauerstoffsubstituenten), X für Methoxy und R_5 für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 20 q) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2,5-Dimethyl (bezogen auf den Sauerstoffsubstituenten), X für Chlor und R_5 für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 25 r) Verbindungen der allgemeinen Formel 1c, in der R_2 für 2,5-Dimethyl (bezogen auf den Sauerstoffsubstituenten), X für Methoxy und R_5 für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 30 s) Verbindungen der allgemeinen Formel 1d, in der X für Chlor und R_5 für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.
- 35 t) Verbindungen der allgemeinen Formel 1d, in der X für Methoxy und R_5 für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.
- 40 u) Verbindungen der allgemeinen Formel 1d, in der X für Chlor und R_5 für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.
- v) Verbindungen der allgemeinen Formel 1d, in der X für Methoxy und R_5 für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.

- w) Verbindungen der allgemeinen Formel 1d, in der X für Chlor und R₅ für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 5 x) Verbindungen der allgemeinen Formel 1d, in der X für Methoxy und R₅ für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 10 y) Verbindungen der allgemeinen Formel 1e, in der X für Chlor und R₅ für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.
- 15 z) Verbindungen der allgemeinen Formel 1e, in der X für Methoxy und R₅ für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.
- 20 aa) Verbindungen der allgemeinen Formel 1e, in der X für Chlor und R₅ für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.
- ab) Verbindungen der allgemeinen Formel 1e, in der X für Methoxy und R₅ für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 25 ac) Verbindungen der allgemeinen Formel 1e, in der X für Chlor und R₅ für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 30 ad) Verbindungen der allgemeinen Formel 1e, in der X für Methoxy und R₅ für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 35 ae) Verbindungen der allgemeinen Formel 1f, in der X für Chlor und R₅ für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.
- 40 af) Verbindungen der allgemeinen Formel 1f, in der X für Methoxy und R₅ für Wasserstoff stehen und R einer Zeile der Tabelle 1 entspricht.
- ag) Verbindungen der allgemeinen Formel 1f, in der X für Chlor und R₅ für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.

- ah) Verbindungen der allgemeinen Formel 1f, in der X für Methoxy und R₅ für Methyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 5 ai) Verbindungen der allgemeinen Formel 1f, in der X für Chlor und R₅ für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- aj) Verbindungen der allgemeinen Formel 1f, in der X für Methoxy und R₅ für Ethyl stehen und R einer Zeile der Tabelle 1 entspricht.
- 10

Tabelle 1

15 Die Nennung von (E), (E,E) und (Z) bezieht sich auf die Substituenten an der in R angegebenen Doppelbindung.

| Nummer | R |
|--------|--|
| 1. | -CH=CH ₂ |
| 20 2. | (E) -CH=CH-CH ₃ |
| 3. | (Z) -CH=CH-CH ₃ |
| 4. | -CH=C(CH ₃) ₂ |
| 5. | (E) -CH=CH-C ₂ H ₅ |
| 6. | (Z) -CH=CH-C ₂ H ₅ |
| 7. | (E) -CH=C(CH ₃)-C ₂ H ₅ |
| 25 8. | (Z) -CH=C(CH ₃)-C ₂ H ₅ |
| 9. | -CH=C(C ₂ H ₅) ₂ |
| 10. | (E) -CH=CH-Cl |
| 11. | (Z) -CH=CH-Cl ₃ |
| 12. | (E) -CH=C(Cl)-CH |
| 30 13. | (Z) -CH=C(Cl)-CH ₃ |
| 14. | (E) -CH=C(Cl)-C ₂ H ₅ |
| 15. | (Z) -CH=C(Cl)-C ₂ H ₅ |
| 16. | -CH=CCl ₂ |
| 17. | (E) -CH=CH-CH=CH ₂ |
| 18. | (E,E) -CH=CH-CH=CH-CH ₃ |
| 35 19. | (E,E) -CH=CH-CH=CH-C ₆ H ₅ |
| 20. | (E,E) -CH=CH-CH=CH-(p-F-C ₆ H ₄) |
| 21. | (E,E) -CH=CH-CH=CH-(p-Cl-C ₆ H ₄) |
| 22. | -C(CH ₃)=CH ₂ |
| 23. | (E) -C(CH ₃)=CH-CH ₃ |
| 40 24. | (Z) -C(CH ₃)=CH-CH ₃ |
| 25. | -C(CH ₃)=C(CH ₃) ₂ |
| 26. | (E) -C(CH ₃)=CH-C ₂ H ₅ |
| 27. | (Z) -C(CH ₃)=CH-C ₂ H ₅ |
| 28. | (E) -C(CH ₃)=C(CH ₃)-C ₂ H ₅ |
| 29. | (Z) -C(CH ₃)=C(CH ₃)-C ₂ H ₅ |
| 45 30. | -C(CH ₃)=C(C ₂ H ₅) ₂ |
| 31. | (E) -C(CH ₃)=CH-Cl |
| 32. | (Z) -C(CH ₃)=CH-Cl |

| | | | |
|----|-----|-------|--|
| | 33. | (E) | $-\text{C}(\text{CH}_3)=\text{C}(\text{Cl})-\text{CH}_3$ |
| | 34. | (Z) | $-\text{C}(\text{CH}_3)=\text{C}(\text{Cl})-\text{CH}_3$ |
| | 35. | (E) | $-\text{C}(\text{CH}_3)=\text{C}(\text{Cl})-\text{C}_2\text{H}_5$ |
| | 36. | (Z) | $-\text{C}(\text{CH}_3)=\text{C}(\text{Cl})-\text{C}_2\text{H}_5$ |
| 5 | 37. | | $-\text{C}(\text{CH}_3)=\text{CCl}_2$ |
| | 38. | (E) | $-\text{C}(\text{CH}_3)=\text{CH}-\text{CH}=\text{CH}_2$ |
| | 39. | (E,E) | $-\text{C}(\text{CH}_3)=\text{CH}-\text{CH}=\text{CH}-\text{CH}_3$ |
| | 40. | (E,E) | $-\text{C}(\text{CH}_3)=\text{CH}-\text{CH}=\text{CH}-\text{C}_6\text{H}_5$ |
| | 41. | (E,E) | $-\text{C}(\text{CH}_3)=\text{CH}-\text{CH}=\text{CH}-(\text{p-F}-\text{C}_6\text{H}_4)$ |
| | 42. | (E,E) | $-\text{C}(\text{CH}_3)=\text{CH}-\text{CH}=\text{CH}-(\text{p-Cl}-\text{C}_6\text{H}_4)$ |
| 10 | 43. | | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}_2$ |
| | 44. | (E) | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}-\text{CH}_3$ |
| | 45. | (Z) | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}-\text{CH}_3$ |
| | 46. | | $-\text{C}(\text{C}_2\text{H}_5)=\text{C}(\text{CH}_3)_2$ |
| | 47. | (E) | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}-\text{C}_2\text{H}_5$ |
| 15 | 48. | (Z) | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}-\text{C}_2\text{H}_5$ |
| | 49. | (E) | $-\text{C}(\text{C}_2\text{H}_5)=\text{C}(\text{CH}_3)-\text{C}_2\text{H}_5$ |
| | 50. | (Z) | $-\text{C}(\text{C}_2\text{H}_5)=\text{C}(\text{CH}_3)-\text{C}_2\text{H}_5$ |
| | 51. | | $-\text{C}(\text{C}_2\text{H}_5)=\text{C}(\text{C}_2\text{H}_5)_2$ |
| | 52. | (E) | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}-\text{Cl}$ |
| | 53. | (Z) | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}-\text{Cl}$ |
| 20 | 54. | (E) | $-\text{C}(\text{C}_2\text{H}_5)=\text{C}(\text{Cl})-\text{CH}_3$ |
| | 55. | (Z) | $-\text{C}(\text{C}_2\text{H}_5)=\text{C}(\text{Cl})-\text{CH}_3$ |
| | 56. | (E) | $-\text{C}(\text{C}_2\text{H}_5)=\text{C}(\text{Cl})-\text{C}_2\text{H}_5$ |
| | 57. | (Z) | $-\text{C}(\text{C}_2\text{H}_5)=\text{C}(\text{Cl})-\text{C}_2\text{H}_5$ |
| | 58. | | $-\text{C}(\text{C}_2\text{H}_5)=\text{CCl}_2$ |
| 25 | 59. | (E) | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}-\text{CH}=\text{CH}_2$ |
| | 60. | (E,E) | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}-\text{CH}=\text{CH}-\text{CH}_3$ |
| | 61. | (E,E) | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}-\text{CH}=\text{CH}-\text{C}_6\text{H}_5$ |
| | 62. | (E,E) | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}-\text{CH}=\text{CH}-(\text{p-F}-\text{C}_6\text{H}_4)$ |
| | 63. | (E,E) | $-\text{C}(\text{C}_2\text{H}_5)=\text{CH}-\text{CH}=\text{CH}-(\text{p-Cl}-\text{C}_6\text{H}_4)$ |
| | 64. | | Thiophen-2-yl |
| 30 | 65. | | Thiophen-3-yl |
| | 66. | | Furan-2-yl |
| | 67. | | Furan-3-yl |
| | 68. | | 5-Chlor-thiophen-2-yl |
| | 69. | | 5-Brom-thiophen-2-yl |
| 35 | 70. | | 5-Chlor-furan-2-yl |
| | 71. | | 5-Brom-furan-2-yl |
| | 72. | | 5-Methyl-thiophen-2-yl |
| | 73. | | 5-Phenyl-thiophen-2-yl |
| | 74. | | 5-Methyl-furan-2-yl |
| | 75. | | 5-Phenyl-furan-2-yl |
| 40 | 76. | | Oxazol-4-yl |
| | 77. | | Oxazol-5-yl |
| | 78. | | Thiazol-4-yl |
| | 79. | | Thiazol-5-yl |
| | 80. | | 2-Phenyl-oxazol-4-yl |
| 45 | 81. | | 2-Phenyl-thiazol-4-yl |
| | 82. | | 2-(p-Chlorphenyl-oxazol-4-yl |
| | 83. | | 2-(p-Chlorphenyl-thiazol-4-yl |
| | 84. | | 2-(p-Bromphenyl-oxazol-4-yl |

| | |
|-----|-----------------------------------|
| 85. | 2-(p-Bromphenyl-thiazol-4-yl |
| 86. | 2-(p-Fluorphenyl-oxazol-4-yl |
| 87. | 2-(p-Fluorphenyl-thiazol-4-yl |
| 88. | 2-(2,4-Dichlorphenyl-oxazol-4-yl |
| 89. | 2-(2,4-Dichlorphenyl-thiazol-4-yl |

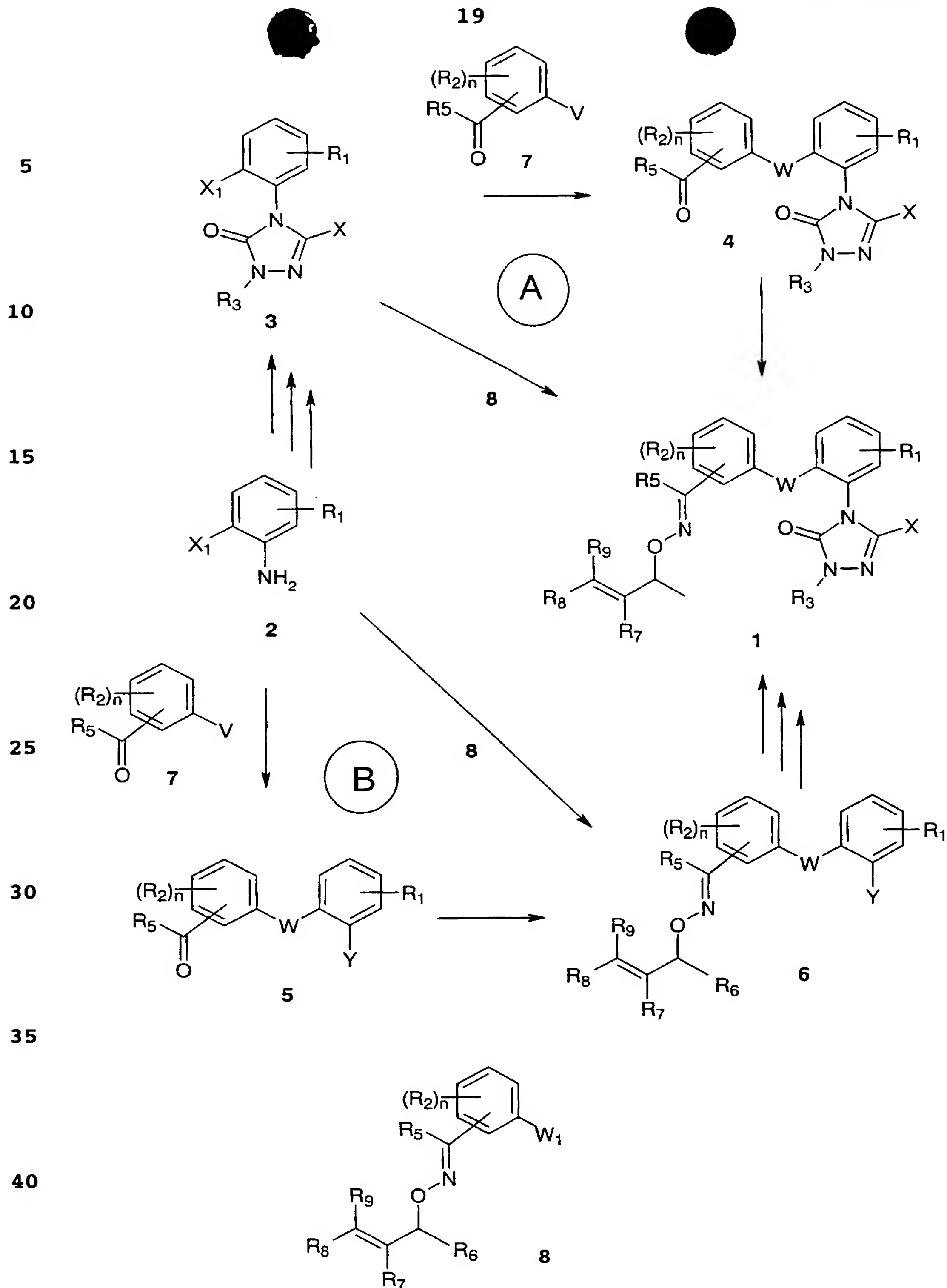
- 10 Die erfindungsgemäßen Verbindungen sind nach mehreren Methoden herstellbar. Vorzugsweise erfolgt die Herstellung nach einem der Synthesewege A oder B, die in dem nachstehenden Formelschema erläutert sind. Ausgangspunkt der Synthese ist eine Verbindung der Formel 2, in der X_1 im Fall von Weg A für CH_3 oder für $SGOCH_2-$ steht, wobei SG eine Schutzgruppe für Benzylether darstellt. Nach
- 15 Aufbau des Triazolonringes wird die Schutzgruppe abgespalten und der erhaltene Benzylalkohol wird nach literaturbekannten Methoden in X_2CH_2- umgewandelt, wobei X_2 eine nukleophil abspaltbare Gruppe bedeutet (vgl. T. W. Greene: "Protective Groups in Organic Synthesis", 2. Auflage 1991, John Wiley, New York). Im Fall von Weg
- 20 B bedeutet $X_1 = X_2CH_2-$, wobei X_2 eine nukleophil abspaltbare Gruppe, wie beispielsweise Chlor, Fluor, Brom, Nitro, Alkyl- oder Arylsulfonat, wie Mesylat, Tosylat oder Triflat, bedeutet. Die Herstellung dieser Verbindungen ist bekannt und beispielsweise
- 25 für die Synthese aus den entsprechenden Nitroverbindungen beschrieben in Houben-Weyl, Bd. IV/1c, 4. Auflage, S. 506ff, Thieme Verlag, Stuttgart 1980.

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Die Aminofunktion der Verbindungen 2 wird zunächst in eine Thiazolengruppe umgewandelt, wobei man die Verbindungen 3 erhält. Die zu dieser Umwandlung führende Reaktionsfolge ist detailliert beschrieben in der WO 95/14009 (Verbindungen Nr. 21 → 19 → 17 → 5 1) sowie in der WO 96/26191 und der DE 198 09 995.

Anschließend wird die Gruppe X_1 in eine reaktive Gruppe überführt, die mit dem Rest V in der Verbindung 7 bzw. dem Rest W_1 in der Verbindung der Formel 8 unter Verknüpfung der beiden Phenylringe 10 und unter Bildung der Gruppe W reagieren kann. Bei der Gruppe V handelt es sich um eine phenolische OH-Gruppe oder um die Gruppe $C(R_{10})=N-OH$. Somit wird in die Gruppe X_1 eine Abgangsgruppe eingeführt, die mit dem Wasserstoffatom der phenolischen bzw. oximis- 15 schen OH-Gruppe in Gegenwart einer Base abgespalten wird. Beispiele für derartige Abgangsgruppen sind Chlorid, Bromid, p-Toluolsulfonat, Methansulfonat oder Trifluormethansulfonat. Diese Abgangsgruppen werden in dem Fachmann bekannter Weise eingeführt, beispielsweise durch Umsetzung der Verbindung 3 mit $X_1=CH_3$ mit N-Bromsuccinimid oder N-Chlorsuccinimid.

20 Die Umsetzung der Verbindung 3 mit der Verbindung 7 bzw. 8 erfolgt beispielsweise in einem inerten Lösungs- oder Verdünnungsmittel, wie Aceton, Acetonitril, Dimethylsulfoxid, Dimethylformamid, N-Methylpyrrolidon etc., unter Verwendung einer Base (beispielsweise Natriumcarbonat, Kaliumcarbonat, Natriumhydroxid, Ka- 25 liumhydroxid, Natriumhydrid, Kaliumhydrid etc.). Die Basen werden im Allgemeinen äquimolar oder im Überschuss verwendet. Außerdem kann es vorteilhaft sein, eine katalytische Menge eines Kronenethers (beispielsweise 18-Krone-6 oder 15-Krone-5) zuzusetzen. 30 Die Reaktionstemperatur liegt im Allgemeinen im Bereich von 0 bis 80 °C, vorzugsweise 20 bis 60 °C.

Die Herstellung der Verbindungen 7 und 8 ist dem Fachmann bekannt und beispielsweise beschrieben in Organikum, 17. Auflage, VEB 35 Deutscher Verlag der Wissenschaften, Berlin 1988, S. 323ff, und Angewandte Chemie 1972, 84, 295 sowie Zh. Org. Khim 1995, 31, 601, Chem. Pharm. Bull. 1988, 36, 3134, Indian J. Chem., Sect. B, 1992, 31, 495.

40 Die durch Umsetzung von 3 mit 7 erhaltene Verbindung 4 wird anschließend mit einem O-Alkenylhydroxylamin oder einem Salz davon oximiert. Die Herstellung des O-Alkenylhydroxylamins ist dem Fachmann bekannt und beispielsweise beschrieben in Chem. Pharm. Bull. 1983, 91, 2601 und J. Am. Chem. Soc. 1949, 71, 3423.

Alternativ kann eine Verbindung 3 direkt mit einem Oxim 8 zu einer Verbindung 1 umgesetzt werden. Alle Schritte des Weges A, einschließlich der Herstellung der Zwischenprodukte bzw. analoger Zwischenprodukte, sind beschrieben in EP 386 581A (für $W = OCH_2$) 5 und in EP 585 751A (für $W = C(R_{10}) = NOCH_2$).

Weg B geht ebenfalls aus von einer Verbindung 2. Umsetzung mit dem Oxim 8 unter den oben genannten Veretherungsbedingungen ergibt eine Verbindung 6 ($Y = NH_2$). Der Thiazolonring wird dann in 10 gleicher Weise wie beim Weg A aufgebaut.

Alternativ wird eine Verbindung 2 mit einer Verbindung 7 unter den oben genannten Veretherungsbedingungen zu einer Verbindung 5 umgesetzt. Diese wird, in gleicher Weise wie beim Weg A, mit 15 O-Alkenylhydroxylamin oder einem Salz davon oximiert, wobei die Verbindung 6 erhält, in die, wie schon beschrieben, anschließend der Thiazolonring eingeführt wird.

Die erfindungsgemäßen Verbindungen können bei der Herstellung 20 aufgrund der C=C- bzw. C=N-Doppelbindungen als E/Z-Isomerengemische anfallen. Diese können in üblicher Weise, beispielsweise durch Kristallisation oder Chromatographie, in die einzelnen Komponenten getrennt werden. Sowohl die einzelnen Isomerenverbindungen als auch ihre Gemische sowie alle Enantiomeren, Racemate und 25 Diastereomeren werden von der Erfindung umfasst.

Die neuen Verbindungen 1 zeichnen sich durch eine hervorragende Wirksamkeit gegen ein breites Spektrum von pflanzenpathogenen Pilzen, insbesondere aus der Klasse der Ascomyceten und Basidio- 30 myceten, aus und können als Blatt- und Bodenfungizide eingesetzt werden. Sie besitzen zum Teil bemerkenswert hohe systemische Beweglichkeit und Wirksamkeit nach Boden- und insbesondere auch nach Blattapplikation.

35 Besondere Bedeutung haben sie für die Bekämpfung einer Vielzahl von Pilzen an verschiedenen Kulturpflanzen wie Weizen, Roggen, Gerste, Hafer, Reis, Mais, Gras, Baumwolle, Soja, Kaffee, Zuckerrohr, Wein, Obst- und Zierpflanzen und Gemüsepflanzen wie Gurken, Bohnen und Kürbisgewächsen, sowie an den Samen dieser Pflanzen.

40 Speziell eignen sie sich zur Bekämpfung folgender Pflanzenkrankheiten:

Erysiphe graminis (echter Mehltau) in Getreide,
45 Erysiphe cichoracearum und Shaerotheca fuliginea an Kürbisgewächsen,
Podosphaera leucotricha an Äpfeln,

- Uncinula necator an Reben,
Puccinia-Arten an Getreide,
Rhizoctonia-Arten an Baumwolle und Rasen,
Ustilago-Arten an Getreide und Zuckerrohr,
5 Venturia inaequalis (Schorf) an Äpfeln,
Helminthosporium-Arten an Getreide,
Septoria nodorum an Weizen,
Botrytis cinerea (Grauschimmel) an Erdbeeren, Reben,
Cercospora arachidicola an Erdnüssen,
10 Pseudocercospora herpotrichoides an Weizen, Gerste,
Pyricularia oryzae an Reis,
Phytophthora infestans an Kartoffeln und Tomaten,
Fusarium- und Verticillium-Arten an verschiedenen Pflanzen,
Plasmopara viticola an Reben,
15 Alternaria-Arten an Gemüse und Obst.

Die Verbindungen 1 werden angewendet, indem man die Pilze oder die vor Pilzbefall zu schützenden Pflanzen, Saatgüter, Materialien oder den Erdboden mit einer fungizid wirksamen Menge der
20 Wirkstoffe behandelt. Die Anwendung erfolgt vor oder nach der Infektion der Materialien, Pflanzen oder Samen durch die Pilze.

- Sie können in die üblichen Formulierungen übergeführt werden, wie Lösungen, Emulsionen, Suspensionen, Stäube, Pulver, Pasten und
25 Granulate. Die Anwendungsformen richten sich nach den Verwendungszwecken; sie sollen in jedem Fall eine feine und gleichmäßige Verteilung der Verbindungen 1 gewährleisten. Die Formulierungen werden in bekannter Weise hergestellt, z. B. durch Verstrecken des Wirkstoffs mit Lösungsmitteln und/oder Trägerstoffen, gewünschtenfalls unter Verwendung von Emulgiermitteln und Dispergiermitteln, wobei im Falle von Wasser als Verdünnungsmittel auch andere organische Lösungsmittel als Hilfslösungsmittel verwendet werden können. Als Hilfsstoffe kommen dafür im Wesentlichen in Betracht: Lösungsmittel wie Aromaten (z. B. Xy-
35 lol), chlorierte Aromaten (z. B. Chlorbenzole), Paraffine (z. B. Erdölfraktionen), Alkohole (z. B. Methanol, Butanol), Ketone (z. B. Cyclohexanon), Amine (z. B. Ethanolamin, Dimethylformamid) und Wasser; Trägerstoffe wie natürliche Gesteinmehle (z. B. Kaoline, Tonerden, Talkum, Kreide) und synthetische Gesteinmehle
40 (z. B. hochdisperse Kieselsäure, Silikate); Emulgiermittel wie nichtionogene und anionische Emulgatoren (z. B. Polyoxyethylen-Fettalkohol-Ether, Alkylsulfonate und Arylsulfonate) und Dispergiermittel wie Lignin-Sulfitablaugen und Methylcellulose.
- 45 Die fungiziden Mittel enthalten im Allgemeinen zwischen 0,1 und 95, vorzugsweise zwischen 0,5 und 90 Gew.-% Wirkstoff.

Die Aufwandmengen liegen je nach Art des gewünschten Effektes zwischen 0,01 und 3 kg Wirkstoff pro ha.

Bei der Saatgutbehandlung werden im Allgemeinen Wirkstoffmengen von 0,001 bis 50 g, vorzugsweise 0,01 bis 10 g je Kilogramm Saatgut benötigt.

Die erfindungsgemäßen Mittel können in der Anwendungsform als Fungizide auch zusammen mit anderen Wirkstoffen vorliegen, der z. B. mit Herbiziden, Insektiziden, Wachstumsregulatoren, Fungiziden oder auch mit Düngemitteln.

Beim Vermischen mit Fungiziden erhält man dabei in vielen Fällen eine Vergrößerung des fungiziden Wirkungsspektrums.

15

Die Verbindungen der Formel Ia sind außerdem geeignet, Schädlinge aus der Klasse der Insekten, Spinnentiere und Nematoden wirksam zu bekämpfen. Sie können im Pflanzenschutz sowie auf dem Hygiene-, Vorratsschutz- und Veterinärsektor als Schädlingsbekämpfungsmittel eingesetzt werden.

Zu den schädlichen Insekten gehören aus der Ordnung der Schmetterlinge (Lepidoptera) beispielsweise *Agrotis ypsilon*, *Agrotis segetum*, *Alabama argillacea*, *Anticarsia gemmatilis*, *Argyresthia conjugella*, *Autographa gamma*, *Bupalus piniarius*, *Cacoecia murinana*, *Capua reticulana*, *Cheimatobia brumata*, *Choristoneura fumiferana*, *Choristoneura occidentalis*, *Cirphis unipuncta*, *Cydia pomonella*, *Dendrolimus pini*, *Diaphania nitidalis*, *Diatraea grandiosella*, *Earias insulana*, *Elasmopalpus lignosellus*, *Eupoecilia ambiguella*, *Evetria bouliana*, *Feltia subterranea*, *Galleria mellonella*, *Grapholita funebrana*, *Grapholita molesta*, *Heliothis armigera*, *Heliothis virescens*, *Heliothis zea*, *Hellula undalis*, *Hibernia defoliaria*, *Hyphantria cunea*, *Hyponomeuta malinellus*, *Keifferia lycopersicella*, *Lambdina fiscellaria*, *Laphygma exigua*, *Leucoptera coffeella*, *Leucoptera scitella*, *Lithocolletis blancardella*, *Lobesia botrana*, *Loxostege sticticalis*, *Lymantria dispar*, *Lymantria monacha*, *Lyonetia clerkella*, *Malacosoma neustria*, *Mamestra brassicae*, *Orgyia pseudotsugata*, *Ostrinia nubilalis*, *Panolis flammea*, *Pectinophora gossypiella*, *Peridroma saucia*, *Phalera bucephala*, *Phthorimaea operculella*, *Phyllocnistis citrella*, *Pieris brassicae*, *Plathypena scabra*, *Plutella xylostella*, *Pseudoplusia includens*, *Phyacionia frustrana*, *Scrobipalpula absoluta*, *Sitotroga cerealella*, *Sparganothis pilleriana*, *Spodoptera frugiperda*, *Spodoptera littoralis*, *Spodoptera litura*, *Thaumtopoea pityocampa*, *Tortrix viridana*, *Trichoplusia ni*, *Zeiraphera canadensis*.

- Aus der Ordnung der Käfer (Coleoptera) beispielsweise *Agrilus sinuatus*, *Agriotes lineatus*, *Agriotes obscurus*, *Amphimallus solstitialis*, *Anisandrus dispar*, *Anthonomus grandis*, *Anthonomus pomorum*, *Atomaria linearis*, *Blastophagus piniperda*, *Blitophaga undata*, *Bruchus rufimanus*, *Bruchus pisorum*, *Bruchus lentis*, *Byctiscus betulae*, *Cassida nebulosa*, *Cerotoma trifurcata*, *Ceuthorrhynchus assimilis*, *Ceuthorrhynchus napi*, *Chaetocnema tibialis*, *Conoderus vespertinus*, *Crioceris asparagi*, *Diabrotica longicornis*, *Diabrotica 12-punctata*, *Diabrotica virgifera*,
10 *Epilachna varivestis*, *Epitrix hirtipennis*, *Eutinobothrus brasiliensis*, *Hylobius abietis*, *Hypera brunneipennis*, *Hypera postica*, *Ips typographus*, *Lema bilineata*, *Lema melanopus*, *Leptinotarsa decemlineata*, *Limonius californicus*, *Lissorhoptrus oryzophilus*, *Melanotus communis*, *Meligethes aeneus*, *Melolontha hippocastani*, *Melolontha melolontha*, *Oulema oryzae*, *Ortiorrhynchus sulcatus*, *Otiorrhynchus ovatus*, *Phaedon cochleariae*, *Phyllotreta chrysocephala*, *Phyllophaga* sp., *Phyllopertha horticola*, *Phyllotreta nemorum*, *Phyllotreta striolata*, *Popillia japonica*, *Sitona lineatus*, *Sitophilus granaria*.
20
- Aus der Ordnung der Zweiflügler (Diptera) beispielsweise *Aedes aegypti*, *Aedes vexans*, *Anastrepha ludens*, *Anopheles maculipennis*, *Ceratitis capitata*, *Chrysomya bezziana*, *Chrysomya hominivorax*, *Chrysomya macellaria*, *Contarinia sorghicola*, *Cordylobia anthropophaga*, *Culex pipiens*, *Dacus cucurbitae*, *Dacus oleae*, *Dasineura brassicae*, *Fannia canicularis*, *Gasterophilus intestinalis*, *Glossina morsitans*, *Haematobia irritans*, *Haplodiplosis equestris*, *Hylemyia platura*, *Hypoderma lineata*, *Liriomyza sativae*, *Liriomyza trifolii*, *Lucilia cuprina*, *Lucilia sericata*, *Lycoria pectoralis*,
25 *Mayetiola destructor*, *Musca domestica*, *Muscina stabulans*, *Oestrus ovis*, *Oscinella frit*, *Pegomya hyoscyami*, *Phorbia antiqua*, *Phorbia brassicae*, *Phorbia coarctata*, *Rhagoletis cerasi*, *Rhagoletis pomonella*, *Tabanus bovinus*, *Tipula oleracea*, *Tipula paludosa*.
30
- 35 Aus der Ordnung der Thripse (Thysanoptera) beispielsweise *Frankliniella fusca*, *Frankliniella occidentalis*, *Frankliniella tritici*, *Scirtothrips citri*, *Thrips oryzae*, *Thrips palmi*, *Thrips tabaci*.
40
- 40 Aus der Ordnung der Hautflügler (Hymenoptera) beispielsweise *Athalia rosae*, *Atta cephalotes*, *Atta sexdens*, *Atta texana*, *Hoplocampa minuta*, *Hoplocampa testudinea*, *Monomorium pharaonis*, *Solenopsis geminata*, *Solenopsis invicta*.
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- 45 Aus der Ordnung der Wanzen (Heteroptera) beispielsweise *Acrosternum hilare*, *Blissus leucopterus*, *Cyrtopeltis notatus*, *Dysdercus cingulatus*, *Dysdercus intermedius*, *Eurygaster integriceps*, *Eus-*

chistus impictiventris, *Leptoglossus phyllopus*, *Lygus lineolaris*, *Lygus pratensis*, *Nezara viridula*, *Piesma quadrata*, *Solubea insularis*, *Thyanta perditor*.

- 5 Aus der Ordnung der Pflanzensauger (Homoptera) beispielsweise *Acyrtosiphon onobrychis*, *Adelges laricis*, *Aphidula nasturtii*, *Aphis fabae*, *Aphis pomi*, *Aphis sambuci*, *Bemisia tabaci*, *Brachycaudus cardui*, *Brevicoryne brassicae*, *Cerosipha gossypii*, *Dreyfusia nordmanniana*, *Dreyfusia piceae*, *Dysaphis radicola*, *Dysaulacorthum pseudosolani*, *Empoasca fabae*, *Macrosiphum avenae*, *Macrosiphum euphorbiae*, *Macrosiphon rosae*, *Megoura viciae*, *Metopolophium dirhodum*, *Myzodes persicae*, *Myzus cerasi*, *Nephotettix cincticeps*, *Nilaparvata lugens*, *Pemphigus bursarius*, *Perkinsiella saccharicida*, *Phorodon humuli*, *Psylla mali*, *Psylla piri*, *Rhopalosiphum myzus ascalonicus*, *Rhopalosiphum maidis*, *Sappahis mali*, *Schizaphis graminum*, *Schizoneura lanuginosa*, *Trialeurodes vaporariorum*, *Viteus vitifolii*.

- Aus der Ordnung der Termiten (Isoptera) beispielsweise *Calotermes*
20 *flavicollis*, *Leucotermes flavipes*, *Reticulitermes lucifugus*, *Termes natalensis*.

- Aus der Ordnung der Geradflügler (Orthoptera) beispielsweise *Acheta domestica*, *Blatta orientalis*, *Blattella germanica*, *Forficula auricularia*, *Gryllotalpa gryllotalpa*, *Locusta migratoria*, *Melanoplus bivittatus*, *Melanoplus femur-rubrum*, *Melanoplus mexicanus*, *Melanoplus sanguinipes*, *Melanoplus spretus*, *Nomadacris septemfasciata*, *Periplaneta americana*, *Schistocerca americana*, *Schistocerca peregrina*, *Stauronotus maroccanus*, *Tachycines asynamor*.
30 *morus*.

- Aus der Klasse der Arachnoidea beispielsweise Spinnentiere (Acarina) wie *Amblyomma americanum*, *Amblyomma variegatum*, *Argas persicus*, *Boophilus annulatus*, *Boophilus decoloratus*, *Boophilus microplus*, *Brevipalpus phoenicis*, *Bryobia praetiosa*, *Dermacentor silvarum*, *Eotetranychus carpini*, *Eriophyes sheldoni*, *Hyalomma truncatum*, *Ixodes ricinus*, *Ixodes rubicundus*, *Metatetranychus (Phanonychus) ulmi*, *Ornithodoros moubata*, *Otobius megnini*, *Paratetranychus pilosus*, *Dermanyssus gallinae*, *Phyllocoptruta oleivora*, *Polyphagotarsonemus latus*, *Psoroptes ovis*, *Rhipicephalus appendiculatus*, *Rhipicephalus evertsi*, *Sarcoptes scabiei*, *Tetranychus cinnabarinus*, *Tetranychus kanzawai*, *Tetranychus pacificus*, *Tetranychus telarius*, *Tetranychus urticae*.

- 45 Aus der Klasse der Nematoden beispielsweise Wurzelgallen-nematoden, z. B. *Meloidogyne hapla*, *Meloidogyne incognita*, *Meloidogyne javanica*, Zysten bildende Nematoden, z.B. *Globodera rostoc-*

- chiensis, *Heterodera avenae*, *Heterodera glycinae*, *Heterodera schachtii*, *Heterodera trifolii*, Stock- und Blattälchen, z. B. *Belonolaimus longicaudatus*, *Ditylenchus destructor*, *Ditylenchus dipsaci*, *Helicotylenchus multicinctus*, *Longidorus elongatus*,
5 *Radopholus similis*, *Rotylenchus robustus*, *Trichodorus primitivus*, *Tylenchorhynchus claytoni*, *Tylenchorhynchus dubius*, *Pratylenchus neglectus*, *Pratylenchus penetrans*, *Pratylenchus curvatus*, *Pratylenchus goodeyi*.
- 10 Die Wirkstoffe können als solche, in Form ihrer Formulierungen oder den daraus bereiteten Anwendungsformen, z. B. in Form von direkt versprühbaren Lösungen, Pulvern, Suspensionen oder Dispersionen, Emulsionen, Öldispersionen, Pasten, Stäubemitteln, Streumitteln, Granulaten durch Versprühen, Vernebeln, Verstäuben,
15 Verstreuen oder Gießen angewendet werden. Die Anwendungsformen richten sich ganz nach den Verwendungszwecken; sie sollten in jedem Fall möglichst die feinste Verteilung der erfindungsgemäßen Wirkstoffe gewährleisten.
- 20 Die Wirkstoffkonzentrationen in den anwendungsfertigen Zubereitungen können in größeren Bereichen variiert werden.
- Im Allgemeinen liegen sie zwischen 0,0001 und 10 %, vorzugsweise zwischen 0,01 und 1 %.
- 25 Die Wirkstoffe können auch mit gutem Erfolg im Ultra-Low-Volume-Verfahren (ULV) verwendet werden, wobei es möglich ist, Formulierungen mit mehr als 95 Gew.-% Wirkstoff oder sogar den Wirkstoff ohne Zusätze auszubringen.
- 30 Die Aufwandmenge an Wirkstoff zur Bekämpfung von Schädlingen beträgt unter Freilandbedingungen 0,1 bis 2,0, vorzugsweise 0,2 bis 1,0 kg/ha.
- 35 Zur Herstellung von direkt versprühbaren Lösungen, Emulsionen, Pasten oder Öldispersionen kommen Mineralölfraktionen von mittlerem bis hohem Siedepunkt, wie Kerosin oder Dieselöl, fernen Kohlenteeröle sowie Öle pflanzlichen oder tierischen Ursprungs, aliphatische, cyclische und aromatische Kohlenwasserstoffe, z. B.
40 Benzol, Toluol, Xylol, Paraffin, Tetrahydronaphthalin, alkylierte Naphthaline oder deren Derivate, Methanol, Ethanol, Propanol, Butanol, Chloroform, Tetrachlorkohlenstoff, Cyclohexanol, Cyclohexanon, Chlorbenzol, Isophoron, stark polare Lösungsmittel, z. B. Dimethylformamid, Dimethylsulfoxid, N-Methylpyrrolidon,
45 Wasser in Betracht.

Wässrige Anwendungsformen können aus Emulsionskonzentraten, Pasten oder netzbaren Pulvern (Spritzpulver, Öldispersionen) durch Zusatz von Wasser bereitet werden. Zur Herstellung von Emulsionen, Pasten oder Öldispersionen können die Substanzen als solche oder in einem Öl oder Lösungsmittel gelöst, mittels Netz-, Haft-, Dispergier- oder Emulgiermittel in Wasser homogenisiert werden. Es können aber auch aus wirksamer Substanz Netz-, Haft-, Dispergier- oder Emulgiermittel und eventuell Lösungsmittel oder Öl bestehende Konzentrate hergestellt werden, die zur Verdünnung mit Wasser geeignet sind.

Als oberflächenaktive Stoffe kommen Alkali-, Erdalkali-, Ammoniumsalze von Ligninsulfonsäure, Naphthalinsulfonsäure, Phenolsulfonsäure, Dibutylnaphthalinsulfonsäure, Alkylarylsulfonate, Alkylsulfate, Alkylsulfonate, Fettalkoholsulfate und Fettsäuren sowie deren Alkali- und Erdalkalisalze, Salze von sulfatiertem Fettalkoholglykoether, Kondensationsprodukte von sulfoniertem Naphthalin und Naphthalinderivaten mit Formaldehyd, Kondensationsprodukte des Naphthalins bzw. der Naphthalinsulfonsäure mit Phenol und Formaldehyd, Polyoxyethylenoctylphenolether, ethoxyliertes Isooctylphenol, Octylphenol, Nonylphenol, Alkylphenolpolyglykoether, Tributylphenylpolyglykoether, Alkylarylpolyetheralkohole, Isotridecylalkohol, Fettalkoholethylenoxid-Kondensate, ethoxyliertes Rizinusöl, Polyoxyethylenalkylether, ethoxyliertes Polyoxypropylen, Laurylalkoholpolyglykoetheracetal, Sorbitester, Ligninsulfitablaugen und Methylcellulose in Betracht.

Pulver-, Streu- und Stäubemittel können durch Mischen oder gemeinsames Vermahlen der wirksamen Substanzen mit einem festen Trägerstoff hergestellt werden.

Die Formulierungen enthalten im Allgemeinen zwischen 0,01 und 95 Gew.-%, vorzugsweise zwischen 0,1 und 90 Gew.-% des Wirkstoffs. Die Wirkstoffe werden dabei in einer Reinheit von 90 % bis 100 %, vorzugsweise 95 % bis 100 % (nach NMR-Spektrum) eingesetzt.

Beispiele für Formulierungen sind:

Granulate, z. B. Umhüllungs-, Imprägnierungs- und Homogengranulate; sie können durch Bindung der Wirkstoffe an feste Trägerstoffe hergestellt werden. Feste Trägerstoffe sind z. B. Mineralerden, wie Silicagel, Kieselsäuren, Kieselgele, Silikate, Talkum, Kaolin, Attaclay, Kalkstein, Kalk, Kreide, Bolus, Löss, Ton, Dolomit, Diatomeenerde, Calcium- und Magnesiumsulfat,

Magnesiumoxid, gemahlene Kunststoffe, Düngemittel, wie z. B. Ammoniumsulfat, Ammoniumphosphat, Ammoniumnitrat, Harnstoffe und pflanzliche Produkte, wie Getreidemehl, Baumrinden-, Holz- und Nussschalenmehl, Cellulosepulver und andere feste Trägerstoffe.

5

Zu den Wirkstoffen können Öle verschiedenen Typs, Herbizide, Fungizide, andere Schädlingsbekämpfungsmittel, Bakterizide, gegebenenfalls auch erst unmittelbar vor der Anwendung (Tankmix), zugesetzt werden. Diese Mittel können zu den erfindungsgemäßen Mitteln im Gewichtsverhältnis 1:10 bis 10:1 zugemischt werden.

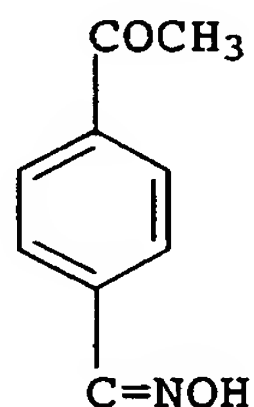
Die erfindungsgemäßen Mittel können in diesen Anwendungsformen auch zusammen mit anderen Wirkstoffen vorliegen, wie z. B. Herbiziden, Insektiziden, Wachstumsregulatoren und Fungiziden, oder auch mit Düngemitteln vermischt und ausgebracht werden. Beim Vermischen mit Fungiziden erhält man dabei in vielen Fällen eine Vergrößerung des fungiziden Wirkungsspektrums.

Beispiel 1

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Synthese von 1,4-Diacetylbenzolmonoxim 9

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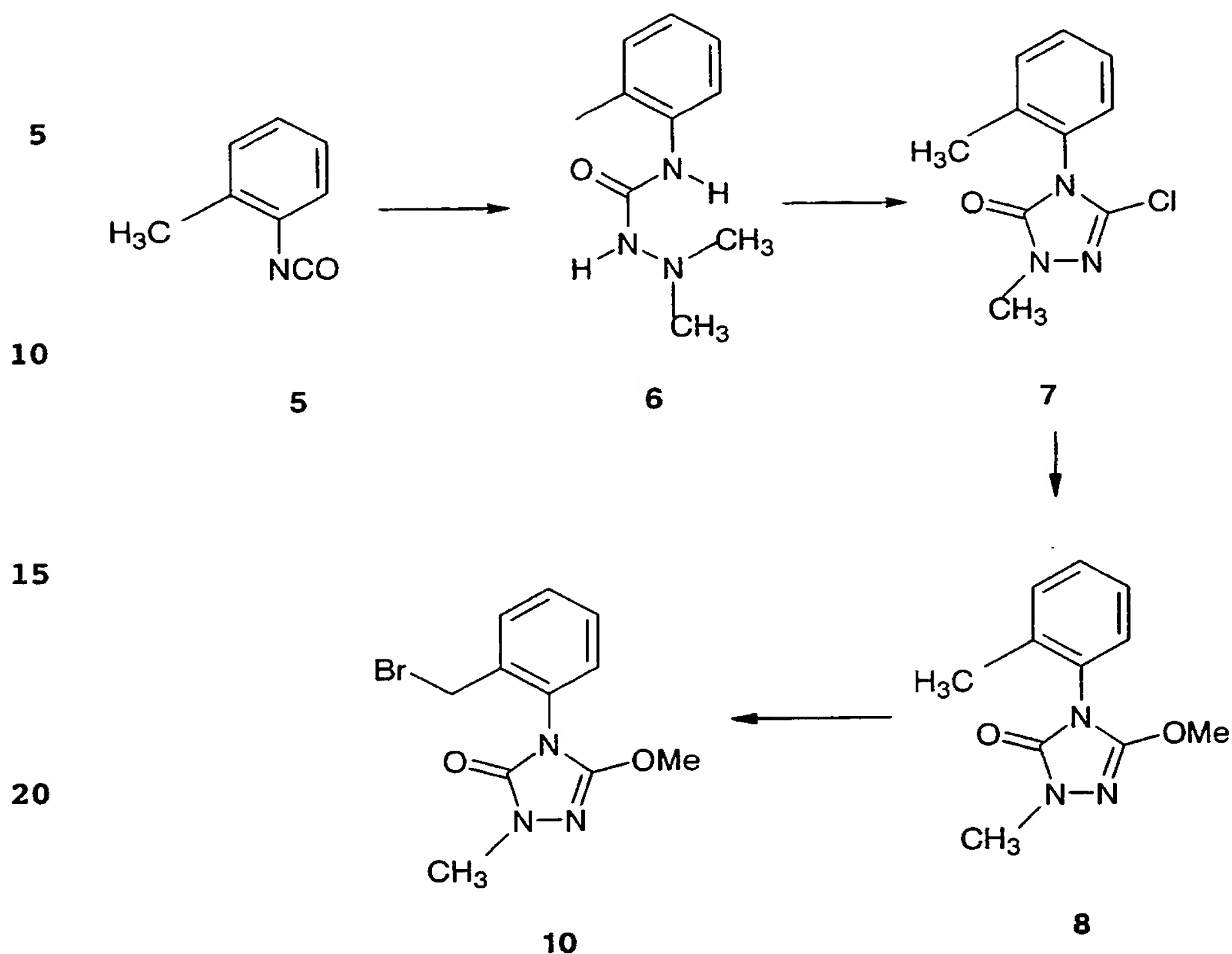
30

In 80 ml Methanol werden 25 g (0,154 mol) 1,4-Diacetylbenzol und 12,2 g (0,154 mol) Pyridin gelöst. Unter Rückfluss wird langsam eine Lösung von 10,7 g (0,154 mol) Hydroxylammoniumchlorid in 50 ml Wasser zugegeben. Es wird 6 h unter Rückfluss und 15 h bei Raumtemperatur gerührt. Anschließend filtriert man, wäscht den Rückstand dreimal mit Wasser und trocknet ihn im Vakuum. Man erhält 24,7 g (90 %) des Produktes als farblose Kristalle. Schmelzpunkt: 162 - 169 °C.

40 Beispiel 2

45

Synthese von 10



25 Synthese von 1,1-Dimethyl-4-(ortho-tolyl)-semicarbazid 6:

Zu einer Lösung von 50 g (0,376 mol) ortho-Tolylisocyanat in 400 ml absolutem Toluol werden bei 10 - 15 °C langsam 23,7 g (0,395 mol) 1,1-Dimethylhydrazin gegeben. Nachdem 16 h bei Raumtemperatur gerührt wurde, wird der Ansatz im Vakuum eingeeengt und der Rückstand in 100 ml Cyclohexan suspendiert. Nach Filtration und Waschen des Rückstands mit Pentan erhält man 69,2 g (95 %) des Produkts in Form farbloser Kristalle. Fp.: 134 - 136 °C.

35 Synthese von 5-Chlor-2,4-dihydro-2-methyl-4-(ortho-tolyl)-3H-1,2,4-triazol-3-on 7:

Bei 0 °C werden 106 g (0,359 mol) Triphosgen (Bis-(trichlormethyl)-carbonat) zu einer Lösung von 69,2 g (0,358 mol) 1,1-Dimethyl-4-(ortho-tolyl)-semicarbazid 6 gegeben. Man rührt das Reaktionsgemisch 72 h bei Raumtemperatur, engt im Vakuum ein und nimmt den Rückstand in 150 ml Ethylacetat auf. Nach Abkühlung auf 0 °C fallen 39,2 g Produkt aus. Die Mutterlauge wird im Vakuum eingeeengt und in 1,5 l Ethylacetat aufgenommen. Man wäscht dreimal mit Wasser, trocknet über Natriumsulfat, engt im Vakuum ein und erhält insgesamt 49,5 g (65 %) Produkt in Form eines farblos-

sen Pulvers. $400\text{ MHz-}^1\text{H-NMR}$ (CDCl_3), δ [ppm]: 2,21 (s, 3H, Ar-CH₃); 3,52 (s, 3H, N-CH₃); 7,13-7,44 (m, 4H, Ar-H).

Synthese von 2,4-Dihydro-5-methoxy-2-methyl-4-(ortho-to-
5 ly1)-3H-1,2,4-triazol-3-on 8 :

Zu einer Lösung von 49,5 g (0,22 mol) 5-Chlor-2,4-dihydro-2-methyl-4-(ortho-tolyl)-3H-1,2,4-triazol-3-on 7 in 620 ml eines Gemisches aus Methanol und Ethylenglycoldimethylether (1:1) werden
10 79,2 g (0,44 mol) einer 30 %igen Natriummethylatlösung gegeben. Nachdem 6 h Rühren unter Rückfluss wird im Vakuum eingeengt und der Rückstand in Ethylacetat aufgenommen. Man wäscht dreimal mit Wasser, trocknet über Natriumsulfat und engt im Vakuum ein. Das Rohprodukt wird in 100 ml MTBE aufgenommen, mit 150 ml Hexan ver-
15 setzt und auf 0 °C gekühlt. Der ausgefallene Niederschlag wird abgetrennt und 1 h bei 40 °C im Vakuum getrocknet. Man erhält 37,6 g (78 %) des Produkts als hellbeiges Pulver. Fp.: 127 - 130 °C.

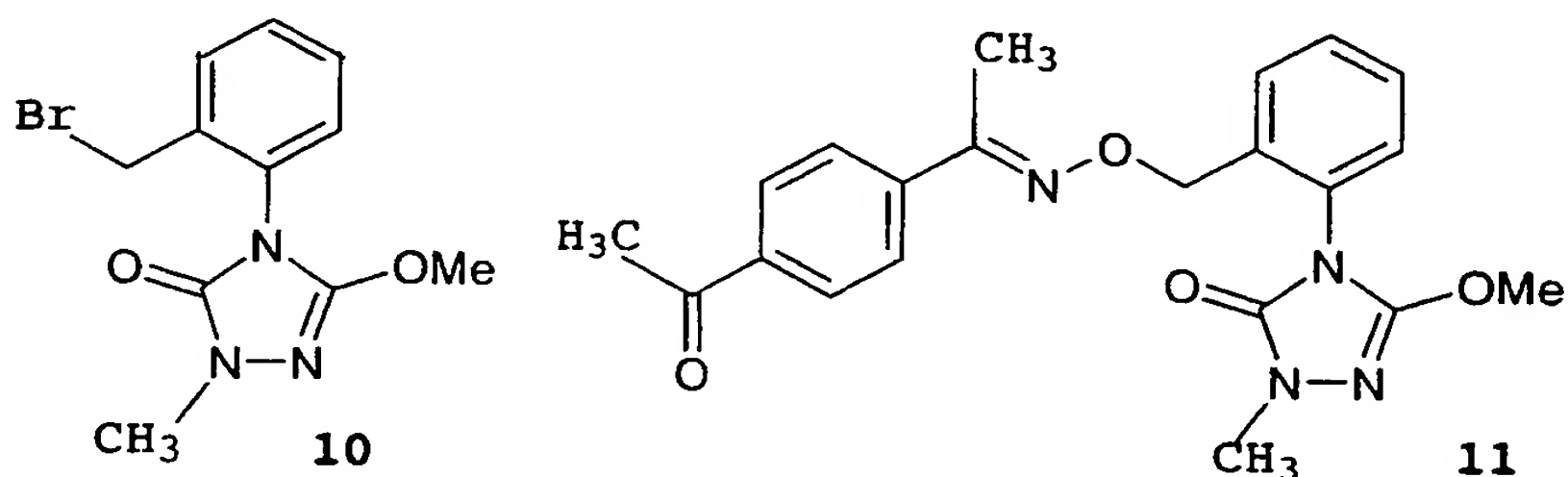
Synthese von 4-(ortho-Brommethylenphenyl)-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-on 10:

Eine Lösung von 10,7 g (60 mmol) N-Bromsuccinimid in 150 ml absolutem 1,2-Dichlorethan wird zu einer Lösung von 10,9 g (50 mmol) 2,4-Dihydro-5-methoxy-2-methyl-4-(ortho-tolyl)-3H-1,2,4-triazol-3-on 8 und 0,4 g Azobisisobutyronitril in 150 ml absolutem
25 1,2-Dichlorethan gegeben. Es wird 1 h unter Rückfluss gerührt und mit UV-Licht bestrahlt. Anschließend wird der Ansatz dreimal mit Wasser gewaschen, über Natriumsulfat getrocknet und im Vakuum eingeengt. Nach flash-chromatographischer Reinigung des Rückstan-
30 des erhält man 4,1 g (28 %) des Produkts als farbloses Pulver. Fp.: 113 - 115 °C.

Beispiel 3: Synthese von 11

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Zu 0,62 g (26 mmol) Natriumhydrid in 30 ml absolutes Acetonitril
45 werden 4,2 g (23 mmol) 1,4-Diacetylbenzolmonoxim 9 gegeben. Es wird 1 h unter Rückfluss gerührt und anschließend bei Raumtemperatur eine Lösung von 7 g (23 mmol) 10 in 50 ml absolutes Aceto-

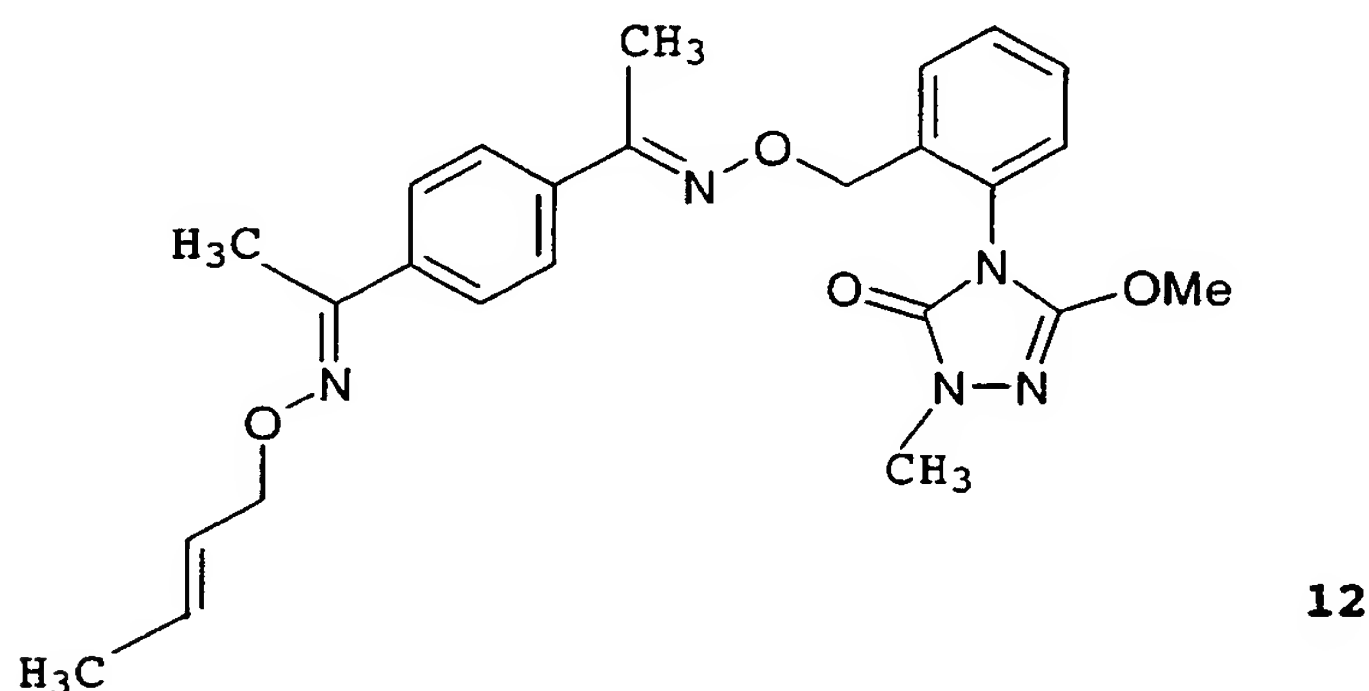
nitril zugegeben. Nachdem 15 h bei Raumtemperatur gerührt wurde, nimmt man das Reaktionsgemisch in verdünnter NaCl-Lösung auf, und extrahiert dreimal mit Methyl-tert-butylether. Die vereinigte organische Phase wird mit Wasser gewaschen, über Natriumsulfat getrocknet und im Vakuum eingeeengt. Der Rückstand wird chromatographisch gereinigt. Man erhält 7,2 g (79 %) des Produktes als farblose Kristalle. Schmelzpunkt 102 - 106 °C.

Beispiel 4: Synthese von 12

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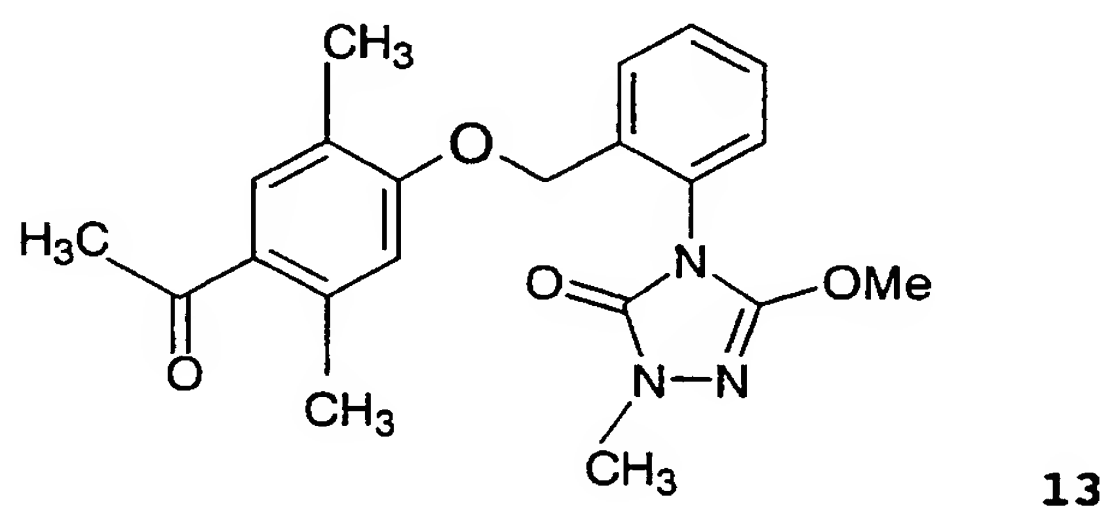
Zu einer Lösung von 1,1 g (2,8 mmol) 11 in 40 ml Methanol werden 0,44 g (3,6 mmol) O-2-trans-Buten-hydroxylammoniumchlorid und 1 g Molsieb 3 Å gegeben. Es wird 15 h bei Raumtemperatur gerührt. Man filtert das Molsieb ab, nimmt das Reaktionsgemisch in Ethylacetat auf, wäscht zweimal mit Wasser, trocknet mit Natriumsulfat und engt im Vakuum ein. Nach chromatographischer Reinigung des Rückstandes erhält man 840 ml (65 %) des Rückstandes als farblose Kristalle. Schmelzpunkt 101 - 105 °C.

30

Beispiel 5: Synthese von 13

35

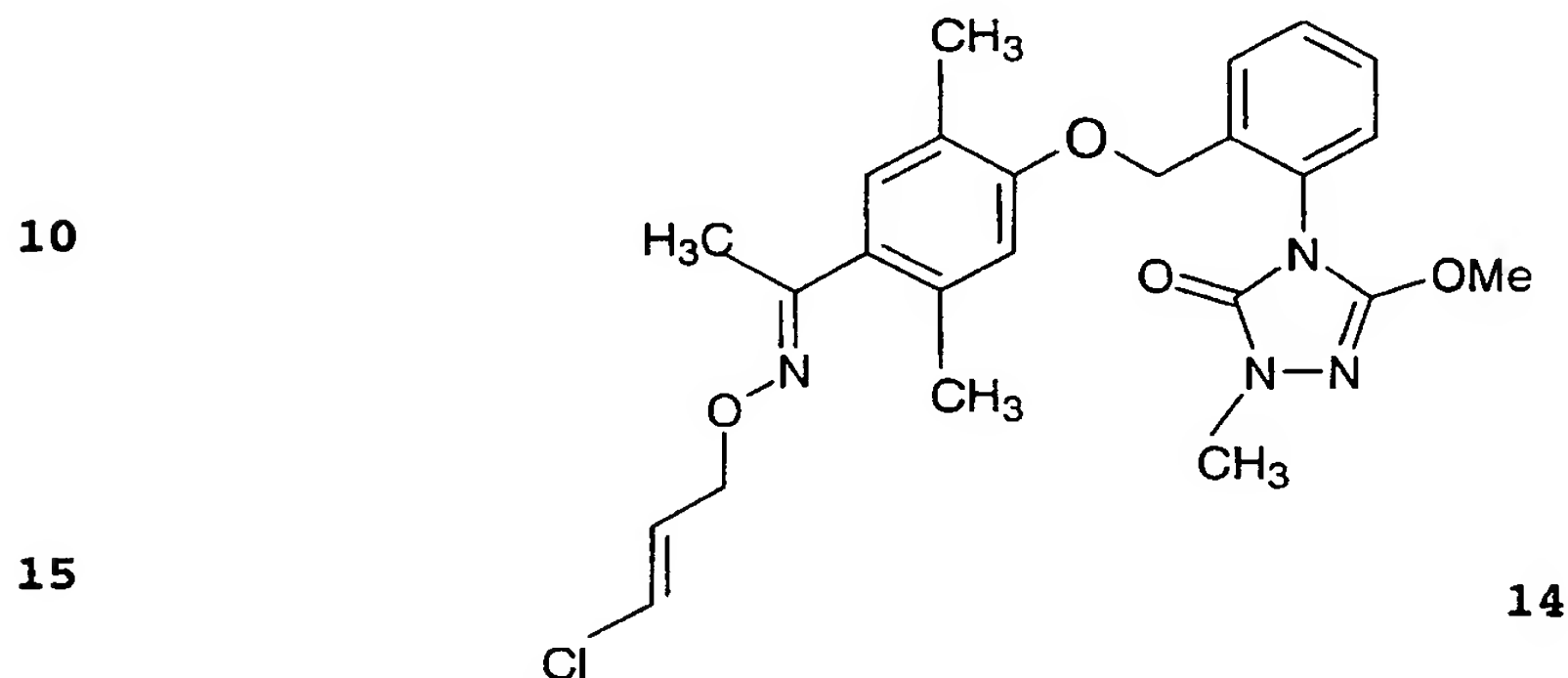
40



Zu einer Lösung von 8,3 g (50 mmol) 2,5-Dimethyl-4-hydroxy-acetophenon in 120 ml absoluter DMF (Dimethylformamid) werden 1,33 g (55 mmol) Natriumhydrid gegeben. Nachdem 1 h bei Raumtemperatur gerührt wurde, gibt man eine Lösung von 15 g (50 mmol) 10 in 80 ml absoluter DMF zu und rührt 19 h bei Raumtemperatur. Es wird anschließend in verdünnter NaCl-Lösung aufgenommen und dreimal mit Ethylacetat extrahiert. Die vereinigte organische Phase wird

mit Wasser gewaschen, über Natriumsulfat getrocknet und im Vakuum eingeeengt. Nach chromatographischer Reinigung erhält man 13,9 g (73 %) des Produktes.

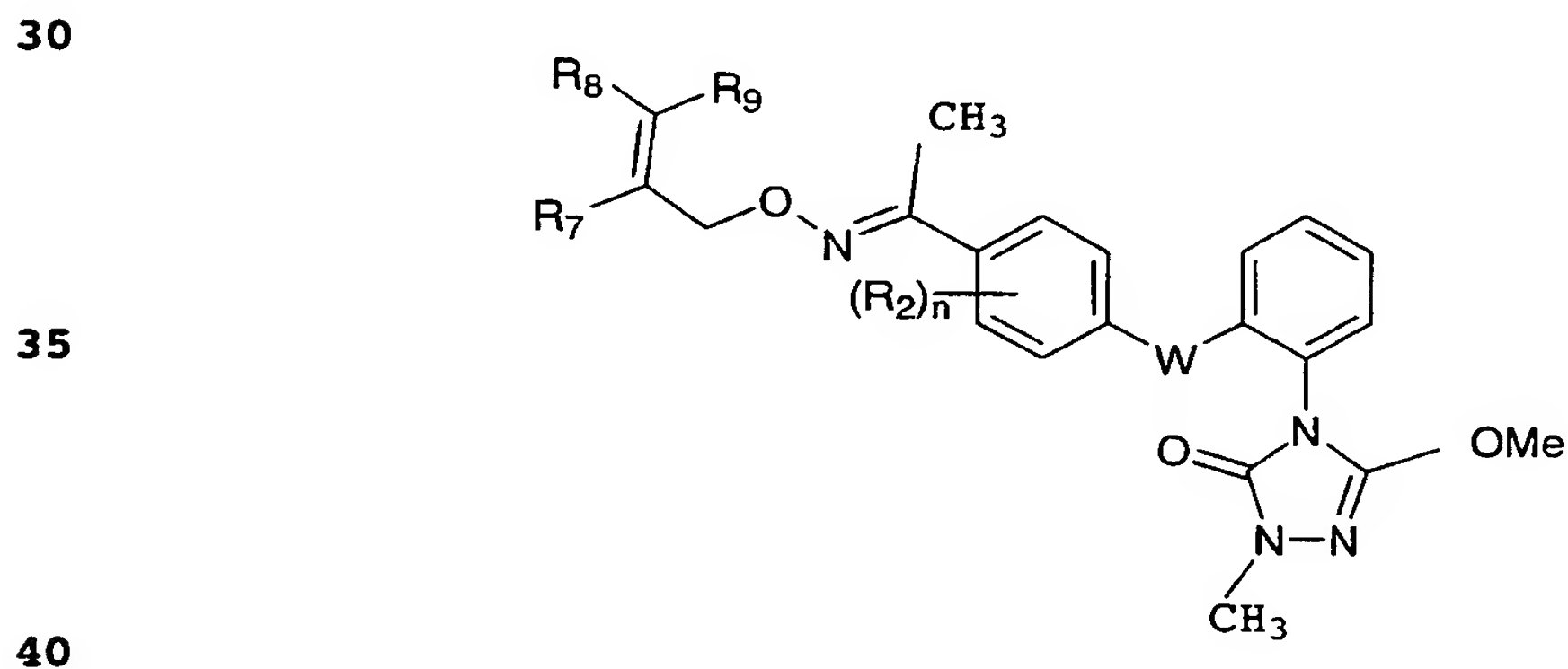
5 Beispiel 6: Synthese von 14



1 g (6 mmol) 13 werden in 40 ml Methanol gelöst. Man gibt 0,42 g (2,9 mmol) O-(3-Chlorpropen-2)-hydroxylammoniumchlorid und 1 g Molsieb 3 Å hinzu und rührt 15 h bei Raumtemperatur. Man filtriert, nimmt das Filtrat in Ethylacetat auf, wäscht mit Wasser, trocknet mit Natriumsulfat, engt im Vakuum ein und erhält 1,1 g (89 %) des Produktes (vgl. die nachfolgende Tabelle Nr. 9).

25 Die übrigen in den nachfolgenden Tabellen 2 und 3 aufgeführten Verbindungen wurden in analoger Weise erhalten.

Tabelle 2: Physikalische Daten von Verbindungen der Formel:



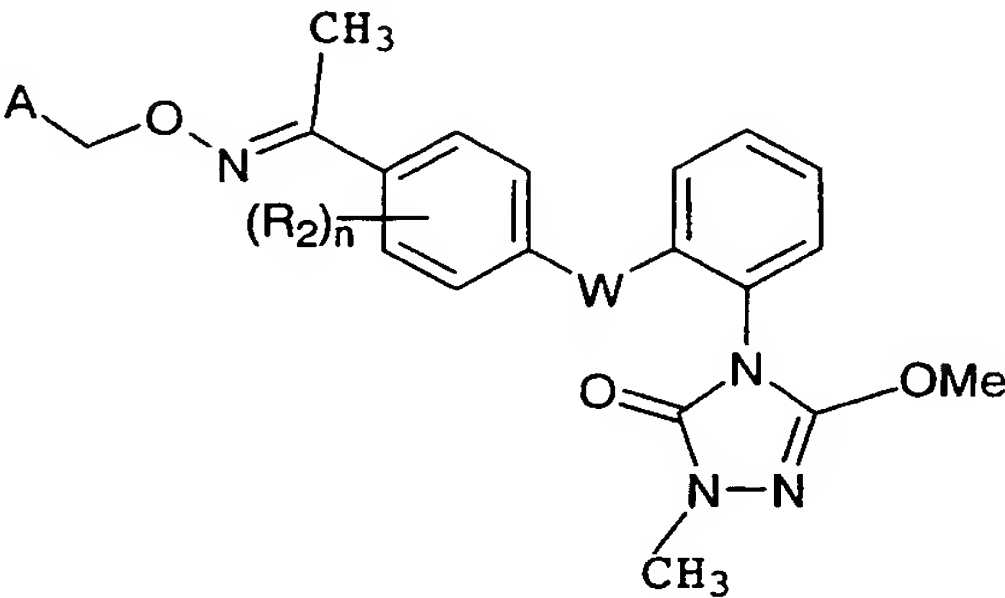
| | Nr. | n | W | R2 | R7 | R8 | R9 | analyt. Daten |
|----|-----|---|--|-----------|-------|---------|----|---|
| 5 | 1 | 1 | -OCH ₂ - | 2-Me-thyl | H | H | H | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,22 (s, 3H); 2,24 (s, 3H); 3,41 (s, 3H); 3,91 (s, 3H); 4,67 (d, 2H); 5,10 (s, 2H); 5,20-5,40 (m, 3H); 6,58-6,18 (m, 1H); 6,78 (d, 1H); 7,22-7,64 (m, 6H). |
| 10 | 2 | 1 | -OCH ₂ - | 2-Me-thyl | H | Me-thyl | H | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 1,74 (d, 3H); 2,19 (s, 3H); 2,21 (s, 3H), 3,42 (s, 3H), 3,92 (s, 3H); 4,60 (d, 2H); 5,10 (s, 2H); 5,71-5,86 (m, 2H); 6,78 (d, 1H); 7,19-7,63 (m, 6H). |
| 15 | 3 | 1 | -OCH ₂ - | 2-Me-thyl | Chlor | H | H | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,20 (s, 3H); 2,22 (s, 3H), 3,41 (s, 3H), 3,93 (s, 3H); 4,71 (s, 2H); 5,19 (s, 2H); 5,18 (s, 1H); 5,22 (s, 1H); 6,78 (d, 1H); 7,21-7,64 (m, 6H). |
| 20 | 4 | | -C(CH ₃)=NOCH ₂ - | H | H | Chlor | H | Fp = 112-117 °C |
| 25 | 5 | | -C(CH ₃)=NOCH ₂ - | H | H | H | H | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,19 (s, 3H); 2,25 (s, 3H); 3,40 (s, 3H); 3,88 (s, 3H); 4,70 (d, 2H); 5,20-5,42 (m, 2H); 6,00-6,18 (m, 1H); 7,21-7,70 (m, 8H). |
| 30 | 6 | | -C(CH ₃)=NOCH ₂ - | H | H | Me-thyl | H | Fp = 101-105 °C |
| 35 | 7 | | -C(CH ₃)=NOCH ₂ - | H | Chlor | H | H | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,19 (s, 3H); 2,24 (s, 3H); 3,40 (s, 3H); 3,94 (s, 3H); 4,76 (s, 2H); 5,23 (d, 2H); 5,40 (s, 1H); 5,45 (s, 1H); 7,21-7,61 (m, 8H). |
| 40 | 8 | 1 | -OCH ₂ - | 2-Me-thyl | H | Chlor | H | 400MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,19 (s, 3H); 2,23 (s, 3H); 3,42 (s, 3H); 3,92 (s, 3H); 4,62 (d, 2H); 5,10 (d, 2H); 6,15 (m, 1H); 6,26 (d, 1H); 6,79 (d, 1H); 7,22-7,63 (m, 7H). |
| 45 | | | | | | | | |

| | | | | | | | | |
|----|----|---|---------------------|---------------|-------|---------|-------|---|
| 5 | 9 | 2 | -OCH ₂ - | 2,5-Di-methyl | H | Chlor | H | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,14 (s, 3H); 2,17 (s, 3H); 2,24 (s, 3H); 3,42 (s, 3H); 3,93 (s, 3H); 4,61 (s, 2H); 5,02 (s, 2H); 6,03-6,11 (m, 1H); 6,60 (s, 1H); 6,98-7,64 (m, 7H). |
| 10 | 10 | 2 | -OCH ₂ - | 2,5-Di-methyl | H | H | H | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,17 (s, 6H); 2,26 (s, 3H); 3,44 (s, 3H); 3,90 (s, 3H); 4,62 (s, 2H); 5,06 (s, 2H); 5,97-6,13 (m, 1H); 6,60 (s, 1H); 6,99 (s, 1H); 7,20-7,65 (m, 6H). |
| 15 | 11 | 2 | -OCH ₂ - | 2,5-Di-methyl | H | Me-thyl | H | 400MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 1,72 (d, 3H); 2,15 (s, 3H); 2,20 (s, 3H); 2,30 (s, 3H); 3,44 (s, 3H); 3,97 (s, 3H); 4,95 (d, 2H); 5,07 (s, 2H); 5,60-5,83 (m, 2H); 6,60-7,61 (m, 6H). |
| 20 | 12 | 2 | -OCH ₂ - | 2,5-Di-methyl | Chlor | H | H | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,07 (s, 3H); 2,15 (s, 3H); 2,26 (s, 3H); 3,42 (s, 3H); 3,95 (s, 3H); 4,85 (s, 2H); 5,05 (s, 2H); 5,14-5,23 (m, 2H); 6,60 (s, 1H); 7,21-7,63 (m, 5H). |
| 25 | 13 | 1 | -OCH ₂ - | 2-Me-thyl | H | H | Chlor | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,18 (s, 3H); 2,23 (s, 3H); 3,41 (s, 3H); 3,90 (s, 3H); 4,93 (d, 2H); 5,09 (s, 2H); 6,02-6,21 (m, 2H); 6,88 (d, 1H); 7,21-7,64 (m, 7H). |
| 30 | 14 | 2 | -OCH ₂ - | 2,5-Di-methyl | H | H | Chlor | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,07 (s, 3H); 2,18 (s, 3H); 2,25 (s, 3H); 3,43 (s, 3H); 3,92 (s, 3H); 4,82 (d, 2H); 6,00-7,64 (m, 8H). |
| 35 | | | | | | | | |
| 40 | | | | | | | | |

Tabelle 3: Physikalische Daten von Verbindungen der Formel:

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| Nr. | n | W | R2 | A | analyt. Daten |
|-----|---|--|-----------|-----------------------------------|---|
| 15 | 1 | -OCH ₂ - | 2-Me-thyl | 5-Chlor-thio-phen-2-yl | 400MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,19 (s, 3H); 2,23 (s, 3H); 3,42 (s, 3H); 3,89 (s, 3H); 5,19-5,30 (m, 4H); 6,79 (s, 1H); 6,84 (s, 1H); 7,23-7,70 (m, 8H). |
| 16 | 1 | -OCH ₂ - | 2-Me-thyl | 2-(para-Bromphe-nyl)-oxazol-4-yl | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 1,59 (s, 3H); 2,23 (s, 3H), 3,41 (s, 3H), 3,95 (s, 3H); 5,10 (s, 2H); 5,21 (s, 2H); 6,80-7,95 (m, 12H). |
| 17 | 1 | -OCH ₂ - | 2-Me-thyl | 2-(para-Chlorphe-nyl)-oxazol-4-yl | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 1,60 (s, 3H); 2,21 (s, 3H), 3,41 (s, 3H), 3,90 (s, 3H); 5,09 (s, 2H); 5,19 (s, 2H); 6,80 (d, 1H); 7,21-8,02 (m, 11H). |
| 18 | 1 | -OCH ₂ - | 2-Me-thyl | Thiophen-3-yl | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,20 (s, 3H); 2,24 (s, 3H), 3,42 (s, 3H), 3,94 (s, 3H); 4,81 (d, 2H); 5,12 (s, 2H); 6,20-6,38 (m, 1H); 6,61-6,80 (m, 2H); 7,15-7,64 (m, 10H). |
| 19 | | -C(CH ₃)=NOCH ₂ - | H | 5-Chlor-thio-phen-2-yl | Fp = 106-114 °C |
| 20 | | -C(CH ₃)=NOCH ₂ - | H | 2-(para-Bromphe-nyl)-oxazol-4-yl | 360MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,17 (s, 3H); 2,21 (s, 3H), 3,35 (s, 3H), 3,43 (s, 3H); 4,80 (d, 2H); 5,21 (s, 2H); 7,18-7,95 (m, 13H). |
| 21 | | -C(CH ₃)=NOCH ₂ - | H | Thiophen-3-yl | Fp = 109-126 °C |

45

| | | | | | | |
|----|----|---|---------------------|---------------|-----------------------------------|---|
| 5 | 22 | 2 | -OCH ₂ - | 2,5-Di-methyl | 5-Chlor-thio-phen-2-yl | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,17 (s, 3H); 2,20 (s, 3H); 2,30 (s, 3H); 3,41 (s, 3H); 3,96 (s, 3H); 5,02 (s, 2H); 5,19 (s, 2H); 6,80-7,66 (m, 8H). |
| 10 | 23 | 2 | -OCH ₂ - | 2,5-Di-methyl | 2-(para-Bromphe-nyl)-oxazol-4-yl | IR (cm ⁻¹): 1725, 1616, 1501, 1479, 1414, 1402, 1389, 1325, 1247, 1149, 1073, 1037, 1010, 744, 733. |
| 15 | 24 | 2 | -OCH ₂ - | 2,5-Di-methyl | Thiophen-3-yl | 270MHz- ¹ H-NMR (CDCl ₃), δ (ppm): 2,16 (s, 3H); 2,19 (s, 3H); 2,29 (s, 3H); 3,42 (s, 3H); 3,93 (s, 3H); 4,78 (d, 2H); 5,02 (s, 2H); 6,21-6,40 (m, 1H); 6,60-7,62 (m, 10H). |
| | 25 | 2 | -OCH ₂ - | 2,5-Di-methyl | 2-(para-Chlorphe-nyl)-oxazol-4-yl | Fp = 92-117 °C |

20 Anwendungsbeispiele

Versuch 1 - Wirksamkeit gegen Weizenmehltau

25 Blätter von in Töpfen gewachsenen Weizenkeimlingen der Sorte "Frühgold" wurden mit wässriger Wirkstoffaufbereitung, die aus einer Stammlösung, angesetzt wurde, bestehend aus 10 % Wirkstoff, 63 % Cyclohexanon und 27 % Emulgiermittel, bis zur Tropfnässe be-
 30 sprüht und 24 Stunden nach dem Antrocknen des Spritzbelages mit Sporen des Weizenmehltaus (*Erysiphe graminis forma specialis tritici*) bestäubt. Die Versuchspflanzen wurden anschließend im Ge-
 wächshaus bei Temperaturen zwischen 20 und 24 °C und 60 bis 90 % relativer Luftfeuchtigkeit aufgestellt. Nach 7 Tagen wurde das Ausmaß der Mehltauentwicklung visuell in % Befall der gesamten
 35 Blattfläche ermittelt.

| | Wirkstoff ¹⁾ | %-Befall der Blätter nach Applikation von 63 ppm-haltiger wässriger Wirkstoffaufbereitung |
|----|-------------------------|--|
| | Wirkstoff Nr. 1 | 5 |
| 40 | Wirkstoff Nr. 2 | 10 |
| | Wirkstoff Nr. 3 | 5 |
| | Wirkstoff Nr. 8 | 0 |
| | Wirkstoff Nr. 9 | 3 |
| 45 | Wirkstoff Nr. 10 | 3 |
| | Wirkstoff Nr. 11 | 0 |
| | Wirkstoff Nr. 12 | 5 |

| | | |
|----|------------------|----|
| 5 | Wirkstoff Nr. 13 | 10 |
| | Wirkstoff Nr. 14 | 5 |
| | Wirkstoff Nr. 15 | 15 |
| | Wirkstoff Nr. 16 | 5 |
| | Wirkstoff Nr. 17 | 10 |
| 10 | Wirkstoff Nr. 18 | 10 |
| | Wirkstoff Nr. 22 | 5 |
| | Wirkstoff Nr. 23 | 3 |
| | Wirkstoff Nr. 24 | 5 |
| | Wirkstoff Nr. 25 | 5 |
| 15 | | |
| | Unbehandelt | 90 |

1) siehe Tabellen 2 und 3

Versuch 2 - Wirksamkeit gegen Plasmopara viticola

Blätter von Topfreben der Sorte "Müller-Thurgau" wurden mit wässriger Wirkstoffaufbereitung, die mit einer Stammlösung aus 10 % Wirkstoff, 63 % Cyclohexanon und 27 % Emulgiermittel angesetzt wurde, bis zur Tropfnässe besprüht. Am folgenden Tag wurden die Blätter mit einer wässrigen Zoosporenaufschwemmung von Plasmopara viticola inokuliert. Danach wurden die Reben zunächst 48 Stunden in einer wasserdampfgesättigten Kammer bei 24 °C und anschließend 5 Tage im Gewächshaus bei Temperaturen zwischen 20 und 30 °C aufgestellt. Nach dieser Zeit wurden die Pflanzen zur Beschleunigung des Sporangienträgerausbruchs abermals 16 Stunden in eine feuchte Kammer gestellt. Dann wurde das Ausmaß der Befallsentwicklung auf den Blattunterseiten visuell ermittelt.

| | Wirkstoff 1) | %-Befall der Blätter nach Applikation von 63 ppm-haltiger wässriger Wirkstoffaufbereitung |
|----|------------------|---|
| 35 | Wirkstoff Nr. 1 | 10 |
| | Wirkstoff Nr. 2 | 10 |
| | Wirkstoff Nr. 5 | 0 |
| | Wirkstoff Nr. 7 | 10 |
| 40 | Wirkstoff Nr. 8 | 10 |
| | Wirkstoff Nr. 9 | 1 |
| | Wirkstoff Nr. 10 | 0 |
| | Wirkstoff Nr. 11 | 0 |
| 45 | Wirkstoff Nr. 12 | 0 |
| | Wirkstoff Nr. 13 | 15 |
| | Wirkstoff Nr. 14 | 0 |

| | |
|------------------|----|
| Wirkstoff Nr. 25 | 15 |
| | |
| Unbehandelt | 85 |

5 1) siehe Tabellen 2 und 3

Versuch 3 - Wirksamkeit gegen *Pyricularia oryzae* (protektiv)

Blätter von in Töpfen gewachsenen Reiskeimlingen der Sorte "Tai-
 10 Nong 67" wurden mit wässriger Wirkstoffaufbereitung, die mit ei-
 ner Stammlösung aus 10 % Wirkstoff, 63 % Cyclohexanon und 27 %
 Emulgiermittel angesetzt wurde, bis zur Tropfnässe besprüht. Am
 folgenden Tag wurden die Pflanzen mit einer wässrigen Sporensus-
 pension von *Pyricularia oryzae* inokuliert. Anschließend wurden
 15 die Versuchspflanzen in Klimakammern bei 22 bis 24 °C und 95 bis
 99 % relativer Luftfeuchtigkeit 6 Tage aufgestellt. Dann wurde
 das Ausmaß der Befallsentwicklung auf den Blättern visuell ermit-
 telt.

| 20 | Wirkstoff 1) | %-Befall der Blätter nach Applikation von 63 ppm-haltiger wässriger Wirkstoffaufbereitung |
|----|------------------|--|
| | Wirkstoff Nr. 4 | 15 |
| | Wirkstoff Nr. 5 | 5 |
| 25 | Wirkstoff Nr. 6 | 10 |
| | Wirkstoff Nr. 7 | 5 |
| | Wirkstoff Nr. 8 | 5 |
| | Wirkstoff Nr. 9 | 5 |
| 30 | Wirkstoff Nr. 11 | 10 |
| | Wirkstoff Nr. 12 | 10 |
| | Wirkstoff Nr. 13 | 5 |
| | Wirkstoff Nr. 14 | 5 |
| | Wirkstoff Nr. 15 | 10 |
| 35 | Wirkstoff Nr. 22 | 5 |
| | Wirkstoff Nr. 23 | 5 |
| | Wirkstoff Nr. 24 | 5 |
| | Wirkstoff Nr. 25 | 5 |
| 40 | | |
| | Unbehandelt | 90 |

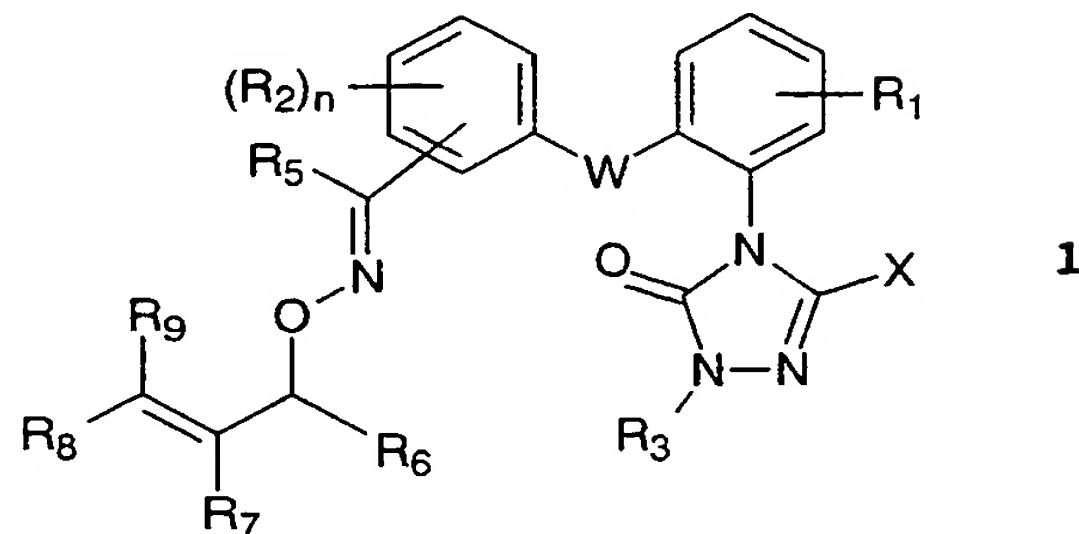
1) siehe Tabellen 2 und 3

Patentansprüche

1. Oximether-Verbindungen der Formel 1

5

10



in der die Substituenten die folgenden Bedeutungen haben:

15

W $-\text{OCH}_2-$, $-\text{C}(\text{R}_{10})=\text{N}-\text{O}-\text{CH}_2-$;

X Halogen, C_1 - C_4 -Alkyl, C_1 - C_4 -Alkoxy;

20

R_1 H, C_1 - C_4 -Alkyl, Halogen, Nitro, CN, Halogen- C_1 - C_4 -Alkyl, C_1 - C_4 -Alkoxy;

R_2 H, C_1 - C_4 -Alkyl, Halogen, Nitro, CN, Halogen- C_1 - C_4 -Alkyl, C_1 - C_4 -Alkoxy;

25

n 1 oder 2;

R_3 H, C_1 - C_4 -Alkyl;

30

R_5 H, C_1 - C_4 -Alkyl, C_2 - C_4 -Alkenyl;

R_6 H, C_1 - C_4 -Alkyl, C_1 - C_4 -Halogenalkyl, C_2 - C_4 -Alkenyl, Aryl;

35

R_7 H, Halogen, C_1 - C_6 -Alkyl, C_1 - C_6 -Halogenalkyl, C_2 - C_6 -Alkenyl, C_2 - C_6 -Halogenalkenyl, C_3 - C_6 -Cycloalkyl, C_3 - C_6 -Halogencycloalkyl, gegebenenfalls substituiertes Aryl;

40

R_8 H, Halogen, C_1 - C_6 -Alkyl, C_1 - C_6 -Halogenalkyl, C_2 - C_6 -Alkenyl, C_2 - C_6 -Halogenalkenyl, C_3 - C_6 -Cycloalkyl, C_3 - C_6 -Halogencycloalkyl, gegebenenfalls substituiertes Aryl, oder

45

- 5 R₇ und R₈ bilden, zusammen mit den Kohlenstoffatomen, an die sie gebunden sind, einen ungesättigten Heterocyclus mit 5- oder 6-Ringatomen, der ein oder zwei Heteroatome aufweist, die unabhängig voneinander ausgewählt sind unter einem Stickstoff-, Sauerstoff- und Schwefelatom und der gegebenenfalls mit einem oder zwei Resten substituiert sein kann, die unabhängig voneinander ausgewählt sind unter C₁-C₄-Alkyl, Halogen, Nitro, CN, Halogen-C₁-C₄-Alkyl, OH, C₁-C₄-Alkoxy, gegebenenfalls substituiertes Aryl, 10 C₂-C₄-Alkenyl, Halogen-C₂-C₄-Alkenyl, C₂-C₄-Alkinyl, Halogen-C₂-C₄-Alkinyl;
- 15 R₉ H, Halogen, C₁-C₆-Alkyl, C₁-C₆-Halogenalkyl, C₂-C₆-Alkenyl, C₂-C₆-Halogenalkenyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, gegebenenfalls substituiertes Aryl;
- R₁₀ H, Halogen, C₁-C₄-Alkyl.
- 20 2. Verbindungen der Formel 1 nach Anspruch 1, wobei die Substituenten die folgenden Bedeutungen haben:
- W -OCH₂-, -C(R₁₀)=N-O-CH₂;
- 25 X Halogen, C₁-C₄-Alkyl, C₁-C₄-Alkoxy;
- R₁ H, C₁-C₄-Alkyl, Halogen, Halogen-C₁-C₄-Alkyl;
- R₂ H, C₁-C₄-Alkyl, Halogen, Halogen-C₁-C₄-Alkyl;
- 30 R₃ H, C₁-C₄-Alkyl;
- n 1 oder 2;
- 35 R₅ H oder C₁-C₄-Alkyl;
- R₆ H, C₁-C₄-Alkyl, Halogen-C₁-C₄-Alkyl;
- 40 R₇ H, Halogen, C₁-C₆-Alkyl, Halogen-C₁-C₆-Alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, Phenyl;
- 45 R₈ H, Halogen, C₁-C₆-Alkyl, Halogen-C₁-C₆-Alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, C₂-C₆-Alkenyl, Phenyl, das durch ein oder zwei Halogen oder C₁-C₄-Alkyl substituiert sein kann; oder

- 5 R₇ und R₈ zusammen mit den Kohlenstoffatomen, an die sie gebunden sind, bilden einen ungesättigten Heterocyclus mit 5- oder 6-Ringatomen, der ein oder zwei Heteroatome aufweist, die unabhängig voneinander ausgewählt sind unter einem Stickstoff-, Sauerstoff- und Schwefelatom und der gegebenenfalls mit einem oder zwei Resten substituiert sein kann, die unabhängig voneinander ausgewählt sind unter C₁-C₄-Alkyl, Halogen, Halogen-C₁-C₄-Alkyl, C₁-C₄-Alkoxy und Phenyl, das durch ein oder zwei Halogen oder
- 10 C₁-C₄-Alkyl substituiert sein kann;
- R₉ H, Halogen, C₁-C₆-Alkyl, Halogen-C₁-C₆-Alkyl, C₃-C₆-Cycloalkyl, C₃-C₆-Halogencycloalkyl, Phenyl;
- 15 R₁₀ H, Halogen, C₁-C₄-Alkyl.
3. Verbindungen der Formel 1 nach Anspruch 1 oder 2, wobei die Substituenten die folgenden Bedeutungen haben:
- 20 W -OCH₂-, -C(R₁₀)=N-O-CH₂;
- X Halogen, C₁-C₄-Alkoxy;
- R₁ H, C₁-C₄-Alkyl;
- 25 R₂ H, C₁-C₄-Alkyl;
- n 1 oder 2;
- 30 R₃ C₁-C₄-Alkyl;
- R₅ H, C₁-C₄-Alkyl;
- R₆ H, C₁-C₄-Alkyl;
- 35 R₇ H, Halogen, C₁-C₆-Alkyl;
- R₈ H, Halogen, C₁-C₆-Alkyl, C₂-C₆-Alkenyl; oder
- 40 R₇ und R₈ bilden zusammen mit den Kohlenstoffatomen, an die sie gebunden sind, Thiophenyl, Furanyl, Oxazolyl, Thiazolyl, wobei diese Gruppen ein oder zwei Substituenten aufweisen können, die unabhängig voneinander ausgewählt sind unter C₁-C₄-Alkyl, Halogen und Phenyl, das durch ein oder
- 45 zwei Halogen substituiert sein kann;

R₉ H, Halogen, C₁-C₆-Alkyl;

R₁₀ H, C₁-C₄-Alkyl.

- 5 4. Verbindungen der Formel 1 nach einem der vorhergehenden Ansprüche, wobei die Substituenten die folgenden Bedeutungen haben:

10 W -OCH₂-, -C(R₁₀)=N-O-CH₂;

X C₁-C₄-Alkoxy;

R₁ H;

15 R₂ H, C₁-C₄-Alkyl;

n 1 oder 2;

20 R₃ C₁-C₄-Alkyl;

R₅ H, C₁-C₄-Alkyl;

R₆ H, C₁-C₄-Alkyl;

25 R₇ H, Halogen;

R₈ H, C₁-C₄-Alkyl, Halogen; oder

30 R₇ und R₈ bilden zusammen mit den Kohlenstoffatomen, an die sie gebunden sind, Thiophenyl oder Oxazolyl, wobei diese Gruppen gegebenenfalls durch ein oder zwei Halogen oder Phenyl substituiert sind und das Phenyl durch ein oder zwei Halogen substituiert sein kann;

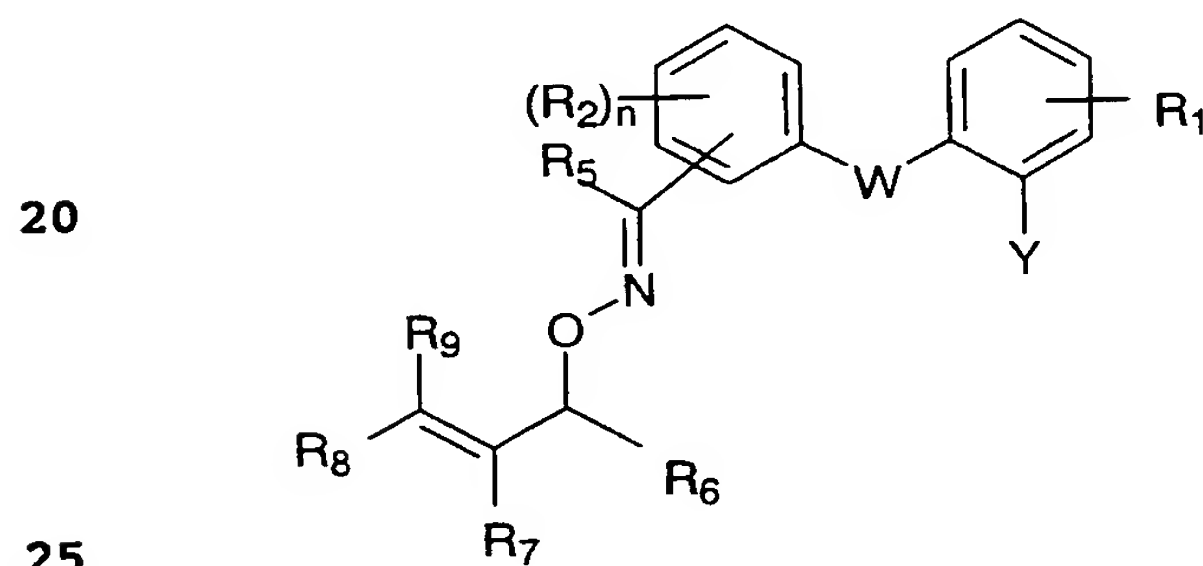
35 R₉ H, Halogen;

R₁₀ H, C₁-C₄-Alkyl.

- 40 5. Verwendung der Verbindungen der Formel 1 nach einem der Ansprüche 1 bis 4 als Fungizide oder zur Bekämpfung von Schädlingen.

- 45 6. Fungizides Mittel, enthaltend feste und/oder flüssige Trägerstoffe und eine fungizid wirksame Menge wenigstens einer Verbindung der Formel 1 gemäß Anspruch 1.

7. Verfahren zur Bekämpfung von Pilzen, wobei man die Pilze oder die von Pilzbefall bedrohten Materialien, Pflanzen, Saatgüter oder den Erdboden mit einer fungizid wirksamen Menge mindestens einer Verbindung der Formel 1 gemäß Anspruch 1 behandelt.
8. Mittel zur Bekämpfung von Schädlingen, enthaltend inerte Zusatzstoffe und eine pestizid wirksame Menge mindestens einer Verbindung der Formel 1 gemäß Anspruch 1.
9. Verfahren zur Bekämpfung von Schädlingen, wobei man die Schädlinge und/oder deren Lebensraum mit einer pestizid wirksamen Menge mindestens einer Verbindung der Formel 1 gemäß Anspruch 1 behandelt.
10. Verbindungen der Formel 6



worin

- W, R_1 , R_2 , R_5 , R_6 , R_7 , R_8 , R_9 und n die in einem der Ansprüche 1 bis 4 angegebenen Bedeutungen besitzen und Y für NH_2 steht.



1

2

3

4

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 00/09000

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D249/12 C07D409/12 C07D413/12 C07D405/12 C07D417/12
A01N43/653 //(C07D409/12,333:00,249:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BIOSIS, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
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| Y | WO 98 05652 A (BROWN RICHARD JAMES ;CHAN DOMINIC MING TAK (US); DRUMM JOSEPH EUGE) 12 February 1998 (1998-02-12) cited in the application table 1 | 1-9 |
| Y | WO 97 00612 A (DU PONT ;BROWN RICHARD JAMES (US); CHAN DOMINIC MING TAK (US); HOW) 9 January 1997 (1997-01-09) cited in the application Index table C | 1-9 |
| Y | WO 96 36615 A (DU PONT ;BROWN RICHARD JAMES (US); SUN KING MO (US); FRASIER DEBOR) 21 November 1996 (1996-11-21) cited in the application table 2,4,10,14,18,20,23 | 1-9 |
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Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents :

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- *O* document referring to an oral disclosure, use, exhibition or other means
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- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- * & * document member of the same patent family

Date of the actual completion of the international search

13 December 2000

Date of mailing of the international search report

16/01/2001

Name and mailing address of the ISA

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Frelon, D

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 00/09000

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Information on patent family members

International Application No

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INTERNATIONALER RESEARCHENBERICHT

Internationales Aktenzeichen

PCT/EP 00/09000

A. KLASSIFIZIERUNG DES ANMELDUNGSGEGENSTANDES

IPK 7 C07D249/12 C07D409/12 C07D413/12 C07D405/12 C07D417/12
A01N43/653 //(C07D409/12,333:00,249:00)

Nach der Internationalen Patentklassifikation (IPK) oder nach der nationalen Klassifikation und der IPK

B. RECHERCHIERTE GEBIETE

Recherchierter Mindestprüfstoff (Klassifikationssystem und Klassifikationssymbole)

IPK 7 C07D A01N

Recherchierte aber nicht zum Mindestprüfstoff gehörende Veröffentlichungen, soweit diese unter die recherchierten Gebiete fallen

Während der internationalen Recherche konsultierte elektronische Datenbank (Name der Datenbank und evtl. verwendete Suchbegriffe)

EPO-Internal, WPI Data, PAJ, BIOSIS, CHEM ABS Data

C. ALS WESENTLICH ANGESEHENE UNTERLAGEN

| Kategorie* | Bezeichnung der Veröffentlichung, soweit erforderlich unter Angabe der in Betracht kommenden Teile | Betr. Anspruch Nr. |
|------------|---|--------------------|
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Weitere Veröffentlichungen sind der Fortsetzung von Feld C zu entnehmen



Siehe Anhang Patentfamilie

* Besondere Kategorien von angegebenen Veröffentlichungen :

- *A* Veröffentlichung, die den allgemeinen Stand der Technik definiert, aber nicht als besonders bedeutsam anzusehen ist
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X Veröffentlichung von besonderer Bedeutung; die beanspruchte Erfindung kann allein aufgrund dieser Veröffentlichung nicht als neu oder auf erfinderischer Tätigkeit beruhend betrachtet werden

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g Veröffentlichung, die Mitglied derselben Patentfamilie ist

Datum des Abschlusses der internationalen Recherche

13. Dezember 2000

Absenddatum des internationalen Recherchenberichts

16/01/2001

Name und Postanschrift der Internationalen Recherchenbehörde
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Bevollmächtigter Bediensteter

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